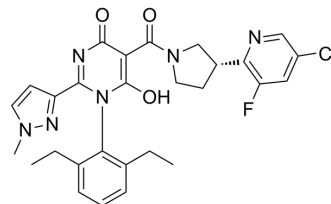


APJ receptor agonist 4

Cat. No.:	HY-145284
CAS No.:	2762567-70-2
Molecular Formula:	C ₂₈ H ₂₈ ClFN ₆ O ₃
Molecular Weight:	551.01
Target:	Apelin Receptor (APJ)
Pathway:	GPCR/G Protein
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	APJ receptor agonist 4 is a potent and orally active agonist of apelin receptor (APJ) with EC ₅₀ and Ki of 0.06 nM and 0.07 nM respectively. APJ receptor agonist 4 displays excellent pharmacokinetic profiles in the rodent heart failure (HF) model. APJ receptor agonist 4 also shows an acceptable safety profile in preclinical toxicology studies. APJ receptor agonist 4 leads to improved cardiac function and can be used for researching the HF disease ^[1] .			
IC₅₀ & Target	apelin receptor ^[1]			
In Vivo	Assessment of Pharmacokinetics (PK) profile of APJ receptor agonist 4 (compound 21) in mouse ^[1] .			
	species	rat	monkey	dog _{max} (ng/mL)
	dose (mg/kg)	1 (i.v. and p.o.)	1 (i.v.) and 3 (p.o.)	1 (i.v. and p.o.)
	vehicle ^a	F	G	G
	Cl (mL/min/kg)	4.6	10.6	2.4
	V _{SS} (L/kg)	1.6	0.2	0.2
	T _{1/2} (h)	4.0	1.3	2.5
	C _{max} (μM•h)	2.2	1.4	6.5
	AUC ₀₋₂₄ (μM•h)	3.7	2.5	14.2
	F (%)	54	27	76
	^a : Vehicle F: 5/5/90 v/v/v DMAC/Cremophor EL/sodium carbonate buffer, pH 9 and vehicle G: 10/40/50 v/v/v ethanol/PEG400/sodium carbonate buffer, pH 9. MCE has not independently confirmed the accuracy of these methods. They are for reference only.			

REFERENCES

[1]. Meng W, et al. Identification of a Hydroxypyrimidinone Compound (21) as a Potent APJ Receptor Agonist for the Potential Treatment of Heart Failure. J Med Chem. 2021;64(24):18102-18113.

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

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA