

Product Data Sheet

Product Name: TBPB
Cat. No.: GC37749

Chemical Properties

Cas. No. 634616-95-8

SMILES O=C1N(C2CCN(C3CCN(CC4=C(C)C=CC=C4)CC3)CC2)C5=CC=CC=C5N1

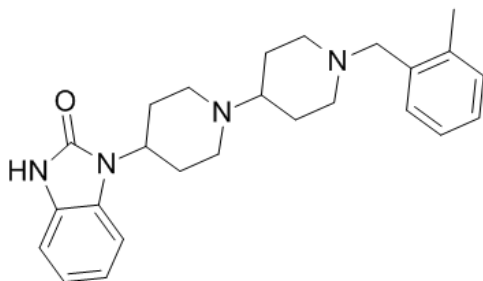
Formula C25H32N4O M.Wt 404.55

Solubility DMSO: 22.5 mg/mL (55.62 mM and warming) 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

TBPB is an allosteric M1 mAChR agonist (EC₅₀=289 nM) that regulates amyloid processing and produces antipsychotic-like activity in rats. IC₅₀ value: 289 nM (EC₅₀) [2] Target: M1 mAChR agonist in vitro: TBPB activates M(1) through an allosteric site rather than the orthosteric acetylcholine binding site, which is likely critical for its unprecedented selectivity. Whole-cell patch-clamp recordings demonstrated that activation of M(1) by TBPB potentiates NMDA receptor currents in hippocampal pyramidal cells but does not alter excitatory or inhibitory synaptic transmission, responses thought to

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Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

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be mediated by M(2) and M(4) [1]. in vivo: TBPB was efficacious in models predictive of antipsychotic-like activity in rats at doses that did not produce catalepsy or peripheral adverse effects of other mAChR agonists [1].

[1]. Jones CK, et al. Novel selective allosteric activator of the M1 muscarinic acetylcholine receptor regulates amyloid processing and produces antipsychotic-like activity in rats. J Neurosci. 2008 Oct 8;28(41):10422-33. [2]. Miller NR, et al. Synthesis and SAR of analogs of the M1 allosteric agonist TBPB. Part II: Amides, sulfonamides and ureas--the effect of capping the distal basic piperidine nitrogen. Bioorg Med Chem Lett. 2008 Oct 15;18(20):5443-7.

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