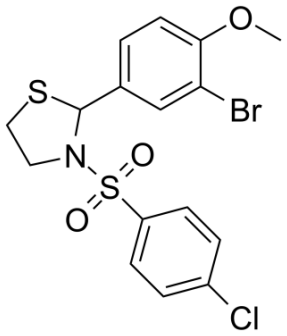
BMS-986122

Cat. No.:	HY-120645
CAS No.:	313669-88-4
Molecular Formula:	$C_{16}H_{15}BrClNO_3S_2$
Molecular Weight:	448.78
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	BMS-986122 is a selective, potent positive allosteric modulator of the mu-opioid receptor (μ-OR). BMS-986122 shows potentiation of orthosteric agonist-mediated β-arrestin recruitment, adenylyl cyclase inhibition, and G protein activation. BMS-986122 potentiates DAMGO-mediated [^{35}S]GTPγS binding in mouse brain membranes[1][2].
In Vitro	BMS-986122 increases β-arrestin recruitment stimulated by endomorphin 1 (EC_{50}=3 μM) in U2OS-OPRM1 human osteosarcoma cells expressing μ-opioid receptors.BMS-986122 potentiates endomorphin 1-induced inhibition of forskolin-stimulated adenylyl cyclase activity in CHO cells expressing human recombinant μ-opioid receptors (EC_{50}=8.9 μM). BMS-986122 potentiates DAMGO-mediated [35S]GTPγS binding in mouse brain membranes and appears to be, at least in part, a positive affinity modulator of the μ-opioid receptor for DAMGO binding[1]. BMS-986122 enhances the ability of the endogenous opioid Methionine-enkephalin (Met-Enk) to stimulate G protein activity in mouse brain homogenates without activity on its own and to enhance G protein activation to a greater extent than β-arrestin recruitment in CHO cells expressing human mu-opioid receptors. BMS-986122 increases the potency of Met-Enk to inhibit GABA release in the periaqueductal gray, an important site for antinociception[2]. BMS-986122 is selective for μ-OR and has no detectable activity at the closely related δ-OR. BMS-986122 is a silent allosteric modulator at δ-OR and κ-OR[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Burford NT, et al. Discovery of positive allosteric modulators and silent allosteric modulators of the μ-opioid receptor. Proc Natl Acad Sci U S A. 2013;110(26):10830-10835.

[2]. Kandasamy R, et al. Positive allosteric modulation of the mu-opioid receptor produces analgesia with reduced side effects. Proc Natl Acad Sci U S A. 2021;118(16):e2000017118.

[3]. Livingston KE, Alt A, Canals M, Traynor JR. Pharmacologic Evidence for a Putative Conserved Allosteric Site on Opioid Receptors. Mol Pharmacol. 2018;93(2):157-167.

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

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