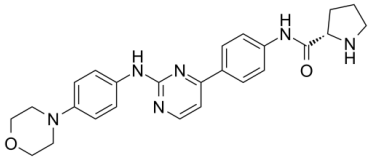
Data Sheet

Product Name:	XL019
Cat. No.:	CS-1620
CAS No.:	945755-56-6
Molecular Formula:	C25H28N6O2
Molecular Weight:	444.53
Target:	Apoptosis; JAK
Pathway:	Apoptosis; Epigenetics; JAK/STAT Signaling; Stem Cell/Wnt
Solubility:	DMSO : 25 mg/mL (56.24 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

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]. **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].

PROTOCOL (Extracted from published papers and Only for reference)

Animal administration [1] XL019 is administered orally to mice bearing HEL92.1.7 tumors and inhibition of STAT phosphorylation is measured after 4 h. A significant inhibition of downstream markers pSTAT1 and pSTAT3 is observed at 30, 100, and 300 mg/kg resulting in an ED50 of 42 mg/kg (pSTAT1) and 210 mg/kg (pSTAT3) .

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.

CAIndexNames:

2-Pyrrolidinecarboxamide, N-[4-[2-[[4-(4-morpholinyl)phenyl]amino]-4-pyrimidinyl]phenyl]-, (2S)-

SMILES:

O=C([C@H]1NCCC1)NC2=CC=C(C3=NC(NC4=CC=C(N5CCOCC5)C=C4)=NC=C3)C=C2

Tel: 732-484-9848 Fax: 888-484-5008 E-mail: sales@ChemScene.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA