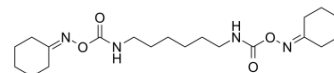


RHC 80267

Cat. No.:	HY-107416		
CAS No.:	83654-05-1		
Molecular Formula:	C ₂₀ H ₃₄ N ₄ O 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	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro	DMSO : 25 mg/mL (63.37 mM; Need ultrasonic)			
		Solvent Concentration	Mass	
			1 mg	5 mg
			10 mg	
	Preparing Stock Solutions	1 mM	2.5348 mL	12.6740 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	IC ₅₀ : 4 μM (Canine diacylglycerol lipase), 4 μM (Cholinesterase); COX;

	Phosphatidylcholine ^{[1][3][4]}
In Vitro	<p>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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
In Vivo	<p>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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

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.

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

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