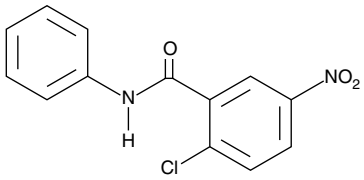
Product Information

GW 9662

Item No. 70785

CAS Registry No.: 22978-25-2
Formal Name: 2-chloro-5-nitrobenzanilide
MF: $C_{13}H_9ClN_2O_3$
FW: 276.7
Purity: ≥98%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid
UV/Vis.: λ_{max}: 261 nm



Laboratory Procedures

For long term storage, we suggest that GW 9662 be stored as supplied at -20°C. It should be stable for at least two years.

GW 9662 is supplied as a crystalline solid. A stock solution may be made by dissolving the GW 9662 in an organic solvent purged with an inert gas. GW 9662 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of GW 9662 in these solvents is approximately 2, 33, and 35 mg/ml respectively.

GW 9662 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GW 9662 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. GW 9662 has a solubility of approximately 0.5 mg/ml in a 1:2 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

The peroxisome proliferator-activated receptor γ (PPARγ) is the nuclear receptor responsible for transducing the therapeutic activity of the thiazolidinediones. Thiazolidinediones are a group of structurally related synthetic PPARγ agonists with antidiabetic actions *in vivo*.[1,2] Rosiglitazone (BRL 49653) is a prototypical thiazolidinedione and has served as a reference compound for this class.[3] There are many PPARγ agonists, including 15-deoxy-$\Delta^{12,14}$-prostaglandin J_2 and azelaoyl PAF, which are naturally derived.[4,5] However, only a few antagonists have been reported.[6] GW 9662 blocks the PPARγ-induced differentiation of monocytes to osteoclasts by >90% at a dose of 0.1 µM.[6] It is therefore a much more potent antagonist than BADGE, which is another reported PPARγ antagonist.[7]

References

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2. Cantello, B.C.C., Cawthorne, M.A., Cottam, G.P., *et al.* [[ω-(Heterocyclylamino)alkoxy]benzyl]-2,4-thiazolidinediones as potent antihyperglycemic agents. *J. Med. Chem.* **37**, 3977-3985 (1994).
3. Lehmann, J.M., Moore, L.B., Smith-Oliver, T.A., *et al.* An antidiabetic thiazolidinedione is a high affinity ligand for peroxisome proliferator-acivated receptor γ (PPARγ). *J. Biol. Chem.* **270**, 12953-12956 (1995).
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5. Maxey, K.M., Hessler, E., MacDonald, J., *et al.* The nature and composition of 15-deoxy-$\Delta^{12,14}$-PGJ_2. *Prostaglandins and Other Lipid Mediators* **62**, 15-21 (2000).
6. Bendixen, A.C., Shevde, N.K., Dienger, K.M., *et al.* IL-4 inhibits osteoclast formation through a direct action on osteoclast precursors *via* peroxisome proliferator-activated receptorγ1. *Proc. Natl. Acad. Sci. USA* **98**, 2443-2448 (2001).
7. Wright, H.M., Clish, C.B., Mikami, T., *et al.* A synthetic antagonist for the peroxisome proliferator-activated receptor γ inhibits adipocyte differentiation. *J. Biol. Chem.* **275**, 1873-1877 (2000).

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