Web: www.taiclone.com
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Ponatinib

Catalog No: tcsc0204

Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Size: 1g

Size: 2g

Specifications

CAS No:
943319-70-8

Formula:
$C_{29}H_{27}F_3N_6O$

Pathway:
Protein Tyrosine Kinase/RTK;Protein Tyrosine Kinase/RTK;Protein Tyrosine Kinase/RTK;Protein Tyrosine Kinase/RTK;Autophagy;Protein Tyrosine Kinase/RTK

Target:
Src;VEGFR;Bcr-Abl;PDGFR;Autophagy;FGFR

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 50 mg/mL (93.89 mM)

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Alternative Names:
AP24534

Observed Molecular Weight:
532.56

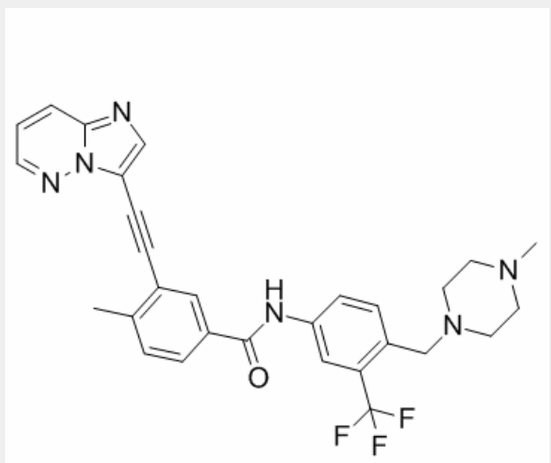
Product Description

Ponatinib is a potent, orally available multi-targeted kinase inhibitor with **IC_{50}** of 0.37 nM, 1.1 nM, 1.5 nM, 2.2 nM, and 5.4 nM for **Abl** , **PDGFRα**, **VEGFR2**, **FGFR1**, and **Src**, respectively.

IC50 & Target: IC50: 0.37 nM (Abl), 0.24 nM (Lyn), 1.1 nM (PDGFRα), 1.5 nM (VEGFR2), 2.2 nM (FGFR1), 5.4 nM (Src)[1]

In Vitro: Ponatinib (AP24534) potently inhibits native ABL (IC_{50}: 0.37 nM), ABL^{T315I} (IC_{50}: 2.0 nM), and other clinically important ABL kinase domain mutants (IC_{50}: 0.30-0.44 nM). Ponatinib also inhibits SRC (IC_{50}: 5.4 nM) and members of the VEGFR, FGFR, and PDGFR families of receptor tyrosine kinases. Ponatinib potently inhibits proliferation of Ba/F3 cells expressing native BCR-ABL (IC_{50}: 0.5 nM). All BCR-ABL mutants tested remained sensitive to Ponatinib (IC_{50}: 0.5-36 nM) including $BCR\text{-}ABL^{T315I}$ (IC_{50}: 11 nM)[1]. Ponatinib (AP24534) inhibits the in vitro kinase activity of FLT3, KIT, FGFR1, and PDGFRα with IC_{50} values of 13, 13, 2, and 1 nM, respectively. Ponatinib inhibits phosphorylation of all 4 RTKs in a dose-dependent manner, with IC_{50} values between 0.3 to 20 nM. Consistent with these activated receptors being important in driving leukemogenesis Ponatinib also potently inhibits the viability of all 4 cell lines with IC_{50} values of 0.5 to 17 nM. In contrast, the IC_{50} for inhibition of RS4;11 cells which express native (unmutated) FLT3, is more than 100 nM[2].

In Vivo: In a survival model in which mice are instead injected with Ba/F3 $BCR\text{-}ABL^{T315I}$ cells, administration of Dasatinib at doses as high as 300 mg/kg has no effect on survival time. By contrast, treatment with Ponatinib (AP24534) prolongs survival in a dose-dependent manner. Ponatinib dosed orally for 19 days at 5, 15, and 25 mg/kg prolongs median survival to 19.5, 26, and 30 days, respectively compare to 16 days for vehicle-treated mice (pT315I cells are injected subcutaneously into mice. Tumor growth is inhibited by Ponatinib in a dose-dependent manner compare to vehicle-treated mice, with significant suppression of tumor growth upon daily oral dosing at 10 and 30 mg/kg (%T/C = 68% and 20%, respectively; p[1]. Ponatinib (1-25 mg/kg) is administered orally, once daily for 28 days, to mice bearing MV4-11 xenografts. Ponatinib potently inhibits tumor growth in a dose-dependent manner. Administration of 1 mg/kg, the lowest dose tested, leads to significant inhibition of tumor growth (TGI=46%, P[2].



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