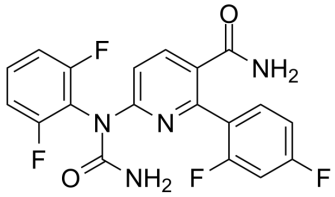
VX-702

Cat. No.:	HY-10401
CAS No.:	745833-23-2
Molecular Formula:	$C_{19}H_{12}F_4N_4O_2$
Molecular Weight:	404.32
Target:	p38 MAPK; Autophagy
Pathway:	MAPK/ERK Pathway; Autophagy
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 42 mg/mL (103.88 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
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

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.18 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.18 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

VX-702 is a highly selective inhibitor of p38α MAPK, 14-fold higher potency against the p38α versus p38β[1].

IC_{50} & Target

p38α MAPK[1]

In Vitro

Pre-incubation of platelets with VX-702 (1 μM) completely or partially inhibits p38 activation (IC50 4 to 20 nM) induced by platelet agonists including thrombin, SFLLRN, AYPGKF, U46619 and collagen. VX-702 shows no effect on platelet aggregation induced by any of the p38 MAPK agonists in the presence or absence of anti-platelet therapies[1].

VX-702 inhibits the production of IL-6, IL-1β and TNFα (IC50 = 59, 122 and 99 ng/mL, respectively) in a dose-dependent manner[2].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	The half-life of VX-702 is 16 to 20 hours, with a median clearance of 3.75 L/h and a volume of distribution of 73 L/kg. Both AUC and Cmax values are dose proportional for VX-702, which is predominantly cleared renally[2]. VX-702 (at a dose of 0.1 mg/kg twice daily) has an equivalent effect as that of methotrexate (0.1 mg/kg). In addition, VX-702 (5 mg/kg twice daily) also has an equivalent effect as prednisolone (10 mg/kg once daily), as measured by percentage inhibition of wrist joint erosion and inflammation score[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Elife. 2020 Dec 7;9:e61405.
- Cancer Manag Res. 2020 Nov 6;12:11371-11382.
- Harvard Medical School LINCS LIBRARY

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REFERENCES

[1]. Kuliopulos A, et al. Effect of selective inhibition of the p38 MAP kinase pathway on platelet aggregation. Thromb Haemost, 2004, 92(6), 1387-1393.

[2]. Braddock M, IDDB Meeting Report, 2005, March 14-15.

[3]. Gill A, IDDB Meeing Report, 2002, March 06-08.

[4]. Naka K, et al. Dipeptide species regulate p38MAPK-Smad3 signalling to maintain chronic myelogenous leukaemia stem cells. Nat Commun. 2015 Aug 20;6:8039.

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