**Novel quinazoline and acetamide derivatives as safe anti-ulcerogenic agent and anti-ulcerative colitis activity**

**By:** Alasmary, FAS (Alasmary, Fatmah A. S.)[1], Awaad, AS (Awaad, Amani S.)[2-3], Alafeefy, AM (Alafeefy, Ahmed M.)[3], El-Meligy, RM (El-Meligy, Reham M.)[4], Alqasoumi, SI (Alqasoumi, Saleh)[5]

**Abstract**

Two novel quinazoline derivatives named as: 3- [(4-hydroxy-3-methoxy-benzylidene)- amino]-2-p-toly)-3H-quinazolin-4-one (5) and 2-p-Toly)-3,4,5-trimethoxy-benzylidene-amino)-3H-quinazolin-4-one (6) in addition to one acetamide derivative named as 2-D-Hydroxycarbonylphenylamino)-N-(4-aminosulfophenyl)11 were synthesized, and evaluated for their anti-ulcerogenic & Anti-Ulcerative colitis activities.

All of the three compounds showed curative activity against acetic acid induced ulcer model at a dose of 50 mg/kg, they produced 65%, 95% & 57.4% curative ratio for compounds 5, 6 & 11 respectively. The effect of the tested compounds 5, 6 & 11 at dose 50 mg/kg were significantly (P < 0.01) more effective than dexamesathone (0.1 mg/kg) in reducing all parameters.

Compounds showed curative activity of for peptic ulcer (induced by absolute alcohol) at a dose of 50 mg/kg, it produced Curative of control ulcer 56.00%, 61.70% & 87.1% for compounds 5, 6 & 11 respectively at dose 50 mg/kg, while the standard drug (Omeprazole 20 mg/kg) produced 33.3%. In both tests, the activity of our target compounds were higher than the standard drugs used for treatment of peptic ulcer and ulcerative colitis. No side effects were reported on liver and kidney functions upon prolonged oral administration of this compounds. (C) 2017 The Authors. Production and hosting by Elsevier B.V. on behalf of King Saud University.

**Keywords**

**Author Keywords:** Liver functions; Ulcers; Dexamesathone; Quinazoline; Acetamide; Ulcerative colitis

**KeyWords Plus:** EXTRACTS

**Author Information**

**Reprint Address:** Awaad, AS (reprint author)

**Addresses:**

- Prince Sattam bin Abdulaziz Univ, Coll Pharm, Pharmacognosy Dept, PDB 173, Riyadh 11342, Saudi Arabia
- Sattam bin Abdulaziz Univ, Coll Pharm, Pharmacognosy Dept, Al Kharj 11942, Saudi Arabia
- Int Islamic Univ Malaysia, Kulliyyah Sci, Dept Chem, Kuala Lumpur, Malaysia
- Organization-Enhanced Name(s)
  - International Islamic University Malaysia
- Desert Res Ctr, Aromat & Med Plants Dept, Cairo, Egypt
1. **Acetamide Derivatives with Antioxidant Activity and Potential Anti-Inflammatory Activity**
   By: Autore, Giuseppina; Canuso, Anna; Marzocco, Stefania; et al.
   MOLECULES Volume: 15 Issue: 3 Pages: 2028-2038 Published: MAR 2010

2. **Natural products in treatment of ulcerative colitis and peptic ulcer**
   By: Awaad, Amani S.; El-Meligy, Reham M.; Soliman, Gamal A.
   JOURNAL OF SAUDI CHEMICAL SOCIETY Volume: 17 Issue: 1 Pages: 101-124 Published: JAN 2013

3. **Antiulcerogenic Activities of the Extracts and Isolated Flavonoids of Euphorbia cuneata Vahl**
   By: Awaad, Amani S.; Al-Jaber, Nabila A.; Moses, John E.; et al.
   PHYTOTHERAPY RESEARCH Volume: 27 Issue: 1 Pages: 126-130 Published: JAN 2013

4. **Anti-ulcerative colitis activity of compounds from Euphorbia granuleta Forssk.**
   By: Awaad, Amani S.; El-Meligy, Reham M.; Al-Jaber, Nabila A.; et al.
   Phytotherapy research: PTR Volume: 27 Issue: 11 Pages: 1729-34 Published: 2013-Nov (Epub 2013 Apr 11)

5. **Antiulcerogenic activity of a crude hydroalcoholic extract and coumarin isolated from Mikania laevigata Schultz Bip**
   By: Bighettia, AE; Antonio, MA; Kohn, LK; et al.
   PHYTOMEDICINE Volume: 12 Issue: 1-2 Pages: 72-77 Published: JAN 2005

6. **Prophylactic and curative anti-ulcerogenic activity and the possible mechanisms of action of some desert plants**
   By: El-Meligy, Reham M.; Awaad, Amani S.; Soliman, Gamal A.; et al.
   SAUDI PHARMACEUTICAL JOURNAL Volume: 25 Issue: 3 Pages: 387-396 Published: MAR 2017

7. **Prophylactic and curative anti-ulcerative colitis activity and the possible mechanisms of action of some desert plants**
   By: El-Meligy, Reham M.; Awaad, Amani S.; Soliman, Gamal A.; et al.
8. **Chemical composition of the volatile extract and antioxidant activities of the volatile and nonvolatile extracts of Egyptian corn silk (Zea mays L.)**
   By: Ghorab, K.; El-Massry, T.; Shibamoto, S.

9. **Novel thiourea derivatives bearing sulfonamide moiety as anticancer agents through COX-2 inhibition**
   By: Ghorab, Mostafa M.; El-Gaby, Mohamed S. A.; Alsaid, Mansour S.; et al.
   Anticancer Agents Med. Chem Volume: 32 Issue: 1 Pages: 893-907 Published: 2017

10. **Antileukotrienic phenethylamido derivatives of arylalkanoic acids in the treatment of ulcerative colitis**
    By: Ruan, Li; Chen, Yan; Zhou, Yunxian
    Inflammatoy Bowel Diseases Volume: 23 Issue: 3 Pages: 431-439 Published: MAR 2017

11. **Synthesis of biologically active 2-chloro-N-alkyl/arylacetamide derivatives**
    By: Katke, S.A.; Amrutkar, S.V.; Bhor, R.J.; et al.

12. **Synthesis and biological evaluation of largazole zinc-binding group analogs.**
    By: Kim, B.; Ratnayake, R.; Lee, H.; et al.
    Bioorg. Med. Chem. Published: 2017

13. **A NEW APPROACH TO PRACTICAL ACUTE TOXICITY TESTING**
    By: Lorké, D
    Archives of Toxicology Volume: 54 Issue: 4 Pages: 275-287 Published: 1993

14. **Synthesis and pharmacological study of ethyl 1-methyl-5-(substituted 3,4-dihydro-4-oxoquinazolin-3-yl)-1H-pyrazole-4-acetates**
    By: Maggio, B.; Daidone, G.; Raffa, D.; et al.
    European Journal of Medicinal Chemistry Volume: 66 Issue: 9 Pages: 737-742 Published: SEP 2017

15. **Host-microbial Cross-talk in Inflammatory Bowel Disease**
    By: Nagao-Kitamoto, Hiroko; Kamada, Nobuhiro
    Immune Network Volume: 17 Issue: 1 Pages: 1-12 Published: FEB 2017

16. **Resveratrol analogue (E)-4-acetoxy-2-[2-(3,4-diacetoxyphenyl)ethenyl]-quinazoline induces apoptosis via Fas-mediated pathway in HL-60 human leukemia cells**
    By: Park, Eun Young; Kim, Joo-Hi; Leem, Dong-Gyu; et al.
    Oncoology Reports Volume: 36 Issue: 6 Pages: 3577-3587 Published: DEC 2016

17. **Recent advance in anti inflammatory activity of benzothiazole derivatives**
    By: Patel, P.; Pillai, J.; Darji, N.; et al.

18. **Development and Validation of a Questionnaire to Assess the Quality of Life in Patients with Inflammatory Bowel Disease in Mainland China**
    By: Ruan, Jiayin; Chen, Yan; Zhou, Yunxian
    Inflammatoy Bowel Diseases Volume: 23 Issue: 3 Pages: 431-439 Published: MAR 2017

19. **Synthesis and biological evaluation of some novel 2-phenyl benzimidazole-1-acetamide derivatives as potential antihelmintic agents**
    By: Sawant, Ramesh; Kawade, Deepali
    Acta Pharmaceutica Volume: 61 Issue: 3 Pages: 353-361 Published: SEP 2011
20. **Effect of Emex spinosa, Leptadenia pyrotechnica, Haloxylon salicornicum and Ochradenus baccatus extracts on the reproductive organs of adult male rats**

By: Soliman, Gamal A.; Donia, Abd EI Raheim M.; Awaad, Amani S.; et al.

PHARMACEUTICAL BIOLOGY Volume: 50  Issue: 1  Pages: 105-112  Published: JAN 2012

Times Cited: 13

Showing 20 of 20  View All in Cited References page