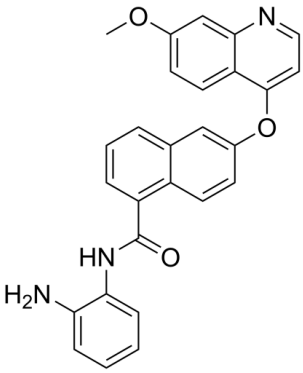
Data Sheet

Product Name:	Chiauranib
Cat. No.:	CS-0086815
CAS No.:	1256349-48-0
Molecular Formula:	$C_{27}H_{21}N_3O_3$
Molecular Weight:	435.47
Target:	Aurora Kinase; c-Fms; c-Kit; PDGFR; VEGFR
Pathway:	Cell Cycle/DNA Damage; Epigenetics; Protein Tyrosine Kinase/RTK
Solubility:	DMSO : 62.5 mg/mL (143.52 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

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]. ***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 $CSF\text{-}1R^+$ cells in tumor tissues[1]. ***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].

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.

CAIndexNames:

1-Naphthalenecarboxamide, N-(2-aminophenyl)-6-[(7-methoxy-4-quinolinyl)oxy]-

SMILES:

O=C(NC1=CC=CC=C1N)C2=C3C=CC(OC4=CC=NC5=CC(OC)=CC=C54)=CC3=CC=C2

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