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Print Date: Sep 16th 2016

Certificate of Analysis

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Product Name: **SB 243213 dihydrochloride** **Catalog No.: 3527** **Batch No.: 1**

CAS Number: 200940-23-4

IUPAC Name: 2,3-Dihydro-5-methyl-*N*-[6-[(2-methyl-3-pyridinyl)oxy]-3-pyridinyl]-6-(trifluoromethyl)-1*H*-Indole-1-carboxamide dihydrochloride

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{22}H_{19}F_3N_4O_2.2HCl.¼H_2O$

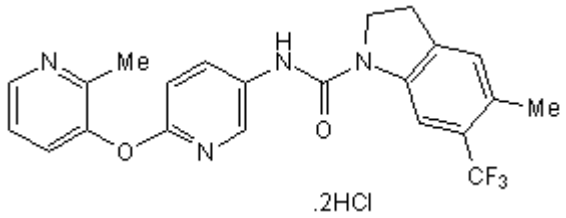
Batch Molecular Weight: 505.83

Physical Appearance: Yellow solid

Solubility: DMSO to 50 mM

Storage: Store at +4°C

Batch Molecular Structure:



2. ANALYTICAL DATA

TLC: R_f = 0.31 (Ethyl acetate)

HPLC: Shows 99.7% purity

^{1}H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	52.24	4.28	11.08
Found	52.21	4.16	11.03

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Description:

Selective 5-HT_{2C} inverse agonist (pK_b = 9.8). Displays selectivity over other 5-HT_2 subtypes (pK_i values are 6.8, 7.0 and 9.0 for 5-HT_{2A}, 5-HT_{2B} and 5-HT_{2C} respectively); also exhibits >100-fold selectivity over 50 other receptors, ion channels and enzymes. Displays anxiolytic activity in rat models.

Physical and Chemical Properties:

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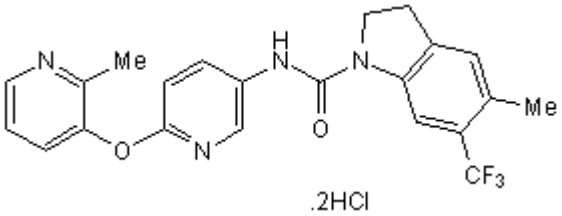
Minimum Purity: >99%

Batch Molecular Structure:



Storage: Store at +4°C

Solubility & Usage Info:

DMSO to 50 mM

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

References:

Berg *et al* (2006) Differential effects of 5-methyl-1-[[2-[(2-methyl-3-pyridyl)oxyl]-5-pyridyl]carbamoyl]-6-trifluoromethylindone (SB 243213) on 5-hydroxytryptamine$_{2C}$ receptor-mediated responses. J.Pharmacol.Exp.Ther. ***319*** 260. PMID: 16807362.

Wood *et al* (2001) SB-243213; a selective 5-HT_{2C} receptor inverse agonist with improved anxiolytic profile: lack of tolderance and withdrawal anxiety. Neuropharmacology ***41*** 186. PMID: 11489455.

Bromidge *et al* (2000) Biarylcarbamoylindolines are novel and selective 5-HT_{2C} receptor inverse agonists: identification of 5-methyl-1-[[2-[(2-methyl-3-pyridyl)oxy]- 5-pyridyl]carbamoyl]-6-trifluoromethylindoline (SB-243213) as a potential antidepressant/anxiolytic agent. J.Med.Chem. ***43*** 1123. PMID: 10737744.