(19) INDIA

(22) Date of filing of Application :13/08/2022

(43) Publication Date : 26/08/2022

(54) Title of the invention : SYNTHESIS, CHARACTERIZATION AND EVALUATION OF INTEGERRIMIDE-A AS POTENTIAL ANTIMICROBIAL AND ANTICANCER AGENT

 (51) International classification (86) International Application No Filing Date (87) International Publication No (61) Patent of Addition to Application Number Filing Date (62) Divisional to Application Number Filing Date 	:A61K0038000000, C07K0007640000, C12Q0001020000, C12Q0001180000, A61K0031704000 :NA :NA :NA :NA :NA :NA :NA :NA	 (71)Name of Applicant : (1)Dr. ABHISHEK TIWARI Address of Applicant :Pharmacy Academy, IFTM University, Lodhipur-Rajput, Moradabad (U. P.)-244102 Moradabad
		Gurugram 7)Dr. AJAY PAL SINGH Address of Applicant :Department of Pharmacy, Integrated Academy of Management & Technology, Ghaziabad, Uttar Pradesh-201009, India Ghaziabad 8)Dr. ASHUTOSH AGGARWAL Address of Applicant :Department of Pharmacology, Seth G L Bihani S D College of Tech Education Srieangaagar Baiasthan-335501 India Srieanganagar

(57) Abstract :

The present invention reports the synthesis of cyclic heptapeptide, Integerrimide-A which was previously isolated from latex of Jatropha integerrima, accomplished through coupling of tetrapeptide fragment (Boc-Gly-L-Leu-L-Leu-OMe) with tri-peptide fragment (L-Thr-L-Pro-L-Tp-OMe) followed by cyclization of the linear heptapeptide unit under alkaline condition. The formation of newly synthesized cyclic compound was confirmed by means of spectral techniques including FT-IR, 1H-NMR, Mass spectroscopy along with elemental analyses. Integerimide-A was subjected for biological screening to evaluate antimicrobial and anticancer activities. The anti-bacterial activity was carried out by using foram +ve bacteria (B. subtilis, S. epidermidis) and Gram -ve bacteria (E. coli, P. aeruginosa, S. aureus and K. pneumonia). The anti-fungal activity was performed by using fungal strains like C. albicans, A. niger, T. mentagrophytes and M. audouinii. Similarly, the cytotoxic activity of synthesized cyclic peptide was carried out through MTT assay using Doxorubicin as standard drug on HCT116 and B16F10 cell lines. The cytotoxic effect was evaluated by determining the percentage inhibition of growth of HCT116 and B16F10 cell-lines. Then CTC50 (Concentration of test drug needed to inhibit cell growth by 50%) values were calculated by graphical extrapolation method. Different concentration of test, control and standard drug (120-7.5 µg/mL) were used for the cytotoxicity study. It was observed that this cyclic peptide exhibited significant antimicrobial and cytotoxic activity against cancer cell lines.

No. of Pages : 28 No. of Claims : 2