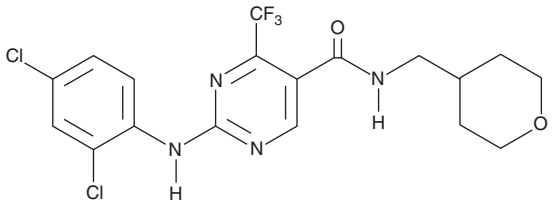
PRODUCT INFORMATION

GW 842166X

Item No. 10010372

CAS Registry No.: 666260-75-9
Formal Name: 2-[(2,4-dichlorophenyl)amino]-N-[(tetrahydro-2H-pyran-4-yl)methyl]-4-(trifluoromethyl)-5-pyrimidinecarboxamide
MF: $C_{18}H_{17}Cl_2F_3N_4O_2$
FW: 449.3
Purity: ≥98%
UV/Vis.: λ_{max}: 280 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

GW 842166X is supplied as a crystalline solid. A stock solution may be made by dissolving the GW 842166X in the solvent of choice, which should be purged with an inert gas. GW 842166X is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of GW 842166X in these solvents is approximately 0.3, 10, and 20 mg/ml, respectively.

GW 842166X is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GW 842166X should first be dissolved in DMF and then diluted with the aqueous buffer of choice. GW 842166X has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

GW 842166X is a cannabinoid 2 (CB_2) receptor agonist.[1] It increases intracellular calcium mobilization induced by the CB receptor agonist CP 55,940 (Item No. 13241) in HEK cells co-expressing a chimeric $G\alpha_{q/05}$ protein and the human CB_2 receptor (EC_{50} = 7.78 µM).[2] GW 842166X is selective for CB_2 over the CB_1 receptor (IC_{50}s = 0.133 and >25 µM, respectively). It inhibits LPS-induced production of inducible nitric oxide synthase (iNOS), as well as reduces LPS-induced phosphorylation of ERK1/2 in BV-2 microglia cells when used at a concentration of 100 nM.[3] GW 842166X inhibits hyperalgesia in a rat model of inflammatory pain induced by complete Freund's adjuvant (ED_{50} = 0.1 mg/kg).[1]

References

1. Giblin, G.M.P., O'Shaughnessy, C.T., Naylor, A., *et al.* Discovery of 2-[(2,4-dichlorophenyl)amino]-*N*-[(tetrahydro-2*H*-pyran-4-yl)methyl]-4-(trifluoromethyl)-5-pyrimidinecarboxamide, a selective CB2 receptor agonist for the treatment of inflammatory pain. *J. Med. Chem.* **50(11)**, 2597-2600 (2007).
2. Yao, B.B., Hsieh, G.C., Frost, J.M., *et al. In vitro* and *in vivo* characterization of A-796260: A selective cannabinoid CB_2 receptor agonist exhibiting analgesic activity in rodent pain models. *Br. J. Pharmacol.* **153(2)**, 390-401 (2008).
3. Ribeiro, R., Wen, J., Li, S., *et al.* Involvement of ERK1/2, $cPLA_2$ and NF-κB in microglia suppression by cannabinoid receptor agonists and antagonists. *Prostaglandins Other Lipid Mediat.* **100-101**, (2013).

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