

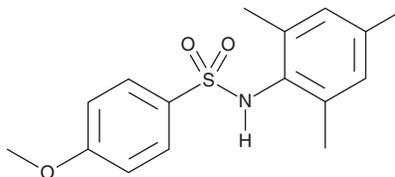
# PRODUCT INFORMATION



**GSK137647A**

Item No. 17712

**CAS Registry No.:** 349085-82-1  
**Formal Name:** 4-methoxy-N-(2,4,6-trimethylphenyl)-benzenesulfonamide  
**MF:** C<sub>16</sub>H<sub>19</sub>NO<sub>3</sub>S  
**FW:** 305.4  
**Purity:** ≥98%  
**UV/Vis.:** λ<sub>max</sub>: 242 nm  
**Supplied as:** A crystalline solid  
**Storage:** -20°C  
**Stability:** ≥4 years



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

## Laboratory Procedures

GSK137647A is supplied as a crystalline solid. A stock solution may be made by dissolving the GSK137647A in the solvent of choice. GSK137647A is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of GSK137647A in ethanol is approximately 1 mg/ml and approximately 30 mg/ml in DMSO and DMF.

GSK137647A is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GSK137647A should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. GSK137647A has a solubility of approximately 0.25 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

GPR120 (Free Fatty Acid Receptor 4; FFAR4) is a G protein-coupled receptor expressed in intestine, adipocytes, and pro-inflammatory macrophages that is activated by long chain free fatty acids.<sup>1,2</sup> GSK137647A is a diarylsulfonamide that acts as a selective agonist of GPR120 (EC<sub>50</sub>s = 0.5, 0.63, and 0.79 μM for human, mouse, and rat receptors, respectively).<sup>3,4</sup> It is selective for GPR120 over a panel of 61 other targets, including other FFARs. Like the natural GPR120 ligand linoleic acid, GSK137647A dose-dependently stimulates insulin secretion by mouse insulinoma MIN6 cells under high glucose conditions.<sup>4</sup> It also modestly stimulates the production of glucagon-like peptide-1 in taste bud cells and human intestinal NCI-H716 cells.<sup>3,4</sup>

## References

1. Davenport, A.P., Alexander, S.P.H., Sharman, J.L., *et al.* International Union of Basic and Clinical Pharmacology. LXXXVIII. G protein-coupled receptor list: Recommendations for new pairings with cognate ligands. *Pharmacol. Rev.* **65**(3), 967-986 (2013).
2. Oh, D.Y., Talukdar, S., Bae, E.J., *et al.* GPR120 is an omega-3 fatty acid receptor mediating potent anti-inflammatory and insulin sensitizing effects. *Cell* **142**(5), 687-698 (2010).
3. Martin, C., Passilly-Degrace, P., Chevrot, M., *et al.* Lipid-mediated release of GLP-1 by mouse taste buds from circumvallate papillae: Putative involvement of GPR120 and impact on taste sensitivity. *J. Lipid Res.* **53**(11), 2256-2265 (2012).
4. Sparks, S.M., Chen, G., Collins, J.L., *et al.* Identification of diarylsulfonamides as agonists of the free fatty acid receptor 4 (FFA4/GPR120). *Bioorg. Med. Chem. Lett.* **24**, 3100-3103 (2014).

### WARNING

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

### SAFETY 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.

### WARRANTY AND LIMITATION OF REMEDY

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## CAYMAN CHEMICAL

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