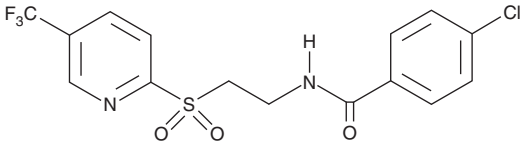
PRODUCT INFORMATION

GSK3787

Item No. 15219

CAS Registry No.: 188591-46-0
Formal Name: 4-chloro-N-[2-[[5-(trifluoromethyl)-2-pyridinyl]sulfonyl]ethyl]-benzamide
MF: $C_{15}H_{12}ClF_3N_2O_3S$
FW: 392.8
Purity: ≥95%
UV/Vis.: λ_{max}: 238 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

GSK3787 is supplied as a crystalline solid. A stock solution may be made by dissolving the XX in the solvent of choice, which should be purged with an inert gas. GSK3787 is soluble in organic solvents such as DMSO and dimethyl formamide. 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 (pIC_{50} = 6.6) with no measurable affinity for PPARα or PPARγ (pIC_{50} >5).[5] At 1µM, 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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4. Elikkottil, J., Kohli, D.R., and Gupta, K. *Cancer Biol. Ther.* **8(13)**, 1262-1264 (2009).
5. Shearer, B.G., Wiethe, R.W., Ashe, A., *et al. J. Med. Chem.* **53(4)**, 1857-1861 (2010).
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