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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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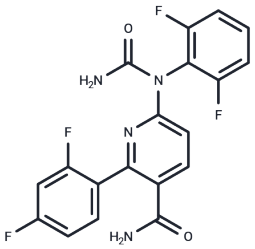
Data Sheet (Cat.No.T2513)

TargetMol

VX-702

Chemical Properties



CAS No. : 745833-23-2

Formula: C19H12F4N4O2

Molecular Weight: 404.32

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	VX-702 is a highly specific p38α MAPK inhibitor, 14-fold higher selectivity for the p38α than p38β. VX-702 is a small molecule investigational oral anti-cytokine therapy for treatment of inflammatory diseases, specifically rheumatoid arthritis (RA).
Targets(IC50)	p38 MAPK,Autophagy
In vitro	Administering VX-702 (0.1 mg/kg) twice daily exhibited effects similar to those of methotrexate (0.1 mg/kg). Furthermore, the efficacy of administering VX-702 (5 mg/kg) twice daily was akin to that of a once-daily dose of prednisolone (10 mg/kg). The MI/AAR ratio in the group treated with VX-702 (50 mg/kg) was significantly lower compared to both the control group and the group treated with VX-702 at 5 mg/kg. VX-702 has a half-life of 16-20 hours, a clearance volume of 3.75 L, and a distribution volume of 73 L/kg. It selectively inhibits the activity of p38 MAPK without affecting ERKs and JNKs.
In vivo	VX-702 does not inhibit platelet aggregation induced by p38 MAPK activators. Treatment with VX-702 (1 μM) can completely or partially suppress p38 activity induced by various platelet activators, including thrombin, AYPGKF, SFLLRN, U46619, and collagen, with an IC50 ranging from 4-20 nM. Additionally, VX-702 dose-dependently inhibits the production of IL-6 (IC50: 59 ng/ml), IL-1β (IC50: 122 ng/ml), and TNFα (IC50: 99 ng/ml).
Kinase Assay	HDAC enzyme assay in vitro: The deacetylase enzyme assay is based on a homogeneous fluorescence release assay. Purified recombinant HDAC enzymes are incubated with MGCD0103 diluted in various concentrations for 10 minutes in assay buffer [25 mM HEPES (pH 8.0), 137 mM NaCl, 1 mM MgCl2, 2.7 mM KCl] at room temperature. The substrate Boc-Lys(ε-Ac)-AMC is added to the reaction for further incubation at 37 °C. The concentration of the substrate and the incubation time varies for different isotypes of HDAC enzymes. A 20-min trypsin incubation at room temperature allows the release of the fluorophore from the deacetylated substrate. The fluorescent signal is detected by fluorometer at excitation of 360 nm, emission of 470 nm, and cutoff at 435 nm.

Solubility Information

Solubility	Ethanol: < 1 mg/mL (insoluble or slightly soluble), H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 60 mg/mL (148.4 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4733 mL	12.3664 mL	24.7329 mL
5 mM	0.4947 mL	2.4733 mL	4.9466 mL
10 mM	0.2473 mL	1.2366 mL	2.4733 mL
50 mM	0.0495 mL	0.2473 mL	0.4947 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Kuliopulos A, et al. Thromb Haemost, 2004, 92(6), 1387-1393.
Braddock M, IDDB Meeting Report, 2005, March 14-15.