980546A-6

IPOS

PCT WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 6 : C07D 263/32, A61K 31/42, C07D 413/12, C07C 275/28, 275/34, 275/42 — A1

(11) International Publication Number: WO 97/40028

(43) International Publication Date: 30 October 1997 (30.10.97)

(21) International Application Number: PCT/US97/06623

(22) International Filing Date: 21 April 1997 (21.04.97)

(30) Priority Data:

08/636,361	23 April 1996 (23.04.96)	US
08/801,780	14 February 1997 (14.02.97)	US
08/832,165	2 April 1997 (02.04.97)	US

(71) Applicant: VERTEX PHARMACEUTICALS INCORPORATED [US/US]; 130 Waverly Street, Cambridge, MA 02139-4242 (US).

(72) Inventors: ARMISTEAD, David, M.; Five Cutting Drive, Maynard, MA 01574 (US). BADIA, Michael, C.; 20 Meadowbrook Road, Bedford, MA 01730 (US). BEMIS, Guy, W.; 256 Appleton Street, Arlington, MA 02174 (US). BETHIEL, Randy, S.; 28 Haskell Street, Allston, MA 02134 (US). FRANK, Catharine, A.; 374 Simpson Road, Marlborough, MA 01752 (US). NOVAK, Perry, M.; 35 Debbie Lane, Milford, MA 01757 (US). RONKIN, Steven, M.; 39 Bridge Street #14, Watertown, MA 02172 (US). SAUNDERS, Jeffrey, O.; 164 Parker Street, Acton, MA 01720 (US).

(74) Agents: HALEY, James, F., Jr. et al.; Fish & Neave, 1251 Avenue of the Americas, New York, NY 10020-1104 (US).

(81) Designated States: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ARIPO patent (GH, KE, LS, MW, SD, SZ, UG), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG).

Published

With international search report.

(54) Title: UREA DERIVATIVES AS INHIBITORS OF IMPDH ENZYME

(57) Abstract

The present invention relates to a novel class of compounds which are IMPDH inhibitors. This invention also relates to pharmaceutical compositions comprising these compounds. The compounds and pharmaceutical compositions of this invention are particularly well suited for inhibiting IMPDH enzyme activity and consequently, may be advantageously used as therapeutic agents for IMPDH mediated processes. This invention also relates to methods for inhibiting the activity of IMPDH using the compounds of this invention and related compounds.