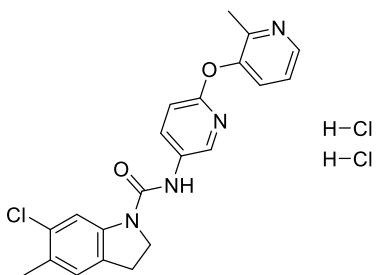


# Product data sheet



MedKoo Cat#: 522509 Name: SB-242084 HCl CAS#: 1049747-87-6 (HCl) Chemical Formula: C <sub>21</sub> H <sub>21</sub> N <sub>4</sub> O <sub>2</sub> Molecular Weight: 467.78		
Product supplied as:	Powder	
Purity (by HPLC):	≥ 98%	
Shipping conditions	Ambient temperature	
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years. In solvent: -80°C 3 months; -20°C 2 weeks.	

## 1. Product description:

SB-242084 is a psychoactive drug and research chemical which acts as a selective antagonist for the 5HT<sub>2C</sub> receptor. It has anxiolytic effects, and enhances dopamine signaling in the limbic system, as well as having complex effects on the dopamine release produced by cocaine, increasing it in some brain regions but reducing it in others. It has been shown to increase the effectiveness of the selective serotonin reuptake inhibitor (SSRI) class of antidepressants, and may also reduce their side effects. In animal studies, SB-242084 produced stimulant-type activity and reinforcing effects, somewhat similar to but much weaker than cocaine or amphetamines.

## 2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under “QC And Documents” section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

## 3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	59.63	127.47
DMF	30.0	64.13
Ethanol	1.0	2.14
PBS (pH 7.2)	10.0	21.38

## 4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.14 mL	10.69 mL	21.38 mL
5 mM	0.43 mL	2.14 mL	4.28 mL
10 mM	0.21 mL	1.07 mL	2.14 mL
50 mM	0.04 mL	0.21 mL	0.43 mL

## 5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of “Calculator”

## 6. Recommended literature which reported protocols for in vitro and in vivo study

### In vitro study

1. Watanabe Y, Tsujimura A, Aoki M, Taguchi K, Tanaka M. Development of the 5-HT<sub>2C</sub>-Tango System Combined with an EGFP Reporter Gene. *J Mol Neurosci*. 2016 Feb;58(2):162-9. doi: 10.1007/s12031-015-0650-2. Epub 2015 Sep 15. PMID: 26374432.
2. Tian XL, Yu LH, Li WQ, Hu Y, Yin M, Wang ZJ. Activation of 5-HT<sub>2C</sub> receptor promotes the expression of neprilysin in U251 human glioma cells. *Cell Mol Neurobiol*. 2015 Apr;35(3):425-432. doi: 10.1007/s10571-014-0138-6. Epub 2014 Dec 2. PMID: 25452160.

### In vivo study

1. Papp N, Koncz S, Kostyalik D, Kitka T, Petschner P, Vas S, Bagdy G. Acute 5-HT<sub>2C</sub> Receptor Antagonist SB-242084 Treatment Affects EEG Gamma Band Activity Similarly to Chronic Escitalopram. *Front Pharmacol*. 2020 Jan 29;10:1636. doi: 10.3389/fphar.2019.01636. PMID: 32063851; PMCID: PMC7000428.

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2. Capriles N, Watson S Jr, Akil H. Individual differences in the improvement of cocaine-induced place preference response by the 5-HT<sub>2C</sub> receptor antagonist SB242084 in rats. *Psychopharmacology (Berl)*. 2012 Apr;220(4):731-40. doi: 10.1007/s00213-011-2524-9. Epub 2011 Oct 12. PMID: 21989806; PMCID: PMC3314106.

## 7. Bioactivity

### Biological target:

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 activity

This study added SB242084 (10<sup>-8</sup>–10<sup>-5</sup> mol/L) to U251 cells after 30 min incubation of RO-60-0175 10<sup>-6</sup> mol/L. U251 cells were conducted with RO-60-0175 and SB242084 at 37 °C for 2 h. Changes in mRNA expression were detected by real-time PCR and calculated by 2- $\Delta\Delta$ Ct method. Overexpression of NEP mRNA induced by RO-60-0175 is almost inhibited completely at concentration 10<sup>-5</sup> mol/L of SB242084 (Fig. 2a). The dose–response curve was plotted by Origin 6.0 (OriginLab, Northampton, USA), and the inhibitory concentration 50 % (IC<sub>50</sub>) of SB242084 was calculated to be 2.9 × 10<sup>-6</sup> mol/L (Fig. 2b).

Reference: *Cell Mol Neurobiol*. 2015 Apr;35(3):425-432. <https://pubmed.ncbi.nlm.nih.gov/25452160/>

### In vivo activity

One of the more remarkable findings from this study is that LR (low-responder) animals were overall more susceptible to the effects induced by the selective 5-HT<sub>2C</sub> receptor antagonist SB242084 than HR (high-responder) rats. Indeed, repeated co-administration of SB242084+cocaine, during the conditioning phase produced a significant increase in the CPP (conditioned place preference) response in LR rats only. Moreover, a negative correlation between locomotion and CPP in animals pretreated with SB242084+cocaine was observed. These effects were present only when SB242084 was co-administered with cocaine. In fact, injection of SB242084 followed by saline did not to induce CPP in LR animals. These finding suggests that in this study the 5-HT<sub>2C</sub> receptor blockade facilitated the acquisition of cocaine-induced place preference in LR animals by either increasing the efficacy of the cocaine rewarding actions or improving the learning conditions.

Reference: *Psychopharmacology (Berl)*. 2012 Apr; 220(4): 731–740. <https://www.ncbi.nlm.nih.gov/pmc/articles/PMC3314106/>

*Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.*