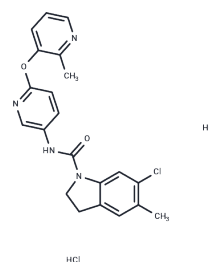


## SB 242084 dihydrochloride

### Chemical Properties

|                   |                                                                               |
|-------------------|-------------------------------------------------------------------------------|
| CAS No. :         | 1049747-87-6                                                                  |
| Formula:          | C <sub>21</sub> H <sub>21</sub> Cl <sub>3</sub> N <sub>4</sub> O <sub>2</sub> |
| Molecular Weight: | 467.78                                                                        |
| Appearance:       | no data available                                                             |
| Storage:          | Powder: -20°C for 3 years   In solvent: -80°C for 1 year                      |



### Biological Description

|             |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                   |
|-------------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Description | SB 242084 hydrochloride is a 5-HT <sub>2C</sub> receptor antagonist (pK <sub>i</sub> =9.0) that displays 158- and 100-fold selectivity over 5-HT <sub>2A</sub> and 5-HT <sub>2B</sub> receptors respectively.                                                                                                                                                                                                                                                                                                                                                                                                                                     |
| In vitro    | 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 <sub>2C</sub> receptor, SB 242084 acted as an antagonist with a pK <sub>b</sub> of 9.3, which closely resembled its corresponding receptor binding affinity [1].                                                                                                                                                                                                                                       |
| In vivo     | In vivo, SB 242084 potently inhibited m-chlorophenylpiperazine (mCPP, 7 mg/kg i.p. 20 min pre-test)-induced hypolocomotion in rats, a model of in vivo central 5-HT <sub>2C</sub> receptor function, with an ID <sub>50</sub> 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]. |

### Solubility Information

|            |                                                                                                                                                  |
|------------|--------------------------------------------------------------------------------------------------------------------------------------------------|
| Solubility | PBS (pH 7.2): 10 mg/mL<br>Ethanol: 1 mg/mL<br>DMF: 30 mg/mL<br>DMSO: 30 mg/mL<br>(< 1 mg/mL refers to the product slightly soluble or insoluble) |
|------------|--------------------------------------------------------------------------------------------------------------------------------------------------|

## A DRUG SCREENING EXPERT

### Preparing Stock Solutions

|       | 1mg       | 5mg        | 10mg       |
|-------|-----------|------------|------------|
| 1 mM  | 2.1378 mL | 10.6888 mL | 21.3776 mL |
| 5 mM  | 0.4276 mL | 2.1378 mL  | 4.2755 mL  |
| 10 mM | 0.2138 mL | 1.0689 mL  | 2.1378 mL  |
| 50 mM | 0.0428 mL | 0.2138 mL  | 0.4276 mL  |

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

### Reference

Kennett GA, et al. SB 242084, a selective and brain penetrant 5-HT<sub>2C</sub> receptor antagonist. Neuropharmacology. 1997 Apr-May;36(4-5):609-20.

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