PRODUCT INFORMATION



T0070907

Item No. 10026

CAS Registry No.: 313516-66-4

Formal Name: 2-chloro-5-nitro-N-4-pyridinyl-benzamide

MF: C₁₂H₈CIN₃O₃

FW: **Purity:** ≥98%

≥1 year at -20°C Stability: λ_{max} : 257 nm A crystalline solid UV/Vis.: Supplied as:

-20°C Storage: 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-y (PPARy) is the nuclear receptor responsible for transducing the therapeutic activity of the thiazolidinediones (TZDs). TZDs are a group of structurally related synthetic PPARy agonists with antidiabetic actions in vivo.^{1,2} There are many PPARy agonists, including 15-deoxy- $\Delta^{12,14}$ -prostaglandin J₂ 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 PPAR γ receptor with an apparent IC₅₀ of 1 nM for the binding inhibition of rosiglitazone, a reference TZD. T0070907 covalently binds to Cys³¹³ of PPARγ, inducing conformational changes that block the recruitment of transcriptional cofactors to the PPARy/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).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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