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

AZD4547

Catalog No: tcsc0971

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Size: 1g

Specifications

CAS No:
1035270-39-3

Formula:
$C_{26}H_{33}N_5O_3$

Pathway:
Protein Tyrosine Kinase/RTK

Target:
FGFR

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 300 mg/mL (647.15 mM)

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Observed Molecular Weight:
463.57

Product Description

AZD4547 is a potent inhibitor of the **FGFR** family with **IC_{50}** of 0.2 nM, 2.5 nM, 1.8 nM, and 165 nM for **FGFR1**, **FGFR2**, **FGFR3**, and **FGFR4**, respectively.

IC50 & Target: IC50: 0.2 nM (FGFR1), 2.5 nM (FGFR2), 1.8 nM (FGFR3), 165 nM (FGFR4)[1]

In Vitro: AZD4547 also inhibits recombinant VEGFR2 (KDR) kinase activity with an IC_{50} of 24 nM. In KG1a, Sum52-PE, MCF7, and KMS11 cell lines, AZD4547 potently inhibits autophosphorylation of FGFR1, 2, and 3 tyrosine kinases (IC_{50} values of 12, 2, and 40 nM, respectively) and displays weaker inhibition of FGFR4 cellular kinase activity (IC_{50}=142 nM). Significantly weaker inhibitory activity is observed versus cellular KDR and IGFR ligand-induced phosphorylation (IC_{50} values of 258 and 828 nM, respectively), representing approximately 20- and 70-fold selectivity over cellular FGFR1. Besides, AZD4547 potently inhibits FGFR phosphorylation and downstream signaling affected through FRS2, PLCγ, and MAPK at the cellular level[1].

In Vivo: Female SCID mice bearing KMS11 tumors are randomized and treated chronically with AZD4547 at a range of well-tolerated doses. Oral AZD4547 treatment results in dose-dependent tumor growth inhibition. Twice daily administration of AZD4547 at 3 mg/kg gives statistically significant tumor growth inhibition of 53% (P[1].