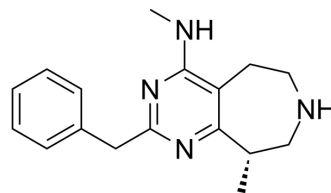


PF-4479745

Cat. No.:	HY-118339
CAS No.:	1065110-43-1
Molecular Formula:	C ₁₇ H ₂₂ N ₄
Molecular Weight:	282.38
Target:	5-HT Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	PF-4479745 is a potent and selective 5-HT _{2C} receptor agonist (EC ₅₀ : 10 nM, k _i : 15 nM). PF-4479745 can be used in the research of cardiovascular disease like hypertension ^[1] .			
IC₅₀ & Target	5-HT _{2C} Receptor 10 nM (EC ₅₀)	5-HT _{2C} Receptor 15 nM (K _i)	5-HT _{2A} Receptor 360 nM (IC ₅₀)	5-HT _{2B} Receptor 67 nM (IC ₅₀)
	5-HT _{1A} Receptor 500 nM (IC ₅₀)	5-HT ₆ Receptor 280 nM (IC ₅₀)		
In Vitro	PF-4479745 (copmpound 17) exhibits metabolic stability in both human liver microsomes (HLM) and human hepatocytes ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.			
In Vivo	PF-4479745 (compound 17, intravenous injection) shows high clearance rate (104 mL/min/kg) ^[1] . PF-4479745 demonstrates a robust dose-dependent effect in canine PUP (peak urethral pressure) model of SUI (stress urinary incontinence) ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.			

REFERENCES

[1]. R Ian Storer, et al. Multiparameter optimization in CNS drug discovery: design of pyrimido[4,5-d]azepines as potent 5-hydroxytryptamine 2C (5-HT_{2C}) receptor agonists with exquisite functional selectivity over 5-HT_{2A} and 5-HT_{2B} receptors. J Med Chem. 2014 Jun 26;57(12):5258-69.

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

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