PRODUCT INFORMATION



GW 4064

Item No. 10006611

CAS Registry No.:	278779-30-9	
Formal Name:	3-[2-[2-chloro-4-[[3-(2,6-	
	dichlorophenyl)-5-(1-methylethyl)-	
	4-isoxazolyl]methoxy]phenyl]	
	ethenyl]-benzoic acid	
MF:	$C_{28}H_{22}CI_3NO_4$	CI
FW:	542.8	
Purity:	≥95%	
UV/Vis.:	λ _{max} : 304 nm	
Supplied as:	A crystalline solid	
Storage:	-20°C	0. Тон
Stability:	≥4 years	

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

GW 4064 is supplied as a crystalline solid. A stock solution may be made by dissolving the GW 4064 in the solvent of choice, which should be purged with an inert gas. GW 4064 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of GW 4064 in ethanol is approximately 1 mg/ml and approximately 25 mg/ml in DMSO and DMF.

GW 4064 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GW 4064 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. GW 4064 has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Farnesoid X receptor (FXR) is a nuclear receptor that acts as a bile acid sensor, protecting cells and organs against bile acid toxicity.¹ GW 4064 is a selective agonist of FXR (EC₅₀ = 15 nM).² It displays no activity at other nuclear receptors, including the retinoic acid receptor, at concentrations up to $1 \, \mu$ M.² GW 4064 is used to elucidate the role of FXR in dyslipidemia, diabetes, obesity, and cancer.³⁻⁷

References

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- 2. Maloney, P.R., Parks, D.J., Haffner, C.D., et al. Identification of a chemical tool for the orphan nuclear receptor FXR. J. Med. Chem. 43(16), 2971-2974 (2000).
- 3. Haeusler, R.A., Pratt-Hyatt, M., Welch, C.L., et al. Impaired generation of 12-hydroxylated bile acids links hepatic insulin signaling with dyslipidemia. Cell Metab. 15(1), 65-74 (2012).
- 4. Watanabe, M., Horai, Y., Houten, S.M., et al. Lowering bile acid pool size with a synthetic farnesoid X receptor (FXR) agonist induces obesity and diabetes through reduced energy expenditure. J. Biol. Chem. 286(30), 26913-26920 (2011).
- 5. Deuschle, U., Schüler, J., Schulz, A., et al. FXR controls the tumor suppressor NDRG2 and FXR agonists reduce liver tumor growth and metastasis in an orthotopic mouse xenograft model. PLoS One 7(10), e43044 (2012).
- 6. Catalano, S., Malvindi, R., Giordano, C., et al. Farnesoid X receptor, through the binding with steroidogenic factor 1-responsive element, inhibits aromatase expression in tumor Leydig cells. J. Biol. Chem. 285(8), 5581-5593 (2010).
- 7. Cariou, B., van Harmelen, K., Duran-Sandoval, D., et al. The farnesoid X receptor modulates adiposity and peripheral insulin sensitivity in mice. J. Biol. Chem. 281(16), 11039-11049 (2006).

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

SAFFTY DATA

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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1180 EAST ELLSWORTH RD ANN ARBOR, MI 48108 · USA PHONE: [800] 364-9897 [734] 971-3335 FAX: [734] 971-3640 CUSTSERV@CAYMANCHEM.COM WWW.CAYMANCHEM.COM