Telatinib mesylate

Cat. No.: HY-10527C

CAS No.: 332013-26-0

Molecular Formula: $C_{21}H_{20}ClN_5O_6S$

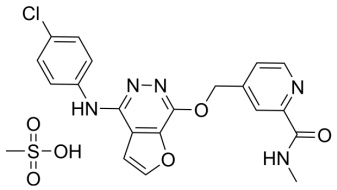
Molecular Weight: 505.93

Target: VEGFR; PDGFR; c-Kit

Pathway: Protein Tyrosine Kinase/RTK

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (494.14 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9766 mL	9.8828 mL	19.7656 mL
	5 mM	0.3953 mL	1.9766 mL	3.9531 mL
	10 mM	0.1977 mL	0.9883 mL	1.9766 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

Telatinib mesylate (Bay 57-9352 mesylate) is a potent and orally active VEGFR2, VEGFR3, PDGFα, and c-Kit inhibitor with IC_{50} s of 6 nM, 4 nM, 15 nM and 1 nM, respectively[1].

IC_{50} & Target	VEGFR2	VEGFR3	PDGFRα	c-Kit
	6 nM (IC_{50})	4 nM (IC_{50})	15 nM (IC_{50})	1 nM (IC_{50})

In Vitro

Telatinib enhances the anticancer activity of ABCG2 substrate anticancer drugs by inhibiting ATP-binding cassette G2 (ABCG2) efflux transporter activity. Co-incubation of ABCG2-overexpressing drug resistant cell lines with Telatinib and ABCG2 substrate anticancer drugs significantly reduces cellular viability, whereas Telatinib alone does not significantly affect drug sensitive and drug resistant cell lines. Telatinib at 1 μM does not significantly alter the expression of ABCG2 in ABCG2-overexpressing cell lines. Telatinib at 1 μM significantly enhances the intracellular accumulation of [^{3}H]-mitoxantrone (MX) in ABCG2-overexpressing cell lines[2].

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]-$E_2$17βG in ABCG2 overexpressing membrane vesicles[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Telatinib (15 mg/kg; oral dministration; every 2nd and 3rd day; total 12 times; male athymic NCR (nu/nu) nude mice) with

Doxorubicin (1.8 mg/kg) significantly decreases the growth rate and tumor size of ABCG2 overexpressing tumors in a xenograft nude mouse model[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male athymic NCR (nu/nu) nude mice (13-15 g, age 4-5 weeks) injected with H460 and H460/MX20 cells[2]
Dosage:	15 mg/kg
Administration:	Oral dministration; every 2nd and 3rd day; total 12 times
Result:	With Doxorubicin (1.8 mg/kg) significantly decreased the growth rate and tumor size of ABCG2 overexpressing tumors in a xenograft nude mouse model.

CUSTOMER VALIDATION

- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.

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REFERENCES

[1]. Steeghs N, et al.Hypertension and rarefaction during treatment with telatinib, a small molecule angiogenesis inhibitor.Clin Cancer Res. 2008 Jun 1;14(11):3470-6.

[2]. [2] Sodani K, et al. Telatinib reverses chemotherapeutic multidrug resistance mediated by ABCG2 efflux transporter in vitro and in vivo. Biochem Pharmacol. 2014 May 1;89(1):52-61.

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