

# 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 :  $\geq$  46 mg/mL (112.24 mM)

**Alternative Names:**

Bay 57-9352

**Observed Molecular Weight:**

409.83

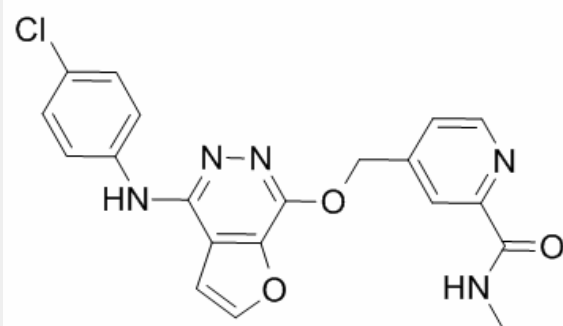
## Product Description

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

IC<sub>50</sub> & Target: IC<sub>50</sub>: 6 nM (VEGFR2), 4 nM (VEGFR3), 15 nM (PDGFα), 1 nM (c-Kit)<sup>[1]</sup>

**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<sup>[2]</sup>. 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<sup>[3]</sup>. Telatinib at 1 μM significantly enhances the intracellular accumulation of [<sup>3</sup>H]-mitoxantrone (MX) in ABCG2-overexpressing cell lines. In addition, telatinib at 1 μM significantly reduces the rate of [<sup>3</sup>H]-MX efflux from ABCG2-overexpressing cells. Furthermore, telatinib significantly inhibits ABCG2-mediated transport of [<sup>3</sup>H]-E217βG in ABCG2 overexpressing membrane vesicles<sup>[4]</sup>.

**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<sup>[1]</sup>. 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<sup>[4]</sup>.



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