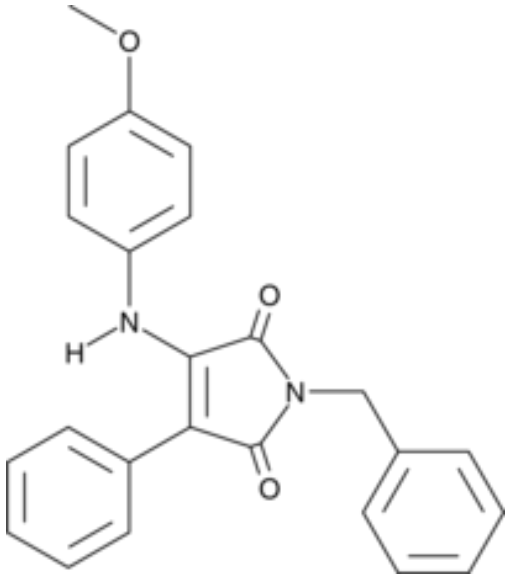
Product Data Sheet

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 (LXRα) and LXRβ. [1] It recruits steroid receptor coactivator 1 (SRC-1) to LXRα and LXRβ in a ligand-sensing assay (LiSA; EC_{50}s = 50 and 40 nM, respectively). GSK3987 is 50-fold selective for LXRα and LXRβ over a panel of nuclear receptors and GSK3β (IC_{50} = >5,000 nM) but does induce *ABCA1* expression in a reporter assay using THP-1

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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 structureJ. Med. Chem.48(17)5419-5422(2005)

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