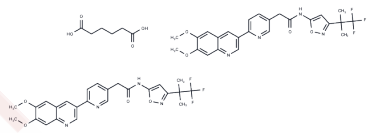
Data Sheet (Cat.No.T39927)

TargetMol

Zeteletinib hemiadipate

Chemical Properties



CAS No. : 2375837-06-0

Formula: C56H56F6N8O12

Molecular Weight: 1147.098

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	Zeteletinib hemiadipate (BOS-172738; DS-5010) is an orally active compound that functions as a selective inhibitor of RET kinase. It exhibits nanomolar potency against RET and a 300-fold selectivity towards VEGFR2. Notably, Zeteletinib hemiadipate demonstrates exceptional effectiveness against various forms of RET, including the wild type, RET V804M/L gatekeeper mutants, and the oncogenic RET mutation M918T. Additionally, Zeteletinib hemiadipate exerts potent antitumor effects.
Targets(IC50)	Others,c-RET,PDGFR
In vitro	In biochemical assays involving 106 kinases, Zeteletinib hemiadipate (BOS-172738; DS-5010) demonstrated significant inhibitory activity, surpassing 80% inhibition at 193 nM for both RET and platelet-derived growth factor receptor (PDGFR) alpha/beta. Furthermore, Zeteletinib displayed potent efficacy against RET and RET-GKm (V804L), with IC50 values in the single-digit nanomolar range, even in the presence of a high ATP concentration. Conversely, its inhibitory effect on KDR exceeded 1000 nM[1].
In vivo	In biochemical assays of 106 kinases, RET and platelet-derived growth factor receptor (PDGFR) alpha/beta were inhibited by more than 80% with 193 nM Zeteletinib (BOS-172738; DS-5010) hemiadipate. The IC50 values of Zeteletinib hemiadipate against RET and RET-GKm (V804L) were single-digit nanomolar, even under high ATP conditions, while it was over 1000 nM against KDR[1].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	0.8718 mL	4.3588 mL	8.7176 mL
5 mM	0.1744 mL	0.8718 mL	1.7435 mL
10 mM	0.0872 mL	0.4359 mL	0.8718 mL
50 mM	0.0174 mL	0.0872 mL	0.1744 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

Yasuyuki Kaneta, et al.Abstract B173: Preclinical characterization and antitumor efficacy of DS-5010, a highly potent and selective RET inhibitor. MOLECULAR CANCERTHERAPEUTICS. January 2018, Volume 17, Issue 1.
Patrick Schoffski, et al. BOS172738, a highly potent and selective RET inhibitor, for the treatment of RET-altered tumors including RET-fusion+ NSCLC and RET-mutant MTC: Phase 1 study results. Journal of Clinical Oncology 39, no. 15_suppl (May 20, 2021) 3008-3008.
Kyaw Z Thein, et al. Precision therapy for RET-altered cancers with RET inhibitors. Trends Cancer. 2021 Dec;7(12): 1074-1088.