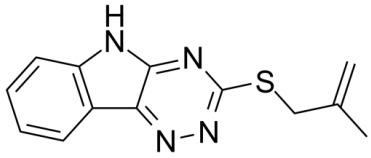
Data Sheet

Product Name:	Rbin-1
Cat. No.:	CS-6068
CAS No.:	328023-11-6
Molecular Formula:	C13H12N4S
Molecular Weight:	256.33
Target:	Others
Pathway:	Others
Solubility:	DMSO : ≥ 31 mg/mL (120.94 mM); H2O : < 0.1 mg/mL (insoluble)



BIOLOGICAL ACTIVITY:

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). IC50 & 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].

PROTOCOL (Extracted from published papers and Only for reference)

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].

References:

[1]. Kawashima SA, et al. Potent, Reversible, and Specific Chemical Inhibitors of Eukaryotic Ribosome Biogenesis. Cell. 2016 Oct 6;167(2):512-524.e14.

CAIndexNames:

5H-1,2,4-Triazino[5,6-b]indole, 3-[(2-methyl-2-propen-1-yl)thio]-

SMILES:

C=C(C)CSC1=NN=C2C(NC3=C2C=CC=C3)=N1

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 732-484-9848 Fax: 888-484-5008 E-mail: sales@ChemScene.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA