Fezolinetant

Cat. No.: HY-19632

CAS No.: 1629229-37-3

Molecular Formula: $C_{16}H_{15}FN_6OS$

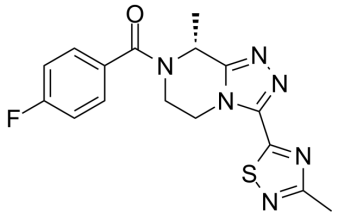
Molecular Weight: 358.39

Target: Neurokinin Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 20 mg/mL (55.81 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.7903 mL	13.9513 mL	27.9026 mL
5 mM	0.5581 mL	2.7903 mL	5.5805 mL
10 mM	0.2790 mL	1.3951 mL	2.7903 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 mg/mL (5.58 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2 mg/mL (5.58 mM); Clear solution
3. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: 1 mg/mL (2.79 mM); Suspended solution; Need ultrasonic
4. Add each solvent one by one: 1% DMSO >> 99% saline
   Solubility: ≥ 0.2 mg/mL (0.56 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	Fezolinetant is an antagonist of the neurokinin 3 receptor (NK3R), used for the treatment of menopausal hot flushes.
IC_{50} & Target	NK3
In Vivo	Fezolinetant (ESN364, 1 mg/kg, iv bolus) reversibly inhibits the regular, pulsatile secretion of LH in the ovarectomized ewe.

ESN364 represses the pulse pattern of LH in all treated animals. ESN364 (5 mg/kg, p.o.) lowers plasma LH, but not FSH, in the castrated monkey. ESN364 (10, 25, 50 mg/kg, orally) also blocks the LH surge and decreases ovarian hormone levels throughout the menstrual cycle in monkeys[1].

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

PROTOCOL

Animal Administration [1]

On the day of the experiment, the NK3R antagonist ESN364 is formulated in physiological saline with 9% 2-hydroxypropyl-β-cyclodextrin at a concentration of 2 mg/mL. At 240 minutes after the initiation of blood sampling, ESN364 (1 mg/kg, n=5) or vehicle (n=5) is administered by an iv bolus injection at a dose volume of 0.5 mL/kg through the jugular cannulae, and the injected material is flushed into the animal with 5 mL of heparinized saline. Blood sampling resumes at the indicated intervals following this iv administration.

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

CUSTOMER VALIDATION

- J Allergy Clin Immunol. 2019 Oct;144(4):1130-1133.e8.
- Patent. US20210401821A1.

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REFERENCES

[1]. Fraser GL, et al. The NK3 Receptor Antagonist ESN364 Interrupts Pulsatile LH Secretion and Moderates Levels of Ovarian Hormones Throughout the Menstrual Cycle. Endocrinology. 2015 Nov;156(11):4214-25.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA