Product Data Sheet

Product Name: 2-hydroxy Flutamide
Cat. No.: GC17160

Chemical Properties

Cas. No.	52806-53-8		
Chemical Name	2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]-propanamide		
SMILES	O=C(C(O)(C)C)NC1=CC=C([N+]([O-])=O)C(C(F)(F)F)=C1		
Formula	$C_{11}H_{11}F_3N_2O_4$	M.Wt	292.2
Solubility	≤25mg/ml in ethanol;25mg/ml in DMSO;25mg/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	2-hydroxy Flutamide		

Background

2-hydroxyFlutamide is an androgen receptor (AR) inhibitor [1].

The androgen receptor has been implicated in the development and progression of prostate cancer. AR is expressed in many androgen-independent or hormone refractory prostate cancers and is maintained throughout prostate cancer progression. Inactivation of AR may delay prostate cancer progression [2].

2-hydroxyFlutamide is the major metabolite of flutamide generated during the metabolism of the non-steroidal antiandrogen flutamide by CYP1A2 and CYP3A4. Flutamide is an antiandrogenic drug which has been widely used for treatment of prostate cancer. 2-hydroxyflutamide could inhibit flutamide metabolism. In cells, increased conversion of flutamide to 2-hydroxyflutamide or accumulation of 2-hydroxyflutamide may result in the anomalous responses to flutamide, which can be observed in some advanced prostate cancers [3]. 2-hydroxy flutamide blocked the

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expression of AR target genes and prevented androgen-dependent stabilization of the AR [1]. 2-hydroxyFlutamide was a more powerful antiandrogen in vivo, with higher binding affinity for the AR than flutamide. In humans, hydroxyflutamide exhibited an elimination halflife of about 8 h [3].

References:

[1] Kolvenbag G, Furr B J A, Blackledge G R P. Receptor affinity and potency of non-steroidal antiandrogens: translation of preclinical findings into clinical activity[J]. Prostate cancer and prostatic diseases, 1998, 1: 307-314.
[2] Heinlein C A, Chang C. Androgen receptor in prostate cancer[J]. Endocrine reviews, 2004, 25(2): 276-308.
[3] Shet M S, McPhaul M, Fisher C W, et al. Metabolism of the antiandrogenic drug (Flutamide) by human CYP1A2[J]. Drug metabolism and disposition, 1997, 25(11): 1298-1303.
[4] Gao W, Kim J, Dalton J T. Pharmacokinetics and pharmacodynamics of nonsteroidal androgen receptor ligands[J]. Pharmaceutical research, 2006, 23(8): 1641-1658.