Tivozanib hydrochloride hydrate

Cat. No.: HY-10977A

CAS No.: 682745-41-1

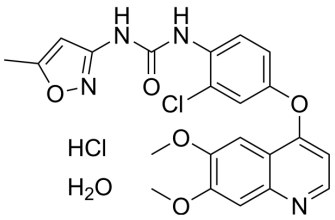
Molecular Formula: $C_{22}H_{22}Cl_2N_4O_6$

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 : 250 mg/mL (490.83 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / 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 a selective and orally active VEGFR tyrosine kinase inhibitor with IC_{50} of 0.21, 0.16, 0.24 nM for VEGFR-1, VEGFR-2, VEGFR-3, respectively. Tivozanib hydrochloride hydrate inhibits angiogenesis and vascular permeability in tumor tissues and shows antitumor activity. Tivozanib hydrochloride hydrate has the potential for the research of metastatic renal cell carcinoma (RCC)[1][2][3].

IC_{50} & Target

VEGFR-1	VEGFR-2	VEGFR-3
0.21 nM (IC_{50})	0.16 nM (IC_{50})	0.24 nM (IC_{50})

In Vitro

Tivozanib hydrochloride hydrate inhibits the phosphorylation of VEGFR-1, VEGFR-2 and VEGFR-3[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Tivozanib hydrochloride hydrate (1 mg/kg; p.o.; 14 days) suppresses the development of CNV lesions and leds to a significant regression of established CNV, reducing the affected areas by 67.7%[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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]. Motzer RJ, et al. Tivozanib versus sorafenib as initial targeted therapy for patients with metastatic renal cell carcinoma: results from a phase III trial. J Clin Oncol. 2013 Oct 20;31(30):3791-9.

[2]. De Luca A, et al. Tivozanib, a pan-VEGFR tyrosine kinase inhibitor for the potential treatment of solid tumors. IDrugs. 2010 Sep;13(9):636-45.

[3]. Eskens FA, det al. Biologic and clinical activity of tivozanib (AV-951, KRN-951), a selective inhibitor of VEGF receptor-1, -2, and -3 tyrosine kinases, in a 4-week-on, 2-week-off schedule in patients with advanced solid tumors. Clin Cancer Res. 2011 Nov 15;17(22):7156-63.

[4]. Kang S, et al. Antiangiogenic effects of tivozanib, an oral VEGF receptor tyrosine kinase inhibitor, on experimental choroidal neovascularization in mice. Exp Eye Res. 2013 Jul;112:125-33.

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