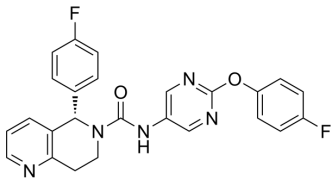
BAY-899

Cat. No.:	HY-130248
CAS No.:	2471967-92-5
Molecular Formula:	$C_{25}H_{19}F_2N_5O_2$
Molecular Weight:	459.45
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 : 130 mg/mL (282.95 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1765 mL	10.8826 mL	21.7652 mL
	5 mM	0.4353 mL	2.1765 mL	4.3530 mL
	10 mM	0.2177 mL	1.0883 mL	2.1765 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: ≥ 3.5 mg/mL (7.62 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 3.5 mg/mL (7.62 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 3.5 mg/mL (7.62 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BAY-899 is an orally active and selective luteinizing hormone receptor (LH-R) antagonist with IC_{50}s of 185 nM and 46nM for hLH (human LH) and rLH (rat LH), respectively. BAY-899 can reduce sex hormone levels[1].

IC_{50} & Target

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

In Vivo

BAY-899 (oral; 12.5 mg/kg/day; for 8 days) shows an efficiency to reduce serum estradiol levels in intact female rats[1].
BAY-899 (iv of 0.5 mg/kg or po of 2 mg/kg) has a $t_{1/2}$ of 11 hours and 12 hours for iv and po. And the C_{max} is 0.97 kg/L and 0.24

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:	12.5 mg/kg
Administration:	Oral; for 8 days
Result:	Showed an efficiency to reduce serum estradiol levels.

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 11 hours and 12 hours for iv and po. And the C_{max}s are 0.97 kg/L and 0.24 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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