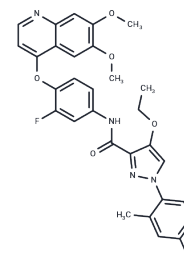


LDC1267

Chemical Properties

CAS No. : 1361030-48-9
 Formula: C₃₀H₂₆F₂N₄O₅
 Molecular Weight: 560.55
 Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
 Actual storage temperature shall be subject to the COA.



Biological Description

Description	LDC1267 is a excellently specific TAM(Tyro3, Axl and Mer) kinase inhibitor, for Mer(IC ₅₀ <5 nM), Tyro3(IC ₅₀ =8 nM), and Axl(IC ₅₀ =29 nM).
Targets(IC ₅₀)	TAM Receptor
In vitro	In mice bearing B16F10 melanoma, intraperitoneal injection of LDC1267 (20 mg/kg) exhibits high safety and is capable of inhibiting tumor metabolism and enhancing the activity of anti-metastatic NK cells.
In vivo	In various cell lines, LDC1267 exhibits inhibition of cellular proliferation with an IC ₅₀ >5 μM. Moreover, in NKG2D-activated NK cells, LDC1267 can block the inhibitory effect induced by Gas6 stimulation.
Kinase Assay	Kinase binding assays: For optimization of Axl/TAM receptor inhibitors, an Axl binding assay is established (HTRF method; Kinase tracer 236). This assay is based on the binding and displacement of the Alexa Fluor 647-labelled Kinase tracer 236 to each glutathione S-transferase (GST)-tagged kinase used in the binding assay. Binding of the tracer to the kinase was detected by using europium (Eu)-labelled anti-GST antibodies. Simultaneous binding of both the fluorescent tracer and the Eu-labelled antibodies to the GST-tagged kinase generates a fluorescence resonance energy transfer (FRET) signal. Binding of inhibitor to the kinase competes for binding with the tracer, resulting in a loss of the FRET signal. For the assay, the compound is diluted in 20 mM HEPES, pH? 8.0, 1 mM DTT, 10 mM MgCl ₂ and 0.01% Brij35. Then, the kinase of interest (5 nM final concentration), fluorescent tracer (15 nM final concentration) and LanthaScreen Eu-anti-GST antibody (2 nM final concentration) are mixed with the respective compound dilutions (from 5 nM to 10 μM) and incubated for 1 h. The FRET signal is quantified using an EnVision Multilabelreader 2104.
Cell Research	After incubation for 72 hours with LDC1267, CellTiterGlow reagent is used to determine the proliferation relative to the corresponding DMSO control.(Only for Reference)

Solubility Information

Solubility	DMSO: 45.4 mg/mL (80.99 mM),Sonication is recommended. Ethanol: 2 mg/mL (3.57 mM),Heating is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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A DRUG SCREENING EXPERT

In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.3 mg/mL (5.89 mM), Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.784 mL	8.9198 mL	17.8396 mL
5 mM	0.3568 mL	1.784 mL	3.5679 mL
10 mM	0.1784 mL	0.892 mL	1.784 mL
50 mM	0.0357 mL	0.1784 mL	0.3568 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Paolino M, et al. Nature. 2014, 507(7493), 508-512.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

This product is for Research Use Only · Not for Human or Veterinary or Therapeutic Use

Tel: 781-999-4286 E_mail: info@targetmol.com Address: 34 Washington Street, Wellesley Hills, MA 02481