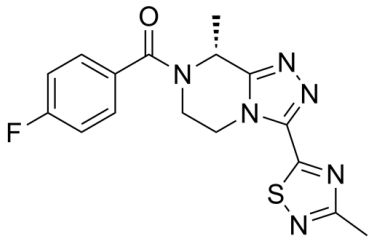
Data Sheet

Product Name:	Fezolinetant
Cat. No.:	CS-7952
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
Solubility:	DMSO : 20 mg/mL (55.81 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

Fezolinetant is an antagonist of the **neurokinin 3 receptor (NK3R)**, used for the treatment of menopausal hot flushes. **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].

PROTOCOL (Extracted from published papers and Only for reference)

Animal Administration: Fezolinetant is formulated in physiological saline with 9% 2-hydroxypropyl-β-cyclodextrin at a concentration of 2 mg/mL.[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.

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.

CAIndexNames:

Methanone, [(8R)-5,6-dihydro-8-methyl-3-(3-methyl-1,2,4-thiadiazol-5-yl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl](4-fluorophenyl)-

SMILES:

O=C(N1[C@H](C)C2=NN=C(C3=NC(C)=NS3)N2CC1)C4=CC=C(F)C=C4

Caution: Product has not been fully validated for medical applications. For research use only.

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