

Saracatinib

Catalog No: tcsc0101

Available Sizes Size: 10mg Size: 50mg Size: 100mg Size: 200mg Size: 500mg Size: 1g Size: 2g **Specifications** CAS No: 379231-04-6 Formula:

 $C_{27}H_{32}CIN_5O_5$

Pathway:

Protein Tyrosine Kinase/RTK;Autophagy

Target:

Src;Autophagy

Purity / Grade:

>98%

Solubility:

DMSO : ≥ 32 mg/mL (59.04 mM)

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Alternative Names: AZD0530

Observed Molecular Weight: 542.03

Product Description

Saracatinib is a potent Src inhibitor with IC₅₀ of 2.7 nM, also inhibits EGFR^{L861Q} (IC₅₀=4nM), EGFR^{L858R} (IC₅₀= 5nM) and v-AbI (IC_{50} =30 nM).

IC50 & Target: IC50: 2.7 nM (Src), 30 nM (v-Abl), 66 nM (EGFR), 200 nM (c-Kit)^[1]

In Vitro: Saracatinib (AZD0530), an orally available Src inhibitor, demonstrates potent antimigratory and anti-invasive effects in vitro, and inhibits metastasis in a murine model of bladder cancer. Antiproliferative activity of Saracatinib varies between cell lines (IC $_{50}$ 0.2-10 μ M). Saracatinib potently inhibits the proliferation of Src3T3 mouse fibroblasts and demonstrates variable antiproliferative activity in a range of human cancer cell lines containing endogenous Src. Sub micromolar growth inhibition of five of the human cancer cell lines tested with Saracatinib (tumor types: colon, prostate, lung, and leukemia) is observed with IC₅₀ values of 0.2-0.7 μ M. In 3-day MTS cell proliferation assays, Saracatinib inhibits proliferation of the Bcr-Abl-driven human leukemia cell line K562 with an IC₅₀ of 0.22 μ M. In the microdroplet migration assay, Saracatinib reduces the migration of human lung cancer A549 cells in a concentration-dependent manner (IC₅₀ 0.14 μ M)^[1].

In Vivo: Saracatinib (AZD0530) treatment potently inhibits the proliferation of subcutaneously transplanted Src3T3 fibroblasts in mice and rats in a dose-dependent manner. In both models, significant inhibition of tumor growth is seen at doses \geq 6 mg/kg/day (60% inhibition in mice and 98% inhibition in rats versus animals treated with vehicle) and, at the maximum doses investigated, complete tumor growth inhibition is observed (100% inhibition at 25 mg/kg/day in mice and 10 mg/kg/day in rats)^[1].

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