

Product Data Sheet

Product Name: SB 242084

Cat. No.: GC14392

Chemical Properties

Cas. No. 181632-25-7

Chemical Name 6-chloro-5-methyl-N-(6-((2-methylpyridin-3-yl)oxy)pyridin-3-yl)indoline-1-carboxamide

SMILES CC1=CC2=C(C=C1Cl)N(C(NC3=CN=C(C=C3)OC4=C(C)N=CC=C4)=O)CC2

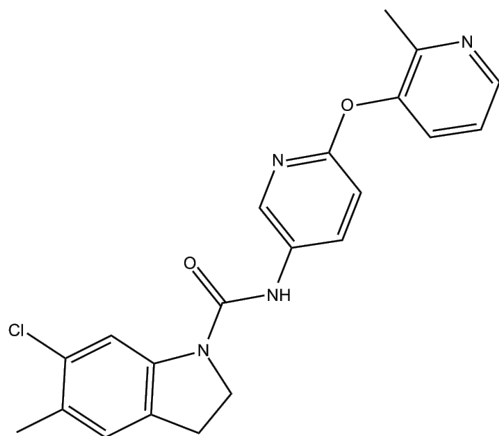
Formula $C_{21}H_{19}ClN_4O_2$ M.Wt 394.85

Solubility $\geq 39.5\text{mg/mL}$ in DMSO 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

SB 242084 is a 5-HT_{2C} receptor antagonist ($pK_i=9.0$) that displays 158- and 100-fold selectivity over 5-HT_{2A} and 5-HT_{2B} receptors respectively. IC₅₀ value: 9.0 (pK_i) [1] Target: 5-HT_{2C} antagonist in vitro: SB 242084 had over 100-fold selectivity over a range of other 5-HT, dopamine and adrenergic receptors. In studies of 5-HT-stimulated phosphatidylinositol hydrolysis using SH-SY5Y cells stably expressing the cloned human 5-HT_{2C} receptor, SB 242084 acted as an antagonist with a pK_b of 9.3,

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which closely resembled its corresponding receptor binding affinity [1].in vivo: SB 242084 potently inhibited m-chlorophenylpiperazine (mCPP, 7 mg/kg i.p. 20 min pre-test)-induced hypocomotion in rats, a model of in vivo central 5-HT_{2C} receptor function, with an ID₅₀ of 0.11 mg/kg i.p., and 2.0 mg/kg p.o. SB 242084 (0.1-1 mg/kg i.p.) exhibited an anxiolytic-like profile in the rat social interaction test, increasing time spent in social interaction, but having no effect on locomotion. SB 242084 (0.1-1 mg/kg i.p.) also markedly increased punished responding in a rat Geller-Seifter conflict test of anxiety, but had no consistent effect on unpunished responding [1].

References:

- [1]. Kennett GA, et al. SB 242084, a selective and brain penetrant 5-HT_{2C} receptor antagonist. *Neuropharmacology*. 1997 Apr-May;36(4-5):609-20.
- [2]. Bromidge SM, et al. 6-Chloro-5-methyl-1-[[2-[(2-methyl-3-pyridyl)oxy]-5-pyridyl]carbonyl]-indoline (SB-242084): the first selective and brain penetrant 5-HT_{2C} receptor antagonist. *J Med Chem*. 1997 Oct 24;40(22):3494-6.
- [3]. Dalton GL, et al. Serotonin 1B and 2C receptor interactions in the modulation of feeding behaviour in the mouse. *Psychopharmacology (Berl)*. 2006 Mar;185(1):45-57.

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