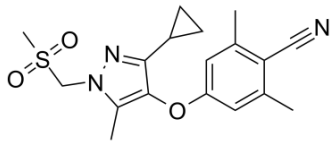
PF-02413873

Cat. No.:	HY-11028
CAS No.:	936345-35-6
Molecular Formula:	$C_{18}H_{21}N_3O_3S$
Molecular Weight:	359.44
Target:	Progesterone Receptor
Pathway:	Others
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



BIOLOGICAL ACTIVITY

Description	PF-02413873 (PF-2413873) is a potent selective, fully competitive and orally active nonsteroidal progesterone receptor (PR) antagonist, with a K_i of 2.6 nM. PF-02413873 can block progesterone binding and PR nuclear translocation, and inhibit endometrial growth in vivo[1][2].
IC_{50} & Target	Ki: 2.6 nM (progesterone receptor)[1]
In Vitro	PF-02413873 shows potent PR antagonist activity with a derived K_i of 9.7 nM in the T47D native functional assay[1]. PF-02413873 (1 nM-10 μM) induces nuclear translocation only at high concentrations (>3 μM)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	PF-02413873 (2.5 and 10 mg/kg; p.o. twice daily for 10 days) induces a statistically significant reduction in endometrial thickness in cynomolgus macaques[1]. PF-02413873 (3 mg/kg; a single p.o.) exhibits $t_{1/2}$ (4.2 h), C_{max} (162 ng/mL) and CL/F (41 mL/min/kg)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Cynomolgus macaques (3.7-5.7 kg; 5-6 years)[1]
Dosage:	2.5, 10 mg/kg
Administration:	P.o. twice daily for 10 days
Result:	Reduced the endometrial thickness of 43 and 56% at the dose of 2.5 and 10 mg/kg, respectively.

Animal Model:	Cynomolgus macaques (3.7-5.7 kg; 5-6 years)[1]
Dosage:	3 mg/kg (Pharmacokinetic Analysis)
Administration:	A single p.o.
Result:	$t_{1/2}$=4.2 h, C_{max}=162 ng/mL, CL/F=41 mL/min/kg.

REFERENCES

[1]. Howe DC, et, al. The translational efficacy of a nonsteroidal progesterone receptor antagonist, 4-[3-cyclopropyl-1-(mesylmethyl)-5-methyl-1H-pyrazol-4-yl]oxy,-2,6-dimethylbenzonitrile (PF-02413873), on endometrial growth in macaque and human. J Pharmacol Exp Ther. 2011 Nov;339(2):642-53.

[2]. Bungay PJ, et, al. Preclinical and clinical pharmacokinetics of PF-02413873, a nonsteroidal progesterone receptor antagonist. Drug Metab Dispos. 2011 Aug;39(8):1396-405.

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