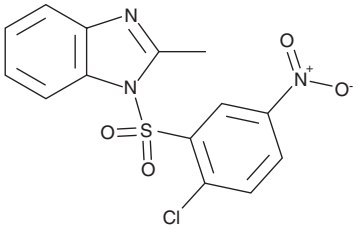
PRODUCT INFORMATION

BIM5078

Item No. 12031

CAS Registry No.:	337506-43-1
Formal Name:	1-[(2-chloro-5-nitrophenyl)sulfonyl]-2-methyl-1H-benzimidazole
MF:	$C_{14}H_{10}ClN_3O_4S$
FW:	351.8
Purity:	≥98%
UV/Vis.:	λ_{max}: 245 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

BIM5078 is supplied as a crystalline solid. A stock solution may be made by dissolving the BIM5078 in the solvent of choice, which should be purged with an inert gas. BIM5078 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of BIM5078 in these solvents is approximately 5 and 3 mg/ml, respectively.

BIM5078 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, BIM5078 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. BIM5078 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

HNF4α is a nuclear receptor transcription factor that controls the expression of many genes including those involved in glucose and lipid homeostasis and maintenance of epithelial differentiation. BIM5078 is an HNF4α antagonist that can repress the expression of known HNF4α target genes, inhibiting endogenous insulin expression in T6PNE cells with an IC_{50} value of 930 nM.[1] BIM5078 binds directly to the ligand binding pocket of HNF4α with an EC_{50} value of 11.9 nM.[2] However, BIM5078 has unfavorable pharmacokinetic properties including low plasma and microsomal stability (8% remaining after 3 hours and 32% after 1.25 hours, respectively), high binding to plasma proteins (98% bound after 4 hours), and low solubility (0.17 mg/ml after 18 hours).[1] BI6015 (Item No. 12032) is a structural analog of BIM5078 developed for more favorable pharmacokinetics.

Reference

1. Kiselyuk, A., Lee, S.H., Farber-Katz, S., *et al.* HNF4α antagonists discovered by a high-throughput screen for modulators of the human insulin promoter. *Chem. Biol.* **19(7)**, 806-818 (2012).

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