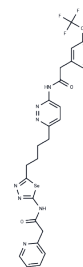


Glutaminase-IN-1

Chemical Properties

CAS No. :	2247127-79-1
Formula:	C ₂₆ H ₂₄ F ₃ N ₇ O ₃ Se
Molecular Weight:	618.47
Storage:	Store at low temperature Powder: -20°C for 3 years In solvent: -80°C for 1 year <i>Actual storage temperature shall be subject to the COA.</i>



Biological Description

Description	Glutaminase-IN-1 (CB839 derivative), a novel 1,3,4-selenodiazepine inhibitor of the renal-type glutaminase (KGA) variant, exhibited antitumor activity in an aggressive H22 hepatocellular carcinoma xenograft model.
Targets(IC50)	Glutaminase, transporter
In vitro	Glutaminase-IN-1 (CPD20) is a derivative of CB839, serving as a conformational inhibitor of kidney-type glutaminase (KGA) with an IC ₅₀ of 1 nM. Glutaminase-IN-1 exhibits improved cellular uptake and anti-tumor activity. The IC ₅₀ values of Glutaminase-IN-1 in A549, H2, Caki-1, and HCT116 cell lines are 17 nM, 6.78 μM, 19 nM, and 9 nM, respectively. Glutaminase-IN-1 demonstrates superior KGA inhibitory activity compared to the corresponding BPTES and CB839[1].
In vivo	Glutaminase-IN-1 (10 mg/kg, subcutaneous) reduced the size and weight of HCT116 tumors, and statistical analysis indicated a significant 40% reduction in tumor weight with CPD20. Glutaminase-IN-1 significantly prolonged the survival of mice carrying H22 [1].

Solubility Information

Solubility	DMSO: 100 mg/mL (161.69 mM), Sonication is recommended. H ₂ O: 1 mg/mL (1.62 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: 3.3 mg/mL (5.34 mM), Sonication is recommended. <i>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.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.6169 mL	8.0845 mL	16.1689 mL
5 mM	0.3234 mL	1.6169 mL	3.2338 mL
10 mM	0.1617 mL	0.8084 mL	1.6169 mL
50 mM	0.0323 mL	0.1617 mL	0.3234 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

Chen Z, et al. Novel 1,3,4-Selenadiazole Containing Kidney-Type Glutaminase Inhibitors Showed Improved Cellular Uptake and Antitumor Activity. J Med Chem. 2018 Dec 13.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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