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Synthesis and Anti-inflammatory activity of 2-amino-6-methoxy benzothiazole derivative

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Abstract: *Benzothiazole derivative have been synthesized by simple condensation of benzothiazole with chloroethylacetate and further treated with hydrazine hydrate to obtain hydrazino benzothiazole. The compounds were characterized by elemental analysis IR, ^{1}H- NMR spectroscopy and mass spectroscopy. The ^{1}H- NMR spectroscopy indicated the formation of hydrazino compounds then the compounds were screened for their anti-inflammatory activity.*

Keywords: *Benzothiazole, Anti-inflammatory, etc*

Medicinal chemistry is the science that deals with the discovery and design of new therapeutic chemicals and their development into useful medicines.[1] It may involves synthesis of new compounds, investigation of relationship between the structure of the natural or synthetic compounds and their biological activities, elucidation of their interaction with the receptor of various kind including enzyme and DNA,[2] determination of their absorption, transportations and distribution properties and studies of the metabolic transformations of these chemical into other chemical.

Benzothiazole is a privileged bicyclic ring system. It contains a benzene ring fused to a thiazole ring. The small and simple benzothiazole nucleus is present in compounds involved in research aimed at evaluating new products that possess interesting biological activities like- antimicrobial[3], antitubercular[4], antitumour[5], antimalarial[6], anticonvulsant[7], anthelmintic[8], analgesic[9] and anti-inflammatory[10] activity. In addition, the benzothiazole ring is present in various marine or terrestrial natural compounds, which have useful biological activities. Due to their importance in pharmaceutical utilities, the synthesis of various benzothiazole derivatives is of considerable interests.

I. Materials and methods

All raw materials used in the synthesis have been obtained from M/S Fluka AG (Bachs, Switzerland) and M/S Sigma-Aldrich chemicals and Co. Inc. (Milwoukee, WI,USA). Melting points were recorded on a Thermonik Melting point Apparatus (Campbell Electronics, Mumbai, India) and are uncorrected. IR spectra were recorded on a IR-Affinity, Shimadzu using DRS system. ^{1}H-NMR spectra have been recorded on a JEOL AL-300 FT-NMR spectrometer (300 MHz, JEOL Ltd., Tokyo, Japan), using TMS as internal standard in solvent DMSO. Mass data have been recorded on Agilent GC-MS Elemental analysis has been carried out on a C, H, and N Elemental Analyzer (Thermo-Finnigan Flash EA 1112, Italy).

II. Experimental.

2.1 Preparation of ethyl [(6-methoxy-1,3-benzothiazol-2-yl)amino]acetate (Compound 2)

6-methoxy-1,3-benzothiazol-2-amine (2.0 mol) in dry acetone was dissolved and Potassium carbonate (1.0 mol) was added, the reaction mixture was irradiated for 120 seconds and then ethyl chloroacetate was added and the reaction mixture was stirred and irradiated for another 180seconds the reaction mass then was neutralized by using glacial acetic acid and then extraction was given by using diethyl ether. The completion of the reaction was mentioned by TLC. Yield 94%; off white colour solid; mp;122^{0}C. ^{1}H NMR(400 MHz, DMSO-δ6) δ (ppm) 1.6 (s, 3H),2.4 (s, 2H), 3.2 (q, 2H), 3.8 (t, 3H), 5.1 (s,1H), 7.18-8.06 (m, 3H, Ar-H) Anal. calcd for $C_{12}H_{14}N_2O_3S$:C, 54.12; H, 5.30; N, 10.52; Found: C, 54.52; H, 5.67.N, 10.06 IR (KBr) n: 2927, 1829, 1724. MS (m/z): 266 (M+H, 30), 236 (44), 192(42)

2.2 Preparation of 2-[(6-methoxy-1,3-benzothiazol-2-yl)amino]acetohydrazide (Compound 3)

Compound 2(1 mol) dissolve in ethanol treated with mixture of hydrazine hydrate hydrochloride solution (1 mol) was refluxed for 6 hrs. The reaction was cooled, poured into ice cold water. Solid product was filtered, dried and recrystallized from ethanol. Yield 62%; Pale Yellow colour solid; mp;118^{0}C; ^{1}H NMR(400 MHz, DMSO-δ6) δ (ppm) 1.8 (s, 3H),2.2 (s, 2H), 5.3 (s, 1H), 5.6 (s, 1H), 6.1 (s,2H), 7.08-8.01 (m, 3H, Ar-H)

Anal. calcd for $C_{10}H_{12}N_4O_2S$:C, 47.61; H, 4.79; N, 22.21 Found: C, 47.37; H, 4.16; N, 22.40. IR (KBr) n: 1700, 2916, 1210, 3369. MS (m/z): 252 (M+H,31), 221 (29), 192(42).

Table 1: Schematic Representation of Titled Compounds

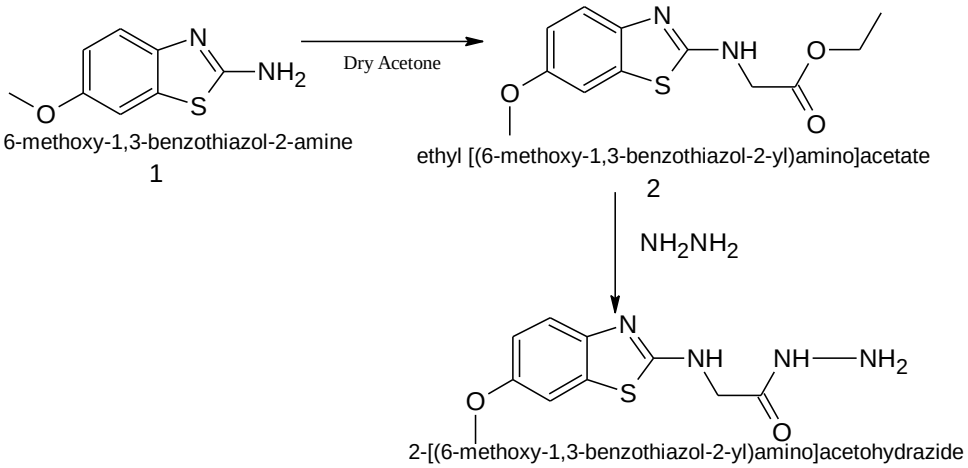

Table 2: e Anti- inflammatory results with %Inhibition

Concentration	Standard	% Inhibition	Comp.2	% Inhibition	comp.3	% Inhibition
6.25	0.183	94.89	0.370	68.80	0.415	76.61
12.5	0.097	95.22	0.357	69.89	0.305	82.81
25	0.054	96.12	0.314	73.52	0.301	83.04
50	0.021	97.23	0.272	77.06	0.294	83.44
100	0.011	99.82	0.255	78.49	0.288	83.77
Positive control	1.186		1.186		1.186	

- Standard :- Sodium Dichlofenac

III. Result and Conclusion

In vitro anti-inflammatory study reveals that the activity of the drugs under test was satisfactory as compared to that of standard DFS i.e. the hydrazino derivatives were found out to be potent enough to suppress haemolysis. However, the % inhibition of haemolysis in case of DFS treated RBC's was high as compared to that of the % inhibition provided by the test drugs. However hydrazino compound showed better result as compared to intermediate i.e compound 2.

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