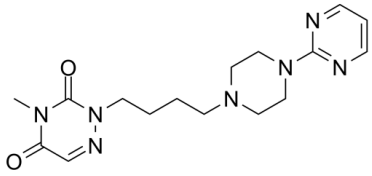
Data Sheet

Product Name:	Eptapirone
Cat. No.:	CS-5539
CAS No.:	179756-58-2
Molecular Formula:	C16H23N7O2
Molecular Weight:	345.40
Target:	5-HT Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Solubility:	DMSO : 50 mg/mL (144.76 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

Eptapirone (F11440) is a potent, selective, high efficacy 5-HT1A receptor agonist with marked anxiolytic and antidepressant potential. **In Vitro:** The affinity of Eptapirone (F11440) for 5-HT1Abinding 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, Eptapirone (F11440) was 4- to 20-fold more potent than flesinoxan, and 30- to 60-fold more potent than buspirone, in exerting 5-HT1A agonist activity at pre- and postsynaptic receptors in rats (measured by, for example, its ability to decrease hippocampal extracellular serotonin (5-HT) levels and to increase plasma corticosterone levels, respectively). Eptapirone (F11440), shown here to be a potent, selective, high efficacy 5-HT1Areceptor agonist, appears to have the potential to exert marked anxiolytic and antidepressant activity in humans.[1]

PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: Eptapirone (F11440) is dissolved in DMSO. The HeLa cell line permanently transfected with the human 5-HT1A receptor gene and permanently expressing the 5-HT1A receptor protein (HA7). In subsequent experiments, the maximum effect of Eptapirone (F11440) is compared with those of other compounds by repeated testing (n=9) at a concentration of 10^{-5} M (i.e., a concentration at which the reference compounds used here appeared to attain their maximal effects) in a first series of experiments and at 10^{-4} M in a second series. Data from each series were analyzed statistically by means of a one-way analysis of variance followed by sequential paired comparisons by means of Newman-Keuls tests[1]. **Animal Administration:** [1]Rats[1]

For in vivo studies, F 11440 was suspended in distilled water by adding Tween 80 (2 drops/10 ml). When injected i.v., F 11440 was dissolved in a mixture of 60% PEG and 40% physiological saline. Doses are expressed as the weight of the free base. Twenty-four hours before use in the experiments, rats were housed individually in a restricted area (accessible only to the experimenter) and received 15 g standard laboratory food (water continued to be available freely). Experiments, consisting of drug treatments after which animals were decapitated and trunk blood was collected, were conducted between 8:00 a.m. and 10:30 a.m. F 11440 (or vehicle) was administered 60 min before decapitation when given p.o., and 30 min before decapitation when given i.p.[1].

References:

[1]. Koek W, et al. 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.

CAIndexNames:

1,2,4-Triazine-3,5(2H,4H)-dione, 4-methyl-2-[4-[4-(2-pyrimidinyl)-1-piperazinyl]butyl]-

SMILES:

O=C(N1C)N(CCCCN2CCN(C3=NC=CC=N3)CC2)N=CC1=O

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

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