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**Product Data Sheet**

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Product Name: CP-809101

Cat. No.: GC17183

**Chemical Properties**

Cas. No. 479683-64-2

Chemical Name 2-((3-chlorobenzyl)oxy)-6-(piperazin-1-yl)pyrazine

SMILES C1C=CC=CC(COC2=NC(N3CCNCC3)=CN=C2)=C1Formula  $C_{15}H_{17}ClN_4O$  M.Wt 304.77

Solubility DMF: 20 mg/ml, DMSO: 20 mg/ml, Ethanol: 2 mg/ml, PBS (pH 7.2): 0.1 mg/ml 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 sizes: ship with RT, or blue ice upon request.

Structure **Background**

CP-809101 is a potent and selective 5-HT<sub>2C</sub> receptor agonist with pEC<sub>50</sub> of 9.96/7.19/6.81 for human 5-HT<sub>2C</sub>/5-HT<sub>2B</sub>/5-HT<sub>2A</sub> receptors respectively. IC<sub>50</sub> Value: 9.96(pEC<sub>50</sub> for 5-HT<sub>2C</sub>); 7.19(pEC<sub>50</sub> for 5-HT<sub>2B</sub>); 6.81(pEC<sub>50</sub> for 5-HT<sub>2A</sub>) Target: 5-HT<sub>2C</sub> Receptor CP-809101 is a potent, functionally selective 5-HT<sub>2C</sub> agonist that displays approximately 100% efficacy in vitro. The aim of the present studies was to assess the efficacy of a selective 5-HT<sub>2C</sub> agonist in animal models predictive of antipsychotic-like efficacy and side-effect liability. Similar to currently available antipsychotic drugs, CP-809101 dose-dependently inhibited conditioned avoidance responding (CAR, ED<sub>50</sub> = 4.8 mg/kg, sc). CP-809101 antagonized both PCP- and d-amphetamine-induced hyperactivity with ED<sub>50</sub> values of 2.4 and 2.9 mg/kg (sc), respectively and also reversed an apomorphine induced-deficit in prepulse inhibition. At doses up to 56 mg/kg, CP-809101 did not produce catalepsy. Thus, the present results demonstrate that the 5-HT<sub>2C</sub> agonist, CP-809101, has a pharmacological profile similar to that of the atypical

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

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antipsychotics with low extrapyramidal symptom liability. CP-809101 was inactive in two animal models of antidepressant-like activity, the forced swim test and learned helplessness.

### References:

- [1]. Higgins GA, Silenieks LB, Lau W, et al. Evaluation of chemically diverse 5-HT<sub>2C</sub> receptor agonists on behaviours motivated by food and nicotine and on side effect profiles. *Psychopharmacology (Berl)*. 2013 Apr;226(3):475-90.
- [2]. Strong PV, Christianson JP, Loughridge AB, et al. 5-hydroxytryptamine 2C receptors in the dorsal striatum mediate stress-induced interference with negatively reinforced instrumental escape behavior. *Neuroscience*. 2011 Dec 1;197:132-44. doi: 10.1016/j.neur
- [3]. Fletcher PJ, Tampakeras M, Sinyard J et al. Characterizing the effects of 5-HT<sub>2C</sub> receptor ligands on motor activity and feeding behaviour in 5-HT<sub>2C</sub> receptor knockout mice. *Neuropharmacology*. 2009 Sep;57(3):259-67. doi: 10.1016/j.neuropharm.2009.05.011
- [4]. Siuciak JA, Chapin DS, McCarthy SA, et al. CP-809,101, a selective 5-HT<sub>2C</sub> agonist, shows activity in animal models of antipsychotic activity. *Neuropharmacology*. 2007 Feb;52(2):279-90.

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