ISSN: 0975-8585

Research Journal of Pharmaceutical, Biological and Chemical Sciences

Synthesis of 2-(4-((5-aryl-1H-1,2,4-triazol-3-yl)methylthio)phenyl)-1H-imidazo[4,5-b]pyridines and 1-((4-(1H-imidazo[4,5-b]pyridin-2-yl)phenylthio)methyl)-N-aryl-3-methyl-1*H*-pyrazol-5-amines.

Ajay Kumar R, Dayakar G*, and Sujatha I.

Department of Chemistry, Kakatiya University, Warangal-506 009 Telangana, India.

ABSTRACT

2-(4-(1H-imidazo[4,5-b]pyridin-2-yl)phenylthio)acetohydrazide **(1)** reacts with ethylacetoacetate and ammoniumthiocyanate to give 1-((4-(1H-imidazo[4, 5-b]pyridin-2-yl)phenylthio)methyl)-3-methyl-1H-pyrazol-5(4H)-one **(2)** and 2-(4-((5-aryl-1H-1,2,4-triazol-3-yl)methylthio)phenyl)-1H-imidazo[4,5-b]pyridines**(3)** respectively. Compound **2** condensed with different aldehydes to produce 1-((4-(1H-imidazo[4,5-b]pyridin-2-yl)phenylthio)methyl)-N-aryl-3-methyl-1*H*-pyrazol-5-amines **(4a-f)**.

Keywords: 1,2,4-Triazolinone, imidazole

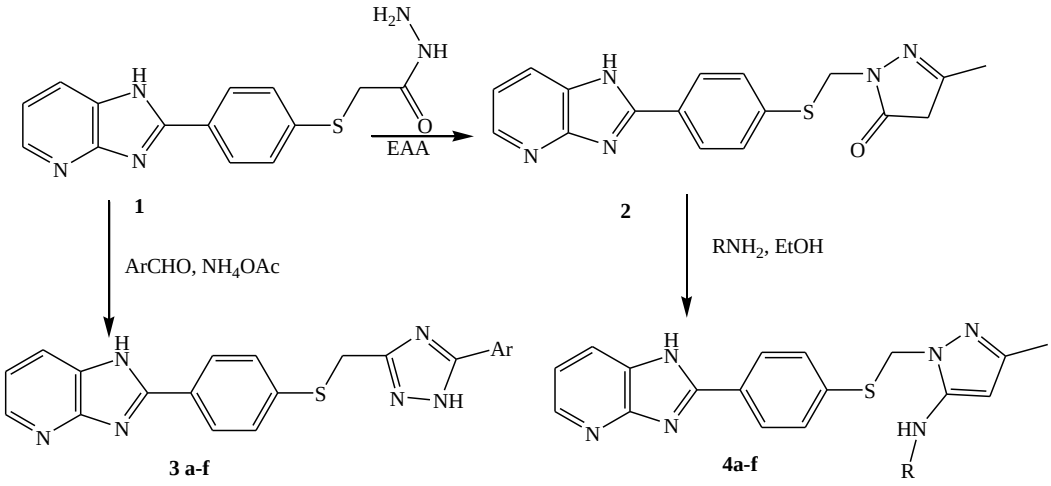
Corresponding author

ISSN: 0975-8585

INTRODUCTION

1,2,4-Triazolinone derivatives such as azafenidin and sulfentrazone have been reported as herbicides [1-5]. Synthesis of 1,2,4-triazoles fused to another heterocyclic ring has attracted wide spread attention due to their diverse applications as antibacterial, antidepressant, antiviral, antitumor, anti-inflammatory agents, pesticides, herbicides, dyes, lubricant, and analytical reagents [6]. There is a considerable interest in chemotherapeutic activity of pyrazole derivatives. They have been reported to exhibit broad spectrum of biological effects [7]. Pyrazoles also possess a broad spectrum of biological effectiveness such as antidepressant [8] and antibacterial activity [4]. Besides, great interest in the pyrazole molecule has been stimulated by some promising agrochemical applications such as herbicides and fungicides [6-8].

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,

EXPERIMENTAL

IR spectra were recorded on potassium bromide disks on a Perkin-Elmer 383 spectrophotometer. ^{1}H NMR spectra were obtained on a Varian 400 MHz instrument with TMS as internal Standard and chemical shifts are expressed δ ppm solvent used DMSO-d_6 and Mass spectrum on a Hewlett Packard mass spectrometer operating at 70ev, TLC is performed with E. Merck precoated silica gel plates (60F-254) with iodine as a developing agent.

2-(4-((5-aryl-1H-1,2,4-triazol-3-yl)methylthio)phenyl)-1H-imidazo[4,5-b]pyridine

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 hr. 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.

2-(4-((5-phenyl-1H-1,2,4-triazol-3-yl)methylthio)phenyl)-1H-imidazo[4,5-b]pyridin

^{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);

2-(4-((5-(3-methoxyphenyl)-1H-1,2,4-triazol-3-yl)methylthio)phenyl)-1H-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);

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);

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);

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);

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);

1-((4-(1H-imidazo[4,5-b]pyridin-2-yl)phenylthio)methyl)-N-aryl-3-methyl-1*H*-pyrazol-5-amines

To a solution of 1-((4-(1H-imidazo[4,5-b]pyridin-2-yl)phenylthio)methyl)-3-methyl-1*H*-pyrazol-5(4H)-one **(2)** (0.01 mole), in dry EtOH (20mL) amine (0.01 mole) was added and the contents were refluxed for 2 hrs. 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.

1-((4-(1H-imidazo[4,5-b]pyridin-2-yl)phenylthio)methyl)-N-phenyl-3-methyl-1H-pyrazol-5-amine (4a)

^{1}H NMR (DMSO-d_6) (δ ppm): 10.20 (brs, 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);

1-((4-(1H-benzo[d]imidazol-2-yl)phenylthio)methyl)-N-(4-methoxyphenyl)-3-methyl-1H-pyrazol-5-amine (4b)

^{1}H NMR (DMSO-d_6) (δ ppm): 10.28 (brs, 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);

1-((4-(1H-benzo[d]imidazol-2-yl)phenylthio)methyl)-N-(3-methoxyphenyl)-3-methyl-1H-pyrazol-5-amine (4c)

^{1}H NMR (DMSO-d_6) (δ ppm): 12.26 (brs, 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);

1-((4-(4-(1H-benzo[d]imidazol-2-yl)phenylthio)methyl)-N-(4-chlorophenyl)-3-methyl-1H-pyrazol-5-amine (4d)

^{1}H NMR (DMSO-d_6) (δ ppm): 12.82 (brs, 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);

1-((4-(4-(1H-benzo[d]imidazol-2-yl)phenylthio)methyl)-N-(3-chlorophenyl)-3-methyl-1H-pyrazol-5-amine (4e)

^{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);

1-((4-(4-(1H-benzo[d]imidazol-2-yl)phenylthio)methyl)-N-(4-methylphenyl)-3-methyl-1H-pyrazol-5-amine (4f)

^{1}H NMR (DMSO-d_6) (δ ppm): 13.01 (brs, 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);

1-((4-(4-(1H-benzo[d]imidazol-2-yl)phenylthio)methyl)-N-(4-methylphenyl)-3-methyl-1H-pyrazol-5-amine (4f)

^{1}H NMR (DMSO-d_6) (δ ppm): 13.09 (brs, 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);

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