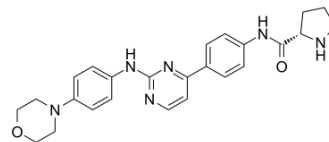


XL019

Cat. No.:	HY-13775		
CAS No.:	945755-56-6		
Molecular Formula:	C ₂₅ H ₂₈ N ₆ O ₂		
Molecular Weight:	444.53		
Target:	JAK; Apoptosis		
Pathway:	Epigenetics; JAK/STAT Signaling; Stem Cell/Wnt; Apoptosis		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro	DMSO : 25 mg/mL (56.24 mM; Need ultrasonic)				
		Solvent Concentration	Mass 1 mg	5 mg	10 mg
	Preparing Stock Solutions	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	
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 (5.62 mM); Clear solution				

BIOLOGICAL ACTIVITY

Description	XL019 is a potent, orally active, and selective JAK2 inhibitor, with IC ₅₀ s 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]} .	
IC₅₀ & Target	JAK2 2.2 nM (IC ₅₀)	JAK3 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 C _{max} , t _{1/2} and V _d were 5.24 μM, 1.94 hours, 5.319 L/kg, respectively ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.	

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.

CUSTOMER VALIDATION

- Science. 2017 Dec 1;358(6367). pii: eaan4368.
- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.
- Harvard Medical School LINCS LIBRARY

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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.

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

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