

AN EXECUTIVE SUMMERY OF THE FINAL REPORT OF WORK DONE ON THE MINOR RESEARCH PROJECT ENTITLED SYNTHESIS OF BIOLOGICALLY IMPORTANT SOME NOVEL SANCTIONED BY UGC VIDE SANCTION LETTER **MRP(S)-0511/13-14/KAMA002/UGC-SWRO dated 28-03-2014**

(Dr.Jyothi Rao)
Principal Investigator
Department of Chemistry

EXECUTIVE SUMMERY OF THE MINOR RESEARCH PROJECT

Pyrazole is an organic compound with the formula $C_3H_3N_2H$. It is a heterocycle, characterized by a 5-membered ring of three carbon atoms and two adjacent nitrogen atoms. Pyrazole is a weak base. Pyrazoles are also a class of compounds that have the ring C_3N_2 with adjacent nitrogen atoms.

The term pyrazole was given to this class of compounds by German Chemist Ludwig Knorr in 1883. In a classical method developed by German chemist Hans von Pechmann in 1898, pyrazole was synthesized from acetylene and diazomethane.

In medicine, derivatives of pyrazoles are used for their analgesic, anti-inflammatory, antipyretic, antiarrhythmic, tranquilizing, muscle relaxing, psychoanaleptic, and anticonvulsant, monoamineoxidase inhibiting, antidiabetic, antifungal and antibacterial activities. In 1959, the first natural pyrazole, 1-pyrazolyl-alanine, was isolated from seeds of watermelons. Pyrazole is an organic compound with the formula $C_3H_3N_2H$. It is a heterocycle, characterized by a 5-membered ring of three carbon atoms and two adjacent nitrogen atoms. Pyrazole is a weak base. Pyrazoles are also a class of compounds that have the ring C_3N_2 with adjacent nitrogen atoms. A notable drug containing a pyrazole ring is celecoxib (Celebrex).

Pyrazole derivatives have attracted the attention of research scholars on account of their wide range of applications in medicine. Steroids containing pyrazole moiety are of interest as psychopharmacological agents. Pyrimidino pyrazoles are being studied in the fight against cancer. Pyrazole derivatives have been found to have antimalarial activity and antihyperglycemic activity. Some alkyl and aryl substituted pyrazoles have a sharp pronounced sedative action on the central nervous system. Certain alkyl pyrazoles show significant bacteriostatic, bactericidal and fungicidal, analgesic and antipyretic activities. The Vilsmeier-Haack reaction is common method for the synthesis of 4-formyl pyrazoles.

The Schiff's bases of aldehydes and ketones on treatment with DMF and POCl₃ undergo cyclisation reactions forming pyrazole derivatives and undergo formylation on to the pyrazole ring. Hydrazones of aliphatic and aromatic methyl ketones yield pyrazole-4-carboxaldehydes upon formylation with Vilsmeier reagent.

By considering the wide range of application of formyl pyrazoles, we attempted formylation of hydrazones of various aromatic ketones using Vilsmeier-Haack reagent. These hydrazones of aromatic ketones were synthesized by the treatment of various aromatic acids with hydrazine hydrate followed by aromatic ketones.

spectral data of novel pyrazoles derivatives are

SYNTHESIS OF 1-(3-4-METHOXY PHENYL-4-FORMYL PYRAZOLE-1-CARBONYL) BENZENE

To the Vilsmeier-Haack reagent prepared from 30 ml of DMF and 3.3 ml (0.036 mole) POCl₃ at 0°C, 3.048g (0.012mole) of 4-methoxy acetophenone phenyl-1-carbonyl hydrazone was added in small aliquots at a time and the reaction mixture was refluxed over a boiling water bath for 10 hours. After reflux the reaction mixture was poured into ice cold water, the solid separated on neutralization with sodium acetate trihydrate was filtered, washed with water and was re-crystallized with chloroform.

% Yield = 79.21 Melting point = 162 °C

I.R (KBr): 3218, 2845, 1689, 1588, 1452 cm⁻¹

¹H-NMR (CDCl₃): δ 7.90 (1H, S, -CHO), 7.59 (1H, S, -CH), 3.8 (3H, S, -OCH₃), 7.29 (5H, M, -Ar), 6.98 (4H, M, -Ar)

SYNTHESIS OF 1-(3-4-METHOXY PHENYL-4-FORMYL PYRAZOLE-1-CARBONYL) 4-BROMOBENZENE

To the Vilsmeier-Haack reagent prepared from 30 ml of DMF and 3.3 ml (0.036 mole) POCl₃ at 0°C, 3.048g (0.012mole) of 4-methoxy acetophenone-4-bromophenyl-1-carbonyl

hydrazone was added in small aliquots at a time and the reaction mixture was refluxed over a boiling water bath for 10 hours. After reflux the reaction mixture was poured into ice cold water, the solid separated on neutralization with sodium acetate trihydrate was filtered, washed with water and was re-crystallized with chloroform.

% Yield = 66.98 Melting point = 128 °C

IR (KBr): 1664, 1590, 1499, 1245, 2915 cm^{-1}

$^1\text{H-NMR}$ (CDCl_3): δ 8.5 (1H, S, -CHO), 7.89 (1H, M, -CH), 3.8 (3H, S, -OCH₃), 7.97 (4H, M, -Ar), 7.70 (4H, M, -Ar)