Product Data Sheet

Product Name: SW203668
Cat. No.: GC17354

Chemical Properties

Cas. No.	1673556-40-5		
Chemical Name	4-(aminophenylmethyl)-N-(6-methoxy-2-benzothiazolyl)-benzamide		
SMILES	COC1=CC=C2C(SC(NC(C3=CC=C(C(N)C4=CC=CC=C4)C=C3)=O)=N2)=C1		
Formula	$C_{22}H_{19}N_3O_2S$	M.Wt	389.5
Solubility	≤20mg/ml in DMSO	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	SW203668		

Background

IC50: 0.022 μM for H2122 cells

SW203668 is a stearoyl CoA desaturase inhibitor.

The enzyme of stearoyl-CoA desaturase (SCD) is the rate-limiting enzyme in the synthesis of monounsaturated fatty acids such as palmitoleic acid and oleic acid, which are two most abundant monounsaturated fatty acids in human membranes, plasma lipids as well as adipose tissue. Though the endogenous synthesis of fatty acids in humans is not significant in most circumstances, it is increasingly becoming evident that SCD plays key structural and metabolic roles.

In vitro: By the screening, the optimized benzothiazole SW203668 was found to be selectively toxic to various cell lines (IC50 = 0.022-0.116 μM). Moreover, the ectopic expression of CYP4F11 in H1155, an SW203668-insensitive cell line, led to its sensitization to toxicity by SW203668 and therefore these results confirmed that

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CYP4F11 could also activate SW203668 [1].

In vivo: A PK analysis of SW203668 revealed that the plasma levels exceeded 0.3 μM for the first 6 h and a half-life of 8 h after an intraperitoneal injection at 25 mg/kg. Immunodeficient mice bear-ing 200 mm3 tumors derived either from H2122 cells (sensitive) or H1155 cells (insensitive) was intraperitoneally treated with 25 mg/kg of SW203668 twice a day for 10–15 d. Results showed that after administration of SW203668 a substantially reduced rate of growth in H2122-derived tumors was observed. While the H1155-derived tumors were unaffected, suggesting that the selectivity and cytotoxicity of SW203668 were maintained in vivo [1].

Clinical trial: So far, no clinical study has been conducted.

Reference:
[1] Theodoropoulos PC et al. Discovery of tumor-specific irreversible inhibitors of stearoyl CoA desaturase. Nat Chem Biol. 2016 Apr;12(4):218-25.

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