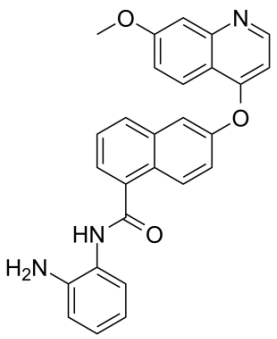
Chiauranib

Cat. No.:	HY-124526
CAS No.:	1256349-48-0
Molecular Formula:	$C_{27}H_{21}N_3O_3$
Molecular Weight:	435.47
Target:	VEGFR; PDGFR; c-Kit; Aurora Kinase; c-Fms
Pathway:	Protein Tyrosine Kinase/RTK; Cell Cycle/DNA Damage; Epigenetics
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



SOLVENT & SOLUBILITY

In Vitro

DMSO : 62.5 mg/mL (143.52 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2964 mL	11.4818 mL	22.9637 mL
	5 mM	0.4593 mL	2.2964 mL	4.5927 mL
	10 mM	0.2296 mL	1.1482 mL	2.2964 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.78 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Chiauranib (CS2164) is an orally active multi-target inhibitor against tumor angiogenesis. Chiauranib potently inhibits the angiogenesis-related kinases (VEGFR1, VEGFR2, VEGFR3, PDGFRα and c-Kit), mitosis-related kinase Aurora B, and chronic inflammation-related kinase CSF-1R, with IC_{50} values ranging from 1-9 nM. Chiauranib has strongly anticancer effects[1].

IC_{50} & Target

Flt-1 8 nM (IC_{50})	KDR 7 nM (IC_{50})	Flt-4 9 nM (IC_{50})	PDGFRα 1 nM (IC_{50})
c-Kit 4 nM (IC_{50})	Aurora B 9 nM (IC_{50})	PDGFRβ 93 nM (IC_{50})	CSF-1R 7 nM (IC_{50})

In Vitro

Chiauranib (CS2164; 3 μM; 24 hours) shows induction of G2/M cell cycle arrest and suppression of cell proliferation in tumor tissues through the inhibition of Aurora B-mediated H3 phosphorylation[1].
In HUVEC and PDGFRβ phosphorylation in PDGFRβ overexpressed NIH3T3 cells, Chiauranib (CS2164; 0.03-3 μM) displays anti-angiogenic activities through suppression of VEGFR/PDGFR phosphorylation, inhibition of ligand-dependent cell

proliferation and capillary tube formation, and prevention of vasculature formation in tumor tissues[1].
. Chiauranib (CS2164) inhibits CSF-1R phosphorylation that leads to the suppression of ligand-stimulated monocyte-to-macrophage differentiation and reduces CSF-1R$^+$ cells in tumor tissues[1].

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

Cell Cycle Analysis[1]

Cell Line:	Molt-4 cells
Concentration:	3 μM
Incubation Time:	24 hours
Result:	Induced the pronounced cell cycle arrest in the G2/M phase at 3 μM.

Western Blot Analysis[1]

Cell Line:	Molt-4 cells
Concentration:	1.5 μM, 3 μM, 6 μM
Incubation Time:	24 hours
Result:	Yielded a substantial reduction in the level of p-H3 in Molt□4 cells in a concentration-dependent fashion.

In Vivo

Chiauranib (CS2164; 0.5-40 mg/kg; oral administration; once daily; for 33 days or 43 days) treatment induces remarkable regression or complete inhibition of tumor growth at well-tolerated oral doses in several human tumor xenograft models. Chiauranib exhibits broad and potent in vivo anti-tumor activities[1].

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Animal Model:	Female BALB/c athymic (nu$^+$/nu$^+$) mice (6-week old) bearing HCT-8, SMMC-7721, MGC□803 or A549 cells[1]
Dosage:	2.5 mg/kg, 5 mg/kg, 10 mg/kg, 20 mg/kg, 40 mg/kg
Administration:	Oral administration; once daily; for 33 days or 43 days
Result:	Induced remarkable regression or complete inhibition of tumor growth in several human tumor xenograft models.

REFERENCES

[1]. You Zhou, et al. CS2164, a novel multi-target inhibitor against tumor angiogenesis, mitosis and chronic inflammation with anti-tumor potency. Cancer Sci. 2017 Mar;108(3):469-477.

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