Flumatinib

Cat. No.: HY-13904

CAS No.: 895519-90-1

Molecular Formula: $C_{29}H_{29}F_3N_8O$

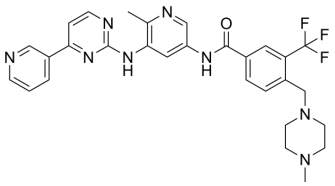
Molecular Weight: 562.59

Target: Bcr-Abl; c-Kit; PDGFR

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 : 100 mg/mL (177.75 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7775 mL	8.8875 mL	17.7749 mL
	5 mM	0.3555 mL	1.7775 mL	3.5550 mL
	10 mM	0.1777 mL	0.8887 mL	1.7775 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 (3.70 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.70 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Flumatinib (HHGV678) is an orally available, selective inhibitor of Bcr-Abl. Flumatinib inhibits c-Abl, PDGFRβ and c-Kit with IC_{50}s of 1.2 nM, 307.6 nM and 665.5 nM, respectively[1].

IC_{50} & Target

IC50 Value: 1.2 nM (c-Abl); 307.6 nM(PDGFRβ); 2662 nM (c-Kit)[1].

In Vitro

Flumatinib (HH-GV-678) can predominantly inhibit the autophosphorylation of Bcr-Abl in K562 cell. In higher concentration, Flumatinib can inhibit the phosphorylation of c-Kit in Mo7e cell and the phosphorylation of PDGFR in Swiss3T3 cell, however, Flumatinib has no or little effect on other tyrosine kinase including EGFR, KDR, c-Src and HER2 [1]. Flumatinib (HHGV678) effectively overcame the drug resistance of certain KIT mutants with activation loop mutations (i.e., D820G, N822K, Y823D, and A829P) [2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. 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.

[2]. Zhao J, et al. Flumatinib, a selective inhibitor of BCR-ABL/PDGFR/KIT, effectively overcomes drug resistance of certain KIT mutants. Cancer Sci. 2013 Nov 10.

[3]. 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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