

Gamitrinib TPP

Chemical Properties

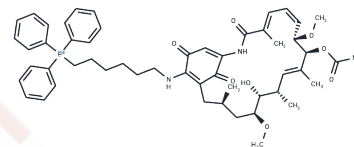
CAS No. : 1131626-46-4

Formula: C52H65N3O8P

Molecular Weight: 891.078

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	Gamitrinib TPP is a mitochondrial targeted HSP90 inhibitor exhibiting potent anti-cancer activity. Additionally, Gamitrinib TPP functions as a mitochondrial matrix inhibitor by targeting Gamitrinib (GA).
Targets(IC50)	HSP
In vitro	Exposure to 15-20 μM of Gamitrinib TPP for 16 hours effectively induces death in both patient-derived and cultured glioblastoma cell lines through a process characteristic of mitochondrial apoptosis. This includes a loss of mitochondrial inner membrane potential, cytochrome c release into the cytosol, activation of caspase-9, caspase-3, and caspase-7, and cellular annexin V reactivity. The selectivity of Gamitrinib TPP is attributed to the presence of Hsp90s in the mitochondria of tumor cells, but not in normal tissues, thereby sparing normal fetal human astrocytes (FHAS) from damage. In contrast, 17-AAG, which is not targeted to any subcellular compartment, shows no discernible effects on either normal or tumor cells under similar conditions.
In vivo	Administering two cycles of intracranial TRAIL alongside systemic G-TPP effectively inhibits the growth of established glioblastomas without causing significant weight loss in the animals. Examination of brain sections from these treated mice, unlike those receiving only single-agent treatment, reveals a decrease in tumor cell proliferation, internucleosomal DNA fragmentation, and caspase-3 activity, indicating a significant induction of apoptosis in vivo.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.1222 mL	5.6112 mL	11.2223 mL
5 mM	0.2244 mL	1.1222 mL	2.2445 mL
10 mM	0.1122 mL	0.5611 mL	1.1222 mL
50 mM	0.0224 mL	0.1122 mL	0.2244 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.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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