CHAPTER IV
This chapter describes the preparation of N-aminoalkyl-\(\beta\)-phenylethylamines of the general structure (LIII)

\[
\begin{align*}
\text{NH} & \quad \text{CH}_2 \quad Y \quad N \quad Z \\
R_1 & \quad \text{CH}_2 \quad CH \quad CH \quad CH_3 \\
R_2 & \quad \text{CH}_2 \quad \text{CH}_2 \quad \\
\end{align*}
\]

(LIII)

where \(R_1\) and \(R_2\) stand for \(H\), methoxy in para position, 3:4-dimethoxy, 3-methoxy-4-ethoxy, 3:4-diethoxy and 3:4-methylene dioxy groups. \(Y\) stands for alkyl chain such as \(-\text{CH}_2-, \quad \text{CH}_2\), \(-\text{CH}_2\text{-CH}_2-\) and \(-\text{NH}-\) represents diethylamine, morpholine, piperidine, pyrrolidine and \(\alpha-, \beta-\) and \(\gamma\)-pipecolines.

A large number of drugs in clinical use for the treatment of management of withdrawal syndrome of drug addiction, acute alcoholism and antiallergic syndrome incorporate \(-N-Y-N\) group. Some of the more important ones are Phenothiazines\(^1-7\) (LIV) such as
Major advances have been made in recent years in the treatment of antiallergic syndrome with compounds containing \(-N-C-C-N-\) structure. The highest antihistaminic activity among the structures of the type \(\text{Ar}-N-\text{CH}_2\text{CH}_2\text{NR}_2\) was observed when \(R_1\) represented benzyl or analogous heterocyclic group and \(R_2\) was methyl. The first useful drug in this series was prepared by Halpern known as Antergan \(^8\) (LIX).
Replacement of the benzyl group by 2-thienyl (LX) confers less sedation than Antergan whereas 2-methylfuryl isostere (LXI) has the same order of activity as that of Antergan\textsuperscript{9,10}.

\[
\begin{align*}
\text{(LX)} & \quad \text{CH}_2-\text{N} \quad \text{CH}_2-\text{CH}_2-\text{N} \quad \text{CH}_3 \\
& \quad \text{O} \\
\end{align*}
\]

Structural modification of Antergan molecule led to more active members in the series. Bovet and co-workers found that \(N-(4\text{-methoxybenzyl})-N-(2\text{-pyrydyl})-N\text{-dimethylethylenediamine (LXII) (Neoantergan, Pyranisamine, Anthisan) was many times more active and less toxic than Antergan}^{11,12}.\)
Some of the commonly employed antihistamines in therapy belonging to this class of compounds having minor modifications in structure are (LXIII-LXV)-
Ethylenediamine derivatives incorporating an acridan moiety on one of the nitrogen have been shown to possess anti-histaminic activity. Thus 10-(2-dimethylaminoethyl)acridan (LXVI) has been claimed to possess seven times more activity than diphenhydramine\textsuperscript{17}. A systematic study of the Ethylenediamine derivatives of the following type (LXVII) incorporating a benzylamine moiety
where $R_1$, $R_2$, $R_3$ and $R_4$ represent H, chloro, dichloro, methoxy, dimethoxy and alkyl groups, $R_5$ and $R_6$ represent H, $\text{CH}_3$, C$_2$H$_5$ or C$_3$H$_7$ and $-N\overline{Z}$ represents a heterocyclic moiety such as morpholine, piperidine and pyrrolidine groups has been carried out by Sidhu, Sattur and Sadanandam$^{18}$. The compounds possess mild antihistaminic activity but in general exhibit ataxia, irritability, gasping and increase of motor activity. It is also interesting to observe that some of the compounds exhibit good antipyretic and diuretic activity. The compounds are undergoing screening in experimental animals. In continuation of this work, Sidhu, Sattur, Salma Ansari and Bhaskar Rao synthesised a number of derivatives of the following type derived from $\beta$-phenylethylamine.

(LXVII)

(LXVIII)
where \(-N\bigcirc Z\) represents morpholine, piperidine, pyrrolidine and diethylamine, with a view to establish structure activity relationship.

Present work

It was thought worthwhile to synthesise structures of the type

\[
\begin{array}{c}
  \text{R}_1 \\
  \text{R}_2 \\
  \text{NH} \quad \text{Y} \quad \text{N} \bigcirc Z
\end{array}
\]

where \(\text{R}_1\) and \(\text{R}_2\) represent H, methoxy, dimethoxy and methylenedioxy groups. \(\text{Y}\) stands for an alkylene chain such as \(-\text{CH}_2\text{-CH}_2\text{-}, -\text{CH}_2\text{-CH}_-, -\text{CH}_2\text{-CH}_2\text{-CH}_2\text{-}\) and \(N\bigcirc Z\) represents diethylamine, morpholine, piperidine, pyrrolidine, 2-, 3-, and 4-methylpiperidine.

Compounds of this type may also be looked upon as open chain analogues of 2-benzyl-2-imidazoline (Priscoline, Benzazoline, Tolazoline)\(^{19}\) (LXIX) which is employed clinically as moderately effective adrenergic blocking agent. It is also employed for the clinical management of acute poliomyelitis; at doses large enough to produce
flushing, pain and spasms appear to be relieved. Compounds of the general structure (LIII) were synthesised by

(A) Condensing basic alkyl chlorides with suitably substituted phenyl-ethylamines.

(B) Reduction of corresponding amides described in chapter III of this with Lithium aluminium hydride.

Compounds thus prepared are described in Tables 11 to 13.
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</tr>
<tr>
<td>12</td>
<td>2628</td>
<td>methoxy</td>
<td>ethoxy</td>
<td>Diethylamine</td>
<td>210-214/13</td>
</tr>
<tr>
<td>13</td>
<td>2629</td>
<td>methoxy</td>
<td>ethoxy</td>
<td>Morpholine</td>
<td>240-242/5</td>
</tr>
<tr>
<td>14</td>
<td>2630</td>
<td>methoxy</td>
<td>ethoxy</td>
<td>Piperidine</td>
<td>240-242/7-7.5</td>
</tr>
<tr>
<td>15</td>
<td>2631</td>
<td>ethoxy</td>
<td>ethoxy</td>
<td>Diethylamine</td>
<td>215-218/12</td>
</tr>
<tr>
<td>16</td>
<td>2632</td>
<td>ethoxy</td>
<td>ethoxy</td>
<td>Morpholine</td>
<td>250-255/9-10</td>
</tr>
<tr>
<td>17</td>
<td>2633</td>
<td>ethoxy</td>
<td>ethoxy</td>
<td>Piperidine</td>
<td>238-240/11-12</td>
</tr>
<tr>
<td>18</td>
<td>2634</td>
<td>3,4-methylenedioxy</td>
<td>Diethylamine</td>
<td>220-225/10</td>
<td></td>
</tr>
<tr>
<td>19</td>
<td>2635</td>
<td>3,4-methylenedioxy</td>
<td>Morpholine</td>
<td>240-245/7-8</td>
<td></td>
</tr>
<tr>
<td>20</td>
<td>2636</td>
<td>3,4-methylenedioxy</td>
<td>Piperidine</td>
<td>238-240/5-6</td>
<td></td>
</tr>
</tbody>
</table>
**EXPERIMENTAL**

N-aminoalkyl-β-phenylethylamines described in Table 11, 12 and 13 were prepared by two methods.

A. Condensation of appropriately substituted β-phenylethylamines with alkylaminoalkyl chlorides

Alkylaminoalkyl chlorides (Table 13-A) which were required for condensation of various β-phenylethylamines were obtained as described in literature.

**TABLE 13 (A)**

<table>
<thead>
<tr>
<th>S.No.</th>
<th>Compound</th>
<th>b.p. °C/mm</th>
<th>Reference</th>
</tr>
</thead>
<tbody>
<tr>
<td>1</td>
<td>2-diethylaminoethyl chloride</td>
<td>35/10</td>
<td>21</td>
</tr>
<tr>
<td>2</td>
<td>2-(4-morpholino)ethyl chloride</td>
<td>86-88/10</td>
<td>22</td>
</tr>
<tr>
<td>3</td>
<td>2-(1-piperidino)ethyl chloride</td>
<td>65/7</td>
<td>23</td>
</tr>
<tr>
<td>4</td>
<td>3-(diethylamino)propyl chloride</td>
<td>70-75/25</td>
<td>24</td>
</tr>
<tr>
<td>5</td>
<td>3-(4-morpholino)propyl chloride</td>
<td>109-114/25</td>
<td>24</td>
</tr>
<tr>
<td>6</td>
<td>3-(1-piperidino)propyl chloride</td>
<td>95-100/25</td>
<td>24</td>
</tr>
<tr>
<td>7</td>
<td>2-(diethylamino)propyl chloride</td>
<td>75-78/6</td>
<td>--</td>
</tr>
<tr>
<td>8</td>
<td>2-(4-morpholino)propyl chloride</td>
<td>120/50</td>
<td>25</td>
</tr>
<tr>
<td>9</td>
<td>2-(1-piperidino)propyl chloride</td>
<td>60/25</td>
<td>--</td>
</tr>
</tbody>
</table>
General procedure

The appropriate β-phenylethylamine (0.12 mole) and the freshly prepared alkylaminoalkyl chloride (0.1 mole) were mixed together and heated over an oil bath at 80-110°C for one to four hours. The mixture gradually became viscous or solid mass, which was cooled, dissolved in water and basified with 10% caustic soda solution. The liberated base was extracted with ether and ethereal extract was dried over anhydrous sodium sulphate. The solvent was removed and residue was distilled under reduced pressure.

Picrates were prepared by mixing up warm alcoholic solutions of the base and picric acid and were crystallised from ethanol or acetic acid.

B. Reduction of N-aminoacyl-β-phenylethylamines with Lithium aluminium hydride

N-aminoacyl-β-phenylethylamine (10 g) dissolved in 50 ml of anhydrous tetrahydrofuran was added dropwise to a suspension of Lithium aluminium hydride (6 g) in tetrahydrofuran (100 cc.). After the addition was over, the mixture was refluxed with stirring for five to six hours and cooled. Excess of Lithium aluminium hydride was decomposed by adding slowly moist ether and aqueous potassium hydroxide (40%). The solid was filtered, washed with ether and filtrate was dried over anhydrous sodium sulphate. After removal of solvent, residue was distilled under reduced pressure.
Picrates were prepared as in method 'A'.

The compounds prepared by these methods are described below.

1. \( N-[2-(N\text{-diethylamino})ethyl]-\beta\text{-phenylethylamine} \)
   (Code No. RRL 801)

\( \beta\text{-phenylethylamine} \) (8 g) and diethylaminoethyl chloride (6 g) were mixed together and kept at 60-70°C for 3 hours. The condensation product was worked up as described above and distilled under reduced pressure.

b.p. 134-136°C/3 mm yield 6 g

Found C 76.23 H 10.86 N 12.52%
\( C_{14}H_{24}N_2 \) (220) requires 76.31 10.98 12.71

Picrate m.p. 145°C (from ethanol)

Found N 15.23%
\( C_{20}H_{27}O_7N_5 \) (449) requires 15.57

2. \( N-[2-(4\text{-morpholino})ethyl]-\beta\text{-phenylethylamine} \)
   (Code No. RRL 811)

The title compound was prepared by heating a mixture of \( \beta\text{-phenylethylamine} \) (8 g) with 2-(4-morpholino)ethyl chloride at 80-100°C for three hours. The product was worked up as described in the general procedure and distilled.

b.p. 174-178°C/4-5 mm yield 6 g
Found C 71.46  H 9.27  N 11.76%  
C\textsubscript{14}H\textsubscript{22}ON\textsubscript{2} (234) requires 71.75  9.46  11.96

Picrate m.p. 212°C (from ethanol)

Found N 15.04%  
C\textsubscript{20}H\textsubscript{25}O\textsubscript{8}N\textsubscript{5} (463) requires 15.12

3. \textit{N-[2-(1-piperidino)ethyl]-β-phenylethylamine}  
(Code No. RRL 818)

Condensation of β-phenylethylamine (8 g) with 2-(1-piperidino)ethyl chloride (6 g) at 90-100°C for three hours gave \textit{N-[2-(1-piperidino)ethyl]-β-phenylethylamine}.

b.p. 174°C/2-3 mm  yield 6.4 g

Found C 77.86  H 10.31  N 12.13%  
C\textsubscript{15}H\textsubscript{24}N\textsubscript{2} (232) requires 77.98  10.34  12.06

Picrate m.p. 215°C (from ethanol)

Found N 20.04%  
C\textsubscript{21}H\textsubscript{27}O\textsubscript{7}N\textsubscript{5} (461) requires 20.31

4. \textit{N-[2-(2-methylpiperidino)ethyl]-β-phenylethylamine}  
(Code No. RRL 232)

The above compound was prepared by reduction of \textit{N-(2-methylpiperidino)acetyl-β-phenylethylamine} (8 g) with Lithium aluminium hydride (5 g) in tetrahydrofuran.

b.p. 150-154°C/0.8 mm  yield 5.5 g
Found C 78.17  H 10.28  N 11.23%
C₁₆H₂₆N₂ (246) requires 78.05  10.57  11.39

5. N-\{2-(3-methylpiperidino)ethyl\}-\beta\text{-phenylethylamine}
   (Code No. RRL 833)

Reduction of N-(3-methylpiperidino)acetyl-\beta\text{-phenylethylamine} (7 g) with Lithium aluminium hydride (4 g) afforded the title compound.

b.p. 156-160°C/1 mm yield 4.5 g

Found C 77.83  H 10.42  N 11.32%
C₁₆H₂₆N₂ (246) requires 78.05  10.57  11.39

6. N-\{2-(4-methylpiperidino)ethyl\}-\beta\text{-phenylethylamine}
   (Code No. RRL 834)

The above compound was obtained by reduction of N-(4-morpholino)acetyl-\beta\text{-phenylethylamine} (6 g) with Lithium aluminium hydride in tetrahydrofuran.

b.p. 148-150°C/0.8 mm yield 4 g

Found C 77.79  H 10.46  N 11.26%
C₁₆H₂₆N₂ (246) requires 78.05  10.57  11.39

7. N-\{2-(N-diethylamino)ethyl\}-\beta\text{-4-methoxyphenylethylamine}
   (Code No. RRL 804)

The above compound was prepared by condensation of \beta\text{-4-methoxyphenylethylamine} (9 g) with diethylaminoethyl
chloride (7 g). The reaction temperature was maintained between 80–110°C for three hours.

b.p. 180–192°C/0.8 mm yield 6.5 g

\[ \text{Found C 71.68 H 10.32 N 11.08\%} \]
\[ \text{C}_{15}\text{H}_{26}\text{O}_{2}\text{N}_{2} \text{ (262) requires 71.95 10.47 11.19} \]

Picrate m.p. 169°C (from ethanol)

\[ \text{Found N 14.52\%} \]
\[ \text{C}_{21}\text{H}_{29}\text{O}_{8}\text{N}_{5} \text{ (479) requires 14.61} \]

8. \( \text{N-[2-(4-morpholino)ethyl]-\text{\( \beta \)-4-methoxyphenylethylamine}} \)
   \( \text{(Code No. RRL 814)} \)

2-(4-morpholino)ethyl chloride (6 g) was added to \( \text{\( \beta \)-4-methoxyphenylethylamine} \) (8 g) and heated at 90–100°C for three hours. The reaction product was worked up as usual and distilled under reduced pressure.

b.p. 188–190°C/0.5 mm yield 7.5 g

\[ \text{Found C 67.98 H 9.12 N 10.47\%} \]
\[ \text{C}_{15}\text{H}_{24}\text{O}_{2}\text{N}_{2} \text{ (264) requires 68.15 9.15 10.60} \]

Picrate m.p. 188°C (from ethanol)

\[ \text{Found N 14.51\%} \]
\[ \text{C}_{21}\text{H}_{27}\text{O}_{8}\text{N}_{5} \text{ (493) requires 14.20} \]
9. N-[2-(1-piperidino)ethyl]-β-4-methoxyphenylethylamine  
(Code No. RRL 821)

2-(1-piperidino)ethyl chloride (6 g) and β-4-methoxyphenylethylamine (9 g) were mixed together and kept at 85-100°C for three hours. The reaction product was worked up according to the procedure described.

b.p. 184-188°C/0.5 mm  yield 5.5 g

Found  C 73.16  H 10.10  N 10.52%

C₁₆H₂₆O₂N₂ (262) requires  73.24  9.99  10.68

Picrate m.p. 176°C (from ethanol)

Found  N 24.17%

C₂₂H₂₉O₈N₅ (491) requires  24.06

10. N-[2-(2-methylpiperidino)ethyl]-β-4-methoxyphenylethylamine  
(Code No. RRL 829)

The reduction of N-(2-methylpiperidino)acetyl-β-4-methoxyphenylethylamine (6 g) with Lithium aluminium hydride afforded the title compound.

b.p. 190-194°C/1 mm  yield 3.5 g

Found  C 73.69  H 10.31  N 10.27%

C₁₇H₂₈O₂N₂ (276) requires  73.86  10.21  10.14
11. \( \text{N-[2-(3-methylpiperidino)ethyl]}-\beta-4\text{-methoxyphenylethylamine} \)
(Code No. RRL 830)

The above compound was obtained by reduction of \( \text{N-(3-methylpiperidino)acetyl-}\beta\text{-4-methoxyphenylethylamine} \) (6 g) with Lithium aluminium hydride (4 g) in tetrahydrofuran.

\[ \text{b.p. 192-196°C/0.9-1 mm} \quad \text{yield 4.2 g} \]

Found C 73.71  H 10.08  N 10.18%
\( \text{C}_{17}\text{H}_{28}\text{ON}_{2} \) (276) requires 73.86  10.21  10.14

12. \( \text{N-[2-(4-methylpiperidino)ethyl]}-\beta-4\text{-methoxyphenylethylamine} \)
(Code No. RRL 831)

The title compound was obtained when \( \text{N-(4-methylpiperidino)acetyl-}\beta\text{-4-methoxyphenylethylamine} \) (8 g) was reduced with Lithium aluminium hydride.

\[ \text{b.p. 192-194°C/1.5 mm} \quad \text{yield 5.5 g} \]

Found C 73.67  H 10.13  N 10.34%
\( \text{C}_{17}\text{H}_{28}\text{ON}_{2} \) (276) requires 73.86  10.21  10.14

13. \( \text{N-[2-(N-diethylamino)ethyl]}-\beta-3,4\text{-dimethoxyphenylethylamine} \)
(Code No. RRL 2577)

Condensation of \( \beta\text{-3,4-dimethoxyphenylethylamine} \) (10 g) with \( \text{N-diethylaminooethyl chloride} \) was carried out by heating the mixture of the two substances at 100-110°C for four hours. The product was worked up according to procedure described earlier.

\[ \text{b.p. 176-180°C/0.3 mm} \quad \text{yield 7.2 g} \]
Found  C 68.55  H 9.46  N 9.74\%
\(C_{16}H_{28}O_2N_2\) (280) requires  68.53  10.07  9.99

Picrate m.p. 184-186°C (from ethanol)

Found  N 15.39\%
\(C_{28}H_{34}O_{16}N_8\) (738) requires  15.16

14. \(\beta\)-\(N\)-[2-(4-morpholino)ethyl]-3,4-dimethoxyphenylethylamine
(Code No. RRL 2578)

\(\beta\)-3,4-dimethoxyphenylethylamine (10 g) was mixed with
4-morpholinoethyl chloride (6 g) and heated at 100-110°C for
three hours. The product was distilled under reduced pressure.

b.p. 206-208°C/1 mm  yield 6.5 g

Found  C 65.76  H 8.91  N 9.34\%
\(C_{16}H_{26}O_3N_2\) (294) requires  65.28  8.90  9.52

Picrate m.p. 207°C (from ethanol)

Found  N 14.52\%
\(C_{28}H_{32}O_{17}N_8\) (752) requires  14.88

15. \(\beta\)-\(N\)-[2-(1-piperidino)ethyl]-3,4-dimethoxyphenylethylamine
(Code No. RRL 2579)

Condensation of \(\beta\)-3,4-dimethoxyphenylethylamine (8 g)
with \(\beta\)-\(N\)-(1-piperidino)ethyl chloride (5 g) was carried out by
heating the mixture of the two substances at 90-110°C for three
hours. The product was worked up as described earlier and
distilled.
b.p. 212-214°C/2.5 mm yield 5.8 g

Found C 70.26 H 10.48 N 9.43%

C\textsubscript{17}H\textsubscript{28}O\textsubscript{2}N\textsubscript{2} (292) requires 69.82 9.85 9.58

Picrate m.p. 200-202°C (from ethanol)

Found N 15.23%

C\textsubscript{29}H\textsubscript{44}O\textsubscript{16}N\textsubscript{8} (750) requires 14.92

16. N-[2-(1-pyrrolidino)ethyl]-β-3,4-dimethoxyphenylethylamine
   (Code No. RRL 2580)

The above compound was obtained by reduction of
N-(1-pyrrolidino)acetyl-β-3,4-dimethoxyphenylethylamine (8 g)
with Lithium aluminium hydride (5 g) in tetrahydrofuran.

b.p. 186-188°C/0.5 mm yield 6.5 g

Found C 69.50 H 8.89 N 10.21%

C\textsubscript{16}H\textsubscript{26}O\textsubscript{2}N\textsubscript{2} (278) requires 69.03 9.41 10.06

Picrate m.p. 202-203°C (from ethanol)

Found N 13.53%

C\textsubscript{22}H\textsubscript{29}O\textsubscript{8}N\textsubscript{5} (507) requires 13.80

17. N-[2-(2-methylpiperidino)ethyl]-β-3,4-dimethoxyphenylethylamine
   (Code No. RRL 2581)

Reduction of N-(2-methylpiperidino)acetyl -β-3,4-
dimethoxyphenylethylamine (8 g) with Lithium aluminium hydride
(5 g) in tetrahydrofuran gave the title compound.
b.p. 206-210 C/0.9 mm  yield 5.8 g

Found  C 70.29  H 9.68  N 9.38%

$\text{C}_{18}\text{H}_{30}\text{O}_{2}\text{N}_{2}$ (306) requires  70.55  9.68  9.38

Picrate m.p. 203-204°C (from ethanol)

Found  N 13.36%

$\text{C}_{24}\text{H}_{33}\text{O}_{9}\text{N}_{5}$ (535) requires  13.08

18. N-$(2-(3\text{-methylpiperidino})\text{ethyl})\beta-3,4\text{-dimethoxyphenyl-ethylamine}$ (Code No. RRL 2582)

N-$(3\text{-methylpiperidino})\text{acetyl}\beta-3,4\text{-dimethoxyphenyl-ethylamine}$ (10 g) was reduced with Lithium aluminium hydride (6 g) in tetrahydrofuran. The resulting product was worked up according to general procedure of method 'B' and distilled under reduced pressure.

b.p. 204-210°C/1 mm  yield 8.5 g

Found  C 69.98  H 9.74  N 9.38%

$\text{C}_{18}\text{H}_{30}\text{O}_{2}\text{N}_{2}$ (306) requires  70.55  9.87  9.14

Picrate m.p. 201-202°C (from ethanol)

Found  N 13.20%

$\text{C}_{24}\text{H}_{33}\text{O}_{9}\text{N}_{5}$ (535) requires  13.08
19. \( \text{N-}[2-(4\text{-methylpiperidino})\text{ethyl}] - \beta-3,4\text{-dimethoxyphenylethylamine} \) (Code No. RRL 2583)

Reduction of \( \text{N-}(4\text{-methylpiperidino})\text{acetyl-}\beta-3,4\text{-dimethoxyphenylethylamine} \) (10 g) with Lithium aluminium hydride in tetrahydrofuran was carried out by refluxing for six hours. The product was worked up as described earlier and distilled under reduced pressure.

\[
\text{b.p. 208-210°C/1 mm \hspace{1cm} yield 8 g}
\]

Found  \( \text{C 71.10 \hspace{0.5cm} H 9.49 \hspace{0.5cm} N 9.42%} \)

\( \text{C}_{18}\text{H}_{30}\text{O}_{2}\text{N}_{2} \) (306) requires \( 70.55 \hspace{0.5cm} 9.87 \hspace{0.5cm} 9.14 \)

\( \text{Picrate m.p. 217-218°C (from ethanol)} \)

Found  \( \text{N 14.29%} \)

\( \text{C}_{30}\text{H}_{36}\text{O}_{16}\text{N}_{8} \) (764) requires \( 14.55 \)

20. \( \text{N-}[2-(\text{N-diethylamino})\text{ethyl}] - \beta-3\text{-methoxy-4-ethoxyphenylethylamine} \) (Code No. RRL 2584)

\( \text{N-diethylaminoethyl chloride (5 g) and } \beta-3\text{-methoxy-4-ethoxyphenylethylamine (7 g) were mixed together and heated at 100-110°C for four hours. The condensation product was worked up as described in general procedure and distilled under reduced pressure.} \)

\[
\text{b.p. 182°C/1.2 mm \hspace{1cm} yield 4.5 g}
\]

Found  \( \text{C 69.58 \hspace{0.5cm} H 10.45 \hspace{0.5cm} N 9.41%} \)

\( \text{C}_{17}\text{H}_{30}\text{O}_{2}\text{N}_{2} \) (294) requires \( 69.34 \hspace{0.5cm} 10.27 \hspace{0.5cm} 9.52 \)
Picate m.p. 155-156°C (from ethanol)

Found N 14.56%

C_{29}H_{36}O_{16}N_8 (752) requires 14.88

21. N-\[2-(4-morpholino)ethyl\]-β-3-methoxy-4-ethoxyphenylethylamine (Code No. RRL 2585)

The condensation of β-3-methoxy-4-ethoxyphenylethylamine (8 g) with 2-(4-morpholino)ethyl chloride (5 g) was carried out by heating the mixture of two substances at 90-110°C for three hours. The product was distilled under reduced pressure.

b.p. 195-198°C/1 mm yield 6 g

Found C 65.97 H 9.38 N 9.29%

C_{17}H_{28}O_{3}N_2 (308) requires 66.20 9.15 9.08

Picate m.p. 196-198°C (from ethanol)

Found N 14.58%

C_{29}H_{34}O_{17}N_8 (766) requires 14.61

22. N-\[2-(1-piperidino)ethyl\]-β-3-methoxy-4-ethoxyphenylethylamine (Code No. RRL 2586)

The title compound was obtained by condensation of β-3-methoxy-4-ethoxyphenylethylamine (10 g) with 1-piperidinoethyl chloride (7 g) at 90-110°C for three hours.

b.p. 198-202°C/0.6 mm yield 7.5 g

Found C 70.25 H 10.15 N 9.39%

C_{18}H_{30}O_{2}N_2 (306) requires 70.55 9.87 9.14
Picrate m.p. 189-190°C (from ethanol)

Found  N 14.48%

C_{30}H_{36}O_{16}N_{8} (764) requires 14.65

23. N-[2-(1-pyrrolidino)ethyl]-β-3-methoxy-4-ethoxyphenylethylamine (Code No. RRL 2587)

The above compound was prepared by reduction of N-(1-pyrrolidino)acetyl-β-3-methoxy-4-ethoxyphenylethylamine (10 g) with Lithium aluminium hydride (6 g) in tetrahydrofuran.

b.p. 190-195°C/1 mm yield 8.5 g

Found  C 69.92  H 9.75  N 9.63%

C_{17}H_{28}O_{2}N_{2} (292) requires 69.82  9.65  9.58

Picrate m.p. 194-195°C (from ethanol)

Found  N 14.78%

C_{29}H_{34}O_{16}N_{8} (750) requires 14.92

24. N-[2-(2-methylpiperidino)ethyl]-β-3-methoxy-4-ethoxyphenylethylamine (Code No. RRL 2588)

N-(2-methylpiperidino)acetyl-β-3-methoxy-4-ethoxyphenylethylamine (8 g) in tetrahydrofuran (40 cc.) was added to a suspension of Lithium aluminium hydride (5 g) in tetrahydrofuran and refluxed for five hours. The reduction product was worked up and distilled.

b.p. 205-210°C/0.5 mm yield 6.8 g
Found  
C  71.36  H  9.77  N  8.62%  
C\textsubscript{19}H\textsubscript{32}O\textsubscript{2}N\textsubscript{2} (320) requires  
71.21  10.07  8.74  

Picrate m.p.  178-180\degree\textdegree C (from ethanol)  

Found  N  12.48%  
C\textsubscript{25}H\textsubscript{38}O\textsubscript{9}N\textsubscript{5} (549) requires  
12.74  

2\textsuperscript{5}. N-[2-(3-methylpiperidino)ethyl]-\beta-3-methoxy-4-ethoxy-phenylethylamine (Code No. RRL 2589)  

N-(3-methylpiperidino)acetyl-\beta-3-methoxy-4-ethoxy-phenylethylamine (8 g) was reduced with Lithium aluminium hydride and worked up according to procedure described in method 'B'.  

b.p.  198-204\degree\textdegree C/0.5 mm  
yield  6.5 g  

Found  C  71.62  H  9.82  N  8.58%  
C\textsubscript{19}H\textsubscript{32}O\textsubscript{2}N\textsubscript{2} (320) requires  
71.21  10.07  8.74  

Picrate m.p.  186-187\degree\textdegree C (from ethanol)  

Found  N  14.52%  
C\textsubscript{31}H\textsubscript{38}O\textsubscript{16}N\textsubscript{8} (778) requires  
14.38  

26. N-[2-(4-methylpiperidino)ethyl]-\beta-3-methoxy-4-ethoxyphenylethylamine (Code No. RRL 2590)  

Reduction of N-(4-methylpiperidino)acetyl-\beta-3-methoxy-4-ethoxyphenylethylamine (8 g) with Lithium aluminium hydride (5 g) in tetrahydrofuran was accomplished by refluxing the reaction mixture for five hours. The product was worked up as usual and distilled under reduced pressure.
Condensation of β-3,4-diethoxyphenylethylamine (8 g) with diethylaminoethyl chloride (5 g) was carried out by heating the mixture of two substances at 110-120°C for three hours. The product was worked up as usual and distilled under reduced pressure.

```
b.p. 186-190°C/0.5 mm  yield 6 g

Found  C 70.28  H 9.98  N 9.17%
C_{18}H_{32}O_2N_2 (308) requires  70.09  10.46  9.08

Picrate m.p. 149-150°C (from ethanol)

Found  N 14.28%
C_{30}H_{38}O_{16}N_8 (766) requires  14.42

28. \(N-[2-(4\text{-morpholino})\text{ethyl}]\)-β-3,4-diethoxyphenylethylamine
(Code No. RRL 2592)

4-morpholinoethyl chloride (5 g) and β-3,4-diethoxy-
phenylethylamine (8 g) were mixed together and heated at 110-120°C for three hours. The product was worked up and distilled under reduced pressure.

b.p. 210-212°C/0.6 mm yield 5.2 g

Found C 66.92 H 9.26 N 8.43%

C_{18}H_{30}O_{3}N_{2} (322) requires 67.05 9.38 8.68

Picrate m.p. 175-177°C (from ethanol)

Found N 14.83%

C_{30}H_{36}O_{17}N_{8} (778) requires 14.65

29. N-2-(1-piperidino)ethyl-β-3,4-diethoxyphenylethylamine

(Code No. RRL 2593)

The above compound was prepared by reacting β-3,4-diethoxyphenylethylamine (8 g) with 1-piperidinoethyl chloride (5 g) at 100-110°C for three hours.

b.p. 202-206°C/0.7 mm yield 5.4 g

Found C 70.96 H 10.03 N 8.62%

C_{19}H_{32}O_{2}N_{2} (320) requires 71.21 10.07 8.74

Picrate m.p. 175-177°C (from ethanol)

Found N 14.83%

C_{31}H_{38}O_{16}N_{8} (778) requires 14.65
30. **N-[2-(1-pyrrolidino)ethyl]-β-3,4-diethoxyphenylethylamine**  
(Code No. RRL 2594)

The title compound was prepared by reduction of **N-(1-pyrrolidino)acetyl-β-3,4-diethoxyphenylethylamine** (10 g) with Lithium aluminium hydride in tetrahydrofuran.

b.p. 186-188 C/0.9 mm yield 8.5 g

Found C 70.38  H 10.09  N 9.31%

C_{18}H_{30}O_{2}N_{2} (308) requires  70.55  9.87  9.14

Picrate m.p. 145-147°C (from ethanol)

Found N 14.34%

C_{30}H_{36}O_{16}N_{8} (764) requires  14.13

31. **N-[2-(2-methylpiperidino)ethyl]-β-3,4-diethoxyphenylethylamine**  
(Code No. RRL 2595)

**N-(2-methylpiperidino)acetyl-β-3,4-diethoxyphenylethylamine** (8 g) was reduced with Lithium aluminium hydride (5 g) in tetrahydrofuran to get the title compound.

b.p. 216-220°C/0.5 mm yield 6.2 g

Found C 71.59  H 10.34  N 8.52%

C_{20}H_{34}O_{2}N_{2} (334) requires  71.81  10.25  8.38

Picrate m.p. 173-175°C (from ethanol)

Found N 14.82%

C_{32}H_{40}O_{16}N_{8} (792) requires 14.65
32. \(\text{N}^-\text{[2-(3-methylpiperidino)ethyl]-}3,4\text{-diethoxyphenylethylamine} \) (Code No. RRL 2596)

\(\text{N}-(3\text{-methylpiperidino})\text{acetyl-}\beta\text{-3,4-diethoxyphenylethylamine} \) (8 g) in tetrahydrofuran was added dropwise to a suspension of LiAlH\(\text{4} \) (5 g) in tetrahydrofuran and refluxed for five hours. The reduction product was worked up and distilled under reduced pressure.

b.p. 192-194°C/0.5 mm  yield 6 g

Found  C  72.02  H 10.39  N 8.62%
\(\text{C}_{20}\text{H}_{34}\text{O}_{2}\text{N}_{2} \) (334) requires  71.81  10.25  8.38

Picrate m.p. 173-174°C (from ethanol)

Found  N 14.45%
\(\text{C}_{32}\text{H}_{40}\text{O}_{16}\text{N}_{8} \) (792) requires  14.13

33. \(\text{N}^-\text{[2-(4-methylpiperidino)ethyl]-}3,4\text{-diethoxyphenylethylamine} \) (Code No. RRL 2597)

The above compound was prepared by reduction of \(\text{N}-(4\text{-methylpiperidino})\text{acetyl-}\beta\text{-3,4-diethoxyphenylethylamine} \) (8 g) with LiAlH\(\text{4} \) in tetrahydrofuran. The product was distilled under reduced pressure.

b.p. 196-198°C/0.5 mm  yield 6.2 g

Found  C  71.72  H 10.49  N 8.66%
\(\text{C}_{26}\text{H}_{34}\text{O}_{2}\text{N}_{2} \) (334) requires  71.81  10.25  8.38

Picrate m.p. 174-175°C (from ethanol)
34. N-[2-(N-diethylamino)ethyl]-β-3,4-methylenedioxyphenyl-ethylamine (Code No. RRL 2598)

The title compound was prepared by condensation of diethylaminoethyl chloride (5 g) with β-3,4-methylenedioxyphenylethylamine (8 g) at 100-110°C for three hours.

b.p. 156-160°C/1-2 mm yield 5.4 g

Found C 68.34 H 9.52 N 10.32%
C_{15}H_{24}O_2N_2 (264) requires 68.15 9.15 10.06

Picrate m.p. 182-184°C (from ethanol)

Found N 14.78%
C_{27}H_{30}O_{16}N_8 (722) requires 14.50

35. N-[2-(4-morpholino)ethyl]-β-3,4-methylenedioxyphenyl-ethylamine

β-3,4-methylenedioxyphenylethylamine (8 g) was mixed and heated with 4-morpholinoethyl chloride at 110-120°C for four hours. The condensation product was worked up as usual and distilled under reduced pressure.

b.p. 178-180°C/1-1.5 mm yield 5 g

Found C 64.58 H 8.12 N 9.83%
C_{15}H_{22}O_{3}N_2 (278) requires 64.72 7.97 10.07
Picrate m.p. 220-222°C (from ethanol)

Found N 15.42%

$C_{27}H_{28}O_{17}N_8$ (736) requires 15.21

36. $N\text{-}[2-(1\text{-piperidino})\text{ethyl}]\text{-}$β-3,4-methylenedioxyphenylethylamine (Code No. RRL 2600)

The above compound was obtained by reacting β-3,4-methylenedioxyphenylethylamine (8 g) and 1-piperidinoethyl chloride (5 g) at 100-110°C for 3 hours.

b.p. 176-178°C/1-1.5 mm yield 4.8 g

Found C 69.38 H 8.92 N 10.39%

$C_{16}H_{24}O_8N_2$ (276) requires 69.53 8.75 10.14

Picrate m.p. 194-196°C (from ethanol)

Found N 15.58%

$C_{28}H_{30}O_{16}N_8$ (734) requires 15.25

37. $N\text{-}[2-(4\text{-morpholino})\text{propyl}]\text{-}$β-phenylethylamine (Code No. RRL 839)

β-phenylethylamine (6 g) was reacted with 2-(4-morpholino)propyl chloride (5 g) at 90-110°C for three hours. The product was worked up according to general procedure of method 'A', and distilled under reduced pressure.

b.p. 176°C/1.5 mm yield 3.5 g

Found C 72.37 H 9.62 N 11.17%

$C_{15}H_{24}ON_2$ (248) requires 72.54 9.74 11.28
38. N-[2-(1-piperidino)propyl]-β-phenylethylamine  
(Code No. RRL 846)

The above compound was prepared by condensation of β-phenylethylamine (7 g) with 2-(1-piperidino)propyl chloride (5 g) at 90-110°C for three hours.

b.p. 160°C/1.5 mm yield 4.2 g

Found C 78.10 H 10.28 N 11.03%
C_{16}H_{26}N_{2} (246) requires 77.99 10.64 11.37

39. N-[2-(4-morpholino)propyl]-β-4-methoxyphenylethylamine  
(Code No. RRL 842)

β-4-methoxyphenylethylamine (8 g) was mixed with 2-(4-morpholino)propyl chloride (6 g) and kept at 100-110°C for four hours. The condensation product was distilled under reduced pressure.

b.p. 198°C/1.5 mm yield 5.5 g

Found C 68.81 H 9.28 N 9.91%
C_{16}H_{26}O_{2}N_{2} (278) requires 69.03 9.41 10.06

40. N-[2-(1-piperidino)propyl]-β-4-methoxyphenylethylamine  
(Code No. RRL 849)

Condensation between β-4-methoxyphenylethylamine (8 g) and 2-(1-piperidino)propyl chloride (5 g) was carried out by heating at 110-120°C for four hours. The product was worked up as usual and was distilled under reduced pressure.

b.p. 180-184°C/1.5 mm yield 5.2 g
Found C 73.64  H 10.18  N 10.09%

C₁₇H₂₈O₂N₂ (276) requires  73.84  10.21  10.14

41. N-[2-(N-diethylamino)propyl]-β-3,4-dimethoxyphenylethylamine
(Code No. RRL 2601)

β-3,4-dimethoxyphenylethylamine (8 g) and 2-(N-diethylamino)propyl chloride (5 g) were mixed together and heated at 110-120°C for four hours. The condensation product was worked up according to general procedure of method 'A' and distilled under reduced pressure.

b.p. 176-180°C/1-2 mm  yield 5.5 g

Found C 68.98  H 10.52  N 9.38%

C₁₇H₃₀O₂N₂ (294) requires  69.34  10.27  9.52

Picrate m.p. 174-175°C (from ethanol)

Found N 15.10%

C₂₉H₃₆O₁₆N₈ (752) requires  14.88

42. N-[2-(4-morpholino)propyl]-β-3,4-dimethoxyphenylethylamine
(Code No. RRL 2602)

The above compound was prepared by reacting β-3,4-methoxyphenylethylamine (8 g) with 2-(4-morpholino)propyl chloride (6 g) at 110-120°C for four hours.

b.p. 212°C/1.25 mm  yield 5.6 g

Found C 66.18  H 9.38  N 9.32%

C₁₇H₂₈O₃N₂ (308) requires  66.20  9.15  9.08
Picrate m.p. 194-196°C (from ethanol)

Found  N 14.28%

\[ \text{C}_{29}\text{H}_{34}\text{O}_{17}\text{N}_{8} \] requires 14.61

43. \( N-\left[\text{2-(1-piperidino)propyl}\right] -\beta-3,4\)-dimethoxyphenylethylamine

(Code No. RRL 2603)

The above compound was prepared by condensation of \( \beta-3,4\)-dimethoxyphenylethylamine (8 g) with 2-(1-piperidino)propyl chloride (5 g) at 100-120°C for four hours.

b.p. 206-210°C/1 mm yield 6 g

Found  C 70.48  H 9.59  N 9.42%

\[ \text{C}_{18}\text{H}_{30}\text{O}_{2}\text{N}_{2} \] requires 70.55  9.87  9.14

Picrate m.p. 215°C (from ethanol)

Found  N 14.48%

\[ \text{C}_{30}\text{H}_{36}\text{O}_{16}\text{N}_{8} \] requires 14.65

44. \( N-\left[\text{2-(1-pyrrolidino)propyl}\right] -\beta-3,4\)-dimethoxyphenylethylamine

(Code No. RRL 2604)

Reduction of N- 2-(1-pyrrolidino)propionyl-\( \beta-3,4\)-dimethoxyphenylethylamine (10 g) with LiAlH\(_4\) in tetrahydrofuran was carried out by refluxing for six hours. The product was distilled under reduced pressure.

b.p. 182-184°C/1-2 mm yield 8.5 g

Found  C 70.14  H 9.42  N 9.37%

\[ \text{C}_{17}\text{H}_{28}\text{O}_{2}\text{N}_{2} \] requires 69.22  9.65  9.58
Picrate m.p. 206-207°C (from ethanol)

Found N 14.73%

C_{29}H_{34}O_{16}N_{8} (750) requires 14.92

45. N-[2-(2-methylpiperidino)propionyl]-β-3,4-dimethoxyphenylethylamine (Code No. RRL 2605)

Reduction of N-[2-(2-methylpiperidino)propionyl]-β-3,4-dimethoxyphenylethylamine (8 g) with LiAlH_{4} gave the title compound.

b.p. 212-216°C/1-1.5 mm yield 6.5 g

Found C 71.52 H 10.28 N 8.49%

C_{19}H_{32}O_{2}N_{2} (320) requires 71.21 10.07 8.74

Picrate m.p. 198-200°C (from ethanol)

Found N 14.42%

C_{31}H_{38}O_{16}N_{8} (778) requires 14.38

46. N-[2-(3-methylpiperidino)propionyl]-β-3,4-dimethoxyphenylethylamine (Code No. RRL 2606)

N-[2-(3-methylpiperidino)propionyl]-β-3,4-dimethoxyphenylethylamine (8 g) in tetrahydrofuran was added dropwise to a suspension of LiAlH_{4} (5 g) in tetrahydrofuran and then refluxed for six hours. The reduction product was worked up as usual and distilled under reduced pressure.

b.p. 218-220°C/1-1.5 mm yield 6.5 g
Found C  71.62  H  10.34  N  8.58%
C_{19}H_{32}O_2N_2 (320) requires  71.21  10.07  8.74

Picrate m.p. 208-210°C (from ethanol)

Found N 14.58%
C_{31}H_{38}O_{16}N_8 (778) requires  14.38

47. N-[2-(4-methylpiperidino)propyl]-β-3,4-dimethoxyphenylethylamine (Code No. RRL 2607)

The above compound was prepared by reduction of N-[2-(4-methylpiperidino)propionyl]-β-3,4-dimethoxyphenylethylamine (8 g) with LiAlH₄ in tetrahydrofuran.

b.p. 218-220°C/1.5 mm  yield 6.3 g

Found C  70.97  H  10.28  N  8.49%
C_{19}H_{32}O_2N_2 (320) requires  71.21  10.07  8.74

Picrate m.p. 196-198°C (from ethanol)

Found N 14.57%
C_{31}H_{38}O_{16}N_8 (778) requires  14.38

48. N-[2-(N-diethylamino)propyl]-β-3-methoxy-4-ethoxyphenylethylamine (Code No. RRL 2608)

2-(N-diethylamino)propyl chloride (5 g) and β-3-methoxy-4-ethoxyphenylethylamine (8 g) were mixed at heated at 110-120°C for four hours. The condensation product was worked up as described in general procedure for method 'A'.

b.p. 180-184°C/1-2 mm  yield 4.5 g
Found C 69.22 H 10.38 N 9.35%

C_{18}H_{32}O_{2}N_{2} (308) requires 70.09 10.46 9.08

Picate m.p. 172-174°C (from ethanol)

Found N 14.09%

C_{30}H_{38}O_{16}N_{8} (766) requires 14.42

49. N-[2-(4-morpholino)propyl]-β-3-methoxy-4-ethoxyphenylethyl-
amine (Code No. RRL 2609)

The title compound was prepared by condensation of 2-(4-morpholino)propyl chloride (4 g) with β-3-methoxy-4-ethoxy-
phenylethylamine (8 g) at 110-120°C for four hours.

b.p. 198-202°C/2 mm yield 5.5 g

Found C 67.19 H 9.26 N 8.49%

C_{18}H_{30}O_{3}N_{2} (322) requires 67.05 9.38 8.68

Picate m.p. 194-195°C (from ethanol)

Found N 14.57%

C_{30}H_{36}O_{17}N_{8} (780) requires 14.35

50. N-[2-(1-piperidino)propyl]-β-3-methoxy-4-ethoxyphenyl-
ethylamine (Code No. RRL 2610)

β-3-methoxy-4-ethoxyphenylethylamine (8 g) was reacted with 2-(1-piperidino)propyl chloride (5 g) at 110-120°C for four hours. The product was worked up as usual and distilled under reduced pressure.

b.p. 206-208°C/1 mm yield 5.8 g
The above compound was prepared by reduction of

\[ N-[2-(1-pyrrolidino)propionyl]-\beta-3\text{-methoxy}-4\text{-ethoxyphenylethylamine} \]

(code No. RRL 2611)

The above compound was prepared by reduction of

\[ N-[2-(1-pyrrolidino)propionyl]-\beta-3\text{-methoxy}-4\text{-ethoxyphenylethylamine} \] (8 g) with Lithium aluminium hydride (5 g) in tetrahydrofuran by refluxing for six hours.

b.p. 186-188°C/0.9 mm yield 6.5 g

The above compound was prepared by reduction of

\[ N-[2-(1-pyrrolidino)propionyl]-\beta-3\text{-methoxy}-4\text{-ethoxyphenylethylamine} \] (7 g) was reduced with LiAlH₄ in tetrahydrofuran by refluxing for six hours to get the title compound.
which was worked up as usual and distilled under reduced pressure.

\[ \text{b.p. } 204-210^\circ \text{C}/1 \text{ mm} \quad \text{yield } 5.5 \text{ g} \]

Found C 71.59  H 10.14  N 8.09%
\[ \text{C}_{20}\text{H}_{34}\text{O}_{2}\text{N}_{2} \text{ (334) requires } 71.81 \quad 10.25 \quad 8.38 \]

Picrate m.p. 204-205^\circ \text{C} (from ethanol)

Found N 13.92%
\[ \text{C}_{32}\text{H}_{40}\text{O}_{16}\text{N}_{8} \text{ (792) requires } 14.13 \]

53. \( N-[2-(3\text{-methylpiperidino})\text{propyl}] -3\text{-methoxy-4-ethoxyphenylethylamine} \) (Code No. RRL 2613)

The above compound was obtained by reduction of
\( N-[2-(3\text{-methylpiperidino})\text{propionyl}] -3\text{-methoxy-4-ethoxyphenylethylamine} \) (8 g) with Lithium aluminium hydride (5 g) in tetrahydrofuran.

\[ \text{b.p. } 228-234^\circ \text{C}/6 \text{ mm} \quad \text{yield } 5.2 \text{ g} \]

Found C 71.39  H 10.48  N 8.52%
\[ \text{C}_{20}\text{H}_{34}\text{O}_{2}\text{N}_{2} \text{ (334) requires } 71.81 \quad 10.25 \quad 8.38 \]

Picrate m.p. 202-203^\circ \text{C} (from ethanol)

Found N 14.39%
\[ \text{C}_{32}\text{H}_{40}\text{O}_{16}\text{N}_{8} \text{ (792) requires } 14.13 \]

54. \( N-[2-(4\text{-methylpiperidino})\text{propionyl}] -3\text{-methoxy-4-ethoxyphenylethylamine} \) (Code No. RRL 2614)

\( N-[2-(4\text{-methylpiperidino})\text{propionyl}] -3\text{-methoxy-4-} \)
ethoxynylethylamine (8 g) in tetrahydrofuran was added to a suspension of LiAlH$_4$ (5 g) in tetrahydrofuran and refluxed for six hours. The product was worked up as already described in procedure of method 'B', and distilled under reduced pressure.

b.p. 212-216°C/1 mm yield 6.5 g

Found C 72.12 H 10.42 N 8.46%

C$_{20}$H$_{34}$O$_2$N$_2$ (334) requires 71.81 10.25 8.38

Picrate m.p. 206-210°C (from ethanol)

Found N 14.39%

C$_{32}$H$_{40}$O$_{16}$N$_8$ (792) requires 14.13

55. N-[2-(N-diethylamino)propyl]-3,4-diethoxynylethylamine
(Code No. RRL 2615)

3,4-diethoxynylethylamine (10 g) was reacted with 2-(N-diethylamino)propyl chloride (7 g) at 100-120°C for five hours. The condensation product was worked up and distilled under reduced pressure.

b.p. 188-192°C/1.5 mm yield 7.5 g

Found C 70.58 H 10.79 N 8.48%

C$_{19}$H$_{34}$O$_2$N$_2$ (322) requires 70.76 10.63 8.69

Picrate m.p. 168-170°C (from ethanol)

Found N 14.52%

C$_{31}$H$_{40}$O$_{16}$N$_8$ (780) requires 14.35
56. N-[2-(4-morpholino)propyl]-β-3,4-diethoxyphenylethylamine
(Code No. RRL 2616)

Condensation of β-3,4-diethoxyphenylethylamine (8 g) with 2-(4-morpholino)propyl chloride (6 g) was carried out at 110-120°C for four hours.

b.p. 210-212°C/0.6-0.7 mm yield 5.2 g

\[C_{19}H_{32}O_3N_2\] (336) requires 67.82 9.59 8.33

Picrate m.p. 175-176°C (from ethanol)

Found C 67.69 H 9.63 N 8.52%

57. N-[2-(1-piperidino)propyl]-β-3,4-diethoxyphenylethylamine
(Code No. RRL 2617)

β-3,4-diethoxyphenylethylamine (8 g) and 2-(1-piperidino)-propyl chloride (6 g) were mixed together and heated at 100-120°C for five hours. The condensation product was worked up as described earlier and distilled under reduced pressure.

b.p. 202-206°C/0.7 mm yield 6 g

\[C_{20}H_{34}O_2N_2\] (334) requires 71.81 10.25 8.38

Picrate m.p. 176-178°C (from ethanol)

Found C 71.65 H 10.39 N 8.46%

\[C_{32}H_{40}O_2N_8\] (792) requires 14.13

Found N 14.52%
58. **N-[2-(1-pyrrolidino)propyl]-β-3,4-diethoxyphenylethylamine**  
(Code No. RRL 2618)

N-[2-(1-pyrrolidino)propionyl]-β-3,4-diethoxyphenylethylamine (8 g) was reduced with LiAlH₄ in tetrahydrofuran by refluxing for six hours. The product was worked up and distilled under reduced pressure.

b.p. 186-188°C/0.9 mm  yield 5.9 g

<table>
<thead>
<tr>
<th>Found</th>
<th>C 71.50</th>
<th>H 9.82</th>
<th>N 8.56%</th>
</tr>
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<tbody>
<tr>
<td>C₁₉H₃₂O₂N₂ (320)</td>
<td>requires</td>
<td>71.21</td>
<td>10.07</td>
</tr>
</tbody>
</table>

Picrate m.p. 164-166°C (from ethanol)

<table>
<thead>
<tr>
<th>Found N 14.49%</th>
</tr>
</thead>
<tbody>
<tr>
<td>C₃₁H₅₆O₁₆N₈ (778)</td>
</tr>
</tbody>
</table>

59. **N-[2-(2-methylpiperidino)propyl]-β-3,4-diethoxyphenylethylamine**  
(Code No. RRL 2619)

The title compound was prepared by reduction of N-[2-(2-methylpiperidino)propionyl]-β-3,4-diethoxyphenylethylamine (8 g) with LiAlH₄.

b.p. 214-220°C/0.5 mm  yield 6.5 g

<table>
<thead>
<tr>
<th>Found</th>
<th>C 72.19</th>
<th>H 10.52</th>
<th>N 8.16%</th>
</tr>
</thead>
<tbody>
<tr>
<td>C₂₁H₃₆O₂N₂ (348)</td>
<td>requires</td>
<td>72.37</td>
<td>10.41</td>
</tr>
</tbody>
</table>

Picrate m.p. 180-182°C (from ethanol)

<table>
<thead>
<tr>
<th>Found N 14.06%</th>
</tr>
</thead>
<tbody>
<tr>
<td>C₃₃H₄₂O₁₆N₈ (806)</td>
</tr>
</tbody>
</table>
60. *N-[2-(3-methylpiperidino)propyl]-β-3,4-diethoxyphenylethylamine* (Code No. RRL 2620)

*N-[2-(3-methylpiperidino)propionyl]-β-3,4-diethoxyphenylethylamine* (8 g) in tetrahydrofuran was added to a suspension of LiAlH₄ (5 g) in tetrahydrofuran and refluxed for six hours. The reduction product was worked up as usual and distilled.

b.p. 192-194°C/0.5 mm yield 6.2 g

Found: C 72.62 H 10.38 N 8.29%

C₂₁H₃₆O₂N₂ (348) requires: C 72.37 H 10.41 N 8.04

Picrate m.p. 198-199°C (from ethanol)

Found: N 13.50%

C₃₅H₄₂O₁₆N₈ (806) requires: N 13.89

67. *N-[2-(4-methylpiperidino)propyl]-β-3,4-diethoxyphenylethylamine* (Code No. RRL 2621)

The above compound was prepared by reduction of *N-[2-(4-methylpiperidino)propionyl]-β-3,4-diethoxyphenylethylamine* (8 g) with Lithium aluminium hydride. The product was worked up as described in general procedure of method 'B' and distilled.

b.p. 196-198°C/0.5 mm yield 6 g

Found: C 72.58 H 10.32 N 8.29%

C₂₁H₃₆O₂N₂ (348) requires: C 72.37 H 10.41 N 8.04

Picrate m.p. 180-182°C (from ethanol)
62. \(N-[2-(N\text{-diethylamino})\text{propyl}]\)\(\beta\text{-3,4-methylenedioxyphenylethylamine (Code No. RRL 2622)}\)

\(\beta\text{-3,4-methylenedioxyphenylethylamine (7 g)}\) and \(2-(N\text{-diethylamino})\text{propyl chloride (4.5 g)}\) were mixed together and heated at 100-120°C for five hours. The condensation product was worked up according to procedure described in method 'A' and distilled under reduced pressure.

b.p. 170-174°C/1-2 mm yield 4.5 g

Found C 69.21 H 9.14 N 9.89%
C_{16}H_{26}O_{2}N_{2} (278) requires 69.03 9.41 10.06

Picrate m.p. 220°C (from ethanol)

Found N 14.98%
C_{26}H_{32}O_{16}N_{8} (736) requires 15.20

63. \(N-[2-(4\text{-morpholino})\text{propyl}]\)\(\beta\text{-3,4-methylenedioxyphenylethylamine (Code No. RRL 2623)}\)

\(\beta\text{-3,4-methylenedioxyphenylethylamine (8 g)}\) was condensed with \(2-(4\text{-morpholino})\text{propyl chloride (5 g)}\) at 90-110°C for six hours. The condensation product was worked up as usual and distilled under reduced pressure.

b.p. 192°C/1 mm yield 5.5 g
Found C 65.49 H 8.46 N 9.39%

\[ \text{C}_{16}\text{H}_{24}\text{O}_{3}\text{N}_{2} \text{ (292) requires} \]
65.72 8.27 9.58

Picrate m.p. 172-174°C (from ethanol)

Found N 14.78%

\[ \text{C}_{28}\text{H}_{30}\text{O}_{17}\text{N}_{8} \text{ (750) requires} \]
14.92

64. N-\[2-(1-piperidino)propyl\]-\(\beta\)-3,4-methylenedioxyphenylethyl-
amine (Code No. RRL 2624)

The above compound was obtained by condensation of 
\(\beta\)-3,4-methylenedioxyphenylethylamine (6 g) with 2-(1-piperidino)propyl chloride (4 g) at 110-120°C for five hours. The product was distilled.

b.p. 184-186°C/1-2 mm yield 5 g

Found C 70.52 H 8.83 N 9.58%

\[ \text{C}_{17}\text{H}_{26}\text{O}_{2}\text{N}_{2} \text{ (290) requires} \]
70.31 9.02 9.65

Picrate m.p. 204-206°C (from ethanol)

Found N 14.88%

\[ \text{C}_{29}\text{H}_{32}\text{O}_{16}\text{N}_{8} \text{ (748) requires} \]
14.95

65. N-\[3-(N-diethylamino)propyl\]-\(\beta\)-phenylethylamine
(Code No. RRL 853)

\(\beta\)-phenylethylamine (6 g) and 3-(N-diethylamino)propyl chloride (4 g) were mixed together and heated at 100-120°C for four hours. The product was worked up as described in general procedure and distilled under reduced pressure.
b.p. 134-138°C/0.7 mm  yield 5 g

Found  C 76.85  H 11.29  N 11.78%

C$_{15}$H$_{26}$N$_2$ (234) requires 76.93  11.11  11.97

66. N- 3-(4-morpholino)propyl -β-phenylethylamine

(Code No. RRL 857)

Condensation of β-phenylethylamine (8 g) with 3-(4-morpholino)propyl chloride (5 g) was carried out at 100-110°C for four hours. The product was worked up and distilled under reduced pressure.

b.p. 188-192°C/0.5 mm  yield 6 g

Found  C 72.42  H 9.63  N 11.24%

C$_{15}$H$_{24}$ON$_2$ (248) requires 72.57  9.68  11.29

67. N- 3-(1-piperidino)propyl -β-phenylethylamine

(Code No. RRL 864)

β-phenylethylamine (8 g) was reacted with 3-(1-piperidino)propyl chloride (6 g) at 110-120°C for five hours. The product was worked up and distilled.

b.p. 160°C/1 mm  yield 6.2 g

Found  C 78.12  N 10.46  N 11.23%

C$_{16}$H$_{26}$N$_2$ (246) requires 77.99  10.64  11.30

Picrate m.p. 148°C (from ethanol)

Found  N 23.52%

C$_{28}$H$_{32}$O$_{14}$N$_8$ (704) requires 23.33
68. \[N-3-(N\text{-diethylamino})\text{propyl}]\-\beta-4\text{-methoxyphenylethylamine}
(Code No. RRL 256)

3-\((N\text{-diethylamino})\text{propyl chloride (6 g)}\) and \(\beta-4\text{-methoxyphenylethylamine (8 g)}\) were mixed and kept at 80-100°C for four hours. The resulting product was distilled under reduced pressure.

b.p. 162-166°C/1 mm yield 6 g

Found C 72.48 H 10.58 N 10.43%
\(C_{16}H_{28}O_N_2\) (264) requires 72.68 10.67 10.66

69. \[N-3-(4\text{-morpholino})\text{propyl}]\-\beta-4\text{-methoxyphenylethylamine}
(Code No. RRL 260)

Condensation of \(\beta-4\text{-methoxyphenylethylamine (8 g)}\) with 3-(4-morpholino)propyl chloride (6 g) was carried out by heating the mixture of two substances at 80-110°C for four hours.

b.p. 194°C/1 mm yield 5.5 g

Found C 69.22 H 9.34 N 10.18%
\(C_{16}H_{26}O_2N_2\) (278) requires 69.03 9.41 10.06

Picrate m.p. 168-170°C (from ethanol)

Found N 17.64%
\(C_{28}H_{32}O_2N_6\) (736) requires 17.61

70. \[N-3-(1\text{-piperidino})\text{propyl}]\-\beta-4\text{-methoxyphenylethylamine}
(Code No. RRL 267)

\(\beta-4\text{-methoxyphenylethylamine (8 g)}\) was mixed with 3-(1-piperidino)propyl chloride (6 g) and heated for three hours
at 90-110°C. The resulting product was worked up and distilled under reduced pressure.

b.p. 190-192°C/1.5 mm yield 5.8 g

Found C 73.42 H 10.30 N 10.28%

C₁₇H₂₈O₅N₂ (276) requires 73.86 10.21 10.14

Picrate m.p. 199-202°C (from ethanol)

Found N 15.60%

C₂₉H₄₄O₁₅N₈ (734) requires 15.24

71. N-[3-(2-methylpiperidino)propyl]-β-4-methoxyphenylethylamine (Code No. RRL 874)

The title compound was prepared by reduction of N-[3-(2-methylpiperidino)propionyl]-β-4-methoxyphenylethylamine (8 g) with Lithium aluminium hydride (5 g) in tetrahydrofuran by refluxing for five hours.

b.p. 182-186°C/0.7 mm yield 6.5 g

Found C 74.41 H 10.36 N 9.54%

C₁₈H₃₀O₅N₂ (290) requires 74.43 10.41 9.65

72. N-[3-(3-methylpiperidino)propyl]-β-4-methoxyphenylethylamine (Code No. RRL 875)

Reduction of N-[3-(3-methylpiperidino)propionyl]-β-4-methoxyphenylethylamine (8 g) with LiAlH₄ afforded the title compound which was worked up as described in general procedure and distilled under reduced pressure.
73. N-3-(N-diethylamino)propyl-p-3,4-dimethoxyphenylethylamine (Code No. RRL 2625)

β-3,4-dimethoxyphenylethylamine (8 g) was mixed with 3-(N-diethylamino)propyl chloride (6 g) and heated at 100-110°C for four hours. The product was worked up and distilled under reduced pressure.

b.p. 194-198°C/10 mm yield 5.4 g
Found C 69.52 H 10.15 N 9.43%

C₁₇H₃₀₂N₂ (294) requires 69.34 10.27 9.52

Picrate m.p. 188-190°C (from acetic acid)

Found N 14.69%

C₂₂H₃₆O₂N₈ (752) requires 14.88

74. N-[3-(4-morpholino)propyl]-β-3,4-dimethoxyphenylethylamine (Code No. RRL 2626)

The title compound was obtained by condensation of β-3,4-dimethoxyphenylethylamine (8 g) with 3-(4-morpholino)propyl chloride (6 g). The reaction temperature was maintained between 80-100°C for four hours.

b.p. 238-240°C/5 mm yield 6 g
Found C 65.98 H 9.24 N 9.32
$C_{17}H_{28}O_3N_2$ (308) requires 66.20 9.15 9.08

Picrate m.p. 204-205°C (from ethanol)

Found N 14.48%
$C_{29}H_{34}O_{17}N_8$ (766) requires 14.61

75. N-[3-(1-piperidino)propyl]-β-3,4-dimethoxyphenylethylamine
(Code No. RRL 2627)

Condensation between β-3,4-dimethoxyphenylethylamine (8 g) and 3-(1-piperidino)propyl chloride (5.5 g) was carried out by heating the mixture of two substances at 100-110°C for three hours. The resulting product was distilled under reduced pressure.

b.p. 218-220°C/1-1.5 mm yield 6 g

Found C 70.42 H 9.72 N 9.26%
$C_{18}H_{30}O_2N_2$ (306) requires 70.55 9.87 9.14

Picrate m.p. 220-222°C (from ethanol)

Found N 14.48%
$C_{30}H_{36}O_{16}N_8$ requires 14.65

76. N-[3-(N-diethylamino)propyl]-β-3-methoxy-4-ethoxyphenyl-
ethylamine (Code No. RRL 2628)

3-(N-diethylamino)propyl chloride (6 g) was heated with β-3-methoxy-4-ethoxyphenylethylamine (8 g) at 100-110°C
for four hours. The condensation product was worked up according to procedure described for method 'A' and distilled under reduced pressure.

b. p. 210-214°C/13 mm yield 5.8 g

\[
\text{Found} \quad \text{C} 70.23 \quad \text{H} 10.28 \quad \text{N} 9.26\%
\]
\[
\text{C}_{18}\text{H}_{32}\text{O}_{2}\text{N}_{2} \text{ (308) requires} \quad 70.09 \quad 10.46 \quad 9.08
\]

Picrate m. p. 152-153°C (from ethanol)

\[
\text{Found} \quad \text{N} 14.56\%
\]
\[
\text{C}_{30}\text{H}_{38}\text{O}_{16}\text{N}_{8} \text{ (766) requires} \quad 14.42
\]

77. \(\text{N-}[3-(4\text{-morpholino})\text{propyl}]-\beta\text{-3-methoxy-4-ethoxyphenyl-ethylanime (Code No. RRL 2629)}\)

The above compound was obtained by condensation of \(\beta\text{-3-methoxy-4-ethoxyphenylethylamine (8 g)}\) with \(3\text{-}(4\text{-morpholino})\text{-propyl chloride (6 g)}\) at 100-110°C for four hours.

b. p. 240-242°C/5 mm yield 6 g

\[
\text{Found} \quad \text{C} 66.89 \quad \text{H} 9.52 \quad \text{N} 8.59\%
\]
\[
\text{C}_{18}\text{H}_{30}\text{O}_{3}\text{N}_{2} \text{ (322) requires} \quad 67.05 \quad 9.38 \quad 8.68
\]

Picrate m. p. 186-188°C (from ethanol)

\[
\text{Found} \quad \text{N} 14.48\%
\]
\[
\text{C}_{30}\text{H}_{36}\text{O}_{17}\text{N}_{8} \text{ (780) requires} \quad 14.35
\]
78. N-[3-(1-piperidino)propyl]-β-3-methoxy-4-ethoxyphenylethylamine (Code No. RRL 2630)

Condensation between 3-(1-piperidino)propyl chloride (6 g) and β-3-methoxy-4-ethoxyphenylethylamine (8 g) was carried out by heating the mixture of two substances at 100-110°C for four hours. The resulting product was worked up as usual and distilled under reduced pressure.

b.p. 240-242°C/7-7.5 mm yield 6 g

Found: C 71.30 H 9.21 N 8.68%

C₁⁹H₃₂O₂N₂ (320) requires: 71.21 10.07 8.74

Picrate m.p. 168-170°C (from ethanol)

Found: N 14.49%

C₃₁H₃₈O₁₆N₈ (778) requires: 14.38

79. N-[3-(N-diethylamino)propyl]-β-3,4-diethoxyphenylethylamine (Code No. RRL 2631)

β-3,4-diethoxyphenylethylamine (8 g) and 3-(N-diethylamino)propyl chloride (6 g) were mixed together and heated at 90-100°C for three hours. The condensation product was distilled under reduced pressure.

b.p. 215-218°C/12 mm yield 5.6 g

Found: C 70.58 H 10.59 N 8.64%

C₁⁹H₃₄O₂N₂ (322) requires: 70.76 10.63 8.69

Picrate m.p. 119-121°C (from ethanol)
171

Found N 14.48%

\[ \text{C}_{31}\text{H}_{40}\text{O}_{16}\text{N}_{8} \] (780) requires 14.35

80. \( \text{N-} \left[ 3-(4\text{-morpholino})\text{propyl} \right] - \beta - 3,4\text{-diethoxyphenylethylamine} \)

(Code No. RRL 2632)

\( \beta - 3,4\text{-diethoxyphenylethylamine} \) (8 g) was reacted with

3-(4-morpholino)propyl chloride (6 g) at 90-110°C for four hours. The reaction product was distilled under reduced pressure.

b.p. 250-255°C/9-10 mm yield 5.8 g

Found C 67.67 H 9.68 N 8.42%

\[ \text{C}_{19}\text{H}_{32}\text{O}_{3}\text{N}_{2} \] (336) requires 67.82 9.59 8.33

Picrate m.p. 198-199°C (from ethanol)

Found N 13.26%

\[ \text{C}_{31}\text{H}_{38}\text{O}_{17}\text{N}_{8} \] (794) requires 14.09

81. \( \text{N-} \left[ 3-(1\text{-piperidino})\text{propyl} \right] - \beta - 3,4\text{-diethoxyphenylethylamine} \)

(Code No. RRL 2633)

3-(1-piperidino)propyl chloride (5 g) was mixed with

\( \beta - 3,4\text{-diethoxyphenylethylamine} \) (8 g) and heated at 100-110°C for three hours. The product was worked up as usual and distilled under reduced pressure.

b.p. 238-240°C/11-12 mm yield 5.5 g

Found C 71.68 H 10.29 N 8.42%

\[ \text{C}_{20}\text{H}_{34}\text{O}_{2}\text{N}_{2} \] (334) requires 71.81 10.25 8.38
Picrate m.p. 188-189°C (from acetic acid)

Found  N 14.20%

C\textsubscript{32}H\textsubscript{40}O\textsubscript{16}N\textsubscript{8} (792) requires  14.13

82. N-[3-(N-diethylamino)propyl]-\beta-3,4-methylenedioxyphenylethylamine (Code No. RRL 2634)

The title compound was obtained by condensation of 
\beta-3,4-methylenedioxyphenylethylamine (8 g) with 3-(1-piperidino)-propyl chloride (6 g) at 80-100°C for four hours.

b.p. 220-225°C/10 mm yield  5.6 g

Found  C 68.88  H 9.28  N 10.16%

C\textsubscript{16}H\textsubscript{26}O\textsubscript{2}N\textsubscript{2} (278) requires  69.03  9.41  10.06

83. N-[3-(4-morpholino)propyl]-\beta-3,4-methylenedioxyphenylethylamine (Code No. RRL 2635)

Condensation between \beta-3,4-methylenedioxyphenylethylamine (8 g) with 3-(4-morpholino)propyl chloride (6 g) was carried out by heating the mixture of two substances at 100-110°C for three hours. The product was distilled under reduced pressure.

b.p. 240-245°C/7-8 mm yield  5.8 g

Found  C 65.68  H 8.23  N 9.62%

C\textsubscript{16}H\textsubscript{24}O\textsubscript{3}N\textsubscript{2} (292) requires  65.72  8.28  9.58

Picrate m.p. 204-206°C (from ethanol)
The above compound was prepared by condensation of β-3,4-methylenedioxyphenylethylamine (8 g) with 3-(1-piperidino)propyl chloride (6 g) at 100-110°C for four hours.

b.p. 238-240°C/5-6 mm yield 5.5 g

Found C 70.16 H 9.21 N 9.48%
C_{17}H_{26}O_2N_2 (290) requires 70.31 9.02 9.65

Picrate m.p. 216-218°C (from acetic acid)

Found N 14.82%
C_{29}H_{32}O_{16}N_8 (748) requires 14.96
REFERENCES

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