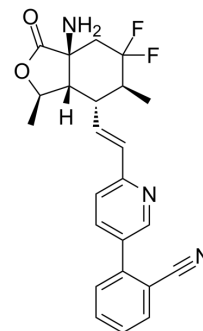


Protease-Activated Receptor-1 antagonist 2

Cat. No.:	HY-143314
CAS No.:	1454588-34-1
Molecular Formula:	C ₂₄ H ₂₃ F ₂ N ₃ O ₂
Molecular Weight:	423.46
Target:	Protease Activated Receptor (PAR)
Pathway:	GPCR/G Protein
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Protease-Activated Receptor-1 antagonist 2 is an orally active protease-activated receptor-1 (PAR-1) antagonist, with an IC ₅₀ value of 7 nM. Protease-Activated Receptor-1 antagonist 2 has favorable pharmacokinetic properties which is useful in the research of cardiovascular disease (CVD), such as atherosclerosis and restenosis ^[1] .				
IC₅₀ & Target	IC ₅₀ : 7 nM (PAR-1) ^[1] .				
In Vivo	<p>Protease-Activated Receptor-1 antagonist 2 (Compound 14, 1 mpk i.v. dosing, rat and monkey) inhibits PAR-1 with an IC₅₀ of 7 nM^[1].</p> <p>Protease-Activated Receptor-1 antagonist 2 (10-50 mpk, p.o., rat) increase s both the AUC_{0-24 h} and C_{max} in a dose-dependent manner^[1].</p> <p>Protease-Activated Receptor-1 antagonist 2 doesn't elicit any prominent liver bioactivation or tissue toxicity signals up to an AUC of 44 μM·h^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>				
Animal Model:	Rat, monkey, dog ^[1]				
Dosage:	i.v. (3 mpk for rat, 0.3 mpk for dog and monkey), p.o (10 mpk for rat, 1 mpk for dogs and monkey)				
Administration:	i.v., p.o (Pharmacokinetic Analysis)				
Result:	Pharmacokinetic parameters.				
	compound	FLIPR IC ₅₀ (nM)	MRT (R; ^c D; ^d M ^e)	F% (R; ^f D; ^g M ^h)	predicted human MRT
	Protease-Activated Receptor-1 antagonist 2	7	1.9; 18; 25	54; 100; 37	20-48

REFERENCES

[1]. Mihirbaran Mandal, et al. Lead Optimization to Advance Protease-Activated Receptor-1 Antagonists in Early Discovery. J Med Chem. 2022 Apr 14;65(7):5575-5592.

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

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