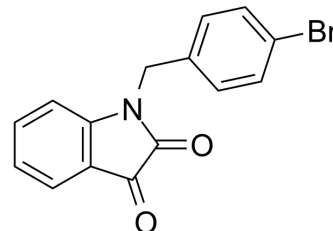


VU0119498

Cat. No.:	HY-114933		
CAS No.:	79183-37-2		
Molecular Formula:	C ₁₅ H ₁₀ BrNO ₂		
Molecular Weight:	316.15		
Target:	mAChR		
Pathway:	GPCR/G Protein; Neuronal Signaling		
Storage:	Powder	-20°C	3 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (158.15 mM; Need ultrasonic)

Concentration	Mass		
	1 mg	5 mg	10 mg
1 mM	3.1631 mL	15.8153 mL	31.6306 mL
5 mM	0.6326 mL	3.1631 mL	6.3261 mL
10 mM	0.3163 mL	1.5815 mL	3.1631 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

VU0119498 is a pan G_q mAChR M1, M3, M5 positive allosteric modulator (PAM), with EC₅₀s of 6.04, 6.38, and 4.08 μM, respectively. VU0119498 has antidiabetic activity^{[1][2][3]}.

In Vitro

VU0119498 (0.01-30 μM; 150 s) potentiates Ach responses in M1, M3, and M5-expressing CHO cells, with EC₅₀s of 6.04, 6.38, and 4.08 μM, respectively^[1].
 VU0119498 (3-20 μM) augments ACh-mediated increasing in insulin secretion and intracellular calcium levels in MIN6-K8 cells^[3].
 VU0119498 (20 μM; 90 min) enhances ACh-induced insulin release in mouse and human pancreatic islets^[3].
 MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

VU0119498 (0.1-2 mg/kg; a single i.p.) improves glucose tolerance and insulin secretion in mice in a β-cell M3R-dependent fashion^[3].
 VU0119498 (0.5 mg/kg; a single i.p.) improves glucose tolerance and insulin secretion in obese, glucose-intolerant mice^[3].
 MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male WT mice (12 weeks) ^[3]
Dosage:	0.1, 0.5, 2 mg/kg
Administration:	A single i.p.
Result:	Caused a significant improvement in glucose tolerance at the dose of 0.5 mg/kg. Significantly augmented GSIS at the dose of 0.5 mg/kg.

REFERENCES

- [1]. Bridges TM, et, al. Discovery of the first highly M5-preferring muscarinic acetylcholine receptor ligand, an M5 positive allosteric modulator derived from a series of 5-trifluoromethoxy N-benzyl isatins. *J Med Chem.* 2009 Jun 11;52(11):3445-8.
- [2]. Bridges TM, et, al. Chemical lead optimization of a pan Gq mAChR M1, M3, M5 positive allosteric modulator (PAM) lead. Part II: development of a potent and highly selective M1 PAM. *Bioorg Med Chem Lett.* 2010 Mar 15;20(6):1972-5.
- [3]. Zhu L, et, al. Allosteric modulation of β -cell M3 muscarinic acetylcholine receptors greatly improves glucose homeostasis in lean and obese mice. *Proc Natl Acad Sci U S A.* 2019 Sep 10;116(37):18684-18690.
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Caution: Product has not been fully validated for medical applications. For research use only.

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