

XL228

Catalog No: tcsc1648



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

898280-07-4

Formula:

$C_{22}H_{31}N_9O$

Pathway:

Protein Tyrosine Kinase/RTK;Protein Tyrosine Kinase/RTK;Cell Cycle/DNA Damage;Epigenetics;Protein Tyrosine Kinase/RTK

Target:

Src;Bcr-Abl;Aurora Kinase;Aurora Kinase;IGF-1R

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Observed Molecular Weight:

437.54

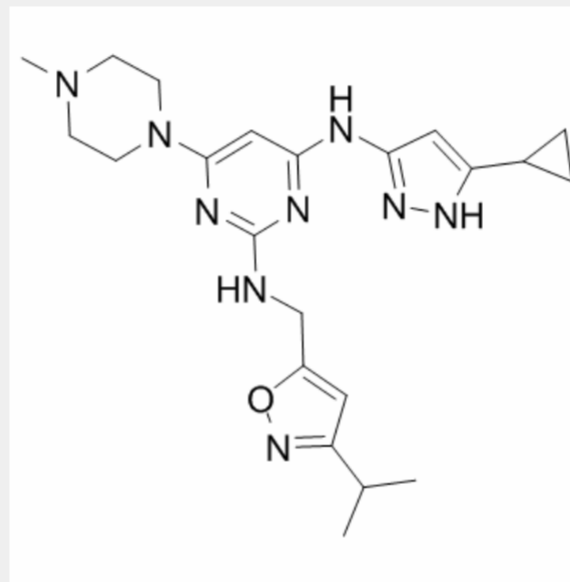
Product Description

XL228 is a multi-targeted tyrosine kinase inhibitor with **IC₅₀s** of 5, 3.1, 1.6, 6.1, 2 nM for **Bcr-Abl, Aurora A, IGF-1R, Src** and **Lyn**, respectively.

IC50 & Target: IC50:5 nM (Bcr-Abl), 3.1 nM (Aurora A), 1.6 nM (IGF-1R), 6.1 nM (Src), 2 nM (Lyn)^[1]

In Vitro: XL228 shows a broad pattern of protein kinase inhibition, including the tyrosine kinases IGF1R, SRC, ABL, FGFR1-3, and ALK and the serine/threonine kinases Aurora A and Aurora B. A panel of kinase inhibitors including XL228 is profiled against a series of cancer cell lines with known alterations in major signaling pathways. Approximately 30% of the lines demonstrate XL228 IC₅₀ values of [2]. It displays low nanomolar biochemical activity against wild type Abl kinase ($K_i=5$ nM), as well as the T315I form of Abl resistant to imatinib and dasatinib ($K_i=1.4$ nM). XL228 inhibits phosphorylation of BCR-ABL and its substrate STAT5 in K562 cells *in vitro* with IC₅₀s of 33 and 43 nM, respectively^[3].

In Vivo: Single-dose pharmacodynamics studies demonstrate a potent effect of XL228 on BCR-ABL signaling in K562 xenograft tumors. Phosphorylation of BCR-ABL is decreased by 50% at XL228 plasma concentrations of 3.5 μ M; a similar decrease in phospho-STAT5 occurred at 0.8 μ M plasma concentration^[3].



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