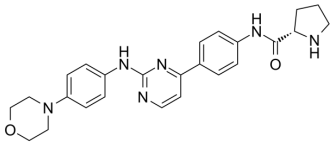
XL019

Cat. No.:	HY-13775
CAS No.:	945755-56-6
Molecular Formula:	$C_{25}H_{28}N_6O_2$
Molecular Weight:	444.53
Target:	JAK; Apoptosis
Pathway:	Epigenetics; JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; Stem Cell/Wnt; Apoptosis
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 : 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

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].

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 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):eaan4368.
- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.
- Ecotoxicol Environ Saf. 2022 Nov 11;248:114268.
- 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.

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