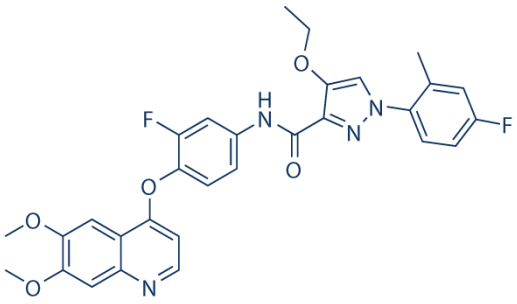
LDC1267

Cat# C30704B– 25、50 、100 mg/ bulk size

Storage under -20°C for 3 years / -80°C for 2 years in solvent

INTFORMATION

Product Name	LDC1267
Cat NO.	C30704B
Size	25、50 、100 mg/ bulk size
Description	LDC1267 is a highly selective TAM kinase inhibitor with IC50 of <5 nM, 8 nM, and 29 nM for Mer, Tyro3, and Axl, respectively. Displays lower activity against Met, Aurora B, Lck, Src, and CDK8.
Cas No.	1361030-48-9
Purity	> 98%
Molecular Formulation	$C_{30}H_{26}F_2N_4O_5$
Molecular Weight	560.55
In vitro	LDC1267 moderately affects cell proliferation in 11 of 95 different cell lines with IC50 of >5μM. In NKG2D-activated NK cells, LDC1267 abolishes the inhibitory effects of Gas6 stimulation. [1]
In vivo	In B16F10 melanoma-bearing mice, LDC1267 (20 mg/kg, i.p.) efficiently enhances anti-metastatic NK cell activity, and rejects tumor metastases without serious cytotoxicity. [1]
Solubility	DMSO : 100 mg/mL warmed with 50ºC water bath Ethanol : 2 mg/mL warmed with 50ºC water bath Water : Insoluble ♦ <1 mg/ml means slightly soluble or insoluble. ♦ Please note that Selleck tests the solubility of all compounds in-house, and the actual solubility may differ slightly from published values. This is normal and is due to slight batch-to-batch variations.
Image	

PREPARING STOCK SOLUTIONS

Volume / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.7840 mL	8.9198 mL	17.8396 mL
5 mM	0.3568 mL	1.7840 mL	3.5679 mL
10 mM	0.1784 mL	0.8920 mL	1.7840 mL
50 mM	0.0357 mL	0.1784 mL	0.3568 mL

PROTOCOL (Only for Reference)

Kinase Assay: [2]

Kinase binding assays	For optimization of Axl/TAM receptor inhibitors, an Axl binding assay is establis (HTRF method; Kinase tracer 236). This assay is based on the binding displacement of the Alexa Fluor 647-labelled Kinase tracer 236 to each glutathi S-transferase (GST)-tagged kinase used in the binding assay. Binding of the trace the kinase was detected by using europium (Eu)-labelled anti-GST antibo Simultaneous binding of both the fluorescent tracer and the Eu-labelled antibo to the GST-tagged kinase generates a fluorescence resonance energy transfer (F signal. Binding of inhibitor to the kinase competes for binding with the tra resulting in a loss of the FRET signal. For the assay, the compound is diluted in 20 HEPES, pH 8.0, 1 mM DTT, 10 mM $MgCl_2$ and 0.01% Brij35. Then, the kinas interest (5 nM final concentration), fluorescent tracer (15 nM final concentrat and LanthaScreen Eu-anti-GST antibody (2 nM final concentration) are mixed the respective compound dilutions (from 5 nM to 10 µM) and incubated for 1 h. FRET signal is quantified using an EnVision Multilabellreader 2104.

Cell Assay: [2]

Cell lines	A panel of 93 cancer cell lines and two primary cells (x axis, IMR90 and hu peripheral blood mononuclear cells)
Concentrations	~30 µM
Incubation Time	72 hours
Method	After incubation for 72 hours with LDC1267, CellTiterGlow reagent is use determine the proliferation relative to the corresponding DMSO control.

Animal Study: [2]

Animal Models	Mouse B16F10 metastatic melanoma model
Dosages	20 mg/kg
Administration	i.p.

Reference

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

PRODUCT USE LIMITATION

These products are intended for research use only.