

Product Data Sheet

Product Name: GSK3987

Cat. No.: GC48610

Chemical Properties

Cas. No. 264206-85-1

SMILES O=C(N1CC2=CC=CC=C2)C(C3=CC=CC=C3)=C(NC4=CC=C(OC)C=C4)C1=O

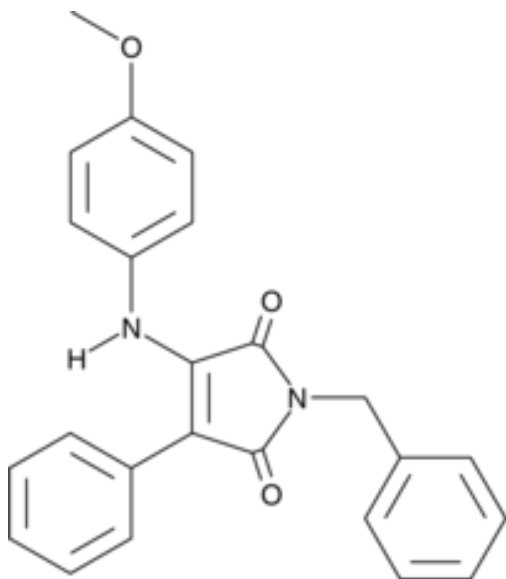
Formula C₂₄H₂₀N₂O₃ M.Wt 384.4

Solubility DMSO: 200 mg/ml, Methanol: 100 mg/ml Storage -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Background

GSK3987 is a dual agonist of liver X receptor α (LXR α) and LXR β .¹ It recruits steroid receptor coactivator 1 (SRC-1) to LXR α and LXR β in a ligand-sensing assay (LiSA; EC₅₀s = 50 and 40 nM, respectively). GSK3987 is 50-fold selective for LXR α and LXR β over a panel of nuclear receptors and GSK3 β (IC₅₀ = >5,000 nM) but does induce ABCA1 expression in a reporter assay using THP-1

Caution: Product has not been fully validated for medical applications. For research use only.

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cells ($EC_{50} = 80$ nM). It inhibits LPS-induced IL-6 secretion in THP-1 macrophages. GSK3987 increases the expression of the sterol regulatory element binding protein 1c (SREBP-1c) and induces triglyceride accumulation in HepG2 cells in a concentration-dependent manner.

1. Jaye, M.C., Krawiec, J.A., Campobasso, N., et al. Discovery of substituted maleimides as liver X receptor agonists and determination of a ligand-bound crystal structure. *J. Med. Chem.* 48(17):5419-5422 (2005)

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