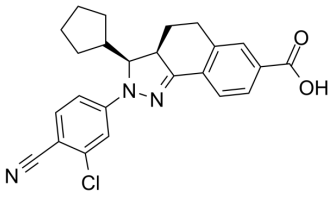
PF-3882845

Cat. No.:	HY-12738
CAS No.:	1023650-66-9
Molecular Formula:	$C_{24}H_{22}ClN_3O_2$
Molecular Weight:	419.9
Target:	Mineralocorticoid Receptor; Progesterone Receptor
Pathway:	Metabolic Enzyme/Protease; Vitamin D Related/Nuclear Receptor
Storage:	-20°C, protect from light, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light, stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 10 mg/mL (23.82 mM; Need ultrasonic and warming)

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
1 mM	2.3815 mL	11.9076 mL	23.8152 mL
5 mM	0.4763 mL	2.3815 mL	4.7630 mL
10 mM	0.2382 mL	1.1908 mL	2.3815 mL

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

BIOLOGICAL ACTIVITY

Description

PF-3882845 is a remarkably high affinity selective and orally efficacious mineralocorticoid receptor (MR binding IC_{50}=2.7 nM) antagonist for hypertension and nephropathy. PF-3882845 also binds to progesterone receptor (PR) with the binding IC_{50} of 310 nM[1].

IC_{50} & Target

IC50: 2.7 nM (mineralocorticoid receptor), 310 nM (progesterone receptor)[1]

In Vivo

PF-3882845 reduces blood pressure, decreases urinary albumin, and protects kidney in Dahl SS rat[1].

PF-3882845 exhibits moderate oral bioavailability (F 86%) following oral administration (2 mg/kg) in male Sprague-Dawley rats[1].

PF-3882845 exhibits terminal elimination half-lives ($T_{1/2}$ 1.7 h) due to high plasma clearance (CL 9.8 mL/min/kg) combined with large volumes of distribution (V_{dss} 1.4 mL/kg respectively) following intravenous administration (2 mg/kg) in male Sprague-Dawley rats[1].

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

Animal Model:	Male Dahl salt sensitive (SS) rats[1]

Dosage:	10, 40, and 100 mg/kg
Administration:	Orally via gavage; twice a day; for 21 days
Result:	Significant blood pressure reduction was observed with 10 mg/kg. Most noticeably, rats dosed at 40 and 100 mg/kg had negligible increase in blood pressure over 21 days in the presence of high salt.

REFERENCES

[1]. Meyers MJ, et al. Discovery of (3S,3aR)-2-(3-chloro-4-cyanophenyl)-3-cyclopentyl-3,3a,4,5-tetrahydro-2H-benzo[g]indazole-7-carboxylic acid (PF-3882845), an orally efficacious mineralocorticoid receptor (MR) antagonist for hypertension and nephropathy. J

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