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产品名称：Flumatinib (mesylate)
产品别名：HHGV678 mesylate

生物活性：

Description

Flumatinib mesylate (HH-GV-678 mesylate), a derivative of imatinib, is a multi-kinase inhibitor with IC50 Values of 1.2 nM, 307.6 nM and 2662 nM for c-Abl, PDGFRβ and c-Kit respectively. IC50 Value: 1.2 nM (c-Abl); 307.6 nM(PDGFRβ); 2662 nM (c-Kit) [1] Target: c-Abl; c-Kit; PDGRFβ in vitro: HH-GV-678 can predominantly inhibit the autophosphorylation of Bcr-Abl in K562 cell. 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 kinase including EGFR/KDR/c-Src andHER2 [1]. Flumatinib effectively overcame the drug resistance of certain KIT mutants with activation loop mutations (i.e., D820G, N822K, Y823D, and A829P) [2]. 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 offlumatinib 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 [3].

Solvent&Solubility

In Vitro:

DMSO : 50 mg/mL (**75.91 mM;** Need ultrasonic)

H_2O : 50 mg/mL (**75.91 mM;** Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.5182 mL	7.5908 mL	15.1816 mL
	5 mM	0.3036 mL	1.5182 mL	3.0363 mL
	10 mM	0.1518 mL	0.7591 mL	1.5182 mL

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

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.