Acrizanib

Cat. No.: HY-109020

CAS No.: 1229453-99-9

Molecular Formula: $C_{20}H_{18}F_3N_7O_2$

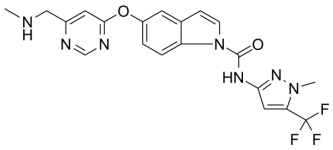
Molecular Weight: 445.4

Target: VEGFR

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 : 41.67 mg/mL (93.56 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2452 mL	11.2259 mL	22.4517 mL
	5 mM	0.4490 mL	2.2452 mL	4.4903 mL
	10 mM	0.2245 mL	1.1226 mL	2.2452 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: ≥ 2.08 mg/mL (4.67 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.67 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.67 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Acrizanib (LHA510) is a VEGFR-2 inhibitor, with an IC_{50} of 17.4 nM for BaF3-VEGFR-2[1].

IC_{50} & Target

VEGFR-2
17.4 nM (IC_{50})

In Vitro

Acrizanib is a VEGFR-2 inhibitor, with an IC_{50} of 17.4 nM for BaF3-KDR. Acrizanib (compound 35) exhibits ≤10% remaining kinase activity against only 13 wild type kinases: CSF1R, Kit, PDGFRα, PDGFRβ, VEGFR1, VEGFR2, VEGFR3, Fms (soluble

	VEGFR1), DDR1, DDR2, TIE1, and ABL1 (nonphosphorylated)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Rat ocular PK studies with Acrizanib shows a distinctly different profile from that observed with compound 25. While prolonged exposure is once again evident in the PEC, the AUC ratio to the level of Acrizanib in plasma is markedly increased (>21000-fold higher exposure in the PEC than plasma on day 11). Furthermore, unlike 25, Acrizanib also afford much improved retina to plasma AUC exposure ratio after 10 days of dosing (598× for Acrizanib vs 0.8× for 25)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Adams CM, et al. The Discovery of N-(1-Methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)-5-((6- ((methylamino)methyl)pyrimidin-4-yl)oxy)-1H-indole-1-carboxamide (Acrizanib), a VEGFR-2 Inhibitor Specifically Designed for Topical Ocular Delivery, as a Therapy for

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