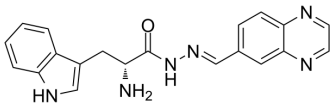
Rhosin

Cat. No.:	HY-12646A
CAS No.:	1173671-63-0
Molecular Formula:	$C_{20}H_{18}N_6O$
Molecular Weight:	358
Target:	Ras; Apoptosis
Pathway:	GPCR/G Protein; MAPK/ERK Pathway; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Rhosin is a potent, specific RhoA subfamily Rho GTPases inhibitor, which specifically binds to RhoA to inhibit RhoA-GEF interaction with a K_d of ~ 0.4 uM, and does not interact with Cdc42 or Rac1, nor the GEF, LARG. Rhosin induces cell apoptosis [1][2]. Rhosin promotes stress resiliency through enhancing D1-MSN plasticity and reducing hyperexcitability[3].
IC_{50} & Target	Kd: 0.4 uM (RhoA)[1]
In Vitro	Rhosin dose-dependently reduces RhoA and p-MLC1 activities of MCF7 cell-derived mammospheres with an EC_{50} ~30-50 μM, and causes decreased size and reduced number of mammospheres in MCF7 cells[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Rhosin (40 mg/kg; i.p.) treatment prevents social avoidance caused by social defeat stress. Rhosin also blocks sucrose preference deficits induced by defeat in C57Bl6/J (Jackson) mice[1]. Rhosin (30 μM; bilateral, intra- Nucleus Accumbens (NAc) infusions) attenuates stress-induced social avoidance. Rhosin blocks stress-induced hyperexcitability in NAc dopamine 1 receptor medium spiny neurons (D1-MSNs). Rhosin prevents decreased excitatory transmission on NAc D1-MSNs. Rhosin enhances spine density in defeat mice[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	D1-GFP or D2-GFP hemizygote mice on a C57BL/6J background[3]
Dosage:	40 mg/kg
Administration:	i.p.
Result:	Rhosin was systemically administered 15 min prior to defeat to block RhoA activation. While defeat significantly reduced the time that experimental mice spent interacting with a novel mouse, Rhosin administration suppressed this effect without affecting locomotor behaviors.

CUSTOMER VALIDATION

- Circulation. 2021 May 4;143(18):1775-1792.
- Nat Commun. 2023 Mar 14;14(1):1402.
- Theranostics. 2022 May 9;12(8):3847-3861.
- Cancer Res. 2022 Feb 15;82(4):681-694.
- Cell Death Dis. 2023 Apr 20;14(4):280.

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REFERENCES

[1]. Shang X, et al. Rational design of small molecule inhibitors targeting RhoA subfamily Rho GTPases. Chem Biol. 2012 Jun 22;19(6):699-710.

[2]. Shang X, et al. Small-molecule inhibitors targeting G-protein-coupled Rho guanine nucleotide exchange factors. Proc Natl Acad Sci U S A. 2013 Feb 19;110(8):3155-60.

[3]. Francis TC, et al. The Selective RhoA Inhibitor Rhosin Promotes Stress Resiliency Through Enhancing D1-Medium Spiny Neuron Plasticity and Reducing Hyperexcitability. Biol Psychiatry. 2019;85(12):1001-1010.

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

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