PRODUCT INFORMATION



GSK0660

Item No. 15272

CAS Registry No.:	1014691-61-2	
Formal Name:	3-[[[2-methoxy-4-(phenylamino)phenyl]	
	amino]sulfonyl]-2-thiophenecarboxylic	Η.
	acid, methyl ester	
MF:	$C_{19}H_{18}N_2O_5S_2$	
FW:	418.5	
Purity:	≥95%	N N
UV/Vis.:	λ _{max} : 286 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

GSK0660 is supplied as a crystalline solid. A stock solution may be made by dissolving the GSK0660 in the solvent of choice. GSK0660 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of GSK0660 in these solvents is approximately 2, 12, and 20 mg/ml, respectively.

GSK0660 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GSK0660 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. GSK0660 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

GSK0660 is the first reported antagonist of PPAR β/δ (IC₅₀ = 155 nM) with no measurable affinity for PPARa or PPARy (IC₅₀s \geq 10 μ M).¹ Because of the limited bioavailability of GSK0660, the related compound GSK3787 (Item No. 15219) was developed as a selective PPAR β/δ antagonist with more suitable pharmacokinetic properties.²

References

- 1. Shearer, B.G., Steger, D.J., Way, J.M., et al. Identification and characterization of a selective peroxisome proliferator-activated receptor β/δ (NR1C2) antagonist. Molecular Endocrinology 22(2), 523-529 (2008).
- 2. Palkar, P.S., Borland, M.G., Naruhn, S., et al. Cellular and pharmacological selectivity of the peroxisome proliferator-activated receptor-β/δ antagonist GSK3787. Mol. Pharmacol. 78(3), 419-430 (2010).

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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