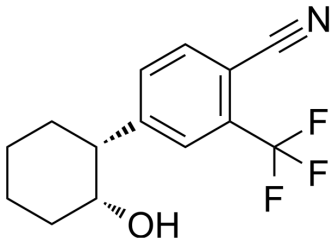
PF-998425

Cat. No.:	HY-14250
CAS No.:	1076225-27-8
Molecular Formula:	$C_{14}H_{14}F_3NO$
Molecular Weight:	269.26
Target:	Androgen Receptor
Pathway:	Others
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (185.69 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.7139 mL	18.5694 mL	37.1388 mL
	5 mM	0.7428 mL	3.7139 mL	7.4278 mL
	10 mM	0.3714 mL	1.8569 mL	3.7139 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 2.5 mg/mL (9.28 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (9.28 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (9.28 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PF-998425 is a potent, selective nonsteroidal androgen receptor (AR) antagonist with an IC_{50} of 37 nM and 43 nM in AR binding and cellular assays, respectively. PF-998425 has low activity on common receptors and enzymes, such as progesterone receptor. PF-998425 can be used for sebum control and androgenetic alopecia research[1].

IC_{50} & Target

IC50: 37 nM (AR binding) and 43 nM (AR cellular)[1]

In Vivo

PF-998425 (Compound (-)-6a) is rapidly metabolized in rat liver microsomes ($t_{1/2}$=4 min, C_{Lint}=350 (μL/min)/mg protein). In

vivo clearance data in dogs are consistent with the high clearance predicted in vitro in rat. Following intravenous administration of PF-998425, mean systemic plasma clearance is 40 (mL/min)/kg. The mean apparent of volume of distribution at steady state is 6.5 L/kg, and the mean terminal phase half-life is 2.6 h[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Jie Jack Li, et al. Rational design and synthesis of 4-((1R,2R)-2-hydroxycyclohexyl)-2(trifluoromethyl)benzonitrile (PF-998425), a novel, nonsteroidal androgen receptor antagonist devoid of phototoxicity for dermatological indications. J Med Chem. 2008 Nov 13;51(21):7010-4.

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