Rbin-1

Cat. No.: HY-100816

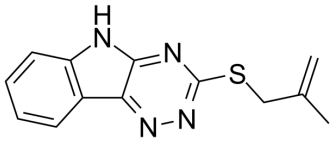
CAS No.: 328023-11-6

Molecular Formula: $C_{13}H_{12}N_4S$

Molecular Weight: 256.33

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 : ≥ 31 mg/mL (120.94 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.9012 mL	19.5061 mL	39.0122 mL
5 mM	0.7802 mL	3.9012 mL	7.8024 mL
10 mM	0.3901 mL	1.9506 mL	3.9012 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: 1.67 mg/mL (6.52 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.67 mg/mL (6.52 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Rbin-1 is a potent, reversible, and specific chemical inhibitor of eukaryotic ribosome biogenesis. Rbin-1 inhibits the ATPase with GI_{50} of 136 nM. Rbin-1 is a potent and selective chemical inhibitor of Midasin (Mdn1).

IC_{50} & Target

GI50: 136±7 nM (ATPase)[1]

In Vitro

Rbin-1 is a potent and reversible triazinoindole-based inhibitors of eukaryotic ribosome biogenesis. Rbin-1 inhibits recombinant full-length Mdn1's ATPase activity. Two of the active analogs (Rbin-1 and Rbin-2) inhibit the ATPase activity by 40% at 1 uM. In particular, an analog (Rbin-2) with a bromine substituent at postion-7 is 10-fold more active than Rbin-1 (GI_{50}=14±1 nM (Rbin-2); 136±7 nM (Rbin-1), n=4, mean±SD)[1].

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

PROTOCOL

Kinase Assay [1]

Radioactive γ-P^{32}-ATP is added to 600 mM MgATP (pH=7) solutions at volume ratios of 1:1000-1:300, depending on the lifetime of the radioactive reagent. The total volume of each reaction is 12 mL, including 6 ml of protein from size exclusion chromatography fractions (final concentration 0-50 nM for different fractions, peak fractions are used for Rbin-1 and AMPPNP inhibition), 4 mL FPLC SEC buffer with 0.6 mM Na_2SO_4 and 2 mL MgATP (final concentration=100 mM). The reactions are then incubated at room temperature for 30 or 60 min before quenching with 12 mL 0.2 M EDTA. 1 mL from each reaction mixture is spotted on to TLC PEI cellulose F plates. The TLC buffer contained 0.15 M formic acid and 0.15 M lithium chloride. The TLC plates are then imaged using the Typhoon Scanner 9400. ImageJ is used to calculate the densitometric ratio of the spots corresponding to radioactive free phosphate and ATP to determine the percent of ATP hydrolyzed[1].

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

CUSTOMER VALIDATION

- PLoS Genet. 2023 Jan 18;19(1):e1010602.
- Mol Microbiol. 2017 Jul;105(1):84-97.

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