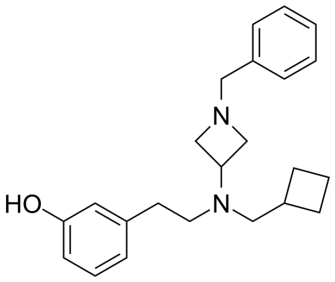
PIPE-3297

Cat. No.:	HY-163277
Molecular Formula:	$C_{23}H_{30}N_2O$
Molecular Weight:	350.5
Target:	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

PIPE-3297 (compound 25) is a selective kappa opioid receptor (KOR) agonist, which activates the G-protein signaling with EC_{50} of 1.1 nM and exhibits lowβ-arrestin-2 recruitment activity (10%). PIPE-3297 induces myelination and reveals an anti-inflammatory activity[1].

IC_{50} & Target

κ Opioid Receptor/KOR
1.1 nM (EC50)

In Vitro

PIPE-3297 induces myelination and causes oligodendrocyte progenitor cells (OPCs) differentiation into oligodendrocytes (OLs)[1].
PIPE-3297 exhibits cardiotoxity with 72% hERG inhibition (3 μM) and unstability in liver microsomes[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Immunofluorescence[1]

Cell Line:	OPC
Concentration:	0.5-1 μM
Incubation Time:	72 h
Result:	Increased levels of MBPs

In Vivo

PIPE-3297 (30 mg/kg, s.c., single dosage) leads a KOR occupancy of 90% in CNS and reaches a brain concentration of 12.5 μM. in C57BL/6 mice, reveals no evidence of KOR-mediated hypolocomotion[1].
PIPE-3297 (30 mg/kg, s.c., single dosage) induces KOR-dependent OPC differentiation into mature OLs in C57BL/6 mice[1].
PIPE-3297 (3 and 30 mg/kg, s.c., daily for 23 days) ameliorates the autoimmune encephalomyelitis (EAE) induced by myelin oligodendrocyte glycoprotein (MOG) in C57BL/6 mice[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	MOG induced EAE in C57BL/6 mice[1]
Dosage:	3 and 30 mg/kg
Administration:	s.c., daily for 23 days

Result:	Ameliorated the EAE.

Animal Model:	C57BL/6 mice[1]
Dosage:	3 and 30 mg/kg
Administration:	s.c., single dose
Result:	Increased levels of KOR and mature OLs, maintained the locomotion ability.

REFERENCES

[1]. Schrader TO,et al., Identification and In Vivo Evaluation of Myelination Agent PIPE-3297, a Selective Kappa Opioid Receptor Agonist Devoid of β-Arrestin-2 Recruitment Efficacy. ACS Chem Neurosci. 2024 Feb 7;15(3):685-698.

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

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