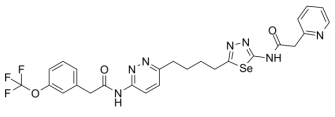
Glutaminase-IN-1

Cat. No.:	HY-114334
CAS No.:	2247127-79-1
Molecular Formula:	$C_{26}H_{24}F_3N_7O_3Se$
Molecular Weight:	618
Target:	Glutaminase
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (40.45 mM; ultrasonic and warming and heat to 60°C)
H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.6181 mL	8.0906 mL	16.1812 mL
5 mM	0.3236 mL	1.6181 mL	3.2362 mL
10 mM	0.1618 mL	0.8091 mL	1.6181 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.37 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 1.44 mg/mL (2.33 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Glutaminase-IN-1 (CB839 derivative), a CB839 derivative, is an allosteric inhibitor of 1,3,4-selenadiazole-containing kidney-type glutaminase (KGA), with an IC_{50} of 1 nM. Glutaminase-IN-1 (CB839 derivative) shows improved cellular uptake and antitumor activity.

IC_{50} & Target

IC50: 1 nM (KGA)[1].

In Vitro

Glutaminase-IN-1 (CPD20), a CB839 derivative, is an allosteric inhibitor of 1,3,4-selenadiazole-containing kidney-type glutaminase (KGA), with an IC_{50} of 1 nM. Glutaminase-IN-1 shows improved cellular uptake and antitumor activity. The IC_{50} values of Glutaminase-IN-1 are 17 nM, 6.78 μM, 19 nM and 9 nM in A549, H2, Caki-1 and HCT116 cell lines, respectively.

	Glutaminase-IN-1 has better KGA inhibitory activity than the corresponding BPTES and CB839[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Glutaminase-IN-1(10 mg/kg, s.c.) reduced the size and weight of the HCT116 tumor, and statistical analysis showed that the 40% reduction in tumor weight by CPD20 is statistically significant. Glutaminase-IN-1 could statistically significantly prolong the survival of H22-bearing mice[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. 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.

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