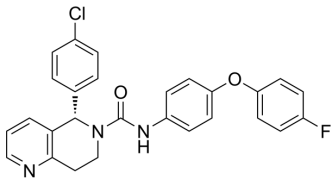
BAY-298

Cat. No.:	HY-130249
CAS No.:	2471978-97-7
Molecular Formula:	$C_{27}H_{21}ClFN_3O_2$
Molecular Weight:	473.93
Target:	GnRH Receptor
Pathway:	GPCR/G Protein
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 : 100 mg/mL (211.00 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1100 mL	10.5501 mL	21.1002 mL
	5 mM	0.4220 mL	2.1100 mL	4.2200 mL
	10 mM	0.2110 mL	1.0550 mL	2.1100 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.28 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BAY-298 is an orally active and selective luteinizing hormone receptor (LH-R) antagonist with IC_{50}s of 96 nM, 23 nM and 78 nM for hLH (human LH) and rLH (rat LH) and cLH (cynomolgus monkey LH), respectively. BAY-298 can reduce sex hormone levels[1].

IC_{50} & Target

IC50: 185 nM (hLH), 46nM (rLH) and 78 nM (cLH)[1]

In Vivo

BAY-298 (oral; 4.5-72 mg/kg/day; for 8 days) dosedependently loweres serum estradiol levels in proestrus[1].
BAY-298 (iv of 0.5 mg/kg or po of 2 mg/kg) has $t_{1/2}$s of 31 hours and 33 hours for iv and po. And the C_{max}s are 0.28 kg/L and 0.066 kg/L for iv and po[1].

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

Animal Model:	Intact female rats[1]
Dosage:	4.5, 9, 18, 36, 72 mg/kg
Administration:	Oral; for 8 days
Result:	Dosedependently lowered serum estradiol levels in proestrus.

Animal Model:	Female and male Wistar rats[1]
Dosage:	0.5 mg/kg of iv or 2 mg/kg of po
Administration:	Iv or po
Result:	Has $t_{1/2}$s of 31 hours and 33 hours for iv and po. And the C_{max}s are 0.28 kg/L and 0.066 kg/L for iv and po.

REFERENCES

[1]. Wortmann L, et al. Discovery of BAY-298 and BAY-899: Tetrahydro-1,6-naphthyridine-Based, Potent and Selective Antagonists of the Luteinizing Hormone Receptor Which Reduce Sex Hormone Levels In Vivo. J Med Chem. 2019 Oct 31.

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