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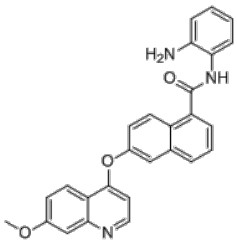
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Chiauranib
Cat. No.	:	PC-20795
CAS No.	:	1256349-48-0
Molecular Formula	:	$C_{27}H_{21}N_3O_3$
Molecular Weight	:	435.48
Target	:	VEGFR
Solubility	:	10 mM in DMSO



Biological Activity

Chiauranib (Ibcasertib, CS2164) is a potent multi-kinase inhibitor, inhibits **VEGFR2**, **Aurora B** and **CSF-1R** kinases with IC50 values of 7, 9 and 7 nM, respectively.
CS2164 interacts with each active ATP-binding pocket of VEGFR2, Aurora B and CSF-1R kinases, respectively.
CS2164 also displays inhibitory activities with single digital nanomolar IC50 against several angiogenesis-related kinases, including VEGFR1, VEGFR3, PDGFRα and c-Kit.
CS2164 only shows moderate inhibitory activities (100 nM < IC50 < 500 nM) in 4 kinases (c-RAF, DDR2, PLK1 and PLK3), little activity (IC50 > 500 nM) in 33 kinases, and almost no activity (IC50 > 10 μM) in over 120 kinases, including 76 GPCR and 8 ion channels tested.
CS2164 potently inhibits VEGF-induced proliferation of HUVEC cells and PDGF-induced proliferation of NIH-3T3 cells with GI50 values of 20.70 and 44.16 nM, respectively.
CS2164 is a potent inhibitor of tumor angiogenesis through targeting the corresponding tyrosine receptor signaling pathways, induces G2/M cell cycle arrest by inhibition of Aurora B/p-H3.
CS2164 inhibits CSF-1R signaling and reduces tissue CSF-1R expression.
CS2164 exhibits broad and potent in vivo anti-tumor activities, CS2164 (40 mg/kg) induces dose-dependent inhibition of tumor growth in human non-small cell lung cancer cell line A549-derived xenograft model.

References

Zhou Y, et al. ***Cancer Sci.*** 2017 Mar;108(3):469-477.

E-mail: tech@probechem.com