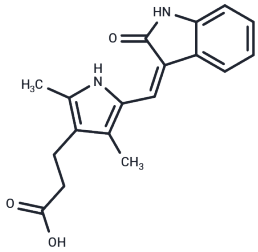
Data Sheet (Cat.No.T9684)

TargetMol

(Z)-Orantinib

Chemical Properties



CAS No. : 210644-62-5

Formula: C18H18N2O3

Molecular Weight: 310.35

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	(Z)-Orantinib ((Z)-SU6668) is an effective, selective, orally active, ATP-competitive inhibitor of Flk-1/KDR, PDGFRβ, and FGFR1 with IC_{50} values of 2.1, 0.008, and 1.2 μM, respectively. As a potent anti-angiogenic and anti-tumor compound, (Z)-Orantinib ((Z)-SU6668) induces significant regression in established tumors. (Z)-Orantinib serves as a valuable tool for investigating tumor angiogenesis inhibition mechanisms, tumor microenvironment regulation, and targeted anti-cancer strategy development, providing highly reliable experimental support for exploring solid tumor drug mechanisms and drug screening.
Targets(IC50)	FGFR,PDGFR,VEGFR
In vitro	(Z)-Orantinib (5-15 minutes) inhibits Flk-1 transphosphorylation, FGFR1 transphosphorylation, and PDGFR autophosphorylation, with Ki values of 2.1 μM, 1.2 μM, and 0.008 μM, respectively [1]. (Z)-Orantinib (0.03-10 μM, 60 minutes) suppresses the increase in KDR tyrosine phosphorylation levels induced by VEGF in HUVECs [1]. (Z)-Orantinib shows dose-dependent inhibitory effects on the mitogenic activity of VEGF- and FGF-induced HUVECs, with IC50 values of 0.34 μM and 9.6 μM, respectively [1].
In vivo	(Z)-Orantinib (4-200 mg/kg, orally administered for 21 days) demonstrated dose-dependent inhibitory effects on the growth of A431 tumors in nude mice [1]. (Z)-Orantinib (75 mg/kg, administered intraperitoneally for 22 days) demonstrated significant inhibition of tumor angiogenesis and vascular formation in mice [1]. (Z)-Orantinib (200 mg/kg, orally administered for 11-27 days) significantly induced regression of established large A431 parazacco spilurus subsp. spilurus xenograft tumors in nude mice [1].

Solubility Information

Solubility	DMSO: 40 mg/mL (128.89 mM),Sonication is recommeded. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.2222 mL	16.1108 mL	32.2217 mL
5 mM	0.6444 mL	3.2222 mL	6.4443 mL
10 mM	0.3222 mL	1.6111 mL	3.2222 mL
50 mM	0.0644 mL	0.3222 mL	0.6444 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Laird AD, et, al. SU6668 is a potent antiangiogenic and antitumor agent that induces regression of established tumors. Cancer Res. 2000 Aug 1;60(15):4152-60.
Laird ad, et, al. SU6668 inhibits Flk-1/KDR and PDGFRbeta in vivo, resulting in rapid apoptosis of tumor vasculature and tumor regression in mice. FASEB J. 2002 May;16(7):681-90.