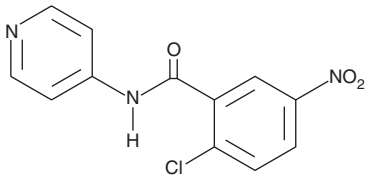
PRODUCT INFORMATION

T0070907

Item No. 10026

CAS Registry No.:	313516-66-4
Formal Name:	2-chloro-5-nitro-N-4-pyridinyl-benzamide
MF:	$C_{12}H_8ClN_3O_3$
FW:	277.7
Purity:	≥98%
Stability:	≥1 year at -20°C
UV/Vis.:	λ_{max}: 257 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

T0070907 is supplied as a crystalline solid. A stock solution may be made by dissolving the T0070907 in an organic solvent purged with an inert gas. T0070907 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of T0070907 in these solvents is approximately 10 mg/ml.

T0070907 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, T0070907 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. T0070907 has a solubility of 0.2 mg/ml in a 1:4 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

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] There are many PPARγ agonists, including 15-deoxy-$\Delta^{12,14}$-prostaglandin J_2 and Azelaoyl PAF, which are naturally derived.[3,4] However, only a few antagonists have been reported.[5] T0070907 is a potent and selective antagonist of the human PPARγ receptor with an apparent IC_{50} of 1 nM for the binding inhibition of rosiglitazone, a reference TZD. T0070907 covalently binds to Cys^{313} of PPARγ, inducing conformational changes that block the recruitment of transcriptional cofactors to the PPARγ/RXR heterodimer.[6]

References

1. Willson, T.M., Cobb, J.E., Cowan, D.J., *et al. J. Med. Chem.* **39**, 665-668 (1996).
2. Cantello, B.C.C., Cawthorne, M.A., Cottam, G.P., *et al. J. Med. Chem.* **37**, 3977-3985 (1994).
3. Davies, S.S., Pontsler, A.V., Marathe, G.K., *et al. J. Biol. Chem.* **276**, 16015-16023 (2001).
4. Maxey, K.M., Hessler, E., MacDonald, J., *et al. Prostaglandins and Other Lipid Mediators* **62**, 15-21 (2000).
5. Wright, H.M., Clish, C.B., Mikami, T., *et al. J. Biol. Chem.* **275**, 1873-1877 (2000).
6. Lee, G., Elwood, F., McNally, J., *et al. J. Biol. Chem.* **277(22)**, 19649-19657 (2002).

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