SYNOPSIS

PART I

4(3H)-Quinazolinones.

SECTION I: Synthesis of 2-Alkyl-3-Aralkyl-4(3H)-Quinazolinones

The work described in this section deals with the synthesis of a wide variety of 2-alkyl-3-aralkyl-4(3H)-quinazolinones.

Several quinazolinone derivatives exhibit a wide variety of physiological activity, such as antimalarial, antiinflammatory, antibacterial, diuretic, hypnotic, spasmolytic, anticonvulsant, and anticarcinogenic activity. Some quinazolinone derivatives show plant growth regulating activity, and some have been patented with reference to their fungicidal activity.

It was therefore of considerable interest to prepare several 2-alkyl-3-aralkyl-4(3H)-quinazolinones. These compounds have been prepared as below:

(i) $\text{COOH} \quad \text{NH}_2 + (\text{RCO})_2\text{O} \rightarrow \text{COOH} \quad \text{NHCOR} \quad + \text{RCOOH}$

(ii) $\text{COOH} \quad \text{NHCOR} \quad + \text{R}_1 - \text{CH} - \text{NH}_2 \quad \text{PCl}_3 \rightarrow \quad \text{N} - \text{CH} - \text{R}_1 \quad \text{N} - \text{C} - \text{R}$
The compounds prepared are:  

\[
\begin{align*}
\text{R} &= \text{CH}_3/\text{C}_2\text{H}_5 \\
\text{R}_1 &= \text{o-ClC}_6\text{H}_4, \text{m-ClC}_6\text{H}_4, \text{p-ClC}_6\text{H}_4, \text{o-CH}_3\text{C}_6\text{H}_4, \\
&\quad \text{p-CH}_2\text{C}_6\text{H}_4, \text{p-BrC}_6\text{H}_4, 2:4(\text{CH}_3)_2\text{C}_6\text{H}_4, \\
&\quad 2:5(\text{CH}_3)_2\text{C}_6\text{H}_3, 3:4(\text{CH}_3)_2\text{C}_6\text{H}_3, \text{p-OCH}_3\text{C}_6\text{H}_4 \\
\text{R}_2 &= \text{H}/\text{CH}_3
\end{align*}
\]

SECTION II - Synthesis of 2-Alkyl-3-Alkyl/Aryl/Aralkyl/Aryl-4(3H)-benzo(g)quinazolinones

Linear benzoquinazolinones are not studied in details and relatively few authors have worked with them. Keeping this in view various 2-alkyl-3-alkyl/aryl/aralkyl/aryl-4(3H)benzo(g)quinazolinones have been synthesised. On preliminary screening a few +3H benzo(g)quinazolinones exhibited mild CNS depressant activity.

These compounds have been prepared as below:

(i) \[
\begin{align*}
\text{COOH} + \text{NH}_2 &\rightarrow \text{COOH} \\
\text{NHCOR} + \text{RCOOH}
\end{align*}
\]

(ii) \[
\begin{align*}
\text{COOH} + \text{NH}_2 &\rightarrow \text{N-R}_1 \\
\text{NHCOR} + \text{RCl}_3 \text{or PCl}_3 &\rightarrow \text{N-R}_1
\end{align*}
\]
The compounds prepared are:

\[
\begin{align*}
\text{where } R &= \text{CH}_2/\text{C}_2\text{H}_5 \\
R_1 &= \text{n-C}_6\text{H}_5, \text{C}_6\text{H}_5, \text{o-OCH}_3\text{C}_6\text{H}_4, \text{p-OCH}_3\text{C}_6\text{H}_4, \text{o-CH}_2\text{C}_6\text{H}_4, \\
& \quad \text{m-CH}_2\text{C}_6\text{H}_4, \text{p-CH}_2\text{C}_6\text{H}_4, \text{o-ClC}_6\text{H}_4, \text{p-BrC}_6\text{H}_4, \\
& \quad \text{p-IC}_6\text{H}_4, \text{o-NO}_2\text{C}_6\text{H}_4, \text{m-NO}_2\text{C}_6\text{H}_4, \text{p-NO}_2\text{C}_6\text{H}_4, \\
& \quad \text{C}_6\text{H}_5\text{CH}_2, \text{C}_6\text{H}_5\text{CH}_2\text{C}_10\text{H}_7, \text{C}_10\text{H}_7.
\end{align*}
\]

\text{PART II}

2-Mercapto-3-Aralkyl-alkyl/cyclohexyl/4(3H)-quinazolinones, and benzo(g)quinazolinones.

SECTION I - 2-Mercapto-3-aralkyl/alkyl/cyclohexyl-4(3H)-quinazolinones

Bhargava and Ram have prepared some S-substituted-2-mercapto-3-aryl-4(3H)-quinazolinones as possible antimalarials. 2-Alkyl thio-3-aryl/alkyl-4(3H)-quinazolinones have been prepared by MacCarty, Haines and VanderWerf, but 2-mercapto-3-aralkyl-4-(3H)-quinazolinones have not been prepared and studied in detail.

It was therefore of interest to synthesise compounds with aralkyl group in 3 position of 2-mercapto-4(3H)-quinazolinones. These compounds have been prepared as shown below. Mercapto
methyl derivatives of these compounds have also been prepared for their characterisation.

(i) \[
\text{X} \quad \text{COOH} \quad + \quad \text{RNCS} \quad \rightarrow \quad \text{X} \quad \text{N-R} \]

(ii) \[
\text{X} \quad \text{N-R} \quad + \quad \text{CH}_3\text{I} \quad \rightarrow \quad \text{X} \quad \text{N-R} \quad \text{C-S-CH}_3
\]

Thus the following compounds have been prepared.

\[
\text{X} \quad \text{N-R}_1 \quad \text{C-S-R}_2
\]

where \( X = H/Br/I \)

\[
\text{R}_1 = \text{C}_6\text{H}_5\text{CH}_2, \quad \text{o-ClC}_6\text{H}_4\text{CH}_2, \quad \text{m-ClC}_6\text{H}_4\text{CH}_2, \quad \text{p-ClC}_6\text{H}_4\text{CH}_2, \\
\text{o-CH}_3\text{C}_6\text{H}_4\text{CH}_2, \quad \text{m-CH}_3\text{C}_6\text{H}_4\text{CH}_2, \quad \text{p-CH}_3\text{C}_6\text{H}_4\text{CH}_2, \\
\text{p-BrC}_6\text{H}_4\text{CH}_2, \quad 2:4(\text{CH}_3)_2\text{C}_6\text{H}_2\text{CH}_2, \quad 2:5(\text{CH}_3)_2\text{C}_6\text{H}_2\text{CH}_2, \\
3:4(\text{CH}_3)_2\text{C}_6\text{H}_3\text{CH}_2, \quad \text{and} \quad 2:4(\text{Cl})_2\text{C}_6\text{H}_3\text{CH}_2, \quad \text{n-C}_4\text{H}_9^-, \\
\text{n-C}_5\text{H}_{11}, \quad \text{n-C}_6\text{H}_{13}, \quad \text{cyclohexyl.}
\]

\[
\text{R}_2 = \text{H/CH}_3
\]
2-Mercapto-3-aryl/alkyl/aralkyl-4(3H)-benzo(g)quinazolinones

are not properly studied. In view of the above fact 2-mercapto-3-aryl/alkyl/aralkyl-4(3H)-benzo(g)quinazolinones have been synthesised as below. They are characterised by preparing their mercapto methyl derivatives.

The following compounds are synthesised:

\[ R_1 = \text{C}_6\text{H}_5, \text{o-ClC}_6\text{H}_4, \text{p-ClC}_6\text{H}_4, \text{m-ClC}_6\text{H}_4, \text{o-CH}_2\text{C}_6\text{H}_4, \text{m-CH}_2\text{C}_6\text{H}_4, \text{p-CH}_2\text{C}_6\text{H}_4, \text{o-OCH}_2\text{C}_6\text{H}_4, \text{p-OCH}_2\text{C}_6\text{H}_4, \text{p-BrC}_6\text{H}_4, \] 
\[ \text{p-I}_6\text{H}_4, \text{C}_6\text{H}_5\text{CH}_2, \text{o-ClC}_6\text{H}_4\text{CH}_2, \text{m-ClC}_6\text{H}_4\text{CH}_2, \text{p-ClC}_6\text{H}_4\text{CH}_2, \] 
\[ \text{o-CH}_2\text{C}_6\text{H}_4\text{CH}_2, \text{m-CH}_2\text{C}_6\text{H}_4\text{CH}_2, \text{p-CH}_2\text{C}_6\text{H}_4\text{CH}_2, \text{o-BrC}_6\text{H}_4\text{CH}_2, \] 
\[ 2:4(\text{Cl})_2\text{C}_6\text{H}_2\text{CH}_2, 2:5(\text{Cl})_2\text{C}_6\text{H}_2\text{CH}_2, 3:4(\text{Cl})_2\text{C}_6\text{H}_2\text{CH}_2, \] 
\[ 2:4(\text{Cl})_2\text{C}_6\text{H}_2\text{CH}_2, \text{n-C}_4\text{H}_9, \text{n-C}_7\text{H}_{11}, \text{n-C}_6\text{H}_{13}, \text{cyclohexyl} \]

\[ R_2 = \text{H}/\text{CH}_3 \]
REFERENCES


