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Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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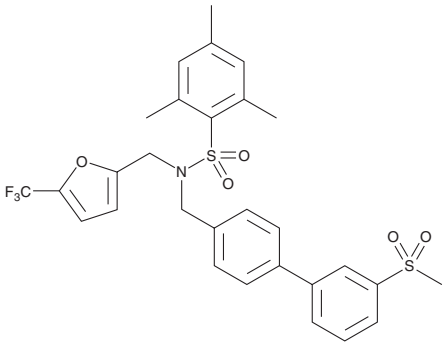
PRODUCT INFORMATION

GSK2033

Item No. 25443

CAS Registry No.:	1221277-90-2
Formal Name:	2,4,6-trimethyl-N-[[3'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]methyl]-N-[[5-(trifluoromethyl)-2-furanyl]methyl]-benzenesulfonamide
MF:	$C_{29}H_{28}F_3NO_5S_2$
FW:	591.7
Purity:	≥98%
UV/Vis.:	λ_{max}: 255 nm
Supplied as:	A 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

GSK2033 is supplied as a solid. A stock solution may be made by dissolving the GSK2033 in the solvent of choice, which should be purged with an inert gas. GSK2033 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of GSK2033 in these solvents is approximately 3 and 5 mg/ml, respectively. GSK2033 is slightly soluble in ethanol.

Description

GSK2033 is an antagonist of liver X receptor α (LXRα) and LXRβ (IC_{50}s = 0.1 and 0.398 µM, respectively) that has no agonist activity in an LXR transactivation assay.[1] It inhibits LXR agonist-induced and basal expression of the LXR target genes ATP-binding cassette transporter 1 (ABCA1) and sterol regulatory element binding protein 1c (SREBP-1c) in THP-1 and HepG2 cells, respectively. However, GSK2033 binds promiscuously to a variety of nuclear receptors including RORγ, RXRα, ERα, and ERβ in a nuclear receptor specificity assay in HEK293 cells and, in a mouse model of non-alcoholic fatty liver disease (NAFLD), it induces the expression of fatty acid synthase and SREBP-1.[2]

References

1. Zuercher, W.J., Buckholz, R.G., Campobasso, N., *et al.* Discovery of tertiary sulfonamides as potent liver X receptor antagonists. *J. Med Chem.* **53(8)**, 3412-3416 (2010).
2. Griffett, K. and Burris, T.P. Promiscuous activity of the LXR antagonist GSK2033 in a mouse model of fatty liver disease. *Biochem. Biophys. Res. Commun.* **479(3)**, 424-428 (2016).

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