
Product Data Sheet

Product Name: Decernotinib(VX-509)

Cat. No.: GC10770

Chemical Properties

Cas. No. 944842-54-0

Chemical Name (R,Z)-2-((2-(1H-pyrrolo[2,3-b]pyridin-3-yl)pyrimidin-4-yl)amino)-2-methyl-N-(2,2,2-trifluoroethyl)butanimidic acid

SMILES CC[C@]/(C(O)=N/CC(F)(F)F)(NC1=NC(C2=CNC3=C2C=CC=N3)=NC=C1)CFormula C₁₈H₁₉F₃N₆O

M.Wt 392.38

Solubility ≥ 16.95mg/mL in DMSO

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

Decernotinib(VX-509) is a novel, potent and selective inhibitor of JAK3 with Ki value of 2 nM [1].

The Janus kinase family consists of four members: JAK1, JAK2, JAK3, and TYK2. Janus kinase 3 (JAK3) is mainly expressed in lymphocytes, which are cells important to the immune response associated with many diseases, including rheumatoid arthritis (RA) [1].

Decernotinib(VX-509) is a novel, potent, selective and orally available JAK3 inhibitor for the treatment of autoimmune diseases. VX-509 inhibited JAK1, JAK2, JAK3, and TYK2 with Ki values of 11, 13, 2 and 11 nM, respectively. In HT-2 or TF-1 cells, VX-509 inhibited JAK3/1- or JAK2-mediated phosphorylation of STAT5 following stimulation with IL-2 or GM-CSF with IC50 values of 99 and 2600 nM, respectively [1].

In the rat host versus graft (HvG) model, VX-509 at 50 mg/kg bid or 100 mg/kg qd

Caution: Product has not been fully validated for medical applications. For research use only.

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significantly and dose-dependently inhibited popliteal lymph node (PLN) hyperplasia by 66% and 94%, respectively, relative to cyclosporin A (CsA). VX-509 also significantly reduced CD25 expression. These results suggested that VX-509 showed significant dose-dependent immunosuppressive activity and effectively inhibited T-cell activation [1]. In the rat collagen-induced arthritis model, VX-509 dose-dependently reduced ankle swelling and paw weight, and improved paw histopathology scores. In oxazolone-induced delayed-type hypersensitivity mouse model, VX-509 reduced the T cell-mediated inflammatory response in skin [2].

References:

- [1]. Farmer LJ, Ledebor MW, Hock T, et al. Discovery of VX-509 (Decernotinib): A Potent and Selective Janus Kinase 3 Inhibitor for the Treatment of Autoimmune Diseases. *J Med Chem*, 2015, 58(18): 7195-7216.
- [2]. Mahajan S, Hogan JK, Shlyakhter D, et al. VX-509 (decernotinib) is a potent and selective janus kinase 3 inhibitor that attenuates inflammation in animal models of autoimmune disease. *J Pharmacol Exp Ther*, 2015, 353(2): 405-414.

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