

Product Specification Sheet

Product Name: GW4064

Catalog Number: C4940

Technical information:

Chemical Formula: $C_{28}H_{22}Cl_3NO_4$

CAS #: 278779-30-9

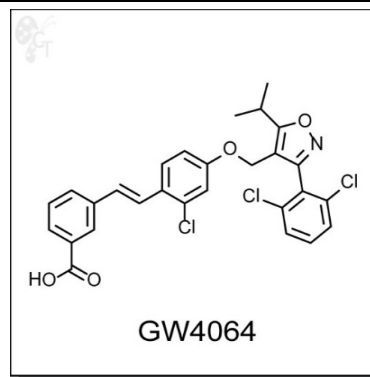
Molecular Weight: 542.84

Purity: > 98%

Appearance: white solid

Solubility: Soluble in DMSO up to 100 mM

Chemical Name: (E)-3-(2-chloro-4-((3-(2,6-dichlorophenyl)-5-isopropylisoxazol-4-yl)methoxy)styryl)benzoic acid



Storage: Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.

Shelf Life: In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.

- Handling:**
- To make 10 mM stock solution, add 0.184mL of DMSO for each mg of GW4064.
 - For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity: GW4064 is a potent, orally-available, non-steroidal, isoxazole-based agonist of FXR with an EC₅₀ of 15 nM. In a Fisher rat model, GW4064 was found to lower serum triglyceride levels in a dose-dependent manner and an ED₅₀ of 20 mg/kg. (1)

In C57BL/6 mice, GW4064 has been shown to stimulate plasma corticosterone levels, thus suggesting a role in the modulation of adrenal cholesterol metabolism and glucocorticoid synthesis. (2)

Followup SAR studies have led to equipotent FXR analogs of GW4064 with improved developability parameters, including reduced toxicity and cholestasis. (3)

- Reference:**
1. Maloney et al., Identification of a Chemical Tool for the Orphan Nuclear Receptor FXR. *J. Med. Chem.* 2000, 43(16), 2971-2974. Pubmed ID: 10956205
 2. Hoekstra et al., FXR agonist GW4064 increases plasma glucocorticoid levels in C57BL/6 mice. *Mol. Cell. Endocrinol.* 2012, 362, 69-75. Pubmed ID: 22643070
 3. Akwabi-Ameyaw et al., Conformationally constrained farnesoid X receptor (FXR) agonists: Naphthoic acid-based analogs of GW 4064. *Bioorg. Med. Chem. Lett.* 2008, 18, 4339-4343. Pubmed ID: 18621523

To reorder: <http://www.cellagentech.com/GW4064/>

For Technical Support: technical@cellagentech.com

Chemicals are sold for research use only, not for clinical or diagnostic use.