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

Infigratinib phosphate

Catalog No: tcsc1559

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
1310746-10-1

Formula:
$C_{26}H_{34}Cl_2N_7O_7P$

Pathway:
Protein Tyrosine Kinase/RTK

Target:
FGFR

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Alternative Names:
BGJ-398 phosphate; NVP-BGJ398 (phosphate)

Observed Molecular Weight:
658.47

Product Description

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Infigratinib phosphate (BGJ-398 phosphate) is a potent inhibitor of the **FGFR** family with **IC_{50}** of 0.9 nM, 1.4 nM, 1 nM, and 60 nM for **FGFR1**, **FGFR2**, **FGFR3**, and **FGFR4**, respectively.

IC50 & Target: IC50: 0.9 nM (FGFR1), 1.4 nM (FGFR2), 1 nM (FGFR3), 60 nM (FGFR4)[1]

In Vitro: Infigratinib phosphate inhibits FGFR1, FGFR2, and FGFR3 with IC_{50}=~1 nM, $FGFR3^{K650E}$ with IC_{50}=4.9 nM, and FGFR4 with IC_{50}=60 nM. IC_{50} values for all other kinases are in the μM range (FYN, LCK, YES, and ABL, IC_{50}=1.9, 2.5, 1.1, and 2.3 μM, respectively) except for VEGFR2, KIT, and LYN, which are inhibited at submicromolar concentrations (IC_{50}=0.18, 0.75, and 0.3 μM, respectively). Infigratinib inhibits the proliferation of the FGFR1-, FGFR2-, and FGFR3-dependent BaF3 cells with IC_{50} values which are in the low nanomolar range and comparable to those observed for the inhibition of the receptors kinase activity in the enzymatic assay. For the remaining cells, all IC_{50} values are greater than 1.5 μM except for VEGFR2 (IC_{50} 1449 and 938 nM), for which there is at least a 400-fold selectivity versus FGFR1, FGFR2, and FGFR3[1]. Infigratinib (ranging between 1 nM and 10 μM) is potent at inhibiting cell growth of *FGFR2*-mutant endometrial cancer cells[2].

In Vivo: Infigratinib is administered to athymic nude mice implanted subcutaneously with RT112/luc1 tumors: either as a 5 mg/kg intravenous bolus in NMP/PEG200 (1:9, v/v) or orally by gavage as a suspension in PEG300/D5W (2:1, v/v) at a 20 mg/kg dose. The relevant pharmacokinetic (PK) parameters indicate that the oral bioavailability of Infigratinib in this study is 32%. After intravenous dosing, Infigratinib shows a rapid distribution from the vascular compartment into the peripheral tissues, translating into a high volume of distribution (26 L/kg). The plasma clearance is high at 3.3 L/h/kg (61% of liver blood flow). The ratio of tumor to plasma after oral dosing based on AUC is determined to be 10[1]. Infigratinib (30 mg/kg) significantly inhibits the growth of *FGFR2*-mutated endometrial cancer xenograft models[2].