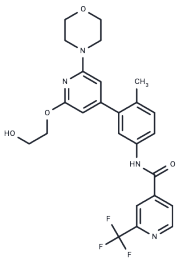
Data Sheet (Cat.No.T11898)

TargetMol

LXH254

Chemical Properties



CAS No. : 1800398-38-2

Formula: C25H25F3N4O4

Molecular Weight: 502.49

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	LXH254 is a B/C RAF inhibitor with IC50 values of 0.2 nM and 0.07 nM for inhibiting BRAF and CRAF.
Targets(IC50)	Raf,Bcr-Abl,p38 MAPK
In vitro	**METHODS**: HCT 116 cells were treated with LXH254 at 10 μM for 2 hours, and lysates were then processed, probe labeled, and analyzed by LC-MS/MS for intracellular kinase selectivity analysis using KiNativ™. **RESULTS** LXH254 inhibited 80% of the kinases in HCT 116 cells [1]. **METHODS**: The sensitivity of WT cell lines to LXH254 was analyzed in a high-throughput format. Use IC50 values in the range 1-2.5 μM. **RESULTS** LXH254 effectively inhibited RAF signaling in the insensitive model tested [1].
In vivo	**METHODS**: The anti-tumor effects of LXH254 were tested in a set of BRAF, NRAS and KRAS mutant xenograft models as well as RAS/RAF wild-type models, treated with LXH254 100mgkg orally once daily for one month. **RESULTS** LXH254 can inhibit the growth of tumor in model mice. [1]

Solubility Information

Solubility	DMSO: 125 mg/mL (248.76 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: 10 mg/mL (19.9 mM),Suspension. 10% DMSO+90% Saline: < 10 mg/mL (19.9 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. *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	1.9901 mL	9.9504 mL	19.9009 mL
5 mM	0.398 mL	1.9901 mL	3.9802 mL
10 mM	0.199 mL	0.995 mL	1.9901 mL
50 mM	0.0398 mL	0.199 mL	0.398 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

Monaco KA, et al. LXH254, a Potent and Selective ARAF-Sparing Inhibitor of BRAF and CRAF for the Treatment of MAPK-Driven Tumors. Clin Cancer Res. 2021 Apr 1;27(7):2061-2073.
Li W, Shi X, Tan C, et al.Plasma membrane-associated ARAF condensates fuel RAS-related cancer drug resistance. Nature Chemical Biology.2025: 1-12.

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