Tivozanib hydrate

Cat. No.: HY-112467

CAS No.: 682745-40-0

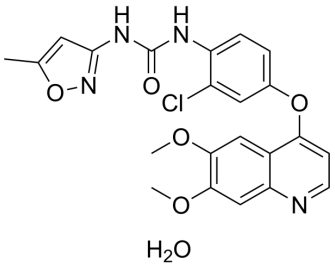
Molecular Formula: $C_{22}H_{21}ClN_4O_6$

Molecular Weight: 472.88

Target: VEGFR

Pathway: Protein Tyrosine Kinase/RTK

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



H_2O

BIOLOGICAL ACTIVITY

Description

Tivozanib hydrate (AV-951 hydrate; KRN951 hydrate) is the hydrate form of Tivozanib (HY-10977). Tivozanib 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 hydrate exhibits antitumor efficacy[1].

IC_{50} & Target

VEGFR2	VEGFR3	VEGFR1
6.5 nM (IC_{50})	15 nM (IC_{50})	30 nM (IC_{50})

In Vitro

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

Tivozanib 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 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 hydrate (0.04-1 mg/kg, po for 14 days) exhibits antitumor efficacy against breast, colon,hepatic, lung, ovarian, pancreatic, and prostate cancer in model[1].

Tivozanib 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 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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