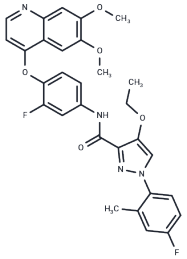
# Data Sheet (Cat.No.T2311)

TargetMol

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

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|---|---|
| Description | LDC1267 is a excellently specific TAM(Tyro3, Axl and Mer) kinase inhibitor, for Mer( IC50<5 nM), Tyro3(IC50=8 nM), and Axl(IC50=29 nM). |
| Targets(IC50) | 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 IC50 >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 MgCl2 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 Multilabellreader 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

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|---|---|
| Solubility | DMSO: 45.4 mg/mL (80.99 mM),Sonication is recommended.<br>Ethanol: 2 mg/mL (3.57 mM),Heating is recommended.<br>(< 1 mg/ml refers to the product slightly soluble or insoluble) |

| | |
|---|---|
| In vivo Formulation | 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 4.55 mg/mL (8.12 mM),Solution.<br>10% DMSO+90% Saline: < 4.55 mg/mL (8.12 mM),Lower concentrations may be soluble, but exact solubility limit is unknown.<br>*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.* |

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.