Product Data Sheet

Product Name: 5-fluoro 203
Cat. No.: GC12209

Chemical Properties

Cas. No.	260443-89-8		
Chemical Name	4-(5-fluoro-2-benzothiazolyl)-2-methyl-benzenamine		
SMILES	FC1=CC=C(SC(C2=CC=C(N)C(C)=C2)=N3)C3=C1		
Formula	$C_{14}H_{11}FN_2S$	M.Wt	258.3
Solubility	≤2mg/ml in ethanol;30mg/ml in DMSO;30mg/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	5-fluoro 203		

Background

5-fluoro 203 (5F-203) is an antitumor agent and cytotoxic compound that acts as a potent AhR agonist [1][2][3].

The aryl hydrocarbon receptor (AhR) is a ligand-activated transcription factor involved in regulating xenobiotic-metabolizing enzymes such as cytochrome P450.

5-fluoro 203 (5F-203) is an antitumor agent that acts as a potent AhR agonist. In MCF-7 breast cancer cell, 5-fluoro 203 inhibited cell growth in part by activating the aryl hydrocarbon receptor (AhR) signaling pathway. 5-fluoro 203 increased protein-DNA complex formation on the NF-kB-responsive element and also increased NF-kB-dependent transcriptional activity [1]. In sensitive MCF-7 cells, 1.0 μM 5F-203 induced CYP1A1 gene expression [2]. In IGROV-1 cells, 5F203 induced enhanced CYP1A1 expression, AhR translocation and ROS formation, accompanied by JNK, ERK and P38 MAPK phosphorylation, DNA damage and cell cycle arres. In cells isolated from ovarian

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cancer ascites, 5F203 also induced CYP1A1 expression, AhR translocation and ROS formation [3].

In nude mice bearing human tumor xenografts, 5F-203 induced CYP1A1 gene expression, which was correlated with in vivo sensitivity. Therefore, induction of CYP1A1 mRNA in response to 5F-203 treatments may provide a possible surrogate marker for determination of drug-sensitive tumors in patients [2].

References:

[1]. Brantley E, Patel V, Stinson SF, et al. The antitumor drug candidate 2-(4-amino-3-methylphenyl)-5-fluorobenzothiazole induces NF-kappaB activity in drug-sensitive MCF-7 cells. Anticancer Drugs. 2005 Feb;16(2):137-43.

[2]. Hose CD, Hollingshead M, Sausville EA, et al. Induction of CYP1A1 in tumor cells by the antitumor agent 2-[4-amino-3-methylphenyl]-5-fluoro-benzothiazole: a potential surrogate marker for patient sensitivity. Mol Cancer Ther. 2003 Dec;2(12):1265-72.

[3]. Callero MA, Luzzani GA, De Dios DO, et al. Biomarkers of sensitivity to potent and selective antitumor 2-(4-amino-3-methylphenyl)-5-fluorobenzothiazole (5F203) in ovarian cancer. J Cell Biochem. 2013 Oct;114(10):2392-404.