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RESEARCH ARTICLE

SYNTHESIS OF PYRAZOLE DERIVATIVES OF 1H -IMIDAZO[4,5-b] PYRIDINES

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ABSTRACT

The pyrazole ring is a prominent structural moiety found in numerous pharmaceutically active compounds. This is mainly due to the easy preparation and the important biological activity. Pyrazole framework plays an essential role in biologically active compounds and therefore represents an interesting template for combinatorial as well as medicinal chemistry. The pyrazole nucleus is a ubiquitous feature of pharmacological interest and has been proven to be a fertile source of medicinal agents such as antibacterial, antifungal, antiviral, antitubercular, antiamoebic, antiandrogenic, etc. Some of these compounds have also exhibited anti-inflammatory , antidiabetic, anaesthetic, analgesic and antiparasitic properties. Many pyrazoles have been found to be luminescent and fluorescent agents. In addition pyrazoles have played a crucial role in the development of theory in heterocyclic chemistry and also used extensively as useful synthon in organic synthesis. It is interesting to note that fused bis-pyrazoles are reported as well known pharmacophores. This has prompted us to synthesize some of the pyrazolopyrazole derivatives by using thiosemicarbazide . It has been considered worthwhile to incorporate a suitable functionality into these derivatives to increase their pharmacological activity. The general synthetic procedures used in the preparation of these compounds involved the cyclisation of Schiff's bases**.**

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INTRODUCTION

A well known method to prepare pyrazolines was from the reaction between aliphatic diazo compounds and acetylene derivatives. The most commonly used diazo compounds are diazomethane and ethyl diazoacetate. Another popular method to prepare pyrazolines is the addition of hydrazine to α,β-unsaturated carbonyl compounds. Since then, a wide variety of pyrazolines were synthesized by this method. pyrazoles have played a crucial role in the development of theory in heterocyclic chemistry and also used extensively as useful synthon in organic synthesis. It is interesting to note that fused bis-pyrazoles are reported as well known pharmacophores. This has prompted us to synthesize some of the pyrazolopyrazole derivatives by using thiosemicarbazide . It has been considered worthwhile to incorporate a suitable functionality into these derivatives to increase their pharmacological activity. The general synthetic procedures used in the preparation of these compounds involved the cyclisation of Schiff's bases**.**

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MATERIALS AND METHODS

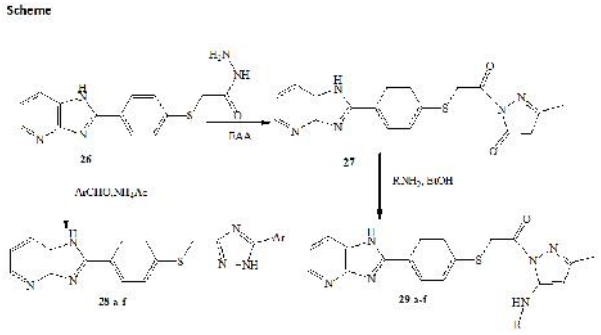
All melting points were taken in open capillaries on a veego VMP-1 apparatus and are uncorrected. IR spectra were recorded as KBr pellets on a Perkin-Elmer FT IR 240-c spectrometer. The ^{1}H NMR spectra were recorded on Varian-Gemini 200 MHz spectrometer in DMSO-d6 using TMS as an internal standard and mass spectra were recorded on Schimadzu QP 5050A spectrometer.

EXPERIMENTAL SECTION

The reaction of 2-(4-(1H—Imidazo[4,5-b]pyridine-2yl) phenyl thio)acetyl hydrazide(26)with ethyl acetate resulted in the formation of 1-(4-1H-imidazo[4,5-b] pyridine-2-yl)phenyl thio)methyl)-3-methyl-1H pyrazole-5(4H)-ones(27). Compound 27 on treatment with aromatic amines afforded the corresponding 1-(4(1H-Imidazo[4,5-b]pyridine 2-yl)phenyl thio)methyl)-N aryl 3-methyl-1H-pyrazol-5-amines(29) in good yields. Compounds 27 further reacted with aromatic aldehydes in presence of ammonium acetate to furnish the corresponding 2-4(5-aryl-1H-1,2,4-triazol-3-yl)methyl thio)phenyl)-1H-Imidazo[4,5-b]pyridines(28) by cyclo condensation.

The structure of newly synthesized compou nds 27-28 were established on the basis of elemental analyses and spectral data

Scheme



Ar = phenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2-methylphenyl, 4-methylphenyl, 4-chlorophenyl R= phenyl, 4-methoxyphenyl, 3-methoxyphenyl, 4-chlorophenyl, 3-chlorophenyl, 4-methylphenyl, 3-methylphenyl

Synthesis of 2-(4-((5-aryl-1*H*-1,2,4-triazol-3-yl)methylthio)phenyl)-1H**-Imidazo[4,5-b]pyridine (28)**
To a solution of 2-(4-(1H-imidazo[4,5-b]pyridin-2-yl) phenylthio) acetohydrazide (0.01 mole) and aldehyde (0.01 mole), in AcOH (20mL) ammoniumacetate (0.01 mole) was added and the contents were refluxed for 3 h. The reaction was monitored on TLC. After the completion of reaction the content were cooled and the separated product was filtered and crystallized from EtOH.

Synthesis of 1-((4-(1*H*-Imidazo[4,5-b]pyridin-2-yl)phenylthio)methyl)- N-aryl-3-methy l-1*H*-pyrazol-5-amines (29): To a solution of 1-((4-(1H-imidazo[4,5-b]pyridin-2-yl)phenylthio)methyl) -3-methyl-1*H*-pyrazol-5(4H)-one **(27)** (0.01 mole), in dry EtOH (20mL) aromatic amine (0.01 mole) was added and the contents were refluxed for 2 h. The reaction was monitored on TLC. After the completion of reaction the content was cooled and the separated product was filtered and crystallized from EtOH.

SPECTRAL DATA

2-(4-((5-phenyl-1*H*-1,2,4-triazol-3-yl)methylthio)phenyl)-1*H*-Imidazo[4,5-b]pyridine: ^{1}H NMR (DMSO-d_6) (δ ppm): 12.36 (brs, 1H), 10.41 (brs, 1H), 8.42 (d, 1H), 8.08 (s, 1H), 7.92 (m, 3H), 7.28 (m, 2H), 7.03 (d, 2H), 4.01 (s, 2H), 2.62 (s, 3H); Mass [M+H] = m/z 385

2-(4-((5-(3-methoxyphenyl)-1*H*-1,2,4-triazol-3-yl)methylthio)phenyl)-1*H*-Imidazo[4,5-b]pyridine: ^{1}H NMR (DMSO-d_6) (δ ppm): 12.13 (brs, 1H), 10.45 (brs, 1H), 8.43 (d, 1H), 8.12 (s, 1H), 7.98 (m, 3H), 7.29 (m, 2H), 7.05 (d, 2H), 4.03 (s, 2H), 3.82 (s, 3H), 2.62 (s, 3H);
Mass [M+H] = m/z 415

2-(4-((5-(4-methoxyphenyl)-1H-1,2,4-triazol-3-yl)methylthio)phenyl)-1H-imidazo[4,5-b]pyridine: ^{1}H NMR (DMSO-d_6) (δ ppm): 13.10 (brs, 1H), 10.25 (brs, 1H), 8.43 (d, 1H), 8.13 (s, 1H), 7.97 (m, 3H), 7.30 (m, 2H), 7.08 (d, 2H), 4.01 (s, 2H), 3.83 (s, 3H), 2.63 (s, 3H);
Mass [M+H] = m/z 415

2-(4-((5-(2-methylphenyl)-1H-1,2,4-triazol-3-yl)methylthio)phenyl)-1H-imidazo[4,5-b]pyridine: ^{1}H NMR (DMSO-d_6) (δ ppm): 12.85 (brs, 1H), 10.33 (brs, 1H), 8.44 (d, 1H), 8.15 (s, 1H), 7.92 (m, 3H), 7.45 (d, 2H), 7.18 (d, 2H), 3.98 (s, 2H), 2.60 (s, 3H), 2.31 (s, 3H);
Mass [M+H] = m/z 399

2-(4-((5-(4-methylphenyl)-1H-1,2,4-triazol-3-yl)methylthio)phenyl)-1H-imidazo[4,5-b]pyridine: ^{1}H NMR (DMSO-d_6) (δ ppm): 13.01 (brs, 1H), 10.35 (brs, 1H), 8.45 (d, 1H), 8.16 (s, 1H), 7.92 (m, 3H), 7.46 (d, 2H), 7.19 (d, 2H), 3.98 (s, 2H), 2.61 (s, 3H), 2.32 (s, 3H);
Mass [M+H] = m/z 399

2-(4-((5-(4-chlorophenyl)-1H-1,2,4-triazol-3-yl)methylthio)phenyl)-1H-imidazo[4,5-b]pyridine: ^{1}H NMR (DMSO-d_6) (δ ppm): 13.03 (brs, 1H), 10.28 (brs, 1H), 8.42 (d, 1H), 8.17 (s, 1H), 7.98 (d, 2H), 7.48 (d, 2H), 7.18 (d, 2H), 3.99 (s, 2H), 2.62 (s, 3H), 2.32 (s, 3H);
Mass [M+H] = m/z 420

1-((4-(1H-imidazo[4,5-b]pyridin-2-yl)phenylthio)methyl)-3-methyl-1H-pyrazol-5(4H)-one: ^{1}H NMR (DMSO-d_6) (δ ppm): 10.90 (brs, 1H), 8.38 (d, 1H), 8.10 (d, 1H), 7.98 (dd, 1H), 3.98 (s, 2H), 3.82 (s, 2H), 2.61 (s, 1H);
Mass [M+H] = 338

1-((4-(1*H*-Imidazo[4,5-b]pyridin-2-yl)phenylthio)methyl)-N-phenyl-3-methyl-1H-pyrazol-5-amine: ^{1}H NMR (DMSO-d_6) (δ ppm): 10.20 (bs, 1H), 8.51 (d, 1H), 8.30 (d, 1H), 7.62 (m, 2H), 7.40 (m, 2H), 7.21 (m, 2H), 7.10 (s, 1H), 4.08 (s, 2H); Mass [M+H] = m/z 413

1-((4-(1*H*-Imidazo[4,5-b]pyridin-2-yl)phenylthio)methyl)-N-(4-methoxyphenyl)-3-methyl-1H-pyrazol-5-amine: ^{1}H NMR (DMSO-d_6) (δ ppm): 10.28 (bs, 1H), 8.52 (d, 1H), 8.32 (d, 1H), 7.62 (m, 2H), 7.42 (d, 2H), 7.22 (d, 2H), 4.02 (s, 2H), 3.86 (s, 3H); Mass [M+H] = m/z 444

1-((4-(1*H*-Imidazo[4,5-b]pyridin-2-yl)phenylthio)methyl)-N-(3-methoxyphenyl)-3-methyl-1H-pyrazol-5-amine: ^{1}H NMR (DMSO-d_6) (δ ppm): 12.26 (bs, 1H), 8.48 (d, 1H), 8.33 (d, 1H), 7.64 (m, 2H), 7.41 (d, 2H), 7.21 (d, 2H), 4.06 (s, 2H), 3.85 (s, 3H); Mass [M+H] = m/z 444

1-((4-(4-(1*H*-Imidazo[4,5-*b*]pyridin-2-yl)phenylthio)methyl)-N-(4-chlorophenyl)-3-methyl-1H-pyrazol-5-amine: ^{1}H NMR (DMSO-d_6) (δ ppm): 12.82 (bs, 1H), 8.42 (d, 1H), 8.31 (d, 1H), 7.84 (m, 2H), 7.66 (d, 2H), 7.46 (d, 2H), 4.00 (s, 2H); Mass [M+H] = 448

1-((4-(4-(1*H*-Imidazo[4,5-b]pyridin-2-yl)phenylthio)methyl)-N-(3-chlorophenyl)-3-methyl-1H-pyrazol-5-amine: ^{1}H NMR (DMSO-d_6) (δ ppm): 12.81 (brs, 1H), 8.41 (d, 1H), 8.30 (d, 1H), 7.85 (m, 2H), 7.65 (d, 2H), 7.45 (d, 2H), 4.01 (s, 2H); Mass [M+H] = m/z 448

1-((4-(4-(1*H*-Imidazo[4,5-b]pyridin-2-yl)phenylthio)methyl)-N-(4-methylphenyl)-3-methyl-1H-pyrazol-5-amine: ^{1}H NMR (DMSO-d_6) (δ ppm): 13.01 (bs, 1H), 8.42 (d, 1H), 8.31 (d, 1H), 7.68 (m, 2H), 7.48 (d, 2H), 7.28 (d, 2H), 4.02 (s, 2H), 2.32 (s, 3H); Mass [M+H] = m/z 427

1-((4-(4-(1H-Imidazo[4,5-b]pyridin-2-yl)phenylthio)methyl)-N-(3-methylphenyl)-3-methyl-1H-pyrazol-5-amine: ^{1}H NMR (DMSO-d_6) (δ ppm): 13.09 (bs,

1H), 8.43 (d, 1H), 8.30 (d, 1H), 7.70 (m, 2H), 7.48 (d, 2H), 7.28 (d, 2H), 4.01 (s, 2H), 2.33 (s, 3H); Mass [M+H] = m/z 427

RESULTS AND DISCUSSION

The structure of newly synthesized compou nds 28-29 were established on the basis of elemental analyses and spectral data

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