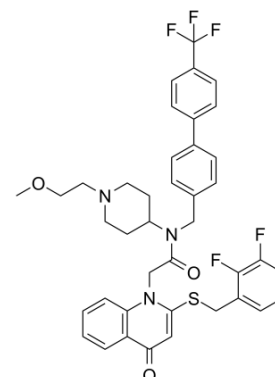


Rilapladib

Cat. No.:	HY-102004	
CAS No.:	412950-08-4	
Molecular Formula:	C ₄₀ H ₃₈ F ₅ N ₃ O ₃ S	
Molecular Weight:	735.81	
Target:	Phosphatase	
Pathway:	Metabolic Enzyme/Protease	
Storage:	Powder	-20°C 3 years
	In solvent	-80°C 6 months
		-20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 86.67 mg/mL (117.79 mM; Need ultrasonic)

Concentration	Mass		
	1 mg	5 mg	10 mg
1 mM	1.3590 mL	6.7952 mL	13.5905 mL
5 mM	0.2718 mL	1.3590 mL	2.7181 mL
10 mM	0.1359 mL	0.6795 mL	1.3590 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.25 mg/mL (3.06 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: 2.25 mg/mL (3.06 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.25 mg/mL (3.06 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Rilapladib (SB 659032) is a selective Lp-PLA₂ (lipoprotein-associated phospholipase A₂) inhibitor with an IC₅₀ of 230 pM^[1]. Rilapladib (SB 659032) is also a PAFR (Platelet Activating Factor Receptor) antagonist^[2].

IC₅₀ & Target

IC₅₀: 230 pM (Lp-PLA₂)^[1].

In Vitro

Rilapladib through reduction of the PAF biological activity (as PAF inhibitors) and PAF levels could reduce Lp-PLA₂ biosynthesis and prevent the possible adverse effects of Lp-PLA₂^[2].

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

REFERENCES

- [1]. Shaddinger BC, et al. Platelet aggregation unchanged by lipoprotein-associated phospholipase A₂ inhibition: results from an in vitro study and two randomized phase I trials. PLoS One. 2014 Jan 27;9(1):e83094.
- [2]. Athanasios Papakyriakou, et al. Computational Investigation of Darapladib and Rilapladib Binding to Platelet Activating Factor Receptor. A Possible Mechanism of Their Involvement in Atherosclerosis. International Journal of Chemistry; Vol. 6, No. 1; 2014.
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Caution: Product has not been fully validated for medical applications. For research use only.

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