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**Product Data Sheet**

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Product Name: CP-945598 HCl

Cat. No.: GC17205

**Chemical Properties**

Cas. No. 686347-12-6

Chemical Name 1-[8-(2-chlorophenyl)-9-(4-chlorophenyl)purin-6-yl]-4-(ethylamino)piperidine-4-carboxamide;hydrochloride

SMILES CCNC1(CCN(CC1)C2=NC=NC3=C2N=C(N3C4=CC=C(C=C4)Cl)C5=CC=CC=C5Cl)C(=O)N.ClFormula C<sub>25</sub>H<sub>25</sub>Cl<sub>2</sub>N<sub>7</sub>O.HCl

M.Wt 546.88

Solubility ≥ 27.35mg/mL in DMSO with gentle warming

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 **Protocol****Kinase experiment:**

Membranes are prepared from CHOK1 cells stably transfected with the human CB-1 receptor cDNA. GTPγ [35S] binding assays are performed in a 96-well FlashPlate format in duplicate using 100 pM GTPγ [35S] and 10μg membrane per well in assay buffer composed of 50 mM Tris HCl, pH 7.4, 3 mM MgCl<sub>2</sub>, pH 7.4, 10 mM MgCl<sub>2</sub>, 20 mM EGTA, 100 mM NaCl, 30 μM GDP, 0.1% bovine serum albumin, and the following protease inhibitors: 100 μg/mL bacitracin, 100 μg/mL benzamidin, 5 μg/mL aprotinin, 5 μg/mL leupeptin. The assay mix is then incubated with increasing concentrations of antagonist (10<sup>-10</sup>M to 10<sup>-5</sup> M) for 10 min and challenged with the cannabinoid agonist CP-55,940 (10 μM). Assays are performed at 30°C for 1 h. The FlashPlates are then centrifuged at 2000 g for 10 min. Stimulation of GTPγ [35S] binding is then quantified using a Wallac Microbeta. EC<sub>50</sub> calculations are done using Prism by GraphPad. Inverse agonism is measured in the absence of agonist.

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

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### Animal experiment:

Male, 14 week old C57/Bl6/6J mice which has been maintained on a high fat diet (45% kcal from fat) for 6 weeks are selected for the DIO weight loss study. The animals body weights range at least five standard deviations from age-matched chow-fed control animals mean body weight. Mice are singly housed. The mean starting weight of all animals is  $38.9 \pm 0.5$  g. On day 0, mice are randomly assigned to treatment groups (n=10 per group). Mice are dosed daily with vehicle or 10 mg/kg (p.o.) CP-945,598 over 10 days, starting approximately at 30 min before the start of the 12 h dark cycle. BW and food intake are recorded daily. Analysis of variance and comparison of means are calculated for daily and cumulative FI and cumulative BW measurements.  $P < 0.05$  is considered statistically significant.

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### References:

[1]. John R. Hadcock, et al. In vitro and in vivo pharmacology of CP-945,598, a potent and selective cannabinoid CB1 receptor antagonist for the management of obesity.

Biochemical and Biophysical Research Communications, 2010; 394;366-371.

[2]. Griffith DA, et al. Discovery of 1-[9-(4-chlorophenyl)-8-(2-chlorophenyl)-9H-purin-6-yl]-4-ethylaminopiperidine-4-carboxylic acid amide hydrochloride (CP-945,598), a novel, potent, and selective cannabinoid type 1 receptor antagonist. JMedChem. 2009 ;5

### Background

CP 945598 hydrochloride is a selective and high affinity CB1 antagonist with  $K_i$  value of 0.7 and 0.12 nM for binding and functional assays, respectively [1].

The CB1 receptor is a G protein-coupled cannabinoid receptor located primarily in the central and peripheral nervous system and plays an important role in energy homeostasis.

CP 945598 dose-dependently reversed the four characteristic effects (hypothermia, anti-nociception, hypo-locomotion, and catalepsy) of a centrally acting cannabinoid agonist (CP 55940).

In mice model, CP 945598 (17.8 mg/kg s.c.) increased locomotor activity. In Sprague-Dawley rats, CP 945598 reduced food intake in a dose and concentration dependent way. With doses

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of 10 mg/kg and 30 mg/kg, CP 945598 increased energy expenditure by 16% and 19%, respectively. Also, ex vivo brain receptor occupancy increased to 33–36% in a dose-related way. In diet-induced obese mice, CP 945598 significantly decreased body weight by 9% compared to vehicle-treated mice [2].

### References:

[1]. Griffith DA, Hadcock JR, Black SC, et al. Discovery of 1-[9-(4-Chlorophenyl)-8-(2-chlorophenyl)-9H-purin-6-yl]-4-ethylaminopiperidine-4-carboxylic acid amide hydrochloride (CP-945,598), a novel, potent, and selective cannabinoid type 1 receptor antagonist. *J Med Chem*, 2009, 52(2): 234-237.

[2]. Hadcock JR1, Griffith DA, Iredale PA, et al. In vitro and in vivo pharmacology of CP-945,598, a potent and selective cannabinoid CB1 receptor antagonist for the management of obesity. *Biochem Biophys Res Commun*, 2010, 394(2): 366-371.

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