Tivozanib hydrochloride hydrate

Cat. No.: HY-10977A

CAS No.: 682745-41-1

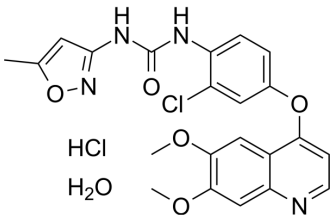
Molecular Formula: $C_{22}H_{22}Cl_2N_4O_6$

Molecular Weight: 509.34

Target: VEGFR

Pathway: Protein Tyrosine Kinase/RTK

Storage: 4°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (245.42 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9633 mL	9.8166 mL	19.6333 mL
	5 mM	0.3927 mL	1.9633 mL	3.9267 mL
	10 mM	0.1963 mL	0.9817 mL	1.9633 mL

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

BIOLOGICAL ACTIVITY

Description

Tivozanib hydrochloride hydrate is the hydrate hydrochloride form of Tivozanib (HY-10977). Tivozanib hydrochloride hydrate is a selective, orally active inhibitor for vascular endothelial growth factor receptor (VEGFR)-1, 2 3, with IC_{50}s of 30, 6.5 and 15 nM, respectively. Tivozanib hydrochloride hydrate exhibits antitumor efficacy[1].

IC_{50} & Target			
	VEGFR-2 6.5 nM (IC_{50})	VEGFR-3 15 nM (IC_{50})	VEGFR-1 30 nM (IC_{50})

In Vitro

Tivozanib hydrochloride hydrate inhibits the phosphorylation of VEGFR-1, VEGFR-2, and VEGFR-3, with IC_{50}s of 0.16-0.24 nM [1].

Tivozanib hydrochloride hydrate (0-100 nM, 24 h) inhibits VEGF-induced proliferation of HUVECs with IC_{50} of 0.67 nM, and migration of HUVECs in dose-dependent manner[1].

Tivozanib hydrochloride hydrate (0-300 nM, 1 h) selectively inhibits the VEGF-stimulated phosphorylation of MAPKs in endothelial cells ligand-dependently, with IC_{50}s of 0.13 and 0.18 nM for ERK1 and ERK2, respectively[1].

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

Cell Proliferation Assay[1]

Cell Line:	HUVECs
Concentration:	0-100 nM
Incubation Time:	24 h
Result:	Inhibited Proliferation.

Cell Migration Assay [1]

Cell Line:	HUVECs
Concentration:	0-100 nM
Incubation Time:	22 h
Result:	Inhibited migration.

Western Blot Analysis[1]

Cell Line:	HUVECs
Concentration:	0-300 nM
Incubation Time:	1 h
Result:	Inhibited VEGR-dependent phosphorylation of ERK1 and ERK2.

In Vivo

Tivozanib hydrochloride hydrate (0.04-1 mg/kg, po for 14 days) exhibits antitumor efficacy against breast, colon, hepatic, lung, ovarian, pancreatic, and prostate cancer in athymic mice model[1].
Tivozanib hydrochloride hydrate (0.2-1 mg/kg, po for 21 days) reversibly suppresses vascular permeability and angiogenesis in Calu-6 tumor bearing rats model[1].
Tivozanib hydrochloride hydrate (5 mg/kg, po, single dose) reveals a AUC_{inf} of 44.5 μg·h/mL, C_{max} of 2823 ng/mL in athymic mice model[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Calu-6 tumor bearing athymic mice model[1]
Dosage:	0.04-1 mg/kg/day
Administration:	p.o., for 14-21 days
Result:	Inhibited tumor growth, angiogenesis and vascular permeability.

CUSTOMER VALIDATION

- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.
- Cancer Cell Int. 2021 Jun 5;21(1):291.
- Pharmaceuticals. 2023, 16(2), 295.
- Technical University of Munich. 24.01.2018.
- Patent. US20170349880A1.

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REFERENCES

[1]. Nakamura K, et al., KRN951, a highly potent inhibitor of vascular endothelial growth factor receptor tyrosine kinases, has antitumor activities and affects functional vascular properties. Cancer Res. 2006 Sep 15;66(18):9134-42.

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