PUBLISHED ARTICLES

(1) “Synthesis and Biological Activity of (Z)-n-(5-Benzylidene-4-oxo-2-substituted-phenylthiazolidin-3-yl)-5-((1, 3-dioxiisoindolin-2-yl)methyl) - 2-hydroxybenzamide”

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(2) “Synthesis and biological activity of N-(5-benzylidene-4-oxo-2-Substituted phenylthiazolidin-3-yl)-5-((1, 3-dioxiisoindolin-2-yl) methyl)-2- hydroxybenzamide”

Authors: Mukesh C. Patel and Dhamesh R. Dhameliya
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(3) “Synthesis and Biological Activity of Novel Azetidinones”

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(4) “Synthesis, Characterization and biological activity of novel pyrrole Compounds”

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2. Oral presentation at Workshop held at P S Science and H D Patel arts College, Kadi, HNG university on Feb 28 2011.
Synthesis and Biological Activity of
(Z)–η-(5-Benzylidene-4-oxo-2-substituted-
phenylthiazolidin-3-yl)-5-((1, 3-dioxoisoindolin-2-
yl)methyl)-2-hydroxybenzamide

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Abstract: 5-(1, 3-Dioxoisoindolin-2-yl)methyl-2-hydroxybenzhydrazide (I) undergoes facile condensation with aromatic aldehydes to afford the corresponding N-substituted-phenyl-5-(1,3-dioxoisoindolin-2-yl) methy-2-hydroxybenzhydrazide (2a-h) in good yields. Cyclodehydration of compounds (2a-h) with thiodiglycolic acid yields 5-(1,3-dioxoisindolin-2-yl)methyl-2-hydroxy-N-[4-cyclo-2-substituted phenylthiazolidin-3-yl]benzamide (3a-h). These (3a-h) compounds were further reacted with benzylalcohol in the presence of sodium ethanolate affords, (Z)–η-(5-benzylidene-4-oxo-2-substituted phenyl-
thiazolidin-3-yl)-5-((1,3-dioxoisoindolin-2-yl)methyl)-2-hydroxybenzamide(4a-h).

The structures of these compounds were established on the basis of analytical and spectral data. All the newly synthesized compounds were evaluated for their antibacterial and antifungal activities.

Keywords: 5-(1,3-Dioxoisoindolin-2-yl)methyl-2-hydroxybenzhydrazide. Thiazolidin. Antibacterial activity.

Introduction

Hydrazide and their heterocyclicized products display diverse biological activities including antibacterial, antifungal, analgesic, anti-inflammatory properties. These heterocyclic systems find wide use in medicine, agriculture and industry. One of the hydrazides, 5-(1,3-dioxoisoindolin-2-yl)methyl-2-hydroxybenzhydrazide and its condensed products play a vital role in medicinal chemistry. Thiazolidinones and its aryldiene compounds give good pharmacological properties. Thiazolidinones are also known to exhibit antitubercular, antibacterial, antifungal and anticoagulant activities. Hence, it was thought of interest to merge both of thiazolidinone and 5-(1,3-dioxoisoindolin-2-yl)methyl-2-hydroxybenzhydrazide moieties which may enhance the drug activity of compounds.
Synthesis and biological activity of N-(5-benzylidene-4-oxo-2-substituted phenylthiazolidin-3-yl)-5-((1, 3-dioxoisoindolin-2-yl)methyl)-2-hydroxybenzamide

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ABSTRACT

5-((1,3-dioxoisoindolin-2-yl)methyl)-2-hydroxy-N-(4-oxo-2-phenylthiazolidin-3-yl)benzamide (1a-h) undergoes facile condensation with aromatic aldehydes in the presence of sodium ethanolate to afford the corresponding N-(5-benzylidene-4-oxo-2-phenylthiazolidin-3-yl)-5-((1,3-dioxoisoindolin-2-yl)methyl)-2-hydroxybenzamide (2a-h) in good yields. These compounds (2a-h) on reaction with hydroxyl phenyl hydrazine and 4-chlorophenyldihydrazine in sodium acetate and acetic acid gave appropriate pyrazole derivatives (3a-h), (6a-h) and (5a-b). The structures of these compounds were established on the basis of analytical data, 1H-NMR, 13C-NMR and IR spectral data. All the newly synthesized compounds were evaluated for their antibacterial and antifungal activities. In summary, preliminary results indicate that some of the newly synthesized title compounds exhibited promising antibacterial activities and they warrant more consideration as prospective antimicrobials.

Keywords: 4-dioxodiminoone, 5-benzylidene-2-phenylthiazolidin-4-one, pyrazole, antimicrobial activity.

INTRODUCTION

Bacterial resistance to antibacterial agents or antibiotics is of grave concern in the medical community, as many species of bacteria have evolved resistance to certain antibiotics and synthetic agents. Therefore, there could be a rapidly growing global crisis in the clinical management of life-threatening infectious diseases caused by multi drug resistant strains of the Gram-positive pathogens and Gram-negative. To meet this crisis successfully, many researchers across the globe are working on new compounds which can selectively attack novel targets in microorganisms. Hence, the development of novel, potent, and unique antibacterial agents is the pre eminent way to overcome bacterial resistance and develop effective therapies.
Synthesis and Biological Activity of Novel Azetidinones

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ABSTRACT

2-(1,3-dioxoisindolin-2-yl) acetoacrylazide (1) undergoes facile condensation with aromatic aldehydes to afford the corresponding 2-(1,3-dioxoisindolin-2-yl)-N-aryldeneacetoacrylazide (2a-h) in good yields. Cyclecondensation of compounds (2a-h) with chloro acetyl chloride yields N-(3-chloro-2-aryl-4-
oxazolidin-1-yl)-2-(1,3-dioxoisindolin-2-yl)acetonamide (3a-h). The structures of these compounds were established on the basis of analytical and spectral data. The newly synthesised compounds were evaluated for their antibacterial and antifungal activities.

Keywords: 2-(1,3-dioxoisindolin-2-yl)acetoacrylazide, azetidinone, Antibacterial activity.

INTRODUCTION

Heterocylised products based on hydrazides display diverse biological activities including antibacterial, antifungal, analgesic, anti-inflammatory properties [1-18]. These heterocyclic systems find wide use in medicine, agriculture and industry. One of the hydrazides, 2-(1,3-dioxoisindolin-2-yl)acetoacrylazide and their condensed products play a vital role in medicinal chemistry [16-18]. A large number of azetidinones containing β-lactam rings [19-22] are known to exhibit various biological activities like antibacterial, antifungal [23] and antibiotic [24] activities. More particularly and recently these types of compounds have been found in the treatment of T.B. and other chemotherapeutic diseases. Hence, it was thought of interest in merging of both azetidinone and phthalimide moieties may enhance the drug activity of compounds up to some extent or might possess some of the above mentioned biological activities. From this point of view, the objective of the present work is to prepare new derivatives of phthalimide containing an azetidinone moiety. Hence the present communication comprises the synthesis of N-(3-chloro-2-aryl-4-oxazolidin-1-yl)-2-(1,3-dioxoisindolin-2-yl)acetonamide (3a-h). The research work is scanned in scheme-1.
SYNTHESIS, CHARACTERIZATION AND BIOLOGICAL ACTIVITY OF NOVEL PYRROLE COMPOUNDS

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ABSTRACT
5-((1, 3-dioxoisindolin-2-yl) methyl)-2-hydroxybenzohydrazide (1) undergoes facile condensation with aromatic aldehydes to afford the corresponding N-Substituted phenyl-5-((1, 3-dioxoisindolin-2-yl) methyl)-2-hydroxy benzo hydrazides (2a-h) in good yield. Cyclodehydration of compounds (2a-h) with maleic anhydride yields 1-5-((1, 3-dioxoisindolin-2-yl) methyl)-2-hydroxybenzamido) -3-oxo-2-substituted (phenyl-2, 3-dihydro-1H-pyrrrole-3-carboxylic acid (3a-h). The structures of these compounds were established on the basis of analytical and spectral data. All the newly synthesized compounds were evaluated for their antibacterial and antifungal activity.

Keywords: substituted benzohydrazide, pyrrole, antibacterial activity.

INTRODUCTION
Hydrazide and their heterocyclic products display diverse biological activities including antibacterial, antifungal, analgesic, anti-inflammatory properties. These heterocyclic systems find wide use in medicine, agriculture and industry. One of the hydrazides, benzohydrazide and their condensed products play a vital role in medicinal chemistry: 2-pyrrole and its arylidine compounds give good pharmacological properties. Hence, it was thought of interest to merge both of pyrrole and benzohydrazide moieties which may enhance the drug activity of compounds to some extent, or they might posses some of the above mentioned biological activities. From this point of view, the objective of the present work is to prepare new derivatives of salicylhydroxime containing pyrrole moiety. Hence the present communication comprises the synthesis of 1-((5-((1, 3-dioxoisindolin-2-yl) methyl)-2-hydroxybenzamido) -3-oxo-2-substituted phenyl-2, 3-dihydro-1H-pyrrrole-3-carboxylic acid. The synthetic approach is shown in scheme-1.

EXPERIMENTAL
Melting points were determined in open capillary tubes and were uncorrected. The IR spectra were recorded in KBr pellets on a Nicolet 400D spectrometer and 1H NMR and 13C NMR spectra were recorded in DMSO with TMS as internal standard on a Bruker spectrometer at 400 MHz and 100 MHz, respectively. LC-MS of selected samples taken on LC-Ms-Trap-SL_U/1046.

Preparation of N-Substituted phenyl-5-((1, 3-dioxoisindolin-2-yl) methyl)-2-hydroxybenzohydrazide (2a-h)
An equimolecular mixture of 5-((1, 3-dioxoisindolin-2-yl) methyl)-2-hydroxybenzohydrazide (1), (0.01 mole) and the aromatic aldehydes (a-h) in ethanol (20Ml) was refluxed on a water bath for 1.5-2.0 h. The solid separated was collected by filtration, dried and recrystallized from ethanol. The yields, melting points and other characterization data of these compounds are given in Table-1.

Preparation of 1-((5-((1, 3-dioxoisindolin-2-yl) methyl)-2-hydroxybenzamido) -3-oxo-2-substituted phenyl-2, 3-dihydro-1H-pyrrrole-3-carboxylic acid (3a-h)
A mixture of Maleic anhydride (0.01 mole) and N-Substituted phenyl-5-((1, 3-dioxoisindolin-2-yl) methyl)-2-hydroxybenzohydrazide (2a-h) (0.01 mole) in chloroform (50Ml) was refluxed for 4 h. The reaction mixture was allowed to stand for 2 days, the solid was filtered. The product thus formed was recrystallized from ethanol to give pure 1-((5-((1,3-dioxoisindolin-2-yl) methyl)-2-
UGC Sponsored National level Two-day Workshop on
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