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

Erdafitinib

Catalog No: tcsc4988

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1346242-81-6

Formula:
$C_{25}H_{30}N_6O_2$

Pathway:
Protein Tyrosine Kinase/RTK

Target:
FGFR

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 33 mg/mL (73.90 mM)

Alternative Names:
JNJ-42756493

Observed Molecular Weight:
446.54

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Product Description

Erdafitinib (JNJ-42756493) is a potent and orally available **FGFR** family inhibitor; inhibits FGFR1-4 with **IC_{50}** values of 1.2, 2.5, 3.0 and 5.7nM, respectively.

IC50 & Target: IC50: 1.2 nM (FGFR1), 2.5 nM (FGFR2), 3.0 nM (FGFR3)and 5.7 nM (FGFR4)[1]

In Vitro: Erdafitinib inhibits the tyrosine kinase activities of FGFR1-4 in time-resolved fluorescence assays with IC_{50} values of 1.2, 2.5, 3.0 and 5.7 nM, respectively. The closely related VEGFR2 kinase is less potently inhibited (30-fold less potent compared to FGFR1) by erdafitinib, with an IC_{50} value of 36.8 nM. JNJ-42756493 binds FGFR1, 3, 4, and 2 with K_d values of 0.24, 1.1, 1.4 and 2.2 nM, respectively. The K_d value for VEGFR2 is higher at 6.6 nM. JNJ-42756493 inhibits proliferation of FGFR1, 3, and 4 expressing cells with IC_{50} values of 22.1, 13.2, and 25nM, respectively[1].

In Vivo: In xenografts from human tumor cell lines or patient-derived tumor tissue with activating FGFR alterations, Erdafitinib administration results in potent and dose-dependent antitumor activity accompanied by pharmacodynamic modulation of phospho-FGFR and phospho-ERK in tumors[1].