

Research Paper :

Synthesis of N-pyrimidino benzamide-2-carboxylic acid and evaluation of its antimicrobial and anti-inflammatory activities

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ABSTRACT

N-pyrimidino benzamide-2-carboxylic acid (NPBCA) has been synthesized. The structure of the synthesized compound has been established by using elemental analysis, molecular weight determination and infrared spectral studies. Antifungal activity of the compound has been screened on common fungi viz., *Aspergillus niger*, *Aspergillus nidulense* and *Candida albicans* at 28°C and antibacterial activity has been determined on gram-positive (*Staphylococcus aureus*) and gram-negative (*Escherichia coli*) bacteria at 37°C. The compound significantly inhibited 21.6 % carrageenan induced rat paw oedema at 100 mg/kg p.o. dose ($LD_{50} > 400$ mg/kg). It, however, fails to inhibit cotton pellet induced granuloma formation and oedema in adjuvant arthritis test (non established), upto a dose of 200 mg/kg p.o. It elicited ulcerogenic effect at 100 mg/kg dose.

Key words : Benzamide derivative, Anti-inflammatory activity, Ulcerogenic activity, Biocidal activity

The studies on inflammation and anti-inflammatory drugs have received sufficient attention, yet a satisfactory treatment is not available. Hence, the search for an ideal anti-inflammatory agent still continues. Substituted pyrimidines have been reported to possess anti-inflammatory activity with side effects, specially gastric irritation, equal to anthranilic acid derivatives. Keeping above in view and continuing our studies¹⁻⁷, N-pyrimidino benzamide-2-carboxylic acid has been synthesized. We have tried, however, to draw particular attention to physiological and biochemical aspects of the present selection without dwelling on its specific physicochemical approach to the thermodynamic studies which also, of course important facts of these studies.

MATERIALS AND METHODS

All the chemicals used were of analytical reagent grade and purified further either by recrystallization or by distillation.

Synthesis of N-pyrimidino benzamide-2-carboxylic acid :

N-pyrimidino benzamide-2-carboxylic acid was synthesized by the method reported earlier⁸. The observations are, melting point 69-71°C, found %C = 59.08;

H = 3.76; N = 17.23; $C_{12}H_9N_3O_3$ calculated %C = 59.26; H = 3.73; N = 17.28;

Molecular weight found 234; calculated 243.

Physical measurements :

N-pyrimidino benzamide-2-carboxylic acid

(NPBCA) was analysed for carbon, hydrogen and nitrogen by standard method⁹. Molecular weight of the synthesized compound was determined by the cryoscopic method in dimethylsulfoxide (DMSO). Infrared spectra were recorded using Perkin Elmer spectrophotometer model-521.

RESULTS AND DISCUSSION

The synthesized compound (NPBCA) was found thermally stable and insoluble in water. It varies in its solubility in most common organic solvents.

Infrared spectral studies :

The study of infrared spectra showed different bands due to the stretching frequencies of active groups. The amide I band appearing at 1730 cm^{-1} indicated the presence of carbonyl oxygen of the amide group¹⁰⁻¹¹. A band at 3340 cm^{-1} is observed due to NH stretching vibrations¹². The carboxylic group stretching frequency vibrations of the compound has been found¹³ at 1690 cm^{-1} . Ring breathing modes at 1575 cm^{-1} clearly showed the presence of pyrimidine ring in the synthesized compound¹⁴.

Microbial studies :

The synthesized compound (NPBCA) was screened for its antimicrobial activity on three common fungi viz., *Aspergillus niger*, *Aspergillus nidulense* and *Candida albicans* at 28°C and on both gram-positive (*Staphylococcus aureus*) and gram-negative (*Escherichia coli*) bacteria at 37°C by serial dilution method¹⁵. The minimum inhibitory concentration values are given below.