

Product Data Sheet

Product Name: Dalmelitinib

Cat. No.: GC73131

Chemical Properties

Cas. No. 1637658-98-0

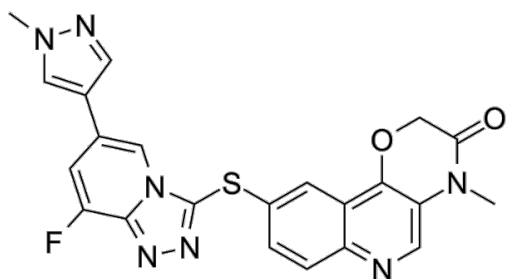
Formula $C_{22}H_{16}FN_7O_2S$ M.Wt 461.47

Solubility DMSO : 100 mg/mL (216.70 mM; ultrasonic and warming and heat to 80°C) Storage -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Background

Dalmelitinib is an orally active selective c-Met kinase inhibitor (IC₅₀: 2.9 nM) that binds to the ATP-binding region of c-Met. Dalmelitinib induces the phosphorylation of MET, partially or completely inhibits the phosphorylation of AKT and ERK. Dalmelitinib potently inhibits cancer cell (c-Met oncogene amplification) proliferation, and is used for the research of cancers like human non-small cell lung cancer (NSCLC).

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

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Dalmelitinib (Compound 4 d) binds to the ATP-binding region of c-Met kinase, and shows selective inhibitory activity against c-Met with an IC₅₀ value of 2.9 nM[1]. Dalmelitinib (0-1 µM approximately, 3 days) inhibits cell proliferation in various c-Met oncogene amplification cancer cell lines, with IC₅₀ values ranging from 6 nM to 33 nM[1]. Dalmelitinib (0.1-1 µM, 6-24 h) significantly induces the phosphorylation of the tyrosine kinases (MET), partially or completely inhibits the downstream phosphorylation of ERK and AKT in HCCLM3 cells[1].

Dalmelitinib (Compound 4 d, intragastric administration, 10-60 mg/kg) significantly inhibits the tumor growth in a dose-dependent manner in MKN-45 tumor xenograft nude mice[1]. Dalmelitinib (intragastric administration, 5 mg/kg for a single dose) shows a high plasma concentration, longer half-life and mean residence time, low clearance rates in BALB/c small nude mice[1]. Dalmelitinib shows a high level of No Observed Adverse Effect Level (NOAEL) in mice long-term toxicity (225 mg/kg/day) and acute toxicity (600 mg/kg/day)[1].

References:

[1]. Junjun Zhao, et al. Synthesis and biological evaluation of new [1,2,4]triazolo[4,3-a]pyridine derivatives as potential c-Met inhibitors. *Bioorg Med Chem*. 2016 Aug 15;24(16):3483-93.

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