

(19)



(11) Patent Number: KE 947

(45) Date of grant: 25/11/2022

(12) PATENT

(51) Int.Cl.2016.01: A 61K 31/52, A 61P 31/22, A 61P 31/12

(21) Application Number:
KE/P/2021/3801

(22) Filing Date:
17/02/2021

(73) Owner:

JOMO KENYATTA UNIVERSITY OF AGRICULTURE AND TECHNOLOGY (JKUAT) of P. O. BOX.62000-00200, NAIROBI, Kenya

(72) Inventors:

CLIVE MOGAKA NYARIBO, BIOCHEMISTRY DEPARTMENT, SCHOOL OF MEDICAL SCIENCES, P. O. BOX 180-40500 NYAMIRA, KENYA; FLORENCE ATIENO NG'ONG'A, BIOCHEMISTRY DEPARTMENT, SCHOOL OF MEDICAL SCIENCES, P. O. BOX 62000-00200 NAIROBI, KENYA and STEVEN NYANJOM GER, BIOCHEMISTRY DEPARTMENT, SCHOOL OF MEDICAL SCIENCES, P. O. BOX 62000-00200 NAIROBI, KENYA

(74) Agent/address for correspondence:

DIRECTORATE OF INTELLECTUAL PROPERTY MANAGEMENT AND UNIVERSITY -INDUSTRY LIAISON, (JKUAT), P. O. BOX 62000-00200 NAIROBI, KENYA

(54) Title:

ACYCLOVIR DERIVATIVE FOR TREATMENT OF HERPES SIMPLEX VIRUS

(57) Abstract:

The present invention discloses an optimized acyclovir derivative, 2-[(6-methyl-6,9-dihydro-3H-purin-9-yl) methoxy]ethan-1-ol for development of a therapeutic drug for treatment of herpes simplex virus type 1 (HSV -1) and herpes simplex virus type 2 (HSV -2) diseases. The derivative was modelled and optimized through a computer aided drug design (CADD) using Chemsketch Software. Also described is a method of synthesizing the acyclovir derivative, starting with guanine using tetrahydrofuran as solvent, C-N bond formation using Tetra-n-butylammonium fluoride and Dimethylformamide, Dess-Martin Oxidation and use of sodium hydroxide to incorporate the hydroxyl group. Also described is a method of formulating anti-herpes simplex virus drug, comprising 2-[(6-methyl-6,9-dihydro-3H-purin-9-yl) methoxy]ethan-1-ol as the active pharmaceutical ingredient (API) prepared by direct compression and wet granulation techniques. The lead compound optimization involves, removal of amine and replacement of carbonyl with methyl group to generate optimized derivative with increased bioavailability

