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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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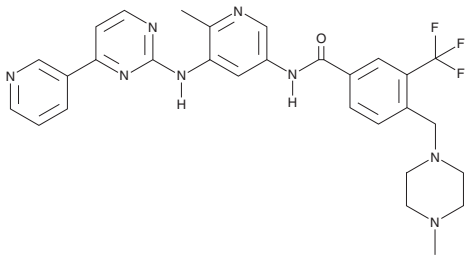
PRODUCT INFORMATION

Flumatinib

Item No. 36226

CAS Registry No.:	895519-90-1
Formal Name:	4-[(4-methyl-1-piperazinyl)methyl]-N-[6-methyl-5-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-3-pyridinyl]-3-(trifluoromethyl)-benzamide
Synonym:	HH-GV-678
MF:	$C_{29}H_{29}F_3N_8O$
FW:	562.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 239, 273 nm
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Flumatinib is supplied as a solid. A stock solution may be made by dissolving the flumatinib in the solvent of choice, which should be purged with an inert gas. Flumatinib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of flumatinib in DMSO is approximately 2 mg/ml. Flumatinib is slightly soluble in ethanol and DMF.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of flumatinib can be prepared by directly dissolving the solid in aqueous buffers. The solubility of flumatinib in PBS (pH 7.2) is approximately 0.25 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Flumatinib is an inhibitor of the non-receptor tyrosine kinase Bcr-Abl (IC_{50} = 1.2 nM).[1] It is selective for Bcr-Abl over VEGFR2, c-Src, EGFR, and HER2 at 1 µM but does inhibit PDGFRβ and c-Kit (IC_{50}s = 307.6 and 665.5 nM, respectively). Flumatinib inhibits the proliferation of K562 chronic myelogenous leukemia (CML) cells expressing wild-type Bcr-Abl (IC_{50} = 5.1 nM) and 32D cells expressing the Bcr-Abl mutations Bcr-Abl^{Q252H}, Bcr-Abl^{Y253F}, Bcr-Abl^{E255K}, Bcr-Abl^{M351T}, and Bcr-Abl^{H396P} (IC_{50}s = 76.3, 40.4, 123.2, 20.2, and 34.6 nM, respectively), which confer resistance to the c-Abl, Bcr-Abl, PDGFR, and c-Kit inhibitor imatinib (Item No. 13139). It also induces tumor regression and increases survival time in a K562 mouse xenograft model when administered at a dose of 75 mg/kg per day. Flumatinib also increases the viability of Vero E6 cells infected with severe acute respiratory syndrome coronavirus 2 (SARS-CoV-2; EC_{50} = 1.6 µM) and reduces the levels of SARS-CoV-2 in the supernatant of Vero E6 infected cells.[2]

References

1. Luo, H., Quan, H., Xie, C., *et al.* HH-GV-678, a novel selective inhibitor of Bcr-Abl, outperforms imatinib and effectively overrides imatinib resistance. *Leukemia* **24(10)**, 1807-1809 (2010).
2. Singh, A. and Arkin, I.T. Targeting viral ion channels: A promising strategy to curb SARS-CoV-2. *Pharmaceuticals (Basel)* **15(4)**, 396 (2022).

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