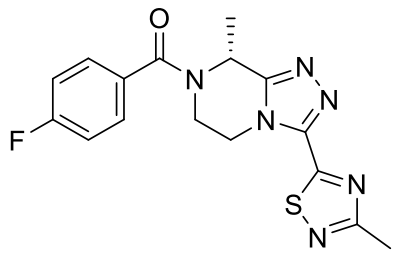
Product data sheet

MedKoo Cat#: 327010 Name: Fezolinetant CAS#: 1629229-37-3 Chemical Formula: $C_{16}H_{15}FN_6OS$ Exact Mass: 358.1012 Molecular Weight: 358.4	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
Fezolinetant, also known as ESN-364, is Neurokinin-3 (NK-3) receptor antagonist which has been optimized for use in women's health, and is being developed for sex-hormone related disorders such as endometriosis, polycystic ovarian syndrome and uterine fibroids. Fexolinetant allows modulation of the hypothalamic-pituitary gonadal axis with a selective action on hormones relevant to disease. The agent is expected to have greater tolerability than competing products which target GnRH (Gonadotropin-releasing hormone).

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	20.0	55.8

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	2.79 mL	13.95 mL	27.90 mL
5 mM	0.56 mL	2.79 mL	5.58 mL
10 mM	0.28 mL	1.40 mL	2.79 mL
50 mM	0.06 mL	0.28 mL	0.56 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

TBD

In vivo study

1. Tahara A, Takamatsu H, Ohtake A, Tanaka-Amino K, Kaku S. Effects of neurokinin 3 receptor antagonist fezolinetant on hot flash-like symptoms in ovariectomized rats. Eur J Pharmacol. 2021 Aug 15;905:174207. doi: 10.1016/j.ejphar.2021.174207. Epub 2021 May 25. PMID: 34048742.

7. Bioactivity
Biological target:

Fezolinetant is an antagonist of the neurokinin 3 receptor (NK3R).

In vitro activity

TBD

Product data sheet

In vivo activity

Repeated oral administration of fezolinetant (1-10 mg/kg, twice daily) for 1 week dose-dependently reduced plasma LH levels without affecting estradiol or FSH levels, inhibited the activation of MnPO neurons, and attenuated hot flash-like symptoms. In addition, fezolinetant dose-dependently reduced hyperphagia and weight gain in ovariectomized rats. These preclinical findings suggest that fezolinetant attenuates hot flash-like symptoms via inhibition of neuronal activity in the MnPO of ovariectomized rats and provides further support for the ongoing clinical development of fezolinetant for the treatment of VMS associated with menopause.

Reference: Eur J Pharmacol. 2021 Aug 15;905:174207. https://linkinghub.elsevier.com/retrieve/pii/S0014-2999(21)00360-5