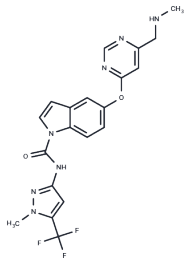
Data Sheet (Cat.No.T5373)

TargetMol

Acrizanib

Chemical Properties



CAS No. : 1229453-99-9

Formula: C20H18F3N7O2

Molecular Weight: 445.4

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	Acrizanib (LHA510) is a VEGFR-2 inhibitor with an IC50 of 17.4 nM for BaF3-VEGFR-2.
Targets(IC50)	VEGFR
In vitro	Acrizanib is a VEGFR-2 inhibitor with an IC50 of 17.4 nM for BaF3-KDR, and 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].
In vivo	Rat ocular pharmacokinetic (PK) studies indicate that Acrizanib (compound 35) exhibits a notably different profile compared to compound 25, especially in terms of prolonged exposure within the posterior eye chamber (PEC). The area under the curve (AUC) ratio, reflecting Acrizanib's concentration in the PEC relative to its plasma levels, is significantly elevated, showing over 21000-fold higher exposure in the PEC compared to plasma by day 11. Additionally, Acrizanib demonstrates a substantially enhanced retina-to-plasma AUC exposure ratio after 10 days of administration (598× for Acrizanib versus 0.8× for compound 25)[1], distinctively unlike compound 25.

Solubility Information

Solubility	DMSO: 37.8 mg/mL (84.87 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.49 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2452 mL	11.2259 mL	22.4517 mL
5 mM	0.449 mL	2.2452 mL	4.4903 mL
10 mM	0.2245 mL	1.1226 mL	2.2452 mL
50 mM	0.0449 mL	0.2245 mL	0.449 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

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 Neovascular Age-Related Macular Degeneration. J Med Chem. 2018 Feb 22;61(4): 1622-1635.