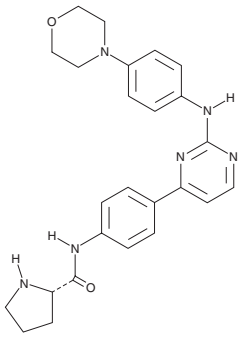
PRODUCT INFORMATION

XL019

Item No. 16298



CAS Registry No.:	
Formal Name:	(2S)-N-[4-[2-[[4-(4-morpholinyl)phenyl]amino]-4-pyrimidinyl]phenyl]-2-pyrrolidinecarboxamide
Synonyms:	945755-56-6
MF:	$C_{25}H_{28}N_6O_2$
FW:	444.5
Purity:	≥90%
UV/Vis.:	λ_{max}: 300 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

XL019 is supplied as a crystalline solid. A stock solution may be made by dissolving the XL019 in the solvent of choice. XL019 is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of XL019 in these solvents is approximately 10 and 5 mg/ml, respectively.

XL019 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, XL019 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. XL019 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Janus-associated kinases (JAKs) are cytoplasmic tyrosine kinases that are required for activating the signaling of certain cytokines and growth factor receptors. Many myeloproliferative diseases have been linked to a mutation in JAK2 where a switch from valine to phenylalanine occurs at the 617 position (V617F).[1] Furthermore, constitutive activation of the JAK2 signaling pathway is associated with aggressive adult T cell leukemia/lymphoma.[2] XL019 is a potent, bioavailable JAK inhibitor with preference for JAK2 (IC_{50} = 2 nM) over JAK1 and JAK3 (IC_{50}s = 130 and 250 nM, respectively).[3,4] It is effective against $JAK2^{V617F}$ as well as JAK2 and inhibits phosphorylation of STAT5 *in vivo*.[5]

References

1. Gozgit, J.M., Bebernitz, G., Patil, P., *et al.* Effects of the JAK2 inhibitor, AZ960, on Pim/BAD/BCL-xL survival signaling in the human JAK2 V617F cell line SET-2. *J. Biol. Chem.* **283(47)**, 32334-32343 (2008).
2. Yang, J., Ikezoe, T., Nishioka, C., *et al.* AZ960, a novel Jak2 inhibitor, induces growth arrest and apoptosis in adult T-cell leukemia cells. *Mol. Cancer Ther.* **9(12)**, 3386-3395 (2010).
3. Forsyth, T., Kearney, P.C., Kim, B.G., *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.* **22(24)**, 7653-7658 (2012).
4. Verstovsek, S. Therapeutic potential of JAK2 inhibitors. *Hematology Am. Soc. Hematol. Educ. Program* **2009(1)**, 636-642 (2009).
5. Furqan, M., Mukhi, N., Lee, B., *et al.* Dysregulation of JAK-STAT pathway in hematological malignancies and JAK inhibitors for clinical application. *Biomark. Res.* **1(1)**, 1-10 (2013).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM