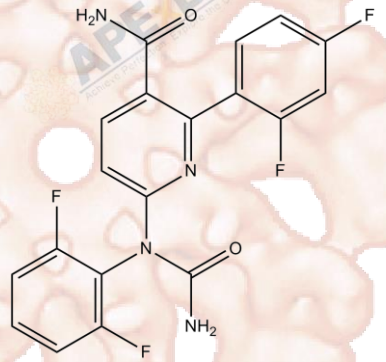
Product Data Sheet

VX-702



Cat. No.:	A8687
CAS No.:	479543-46-9; 745833-23-2
Formula:	C19H12F4N4O2
M.Wt:	404.33
Synonyms:	
Target:	MAPK Signaling
Pathway:	p38
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

insoluble in H2O; ⩾20.2 mg/mL in DMSO; ⩾3.88 mg/mL in EtOH with ultrasonic

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	2.4732 mL	12.3661 mL	24.7323 mL
5 mM	0.4946 mL	2.4732 mL	4.9465 mL
10 mM	0.2473 mL	1.2366 mL	2.4732 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	P38α MAPK inhibitor,highly selective and ATP-competitive
IC_{50} & Target	4 nM-20 nM (p38α)

In Vitro

Cell Viability Assay

Cell Line:	blood platelets
Preparation method：	The solubility of this compound in DMSO is > 20.2 mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions:	IC50: 4 to 20 nM

	Applications:	In an ex vivo blood assay primed with LPS, VX-702 dose-dependently inhibited the production of IL-6, IL-1β and TNFα with the IC50 of 59, 122 and 99 ng/ml, respectively. In gel-filtered platelets were prepared from healthy individuals, the activation was completely or partially inhibited by pre-incubation with 1 μM of VX-702 (IC50 = 4 to 20 nM). VX-702 had no effect on platelet aggregation induced by any of the p38 MAPK agonists, such as thrombin, SFLLRN, AYPGKF and collagen, in the presence or absence of platelet inhibitors, such as aspirin, heparin or apyrase. VX-702 did not directly cause platelet aggregation or induce Ca2+ mobilization, or affect basal aggregation induced by shear stress. VX-702 did not significantly affect platelet function and would not be expected to contribute to an elevated risk of hematological side effects in treated patients.
In Vivo	**Animal experiment**	
	Animal models:	Mouse collagen-induced arthritis
	Dosage form:	Oral administration, 0.1 mg/kg, 5 mg/kg, twice daily
	Applications:	VX-702 (0.1 mg/kg twice daily) was equivalent to methotrexate (a commonly used disease modifying antirheumatic drug [DMARD]; also at 0.1 mg/kg) in mouse collagen-induced arthritis. VX-702 (5 mg/kg, twice daily) was found to be equivalent to prednisolone (10 mg/kg, once daily) in the same model, as measured by the percentage inhibition of wrist joint erosion and an inflammation score. Male Sprague Dawley rats with myocardial damage after ischemia-reperfusion injury were randomized to receive either vehicle or VX-702 (5 or 50 mg/kg). The results suggested that phosphor MK2 was markedly increased in the ischemic zone tissue compared with the non-ischemic zone tissue in the vehicle group. This effect was dose-dependently reduced in the VX-702 groups. VX-702 selectively inhibited activation of p38 MAPK after ischemia, with no effects on ERKs and JNKs. The MI/AAR ratio was significantly reduced in the 50-mg/kg group compared with the other two groups. Oral administration of VX-702 reduced myocardial damage after ischemia-reperfusion injury.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

See more customer validations on www.apexbt.com.

References

[1]. Ding C. Drug evaluation: VX-702, a MAP kinase inhibitor for rheumatoid arthritis and acute coronary syndrome[J]. Current opinion in investigational drugs, 2006, 7(11): 1020-1025.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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