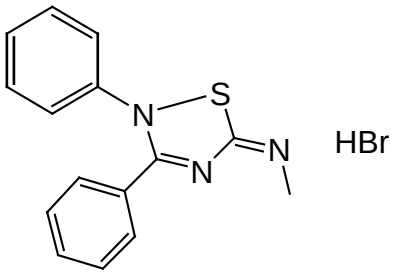
SCH-202676 HYDROBROMIDE
Product Number **S 4063**
Storage Room Temperature

ProductInformation



Synonyms: N-2,3-diphenyl-1,2,4-thiadiazol-5-(2*H*)-ylidene)methanamine

Product Description
Molecular Formula: $C_{15}H_{14}BrN_3S$
Molecular Weight: 348.27 (anhydrous)

Supplied as a yellow solid.
Purity 98% by HPLC.
Melting point 240.0-240.8 °C

A novel thiadiazole compound, SCH-202676 has been identified as an inhibitor of both agonist and antagonist binding to a number of G protein-coupled receptors (GPCRs).[1]

GPCRs comprise a family of structurally-related membrane-bound proteins that play a central role in the recognition and signal transduction of hormones and neurotransmitters. GPCRs mediate the responses to a variety of sensory stimuli, including vision, smell, and pain.

The binding of an agonist to its receptor results in a change in the conformation of this receptor that leads to the activation of specifically associated heterotrimeric G proteins. This activation initiates a cascade of signaling events within the cell. In contrast, the binding of an antagonist stabilizes an inactive conformation of the receptor and blocks agonist-induced conformational changes.[2]

SCH-202676 inhibits radioligand binding to a number of structurally-distinct, heterologously-expressed GPCRs, including the human μ-, δ-, and κ-opioid receptors, α- and β-adrenergic receptors, M_1 and M2 muscarinic receptors, and D_1 and D_2 dopaminergic receptors. It did not inhibit binding of the radioligand to the epidermal growth factor receptor, a receptor tyrosine kinase that is not G protein coupled.

SCH-202676 had no direct effect on G protein activity, and its inhibitory effects were reversible. These data suggest that SCH-202676 selectively and reversibly regulates agonist and antagonist binding to GPCRs either by binding to an allosteric structural motif that is common to many GPCRs or by modulating an accessory protein that, in turn, regulates GPCR function.

Preparation Instructions
Soluble in DMSO (40 mg/ml). Insoluble in water.

Storage/Stability
Store in a desiccator at room temperature.

References
1. Fawzi, A.B., et al., SCH-202676: An allosteric modulator of both agonist and antagonist binding to G protein-coupled receptors. Mol. Pharmacol. **59**, 30-37 (2001).
2. Gether, U. and Kobilka, B.K**.** G protein-coupled receptors. II. Mechanism of agonist activation. J. Biol. Chem. **273**, 17979-17982 (1998).

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