Technology bulletin

CSIR-Indian Institute of Chemical Biology



3-INDOLYL FURANOIDS AS INHIBITORS OF MATRIX METALLOPROTEINASE-9 FOR PREVENTION OF GASTRIC ULCER AND OTHER INFLAMMATORY DISEASES

INTRODUCTION: Gastric ulcer is an open sore or raw area in the lining of the stomach. Small molecule inhibitors of MMPs are known to protect inflammation but give rise to gastric ulcer as severe side effect. Recent reports on the development of resistance to such compounds emphasize the need for further therapeutic development to control gastric inflammation. The inventors have unraveled the anti-inflammatory activities of 3-indolyl furanoid analogues along with their anti-ulcerous property. The antioxidant properties and significant activity to prevent gastric ulceration *in vivo* in mice model have been found to occur through depletion of lipid peroxidation, and via bringing superoxide dismutase activity and MMP-9 inhibitory activity to normalcy in mouse gastric tissues.

CHALLENGE/APPLICATION DOMAIN: The present invention relates to novel potent small molecule inhibitors namely 3-indolyl furanoids which are useful as potent anti-inflammatory agents and prevent gastric ulcer by inhibiting matrix metalloproteinase-9 (MMP-9) expression in gastric mucosal layer. The method of synthesis of lead molecule is straightforward, highly reproducible in excellent yields. The molecule also found to be non-toxic with good pharmacokinetic profiling which overall allow to consider its potential use for future translation in clinical aspect.

OPPORTUNITY: Competing technology is not available at present. Pharma and fine chemical industry can make 3-indolyl furanoids which are useful as potent anti-inflammatory agents and prevent gastric ulcer by inhibiting matrix metalloproteinase-9 (MMP-9) expression in gastric mucosal layer.

STAGE OF TECHNOLOGY DEVELOPMENT: Discovery and Development stage has been completed and partially completed the Preclinical Research stage.

REFERENCES/ PATENTS:

- Indian Patent (IN201711005308) filed 15-Feb-2017. Granted 24-Aug-2021 (Grant Number 375121), Jaisankar, P, Swarnakar, S, Chatterjee, S, Verma, S, Mandal, M, and Chaudhuri, S, R, 3-Indolyl Furanoids as Inhibitors of Matrix Metalloproteinase-9 for Prevention of Gastric Ulcer and other Inflammatory Diseases.
- US Patent (US20180230135) filed 15-Feb-2018. Granted 17-Mar-2020 (Grant Number 10590116), Jaisankar, P, Swarnakar, S, Chatterjee, S, Verma, S, Mandal, M, and Chaudhuri, S, R, 3-Indolyl Furanoids as Inhibitors of Matrix Metalloproteinase-9 for Prevention of Gastric Ulcer and other Inflammatory Diseases.

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