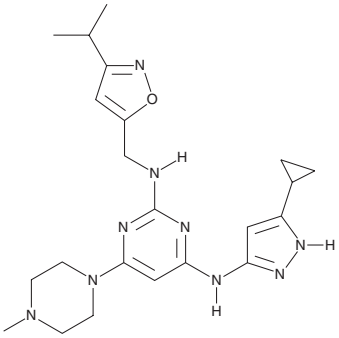
PRODUCT INFORMATION

XL228

Item No. 21506



CAS Registry No.:	898280-07-4
Formal Name:	N^4-(5-cyclopropyl-1H-pyrazol-3-yl)-N^2-[[3-(1-methylethyl)-5-isoxazolyl]methyl]-6-(4-methyl-1-piperazinyl)-2,4-pyrimidinediamine
MF:	$C_{22}H_{31}N_9O$
FW:	437.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 236, 291 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

XL228 is supplied as a crystalline solid. A stock solution may be made by dissolving the XL228 in the solvent of choice, which should be purged with an inert gas. XL228 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of XL228 in ethanol is approximately 16.67 mg/ml and 30 mg/ml in DMSO and DMF.

XL228 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, XL228 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. XL228 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

XL228 is a tyrosine kinase inhibitor.[1,2] It inhibits the receptor tyrosine kinases insulin-like growth factor 1 receptor (IGF-1R) and FGFR2 (IC_{50}s = 1.6 and <20 nM, respectively), as well as the non-receptor tyrosine kinases Bcr-Abl, Aurora A, LYN, and Src (IC_{50}s = 5, 3.1, 2, and 6.1 nM, respectively). XL228 inhibits proliferation of chronic myelogenous leukemia (CML) and acute lymphoblastic leukemia (ALL) cell lines (IC_{50}s = <100 nM).[3]

References

1. Cortes, J., Paquette, R., Talpaz, M., *et al.* Preliminary clinical activity in a phase I trial of the BCR-ABL/IGF-1R/aurora kinase inhibitor XL228 in patients with Ph^++ leukemias with either failure to multiple TKI therapies or with T315I mutation. *Blood* **112(11)**, 3232 (2008).
2. Clary, D.O., Ollmann, M.M., Detmer, S.A., *et al.* Abstract C192: Characterization of the target profile of XL228, a multi-targeted protein kinase inhibitor in phase 1 clinical development. *Mol. Cancer. Ther.* **8(12 Suppl)**, C192 (2009).
3. Shah, N.P., Kasap, C., Paquette, R., *et al.* Targeting drug-resistant CML and Ph+-ALL with the spectrum selective protein kinase inhibitor XL228. *Blood* **110(11)**, 474 (2007).

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