Product Data Sheet

Product Name: BIM5078
Cat. No.: GC13937

Chemical Properties

Cas. No.	337506-43-1		
Chemical Name	1-[(2-chloro-5-nitrophenyl)sulfonyl]-2-methyl-1H-benzimidazole		
SMILES	CC1=NC2=CC=CC=C2N1S(C3=C(Cl)C=CC([N+]([O-])=O)=C3)(=O)=O		
Formula	$C_{14}H_{10}ClN_3O_4S$	M.Wt	351.8
Solubility	≤5mg/ml in DMSO;3mg/ml in dimethyl formamide	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	BIM5078		

Background

EC50: 11.9 nM for HNF4α

BIM5078 is a HNF4α antagonist.

Hepatocyte nuclear factor (HNF)4α is a key regulator of gene expression in cell types playing a important role in metabolic homeostasis, such as hepatocytes, enterocytes, as well as pancreatic β cells.

In vitro: The EC50 of BIM5078 was calculated to be 11.9 nM and further analyses of the data using the Hill equation showed that the Hill coefficient for BIM5078 was 0.9, consistent with a single binding complex between BIM5078 and HNF4α. In docking study, the high GoldScore indicated that it was reasonable for BIM5078 to bind in the LBP in a position similar to that of the putative endogenous ligand. Moreover, BIM5078 could potently repress HNF4α expression in T6PNE, the murine insulinoma cell line MIN6, and in the HepG2 hepatoma line, which had exceptionally high levels of HNF4α

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

expression [1].

In vivo: BI6015, a analog of BIM5078, could induce a loss of HNF4α protein in the liver, but not in the intestine or kidney, which was consistent with the in vitro effects of BIM5078 on HNF4α expression both in human- and murine-derived cell lines. In addition, no difference in the cell number expressing the proliferation marker Ki67 was observed in liver, intestine, or kidney with BI6015, when compared with vehicle-treated animals [1].

Clinical trial: Up to now, BIM5078 is still in the preclinical development stage.

Reference:
[1] A. Kiselyuk, S. H. Lee, S. Farber-Katz, et al. HNF4α antagonists discovered by a high-throughput screen for modulators of the human insulin promoter. Chemistry & Biology 19, 806-818 (2012).