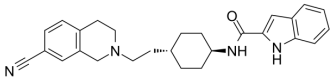
SB269652

Cat. No.:	HY-12324
CAS No.:	215802-15-6
Molecular Formula:	$C_{27}H_{30}N_4O$
Molecular Weight:	426.55
Target:	Dopamine Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



BIOLOGICAL ACTIVITY

Description

SB269652 is the first drug-like allosteric modulator of the dopamine D2 receptor (D2R); a new chemical probe that can differentiate D2R monomers from dimers or oligomers depending on the observed pharmacology.IC50 value: 0.2/0.5 nM [1]Target: D3 receptor antagonistSB269,652 potently (low nanomolar range) abolished specific binding of [(3)H]nemanopride and [(3)H]spiperone to Chinese hamster ovary-transfected D(3) receptors when radioligands were used at 0.2 and 0.5 nM, respectively. However, even at high concentrations (5 μM), SB269,652 only submaximally inhibited the specific binding of these radioligands when they were employed at 10-fold higher concentrations. By analogy, although SB269,652 potently blocked D(3) receptor-mediated activation of Gα(i3) and phosphorylation of extracellular-signal-regulated kinase (ERK)1/2, when concentrations of dopamine were increased by 10-fold, from 1 μM to 10 μM, SB269,652 only submaximally inhibited dopamine-induced stimulation of Gα(i3) [1].

REFERENCES

[1]. Silvano E, et al. The tetrahydroisoquinoline derivative SB269,652 is an allosteric antagonist at dopamine D3 and D2 receptors. Mol Pharmacol. 2010 Nov;78(5):925-34.

[2]. Lane JR, et al. A new mechanism of allostery in a G protein-coupled receptor dimer. Nat Chem Biol. 2014 Sep;10(9):745-52.

[3]. Presgraves SP, et al. Involvement of dopamine D(2)/D(3) receptors and BDNF in the neuroprotective effects of S32504 and pramipexole against 1-methyl-4-phenylpyridinium in terminally differentiated SH-SY5Y cells. Exp Neurol. 2004 Nov;190(1):157-70.

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

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