GRP78-IN-3

Cat. No.: HY-152153

CAS No.: 2707510-30-1

Molecular Formula: $C_{17}H_{18}N_4O_2S$

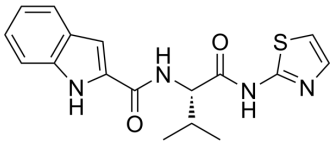
Molecular Weight: 342.42

Target: HSP

Pathway: Cell Cycle/DNA Damage; Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 5 mg/mL (14.60 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.9204 mL	14.6020 mL	29.2039 mL
	5 mM	0.5841 mL	2.9204 mL	5.8408 mL
	10 mM	0.2920 mL	1.4602 mL	2.9204 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 0.5 mg/mL (1.46 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 0.5 mg/mL (1.46 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GRP78-IN-3 is a selective Grp78 (HSPA5) inhibitor with an IC_{50} of 0.59 μM. GRP78-IN-3 is 7-fold selective for HspA5 compared to HspA9 (IC_{50} of 4.3 μM) and >20-fold selective for HspA5 compared to HspA2 (IC_{50} of 13.9 μM)[1].

IC_{50} & Target

HSPA5
0.59 μM (IC_{50})

In Vitro

GRP78-IN-3 (compound 8) is a potent small-molecule-competitive inhibitor of Hsp70 substrate binding. GRP78-IN-3 (0.1-100 μM) shows more potent inhibition efffects in a spheroid tumor model (U251 glioblastoma cells and H520 lung cancer cells)[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Andrew J Ambrose, et al. Discovery and Development of a Selective Inhibitor of the ER Resident Chaperone Grp78. J Med Chem. 2022 Dec 14.

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