

Product Data Sheet

Product Name: VU0119498

Cat. No.: GC61377

Chemical Properties

Cas. No. 79183-37-2

SMILES O=C1N(C2=C(C1=O)C=CC=C2)CC3=CC=C(C=C3)Br

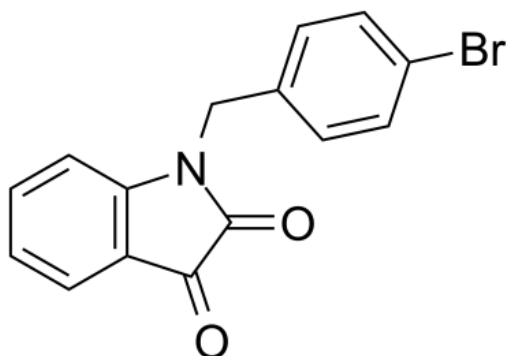
Formula $C_{15}H_{10}BrNO_2$ M.Wt 316.15

Solubility DMSO: 50 mg/mL (158.15 mM) Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Background

VU0119498 is a pan Gq 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].

VU0119498 (0.01-30 μM; 150s) 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-

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

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mediated increases in insulin secretion and intracellular calcium levels in MIN6-K8 cells[3]. VU0119498 (20 μ M; 90 min) enhances ACh-induced insulin release in mouse and human pancreatic islets[3].

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]. Animal Model: Male WT mice (12 weeks) [3]

[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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