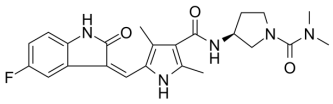
Vorolanib

Cat. No.:	HY-109019
CAS No.:	1013920-15-4
Molecular Formula:	$C_{23}H_{26}FN_5O_3$
Molecular Weight:	439.48
Target:	VEGFR; PDGFR
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 27 mg/mL (61.44 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2754 mL	11.3771 mL	22.7542 mL
	5 mM	0.4551 mL	2.2754 mL	4.5508 mL
	10 mM	0.2275 mL	1.1377 mL	2.2754 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.25 mg/mL (5.12 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Vorolanib (CM082) is an orally active, potent multikinase VEGFR/PDGFR inhibitor. Vorolanib is a potent ATP-binding cassette (ABC) transporter inhibitor. Vorolanib is an angiogenesis inhibitor and has antitumor activity combined with ZD1839 (HY-50895)[1][2].

In Vitro

Vorolanib (CM082; 1-100 μM) can specifically enhance the sensitivity of a substrate chemotherapeutical agent in overexpressing ABCG2 cells, but not in overexpressing ABCB1 cells. Vorolanib (1.25, 2.5, 5.0, 20 μM) does not influence the expression of ABCG2 in mRNA or protein Levels[1].

Vorolanib (0.001-10 μM) inhibits the growth of VEGF‐stimulated HUVECs (IC_{50}=0.031 μM) and FBS‐stimulated HUVEC growth (IC_{50}=29.9 μM)[2].

Vorolanib (0.01, 0.1, 1 μM) exhibits a concentration‐dependent inhibition on VEGF‐induced (40 ng/mL) phosphorylation of VEGFR2 and its downstream signaling molecules ERK1/2, AKT, and STAT3 in HUVECs. Vorolanib (0.1, 1 μM) inhibits FBS‐stimulated tube formation and cell migration of HUVECs in a concentration‐dependent manner[2].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Vorolanib (CM082; 20 mg/kg; gavage; once every 2 days; 1 h before SKF 104864A; for 23 days) enhances the anti-tumor effect of SKF 104864A (HY-13768; 2 mg/kg; i.p.; once every 2 days) on xenografts of ABCG2-overexpressing cells (nude mice aged 5-6 weeks and weighing 15-17 g constructed by injecting H460/MX20 cells). There is no significant difference in the tumor weight and size among the control group, the SKF 104864A group, and the Vorolanib group[1]. Vorolanib (80 mg/kg; twice daily; for 21 days) has antitumor activity combined with ZD1839 (10 mg/kg; q.d; for 21 days) on H3255 tumor xenograft (female BALB/c nude mice aged five weeks)[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Lejia Xu, et al. CM082 Enhances the Efficacy of Chemotherapeutic Drugs by Inhibiting the Drug Efflux Function of ABCG2. Mol Ther Oncolytics. 2019 Dec 27;16:100-110.

[2]. Kun Zhang, et al. CM082, a novel angiogenesis inhibitor, enhances the antitumor activity of ZD1839 on epidermal growth factor receptor mutant non-small cell lung cancer in vitro and in vivo. Thorac Cancer. 2020 Jun;11(6):1566-1577.

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