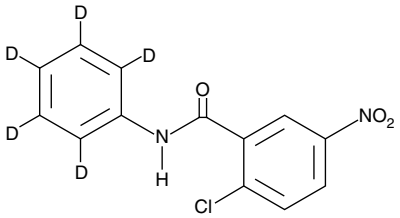
Product Information

GW 9662-d_5

Item No. 9000497

Formal Name:	2-chloro-5-nitrobenzanilide-10,11,12,13,14-d_5
MF:	$C_{13}H_4ClD_5N_2O_3$
FW:	281.7
Chemical Purity:	≥98%
Deuterium Incorporation:	≥99% deuterated forms (d_1-d_5); ≤1% d_0
Stability:	≥2 years at -20°C
Supplied as:	A crystalline solid
UV/Vis.:	λ_{max}: 260 nm



Laboratory Procedures

GW 9662-d_5 contains five deuterium atoms at the 10, 11, 12, 13, and 14 positions. It is intended for use as an internal standard for the quantification of GW 9662 by GC- or LC-mass spectrometry (MS). For long term storage, we suggest that GW 9662-d_5 be stored as supplied at -20°C. It should be stable for at least two years.

GW 9662-d_5 is supplied as a crystalline solid. A stock solution may be made by dissolving the GW 9662-d_5 in an organic solvent purged with an inert gas. GW 9662-d_5 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of GW 9662-d_5 in ethanol is approximately 2 mg/ml and approximately 33 mg/ml in DMSO and DMF.

GW 9662-d_5 is used as an internal standard for the quantification of GW 9662 by stable isotope dilution MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated *versus* unlabeled).

The peroxisome proliferator-activated receptor γ (PPARγ) is the nuclear receptor responsible for transducing the therapeutic activity of the thiazolidinediones (TZDs). TZDs are a group of structurally related synthetic PPARγ agonists with antidiabetic actions *in vivo*.[1,2] Rosiglitazone is a prototypical TZD 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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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).
4. Davies, S.S., Pontsler, A.V., Marathe, G.K., *et al.* Oxidized alkyl phospholipids are specific, high affinity peroxisome proliferator-activated receptor γ ligands and agonists. *J. Biol. Chem.* **276**, 16015-16023 (2001).
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).
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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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