产品名称：**XL019**
产品别名：**XL019**

生物活性：

Description

XL019 is a potent，orally active, and selective JAK2 inhibitor, with IC50s of 2.2, 134.3, and 214.2 nM for JAK2, JAK1 and JAK3, respectively. XL019 shows 50-fold or greater selectivity for JAK2, versus a panel of over 100 serine/threonine and tyrosine kinases, including other members of the JAK family. XL019 potently inhibits STAT3 and STAT5 phosphorylation in cells harboring either JAK2V617F or wild-type JAK2[1][2].

IC_{50} & Target

JAK2	JAK3
2.2 nM (IC_{50})	214.2 nM (IC_{50})

In Vivo

XL019 (100-300 mg/kg; p.o.; twice daily for 14 days) inhibits HEL.92.1.7 xenograft tumor growth[1].

XL019 (10 mg/kg) treatment shows that the Cmax, t1/2 and Vd were 5.24 μM, 1.94 hours, 5.319 L/kg, respectively[1].

Animal Model:	Female nude mice (HEL.92.1.7 xenograft tumors)[1]
Dosage:	100, 200, 300 mg/kg
Administration:	p.o.; twice daily for 14 days
Result:	Inhibition of HEL.92.1.7 xenograft tumor growth.
Animal Model:	Mouse[1]
Dosage:	10 mg/kg
Administration:	p.o.(Pharmacokinetic Analysis)
Result:	The C_{max}, $t_{1/2}$ and V_d were 5.24 μM, 1.94 hours, and 5.319 L/kg, respectively.

Solvent&Solubility

In Vitro:

DMSO : 25 mg/mL (56.24 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2496 mL	11.2478 mL	22.4957 mL
	5 mM	0.4499 mL	2.2496 mL	4.4991 mL
	10 mM	0.2250 mL	1.1248 mL	2.2496 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 (5.62 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (5.62 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。
References	[1]. Forsyth T, et al. SAR and in vivo evaluation of 4-aryl-2-aminoalkylpyrimidines as potent and selective Janus kinase 2 (JAK2) inhibitors. Bioorg Med Chem Lett. 2012 Dec 15;22(24):7653-8.