For Research Use Only Decernotinib



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Catalog Number: CM04891

产品信息

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CAS号: 944842-54-0

分子式: C₁₈H₁₉F₃N₆O

主要靶点: Tyrosine Kinases JAK

干细胞|表观遗传|JAK/STAT信号通路|血管生成|蛋白酪氨酸激酶

分子量: 392.38 溶解度:

Ethanol:16 mg/mL (40.8 mM),DMSO:72 mg/mL (183.5 mM),H2O:<1 mg/mL

F H₃C H₄C NH

靶点活性

JAK3:2.5 nM(Ki)

体外活性

In HT-2 cells, Decernotinib inhibits IL-2-stimulated HT-2 STAT-5 phosphorylation, human T-cell blast proliferation, and CD40L/IL-4-induced B-cell proliferation. [1]

体内活性

 $In a \ rat \ model \ of collagen-induced \ arthritis, \ VX-509 \ (50 \ mg/kg, \ p.o.) \ results \ in \ dose-dependent \ reduction \ in \ ankle \ swelling \ and \ reduced \ re$ paw weight and improved paw histopathology scores. In a mouse model of oxazolone-induced delayed-type hypersensitivity, VX-509 (50 mg/kg, p.o.) significantly suppresses ear edema. [1] In a rat HvG model, VX-509 (50 mg/kg, p.o.) results in dosedependent inhibition of popletial lymph node (PLN) hyperplasia. [2]

细胞实验

Frozen purified human B cells atr thawed, washed, and resuspended in complete medium. Cells are plated onto a 96-well plate at a density of 2 \times 105 cells/well. VX-509 is added, and plates are incubated for 30 minutes at 37°C, followed by stimulation with a combination of 10 ng/ml IL-4 and 1 μ g/ml CD40L. DMSO alone is added to the top two rows, one of which is stimulated with IL-4 or CD40L (negative control) and the other of which served as a proliferation control. The plates are incubated at 37°C for 6 days. On day 6, cells are pulsed with [3H]thymidine for 7 hours and harvested onto filters for radioactive determination using a PerkinElmer-Wallace beta counter (1205 Betaplate Beta Liquid Scintillation Counter). Data are analyzed with Softmax pro software to generate an IC50 value.(Only for Reference)

Decemotinib(VX-509; VRT-831509) is a potent and selective Janus kinase 3 (JAK3) inhibitor with Ki of 2.5 nM; IC50 is 50-170 nM in cellular assays.

储存

Powder: -20°C for 3 years | In solvent: -80°C for 2 years