Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

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

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XL228 is a multi-targeted tyrosine kinase inhibitor with **IC_{50}**s 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 IC_{50} 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 IC_{50}s 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 μM; a similar decrease in phospho-STAT5 occurred at 0.8 μM plasma concentration[3].