# Flumatinib mesylate

**Cat. No.:** HY-13905

**CAS No.:** 895519-91-2

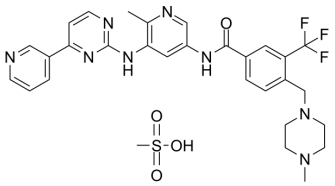
**Molecular Formula:** $C_{30}H_{33}F_3N_8O_4S$

**Molecular Weight:** 658.69

**Target:** Bcr-Abl; c-Kit; PDGFR

**Pathway:** Protein Tyrosine Kinase/RTK

**Storage:** 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



## SOLVENT & SOLUBILITY

**In Vitro**

$H_2O$ : 50 mg/mL (75.91 mM; Need ultrasonic)
DMSO : 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 |

Please refer to the solubility information to select the appropriate solvent.

**In Vivo**

1. Add each solvent one by one: PBS
   Solubility: 50 mg/mL (75.91 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (3.16 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.16 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.16 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

Flumatinib (HHGV678) mesylate is an orally active and selective inhibitor of Bcr-Abl. Flumatinib mesylate inhibits c-Abl, PDGFRβ and c-Kit with $IC_{50}$ values of 1.2, 307.6 and 665.5 nM, respectively. Flumatinib mesylate inhibits Bcr-Abl autophosphorylation and Stat5 and Erk1/2 phosphorylation. Flumatinib mesylate inhibits tumor growth in chronic myelogenous leukemia model[1][2].

| $IC_{50}$ & Target | PDGFRβ | c-Abl |
|---|---|---|

| | | |
|---|---|---|
| | 307.6 nM ($IC_{50}$) | 1.2 nM ($IC_{50}$) |

**In Vitro**

Flumatinib mesylate (HH-GV-678) (0-1000 μM; 4, 7 and 10 days) blocks cellular Bcr-Abl autophosphorylation and Stat5 and Erk1/2 phosphorylation in K562 leukemia cells[1].

Flumatinib mesylate (HH-GV-678) (0-10 μM; 72 hours) remarkably decreases the number of cells in chronic myelogenous leukemia cell lines[1].

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

Cell Proliferation Assay[1]

| | |
|---|---|
| Cell Line: | Chronic myelogenous leukemia cell line |
| Concentration: | 0-10 μM |
| Incubation Time: | 72 hours |
| Result: | The proliferation inhibitory activity was 32-to 58-fold more potent than that of imatinib and 2-to 5-fold more potent than that of nilotinib. |

Western Blot Analysis[1]

| | |
|---|---|
| Cell Line: | K562 cells |
| Concentration: | 0, 1, 3, 10, 30, 100, 300 and 1000 μM |
| Incubation Time: | 4, 7 and 10 days |
| Result: | Suppressed cellular Bcr-Abl autophosphorylation and Stat5 and Erk1/2 phosphorylation. |

**In Vivo**

Flumatinib mesylate (HH-GV-678) (18-75 mg/kg; p.o.; Twice daily, for 14 days.) inhibits tumor growth in nude mice[1].

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

| | |
|---|---|
| Animal Model: | Nude mice (subcutaneously injecting K562 cells)[1] |
| Dosage: | 18.75, 37.5, 75 mg/kg |
| Administration: | Oral administration; Twice daily, for 14 days. |
| Result: | Inhibited the growth of K562 xenografts in a dose-dependent manner and induced regression in all tumors at a daily dose of 75 mg/kg for nine days. |

## 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.

**Caution: Product has not been fully validated for medical applications. For research use only.**

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