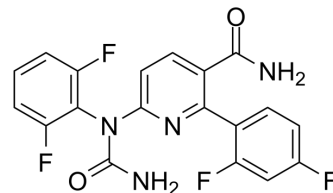


VX-702

Cat. No.:	HY-10401		
CAS No.:	745833-23-2		
Molecular Formula:	C ₁₉ H ₁₂ F ₄ N ₄ O 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

- 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
- 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].

IC₅₀ & 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 Meeting 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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Caution: Product has not been fully validated for medical applications. For research use only.

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