

Product Data Sheet

Product Name: Apilimod mesylate

Cat. No.: GC35374

Chemical Properties

Cas. No. 870087-36-8

SMILES CC1=CC=CC(/C=N/NC2=CC(N3CCOCC3)=NC(OCCC4=CC=CC=N4)=N2)=C1.CS(=O)(O)=O.CS(=O)(O)=O

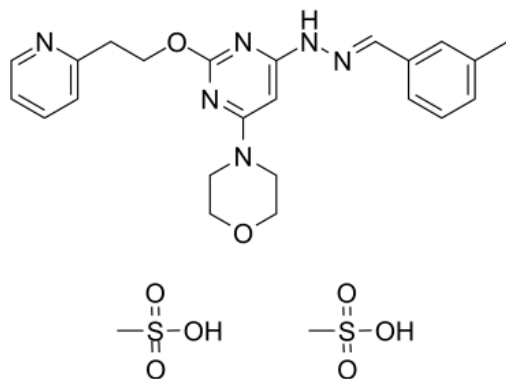
Formula $C_{25}H_{34}N_6O_8S_2$ M.Wt 610.7

Solubility Water: 100 mg/mL (163.75 mM); DMSO: 12.5 mg/mL (20.47 mM) Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Background

Apilimod(STA 5326) mesylate is a potent IL-12/IL-23 inhibitor, IL-12 production in cultures of IFN- γ /LPS-stimulated human PBMCs is strongly inhibited by STA-5326 with an IC₅₀ of 10 nM. IC₅₀ value: 10 nM (IFN- γ /LPS-stimulated human PBMCs) [1]IL-12/IL-23

in vitro: STA-5326 not only inhibited IL-12, but it also potently inhibited IL-23 and total p40 products in

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various forms as monomer, homodimer, and heterodimer in IFN- γ /SAC-stimulated human PBMCs [1]. STA-5326 inhibited IFN- γ production induced by either IFN- γ /SAC or SAC in human PBMCs, with an IC₅₀ of approximately 20 nM, while the compound had no significant effect on the production when T cells were stimulated using anti-CD3 and anti-CD28 antibodies, suggesting that the T-cell-receptor-dependent production of IFN- γ that occurs independently of suppression of Th1 cells via inhibition of IL-12 is not directly inhibited by the compound [1].

Patients with CD responded within 14 days of treatment with apilimod and, after 28 days, the drug significantly reduced the Crohn's disease activity index (CDAI). Apilimod is currently in phase II clinical trials for rheumatoid arthritis, common variable immunodeficiency and CD. From the data available to date, apilimod appears to be a promising treatment for CD, and the oral formulation of this compound provides an advantage for apilimod over injectable therapies [2]. Oral administration of either 5 mg/kg or 20 mg/kg STA-5326 reduced the severity of EAU on day 14 and 18. In addition, mice treated with 20 mg/kg STA-5326 showed significantly decreased severity of EAU by histopathological analysis [3].

[1]. Wada Y, et al. Selective abrogation of Th1 response by STA-5326, a potent IL-12/IL-23 inhibitor. *Blood*. 2007 Feb 1;109(3):1156-64. [2]. Billich A. Drug evaluation: apilimod, an oral IL-12/IL-23 inhibitor for the treatment of autoimmune diseases and common variable immunodeficiency. *IDrugs*. 2007 Jan;10(1):53-9. [3]. Keino H, et al. Therapeutic effect of the potent IL-12/IL-23 inhibitor STA-5326 on experimental autoimmune uveoretinitis. *Arthritis Res Ther*. 2008;10(5):R122.

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