



ISSN (E): 2277- 7695

ISSN (P): 2349-8242

NAAS Rating: 5.03

TPI 2020; 9(7): 194-196

© 2020 TPI

www.thepharmajournal.com

Received: 14-05-2020

Accepted: 16-06-2020

Mohamed Saad MuftahDepartment of Chemistry,
Faculty of Sciences, Bani Walid
University, Libya

Synthesis, biological activity of new pyrazoline derivative

Mohamed Saad Muftah

Abstract

The 1-[3,5dimethoxy, 4dimethyl aminophenyl]-3-phenyl pyrazoline-5-one was synthesized by reaction of 3,4dimethoxy 4dimethylaminophenyl hydrazine with ethyl benzoylacetate. The new compound of pyrazoline derivative was characterized by elemental analysis, infrared, mass spectroscopy and ¹H-NMR. The new compound exhibited antibacterial and antifungal activities.

Keywords: pyrazoline, elemental analysis, mass spectroscopy, infrared, ¹H-NMR and biological activity

Introduction

Pyrazolines have been found to possess diverse biological activities such as anticancer [1-3], antitumor [4], antioxidant [5], antimicrobial [6, 7], antitubercular [8], antimalarial [9], antiamebic [10]. Pyrazoline has been reported to acquire antimicrobial [11], antibacterial [12-14], antifungal [15-17], antidiabetic [18]. Many pyrazoline derivatives are reported to have a broad spectrum of biological activities, such as anti-inflammatory [19], antifungal [20], antiviral [21], cytotoxic [22]. The pyrazole nucleuses have medicinal values such as antibacterial, antifungal, antiviral, antitubercular, antiamebic, antiandrogenic [23]. Pyrazole derivatives represent one of the most active classes of compounds and possess a wide spectrum of biological activities [24-35].

The presence of the pyrazole nucleus in different structures leads to diversified applications in different areas such as technology, medicine and agriculture. In particular, they are described as inhibitors of protein glycogen, antibacterial, antifungal, anticancer, antidepressant, anti-inflammatory, antituberculosis, antioxidant as well as antiviral agents [36, 37].

Experimental

Instrumentation

The melting points were recorded in open capillary in paraffin bath and are uncorrected. IR spectra were recorded on a shimadzu IR spectrophotometer (KBr, γ max in cm^{-1}). ¹H-NMR spectra are recorded on a Bruker (400MHz) using tetramethylsilane as an internal reference and DMSO-d₆ as solvent. Mass spectra of the compound (1) (70ev, EI) was carried out on a shimadzu QP-2010 plus spectrometer. Elemental analysis were performed on PerkinElmer 2400 CHN elemental analysis.

Synthesis of 1-[3,5dimethoxy, 4dimethylaminophenyl]-3phenyl pyrazoline-5-one:

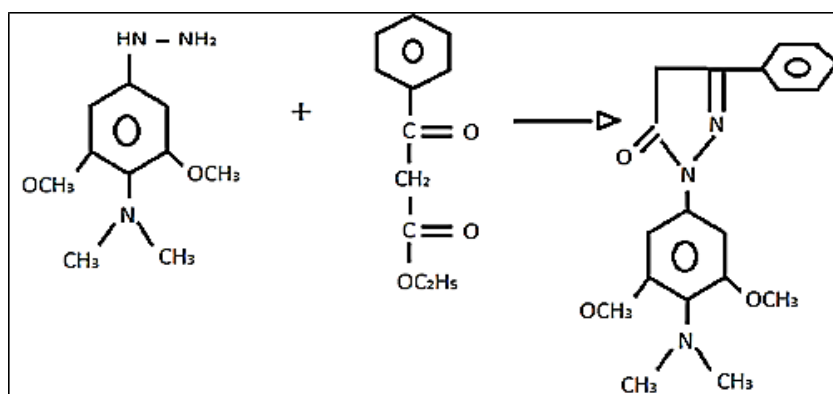


Fig 1: Synthesis of 3,5dimethoxy, 4dimethylaminophenyl]-3phenyl pyrazoline-5-one

Corresponding Author:**Mohamed Saad Muftah**Department of Chemistry,
Faculty of Sciences, Bani Walid
University, Libya

A Mixture of 3,5 dimethoxy, 4dimethylaminophenyl hydrazine (2.11gm, 0.01mole) and ethyl benzoylacetate (1.92gm, 0.01mole) in 250 ml ethanol. The reaction mixture was heated to reflux for 16hrs. The solid product was separated by filtration. The solid was recrystallized from ethanol.

Results and Discussion

The infrared spectrum of the new compound table (2) showed a strong band at 1698 cm^{-1} due to carbonyl group and strong band at 1583 cm^{-1} due to C=N. The $^1\text{H-NMR}$ spectrum of the new compound in deuterated DMSO- d_6 of table (2) showed a singlet signal at 7, 43 ppm due to (CH_2) also the $^1\text{H-NMR}$ spectrum exhibit a single signals at 2.64, 2.70, 2.81 and 2.93 ppm due to (2OCH_3) and (2CH_3) protons respectively, as well as multiplets in the range 6.97-7.33 ppm due to phenyl. The mass spectrum of compound showed the molecular ion peak at m/z 339 (86.14%), the following peaks of values followed by % relative abundances $\{M+1\}$ 340 (62.37), 325(45.23), 309(76.18), 263(35.06), 248(69.39), 233(29.55), 77(81.42).

Table 1: Physical characterization of pyrazoline derivative

MP. C° Colour	Solvent yield Yield	MF (M. Wt)	Elemental analysis Calcd/found		
			C%	H%	N%
187-189	Ethanol	$\text{C}_{19}\text{H}_{21}\text{N}_3\text{O}_3$	67.23	6.23	12.38
Brown	67%	339,395	66.98	5.81	12.14

Table 2: Spectroscopic data of pyrazoline derivative

IR (KBr) γ (cm^{-1})	$^1\text{H-NMRS}$ (PPM)
$\gamma_{\text{C=O}}$ 1698 cm^{-1}	7.43 (S, Pyran ring)
$\gamma_{\text{C=N}}$ 1583 cm^{-1}	6.97-7.33 (m. Ar)
γ_{C} 1524 cm^{-1}	2.81,2.93 (S,2 CH_3)
	2.64,2.70 (S,2O CH_3)

Table 3: The inhibition zones (mm) of new compound

Bacillus subtilis	Pseudomonas aeruginosa	Aspergillus flavus
29	25	19

Biological activity

Measurement of antimicrobial activity using diffusion disc method. The experiments were performed using test bacterial organisms belonging to the one gram positive and gram one negative and fungi aspergillus flavus, the results of antimicrobial studies are given in table (3). The new compound under investigation was dissolved in DMSO. The concentration of DMSO solution was 0.2 mg/ml.

References

- Gomha SM, Abdelhamid AO, Abdelrehem NA, Kandeel SM. Efficient Synthesis of new benzofuran-based thiazoles and investigation of their cytotoxic activity against human breast carcinoma cell lines. *J Hetero cycl. chem.* 2018; 55:995-1001.
- Gomha SM, Abdallah MA, Alshowiman SS, Morad MA, Mabkhot YN. Synthesis of new pyrido pyrimidinone based thiazoles as potential anti breast cancer agents. *Biomedical Res.* 2017; 28:9903-9909.
- Gomha SM, Salah TA, Abdelhamid AO. Synthesis, characterization and pharmacological evaluation of some novel thiazoles incorporating pyrazole moiety as potent anticancer agent's monatsh. *chem.* 2015; 146:149-158.
- Gomha SM, Edrees MM, Altalbawy FMA. Synthesis and characterization of some new bi's pyrazolyl thiazoles incorporating the thiophene moiety as potent antitumor agents. *Inter. J Mol. Sci.* 2016; 17:14-99.
- Babu VH, Sridevi CH, Joseph A, Srinivasan KK. Synthesis and biological evaluation of some novel pyrazolines. *Indian. J Pharm. Sci.* 2007; 69:470-473.
- Gomha SM, Farghaly TA, Sayed AR. Synthesis of pyrazole and pyrazolyl {1,2,4} thiazolo {1,5} benzothiazepines as antimicrobial agents using hydrazonoyl chlorides *J Heterocycl. chem.* 2016; 53:1503-1509.
- Gomha SM, Farghaly TA, Mabkhot YN, Zayed MEM, Mohamed AMG. Microwave assisted synthesis of some novel azoles and azolopyrimidines as antimicrobial agents. *Molecules.* 2017; 22:346.
- Taj T, Kamble RR, Gireesh TM, Hunnur RK, Margankop SB. One Pot synthesis of pyrazoline derivative carbazoles as antitubercular, anticancer agents, their DNA cleavage and antioxidant activities. *Eur. J Med. chem.* 2011; 46:4366-4373.
- Wanare G, Aher R, Kawathekar N, Ranjan R, Kaushik NK, Sahal D. Synthesis of novel α -pyranochalcones and pyrazoline derivatives plasmodium falciparum growth inhibitors. *Bioorg. Med. chem. let.* 2010; 20:4675-4678.
- Bhat AR, Athar F, Azam A. Bi's pyrazolines: synthesis, characterization and antiamebic activity as inhibitors of growth of Entamoeba histolytica. *Eur. J Med. chem.* 2009; 44:426-431.
- Kotla VV, Dalavai VK, Chundari MVR. Synthesis & biological activity studies of some novel pyrazoline derivatives, *Der pharma chemica.* 2012; 4(5):2003-2008.
- Chavhan NM, Badadhe PV, Shelke SN. Synthesis and screening of biological activity of some important pyrazoline derivatives, *International Journal of Innovative Research in Science, Engineering and Technology.* 2015; 4(2):417-421.
- Rathore SM. Synthesis and antimicrobial study of some new chlorosubstituted 4-aryl pyrazolines, *international Journal of chem. Tech research.* 2013; 5(6):3059-3063.
- Hassan SY. Synthesis, antibacterial and antifungal activity of some new pyrazoline and pyrazole derivatives, *Molecules,* 18(3):2683-2711.
- Patil SY, Oswal RJ, Sayare AS, Lange SL, Antre RV. Synthesis, characterization and antibacterial evaluation of novel 2-pyrazoline derivatives, *Der pharma chemical.* 2012; 4(1):33-38.
- Sridhar S, Rajendraprasad Y. Synthesis and analgesic studies of some new 2-pyrazolines, *E-Journal of chemistry.* 2012; 9(4):1810-1815.
- Acharya BN, Saraswat D, Tiwari M, Shrivastva AK, Ghorpade R, Bapna S *et al.* Synthesis and antimalarial evaluation of 1,3,5 trisubstituted pyrazolines. 2010; 45(2):430-438.
- Sharma S, Kaur S, Bansal T, Gaba J. Review on synthesis of bioactive pyrazoline derivatives, *chemical science transactions.* 2014; 3(3):861-875.
- Bandgar BP, Gawande SS, Badade RG, Gowande NM, Khobragade CN. Synthesis and biological evaluation of novel series of pyrazole chalcones as anti-inflammatory, antioxidant and antimicrobial agents. *Bioorg. med. chem.* 2009; 17:8168-8173.
- Zeba NS, Mohamed MTN, Anis A, Asad UK. Thermal solvent free synthesis of novel pyrazolyl chalcones and pyrazolines as potential antimicrobial agents. *Bioorg. med. chem. lett.* 2011; 21:2860-2865.
- Baraldi PG, Manfredini S, Romagnoli R, Stevanato L,

- Zaid AN, Manservigi R. Synthesis and anti-HSV-1 activity of 6 substituted pyrazolo {3,4-d} pyridazin-7-one nucleosides: nucleotides nucleic acids. 1998; 17:2165-2173.
22. Bhat BA, Dhar KL, Puri SC, Saxena AK, Shanmugavel M, Qazi GN. Synthesis and biological evaluation of chalcones and their pyrazoles as potential cytotoxic agents. *Bioorg. Med. chem. Lett.* 2005; 15:3177-3180.
 23. Chirage Sharma, Bhawana Thadhaney, Gangtri Pemawat, GI Talesar. Synthesis of some novel ethoxyphthalimide derivatives of pyrazolo {3,4-c} pyrazoles, *Indian journal of chemistry*, 49b(12):1892-1897.
 24. El-Feky SAH, AbdEl-Samii ZK, Osman NA, Lashine J, Kamel MA, Thabet HK. *Bioorg. Chem.* 2015; 58:104-116.
 25. Saangani CB, Mungra DC, Patel MP, Patel. *Chin. Chem. Lett.* 2012; 23:57-60.
 26. Elsabbagh OI, Baraka MM, Ibrahim SM, Pannecouque C, Andrei G, Snoeck R *et al.* *Eur. J Med. Chem.* 2009; 44:3746-3753.
 27. Insuasty B, Tigreros A, Orazco F, Quiroga J, Abonia R, Noguerras M *et al.* *Bioorg. Med. Chem.* 2010; 18:4965-4974.
 28. Michon V, Penhoat CH, Tombret F, Gillardin JM, Lepage, Fand Berthon L. *Eur. J Med. Chem.* 1995; 30:147-155.
 29. Bailey DM, Hansen PE, Hlavac AG, Baizman ER, Pearl J, Defelice AF *et al.* *Med. Chem.* 1985; 28:256-260.
 30. Shi JB, Tang WJ, Qi XB, Li R, Liu XH, *Eur. J Med. Chem.* 2015; 90:889-896.
 31. Ranganaswamy J, Vijay Kumar H, Harini ST, Naik N. *Bioorg. Med. Chem. Lett.* 2012; 22:4773-4777.
 32. Horrocks P, Pickard MR, Parekh HH, Patel SP, Pathak RB. *Org. Biomol. Chem.* 2013; 11:4891-4898.
 33. Vijesh AM Isloor AM, Prashant S, Sundershan S, Hun Fun H. *Eur. J Med. Chem.* 2013; 62:410-415.
 34. Mamaghani M, Hossein Nia R, Shirini F, Tabatabaeian K, Rassa M. *Med. Chem. Res.* 2015; 24:1916-1926.
 35. Khunt RC, Khedkar VM, Chawda RS, Chauhan NA, Parikh AR, Coutinho EC. *Bioorg. med. chem. Lett.* 2012; 22:666-678.
 36. Fustero S, Sanchez-Rosello M, Barrio P, Simon-Fuentes A. from 2000 to mid-2010: A fruitful decade for the synthesis of pyrazoles. *chem. Rev.* 2011; 111:6984-7034.
 37. Ansari A, Ali A, Asif M. Biological active pyrazole derivatives. *New. J Chem.* 2017; 41:16-41.