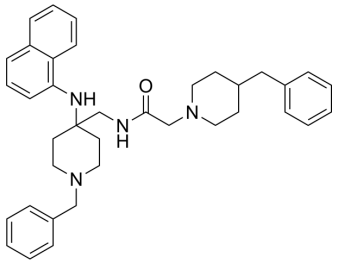
NPFF1-R antagonist 1

Cat. No.:	HY-161405
Molecular Formula:	$C_{37}H_{44}N_4O$
Molecular Weight:	560.77
Target:	Neuropeptide FF Receptor; Opioid Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	NPFF1-R antagonist 1 (compound 8b), a piperidine analogue, is a potent neuropeptide FF (NPFF) receptor antagonist. NPFF1-R antagonist 1 is 15-fold selective for the NPFF1-R subtype, with K_i values of 211 nM and 3270 nM for NPFF1-R and NPFF2-R, respectively[1].

IC_{50} & Target				
	NPFF1-R 211 ± 54 nM (Ki)	NPFF2-R 3270 ±639 nM (Ki)	κ Opioid Receptor/KOR 1390 ± 147 nM (Ki)	δ Opioid Receptor/DOR 1540 ± 132 nM (Ki)
	μ Opioid Receptor/MOR 3560 ± 364 nM (Ki)			

In Vitro	NPFF1-R antagonist 1 (compound 8b) shows some off target binding at the opioid receptors (DOR, KOR, and MOR), with K_i values of 1540 ± 132, 1390 ± 147, and 3560 ± 364 nM, respectively[1]. NPFF1-R antagonist 1 (0-10 μM) fails to inhibit cAMP stimulation, dose-dependently reverses NPFF inhibition of forskolin (HY-15371)-induced cAMP accumulation[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Galal KA, et al. Guanidine-to-piperidine switch affords high affinity small molecule NPFF ligands with preference for NPFF1-R and NPFF2-R subtypes. Eur J Med Chem. 2024 Apr 5;269:116330.

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