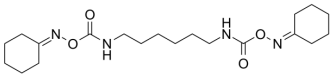
RHC 80267

Cat. No.: HY-107416

CAS No.: 83654-05-1

Molecular Formula: $C_{20}H_{34}N_4O_4$

Molecular Weight: 394.51



Target: Acyltransferase; mAChR; COX; Phospholipase

Pathway: Metabolic Enzyme/Protease; GPCR/G Protein; Neuronal Signaling; Immunology/Inflammation

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 (63.37 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.5348 mL	12.6740 mL	25.3479 mL
5 mM	0.5070 mL	2.5348 mL	5.0696 mL
10 mM	0.2535 mL	1.2674 mL	2.5348 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: ≥ 2.5 mg/mL (6.34 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.34 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.34 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

RHC 80267 (U-57908) is a potent and selective inhibitor of diacylglycerol lipase (DAGL) (with IC_{50} of 4 μM in canine platelets). RHC-80267 inhibits cholinesterase activity with an IC_{50} of 4 μM, thereby enhancing the relaxation evoked by acetylcholine. RHC 80267 also inhibits COX and the hydrolysis of phosphatidylcholine (PC)[1][2][3][4].

IC_{50} & Target

IC50: 4 μM (Canine diacylglycerol lipase), 4 μM (Cholinesterase);
COX;

	Phosphatidylcholine[1][3][4]
In Vitro	The potentiation by RHC 80267 of the relaxation to acetylcholine could be caused by the inhibition of cholinesterase: (1) RHC 80267 does not affect the responses to carbachol, the carbamyl derivative of acetylcholine which only differs from acetylcholine by its resistance to hydrolysis by cholinesterase, and (2) the effect of RHC 80267 is mimicked by the inhibitor of cholinesterase neostigmine, RHC 80267 showing no additional effect in the presence of a maximally effective concentration of neostigmine. The determination of the effect of RHC 80267 on the cholinesterase activity of brain homogenate confirmed that RHC 80267 inhibits this enzyme in the same range of concentrations increasing the relaxation to acetylcholine, namely at 1-10 μM[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	RHC 80267 (40 μM) enhances contractions induced by U46619 (a thromboxane A2 analog) in human and rat pulmonary arteries (hPAs and rPAs, respectively) and by angiotensin II (ANG II) in rPAs in an endothelium-dependent manner[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Sutherland CA, et al. Relative activities of rat and dog platelet phospholipase A2 and diglyceride lipase. Selective inhibition of diglyceride lipase by RHC 80267. J Biol Chem. 1982 Dec 10;257(23):14006-10.

[2]. Ghisdal P, et al. The diacylglycerol lipase inhibitor RHC-80267 potentiates the relaxation to acetylcholine in rat mesenteric artery by anti-cholinesterase action. Eur J Pharmacol. 2005 Jul 4;517(1-2):97-102.

[3]. Karpińska O, et al. Activation of CB1 receptors by 2-arachidonoylglycerol attenuates vasoconstriction induced by U46619 and angiotensin II in human and rat pulmonary arteries. Am J Physiol Regul Integr Comp Physiol. 2017 Jun 1;312(6):R883-R893.

[4]. Oglesby TD, et al. The inhibition of arachidonic acid metabolism in human platelets by RHC 80267, a diacylglycerol lipase inhibitor. Biochim Biophys Acta. 1984 Apr 18;793(2):269-77.

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