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

Telatinib

Catalog No: tcsc3722

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
332012-40-5

Formula:
$C_{20}H_{16}ClN_5O_3$

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

Target:
VEGFR;PDGFR;c-Kit

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 46 mg/mL (112.24 mM)

Alternative Names:
Bay 57-9352

Observed Molecular Weight:
409.83

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Product Description

Telatinib (Bay 57-9352) is an orally active, small molecule inhibitor of **VEGFR2**, **VEGFR3**, **PDGFα**, and **c-Kit** with **IC_{50}**s of 6, 4, 15 and 1 nM, respectively.

IC50 & Target: IC50: 6 nM (VEGFR2), 4 nM (VEGFR3), 15 nM (PDGFα), 1 nM (c-Kit)[1]

In Vitro: Telatinib has low affinity for the Raf kinase pathway, epidermal growth factor receptor family, the fibroblast growth factor receptor (FGFR) family, or the Tie-2 receptor[2]. Telatinib is metabolized by various cytochrome P450 (CYP) isoforms including CYP3A4/3A5, CYP2C8, CYP2C9, and CYP2C19 as well as by uridine diphosphate glucuronosyltransferase 1A4 (UGT1A4), with the formation of the N-glucuronides of telatinib as the major biotransformation pathway in man. *In vitro* studies show telatinib to be a weak substrate of the adenosine triphosphate binding cassette (ABC) B1 (ABCB1) transporter[3]. Telatinib at 1 μM significantly enhances the intracellular accumulation of [^{3}H]-mitoxantrone (MX) in ABCG2-overexpressing cell lines. In addition, telatinib at 1 μM significantly reduces the rate of [^{3}H]-MX efflux from ABCG2-overexpressing cells. Furthermore, telatinib significantly inhibits ABCG2-mediated transport of [^{3}H]-E217βG in ABCG2 overexpressing membrane vesicles[4].

In Vivo: Telatinib causes a significant decrease in endothelium-dependent and endothelium-independent vasodilation. VEGF inhibition by itself decreases NO synthesis, which promotes vasoconstriction, increases peripheral resistance, and therefore can induce an increase in blood pressure[1]. Telatinib (15 mg/kg) with doxorubicin (1.8 mg/kg) significantly decreases the growth rate and tumor size of ABCG2 overexpressing tumors in a xenograft nude mouse model[4].