

PRODUCT INFORMATION



GSK3787

Item No. 15219

CAS Registry No.: 188591-46-0

Formal Name: 4-chloro-N-[2-[[5-(trifluoromethyl)-2-pyridinyl]sulfonyl]ethyl]-benzamide

MF: C₁₅H₁₂ClF₃N₂O₃S

FW: 392.8

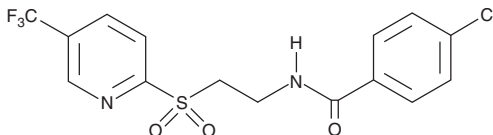
Purity: ≥95%

UV/Vis.: λ_{max}: 238 nm

Supplied as: A crystalline solid

Storage: -20°C

Stability: As supplied, 2 years from the QC date provided on the Certificate of Analysis, when stored properly



Laboratory Procedures

GSK3787 is supplied as a crystalline solid. A stock solution may be made by dissolving the GSK3787 in the solvent of choice. GSK3787 is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of GSK3787 in these solvents is approximately 5 and 3 mg/ml, respectively.

GSK3787 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GSK3787 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. GSK3787 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

PPARβ/δ is thought to play a role in lipid homeostasis and glucose disposal by regulating genes involved in fatty acid oxidation, reverse cholesterol transport, and carbon substrate utilization in skeletal muscle. It has also been implicated in the progression of certain cancers.¹⁻⁴ GSK3787 is an irreversible antagonist of PPARβ/δ (pIC₅₀ = 6.6) with no measurable affinity for PPARα or PPARγ (pIC₅₀ >5).⁵ At 1 μM, it inhibits the expression of PPARβ/δ-regulated target genes, pyruvate dehydrogenase kinase 4 and carnitine palmitoyl transferase 1a, which are important for energy homeostasis in human skeletal muscle cells.⁵ GSK 3787 (at 1 μM) also antagonizes agonist-induced expression of angiopoietin-like protein 4 in mouse fibroblasts, mouse keratinocytes, and human MCF-7, Huh7, and HepG2 cancer cell lines.⁶

References

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2. Girroir, E.E., Hollingshead, H.E., Billin, A.N., et al. *Toxicology* **243**, 236-243 (2008).
3. Peters, J.M., Foreman, J.E., and Gonzalez, F.J. *Cancer Metastasis Rev.* **30**, 619-640 (2011).
4. Elikkottil, J., Kohli, D.R., and Gupta, K. *Cancer Biol. Ther.* **8(13)**, 1262-1264 (2009).
5. Shearer, B.G., Wiethel, R.W., Ashe, A., et al. *J. Med. Chem.* **53**, 1857-1861 (2010).
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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.

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