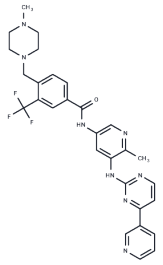
Data Sheet (Cat.No.T4320)

TargetMol

Flumatinib

Chemical Properties



CAS No. : 895519-90-1

Formula: C29H29F3N8O

Molecular Weight: 562.59

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	Flumatinib (HHGV678) is an orally bioavailable tyrosine kinase inhibitor with potential antineoplastic activity.
Targets(IC50)	Bcr-Abl,c-Kit,PDGFR
In vitro	In higher concentration, HH-GV-678 can inhibit the phosphorylation of c-Kit in Mo7e cell and the phosphorylation of PDGFR in Swiss3T3 cell, however, HH-GV-678 has no or little effect on other tyrosine kinases including EGFR, KDR, c-Src and HER2. HH-GV-678 can predominantly inhibit the autophosphorylation of Bcr-Abl in K562 cell. Flumatinib effectively overcame the drug resistance of certain KIT mutants with activation loop mutations (i.e., D820 g, N822K, Y823D, and A829P).
In vivo	The purpose of this study was to identify the metabolites of flumatinib in CML patients, with the aim of determining the main metabolic pathways of lumatinib in humans after oral administration. Ultra-performance liquid chromatography/quadrupole time-of-flight mass spectrometry revealed 34 metabolites; 7 primary metabolites were confirmed by comparison with synthetic reference standards. The results show that the parent drugflumatinib was the main form recovered in human plasma, urine, and feces. The main metabolites of flumatinib in humans were the products of N-demethylation, N-oxidation, hydroxylation, and amide hydrolysis

Solubility Information

Solubility	DMSO: 100 mg/mL (177.75 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 (3.55 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	1.7775 mL	8.8875 mL	17.7749 mL
5 mM	0.3555 mL	1.7775 mL	3.555 mL
10 mM	0.1777 mL	0.8887 mL	1.7775 mL
50 mM	0.0355 mL	0.1777 mL	0.3555 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

Luo H, et al. HH-GV-678, a novel selective inhibitor of Bcr-Abl, outperforms imatinib and effectively overrides imatinib resistance. Leukemia. 2010 Oct;24(10):1807-9.
Zhao J, et al. Flumatinib, a selective inhibitor of BCR-ABL/PDGFR/KIT, effectively overcomes drug resistance of certain KIT mutants. Cancer Sci. 2014 Jan;105(1):117-25
Gong A, et al. Metabolism of flumatinib, a novel antineoplastic tyrosine kinase inhibitor, in chronic myelogenous leukemia patients. Drug Metab Dispos. 2010 Aug;38(8):1328-40.

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