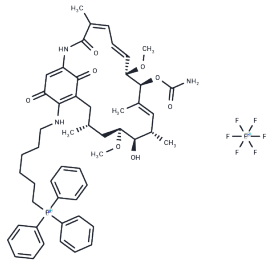
Data Sheet (Cat.No.T11356)

TargetMol

Gamitrinib TPP hexafluorophosphate

Chemical Properties



CAS No. : 1131626-47-5

Formula: C52H65F6N3O8P2

Molecular Weight: 1036.03

Storage: Store at low temperature
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	Gamitrinib TPP hexafluorophosphate is a mitochondrial-targeted HSP90 inhibitor with anticancer activity, which can regulate cell cycle and cell homeostasis and can be used to study cancer, neurodegenerative diseases and viral infections.
Targets(IC50)	HSP,Antifungal
In vitro	Within a 16-hour exposure, concentrations of G-TPP of 15–20 μM indistinguishably killed patient-derived and cultured glioblastoma cell lines, G-TPP did not kill normal fetal human astrocytes (FHAS). Cell viability measured by MTT. Cultured glioblastoma cell lines (U87; LN229; U251), patient-derived glioblastoma cells (GS620; GS48; AS515), or SV40-transformed normal FHAS. [1] The “mitochondriotoxic” activity of G-TPP did not involve changes in expression of pro- or antiapoptotic Bcl-2 family proteins or recruitment of Bax to mitochondria. U87 cells were incubated with 0–10 μM G-TPP for 16 hours and analyzed by WB. LN229 cells were treated with or without G-TPP and cytosol (Cyto) or mitochondrial extracts (MTE) and were analyzed after 6 hours by WB. [1] G-TPP treatment leads to PINK1 stabilization and pS65-Ub induction in HeLa cells. HeLa cells stably expressing untagged Parkin were treated with 10 μM G-TPP. PINK1 protein was undetectable in untreated cells, but accumulated 8 h after treatment with G-TPP along with the increase of pS65-Ub signal by Western blots. [1]
In vivo	Systemic monotherapy with G-TPP at concentrations (20 mg/kg as daily i.p. injections) that inhibit subcutaneous xenograft tumor growth in mice had no effect on orthotopic glioblastoma growth. Nude mice carrying intracranial U87-Luc glioblastomas were treated. Treatment was suspended on day 10 after tumor implantation, and tumor growth was assessed weekly. [1] G-TPP treatment leads to PINK1 stabilization and pS65-Ub induction in HeLa cells. HeLa cells stably expressing untagged Parkin were treated with 10 μM G-TPP. PINK1 protein was undetectable in untreated cells, but accumulated 8 h after treatment with G-TPP along with the increase of pS65-Ub signal by Western blots. [2]

Solubility Information

Solubility	DMSO: 40 mg/mL (38.61 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween-80+45% Saline: 2 mg/mL (1.93 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	0.9652 mL	4.8261 mL	9.6522 mL
5 mM	0.193 mL	0.9652 mL	1.9304 mL
10 mM	0.0965 mL	0.4826 mL	0.9652 mL
50 mM	0.0193 mL	0.0965 mL	0.193 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

Markus D. Siegelin, et al. Exploiting the mitochondrial unfolded protein response for cancer therapy in mice and human cells. J Clin Invest. 2011 Apr 1; 121(4): 1349–1360.

Fiesel FC, et al. Mitochondrial targeted HSP90 inhibitor Gamitrinib-TPP (G-TPP) induces PINK1/Parkin-dependent mitophagy. Oncotarget. 2017 Nov 6;8(63):106233-106248.