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Anti-ulcerogenic and anti-ulcerative colitis (UC) activities of seven amines derivatives (Article) (Open Access)

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Abstract

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The Novel target compounds (CP-1-7) were synthesized and tested at doses up to 1000 mg/kg for their entitled activities. They exerted promising results without any behavioral changes and mortality in mice. Therefore, according to the results obtained in our study, it could be categorized as highly safe agents for treating UC since substances possessing LD_{50} higher than 50 mg/kg are considered nontoxic. They also possessed a potent anti-ulcerogenic activity with different potentials. The most effective compound was CP-4, it produced 97.7% ulcer protection of control followed by CP-3, which produced 90.3% protection, while the standard drug ranitidine (100 mg/kg) produced 49.2% protection. Compound CP-1 showed lowest activity among the current series, it produced 55.5% protection. The target compounds were significantly more effective than the standard in reducing ulcer index. The anti-ulcerative colitis activity was tested using acetic acid induced colitis model. The curative effect of the tested compounds at a dose of 50 mg/kg oral administration on rats showed a potent anti-ulcerative colitis activity with different potentials. They induced a significant decrease in ulcer score, ulcer area, ulcer index and weight/length of the colon specimens. The percent protection of control colitis ranged from 66.8% for CP-7 to 22.3% for CP-5; however the percent protection for dexamesathone (0.1 mg/kg) was 59.3%. The effect of the tested compounds CP-7 and CP-3 at dose 50 mg/kg were significantly more effective than dexamesathone (0.1 mg/kg) in reducing all parameters. Liver functions were not affected as there is no effect on the activity of both AST and ALT in animals that received the compounds, so the compounds didn't reveal hepatotoxic manifestation. Although, the results on kidney functions showed that, CP-1 slightly elevated blood urea concentration and CP-3 & CP-4 slightly elevated serum creatinine; no apparent nephrotoxic manifestations were recorded.

Reaxys Database Information

View Compounds

Author keywords

Amines derivatives | Dexamesathone | Liver functions | Ulcerative colitis | Ulcers

Indexed keywords

EMTREE drug terms:
2 (2 methylquinolin 4 ylamino) n (4 aminosulphonylphenyl)acetamide
2 chloro n (4 aminosulphonylphenyl)acetamide
2 [(4 cyano 4 phenyl)piperidinyl] n (4 aminosulphonylphenyl)acetamide
2 [(4 hydroxy 4 phenyl)piperidinyl] n (4 aminosulphonylphenyl)acetamide
2 [4 (1 piperidino)piperidinyl] n (4 aminosulphonylphenyl)acetamide
2 [4(2,3 xylyl)piperazinyl] n (4 aminosulphonylphenyl)acetamide
2 [4(4 chlorophenyl)piperidinyl] n (4 aminosulphonylphenyl)acetamide
2 [4(4 methoxyphenyl)piperidinyl] n (4 aminosulphonylphenyl)acetamide
acetamide derivative
acetic acid
alanine aminotransferase
amine
amine derivative
antiulcer agent
aspartate aminotransferase
creatinine
dexamethasone
ranitidine
unclassified drug
urea

EMTREE medical terms:
animal experiment
animal model
Article
behavior change
clinical effectiveness
colitis
concentration response
controlled study
creatinine blood level
digestive tract parameters
drug effect
drug safety
drug synthesis
female
infection control
infection prevention
kidney function
LD50
liver function
male
mortality rate
mouse
nonhuman
rat
ulceration index
ulcerative colitis
ulcerogenesis
urea blood level

Chemicals and CAS Registry Numbers:

acetic acid, 127-08-2, 127-09-3, 64-19-7, 71-50-1; alanine aminotransferase, 9000-86-6, 9014-30-6; aspartate aminotransferase, 9000-97-9; creatinine, 19230-81-0, 60-27-5; dexamethasone, 50-02-2; ranitidine, 66357-35-5, 66357-59-3; urea, 57-13-6

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