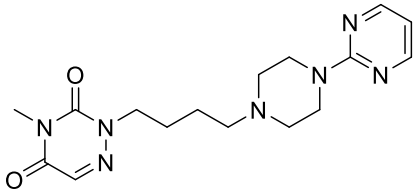
Product data sheet

MedKoo Cat#: 326691 Name: Eptapirone free base CAS#: 179756-58-2 (free base) Chemical Formula: $C_{16}H_{23}N_7O_2$ Exact Mass: 345.1913 Molecular Weight: 345.407	
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:

Eptapirone, also known as F11440 and L0068, is a potent, selective, high efficacy 5-HT1A receptor agonist with marked anxiolytic and antidepressant potential. The affinity of F 11440 for 5-HT1A binding sites (pKi, 8.33) was higher than that of buspirone (pKi, 7.50), and somewhat lower than that of flesinoxan (pKi, 8.91). In vivo, F 11440 was 4- to 20-fold more potent than flesinoxan, and 30- to 60-fold more potent than buspirone.

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	35.0	101.33
DMSO:PBS (pH 7.2) (1:40)	0.025	0.07
DMF	10.0	28.95

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.90 mL	14.48 mL	28.95 mL
5 mM	0.58 mL	2.90 mL	5.79 mL
10 mM	0.29 mL	1.45 mL	2.90 mL
50 mM	0.06 mL	0.29 mL	0.58 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

1. Koek W, Patoiseau JF, Assié MB, Cosi C, Kleven MS, Dupont-Passelaigue E, Carilla-Durand E, Palmier C, Valentin JP, John G, Pauwels PJ, Tarayre JP, Colpaert FC. F 11440, a potent, selective, high efficacy 5-HT1A receptor agonist with marked anxiolytic and antidepressant potential. J Pharmacol Exp Ther. 1998 Oct;287(1):266-83. PMID: 9765347.

In vivo study

1. Thomas GH, Babbs AJ, Chatfield RE, Krülle TM, Widdowson PS, Provost D, McCormack JG. 5-HT(1A) activation counteracts cardiovascular but not hypophagic effects of sibutramine in rats. Obesity (Silver Spring). 2009 Mar;17(3):467-73. doi: 10.1038/oby.2008.550. Epub 2008 Dec 11. PMID: 19219064.
2. Koek W, Patoiseau JF, Assié MB, Cosi C, Kleven MS, Dupont-Passelaigue E, Carilla-Durand E, Palmier C, Valentin JP, John G, Pauwels PJ, Tarayre JP, Colpaert FC. F 11440, a potent, selective, high efficacy 5-HT1A receptor agonist with marked anxiolytic and antidepressant potential. J Pharmacol Exp Ther. 1998 Oct;287(1):266-83. PMID: 9765347.

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7. Bioactivity

Biological target:

Eptapirone (F11440) is a potent, selective, high efficacy 5-HT1A receptor agonist with marked anxiolytic and antidepressant potential.

In vitro activity

In cells transfected with human 5-HT1Areceptors, F 11440 inhibited forskolin-induced stimulation of cAMP with a pEC50 value of 6.80 ± 0.11 (mean ± S.E.M.) (fig. 3, top).

Reference: J Pharmacol Exp Ther. 1998 Oct;287(1):266-83. https://pubmed.ncbi.nlm.nih.gov/9765347/

In vivo activity

F 11440 dose-dependently inhibited methylphenidate-induced gnawing (data not shown) (ED_{50}: 0.12 mg/kg; maximal effect: 1.0 ± 1.0). Pretreatment with WAY-100635 (0.63 mg/kg, s.c.) attenuated the effects of F 11440 on methylphenidate-induced gnawing (ED_{50}: 55 mg/kg; maximal effect: 0.40 ± 0.25). F 11440 was unable to normalize the behavior of methylphenidate-treated rats, either in the absence or in the presence of WAY-100635 (maximum percentage of rats normalized: 0% and 40%, respectively).

Reference: J Pharmacol Exp Ther. 1998 Oct;287(1):266-83. https://pubmed.ncbi.nlm.nih.gov/9765347/