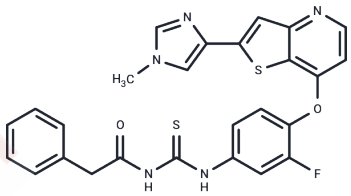
Data Sheet (Cat.No.T6351)

TargetMol

MGCD-265 analog

Chemical Properties



CAS No. : 875337-44-3

Formula: C26H20FN5O2S2

Molecular Weight: 517.6

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	MGCD-265 analog (Glesatinib) is an orally bioavailable multitargeted tyrosine kinase inhibitor with potential antineoplastic activity with IC50 of 29 nM and 10 nM for c-Met and VEGFR2, respectively.
Targets(IC50)	Apoptosis,c-Met/HGFR,VEGFR
In vitro	MGCD-265 is a multi-target inhibitor of receptor tyrosine kinases. MGCD-265 potently inhibits Met, MetY1235D, MetM1250T, VEGFR1, VEGF2, VEGF3, Ron, and Tie2, with IC50 values ranging from 1 nM to 7 nM. [1] MGCD-265 inhibits cell proliferation both in c-Met-driven tumor cells (MKN45, MNNG-HOS, and SNU-5) and in non-c-Met-driven tumor cells (HCT116 and MDA-MB-231), with IC50 values of 6 nM–30 nM and 1 μM–3 μM, respectively. In serum starved MKN45 cells, MGCD-265 (40 nM–5 μM) effectively inhibits c-Met phosphorylation and its downstream signaling pathways, including Erk, Akt, Stat3, and Fak. MGCD-265 (6 nM–1 μM) also induces apoptosis in MKN45 cells.
In vivo	In c-Met-driven or non-c-Met-driven mice xenograft models of MKN45, U87 mg, MDA-MB-231, COLO205, and A549 tumor cells, MGCD-265 (20 mg/kg–60 mg/kg) inhibits tumor growth and c-Met signaling. MGCD-265 (40 mg/kg) also downregulates genes involved in angiogenesis, including VEGF and IL-8, both in tumor and plasma of mice with U87 mg xenograft. MGCD-265 also inhibits the plasma level of shed-Met.
Kinase Assay	Time-resolved fluorescence resonance energy transfer assay: The c-Met-catalyzed phosphorylation of N-biotinylated peptide (EQEDEPEGDYFEWLE-CONH2) is measured using a time-resolved fluorescence resonance energy transfer assay. [2] The MK-2461 IC50 for Ron, Mer, Flt1, Flt3, Flt4, KDR, PDGFRβ, FGFR1, FGFR2, FGFR3, TrkA, and TrkB are determined using time-resolved fluorescence resonance energy transfer assays similar to the c-Met kinase assay.
Cell Research	Cells are treated with MGCD-265 for 72 hours and cell number is determined as a function of mitochondrial activity, following incubation with MTT for 4 hours.(Only for Reference)

Solubility Information

Solubility	Ethanol: < 1 mg/mL (insoluble or slightly soluble), H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 96 mg/mL (185.47 mM),Sonication is recommended.

Solubility	(< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.3 mg/mL (6.38 mM),Sonication is recommended. *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	1.932 mL	9.660 mL	19.3199 mL
5 mM	0.3864 mL	1.932 mL	3.864 mL
10 mM	0.1932 mL	0.966 mL	1.932 mL
50 mM	0.0386 mL	0.1932 mL	0.3864 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.