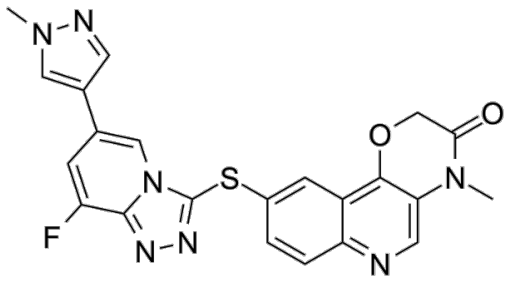
Product Data Sheet

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.
Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

Dalmelitinib (Compound 4 d) binds to the ATP-binding region of c-Met kinase, and shows slective 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.

Caution: Product has not been fully validated for medical applications. For research use only.
Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA