产品名称：**VX-702**
产品别名：**VX-702**

生物活性：

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

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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 **In Vitro** 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.5 mg/mL (6.18 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (6.18 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。

2.请依序添加每种溶剂： 10% DMSO →90% corn oil

Solubility: ≥ 2.5 mg/mL (6.18 mM); Clear solution

	此方案可获得 ≥ 2.5 mg/mL (6.18 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
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.