Eptapirone

Cat. No.: HY-19946

CAS No.: 179756-58-2

Molecular Formula: $C_{16}H_{23}N_7O_2$

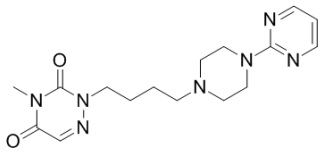
Molecular Weight: 345.4

Target: 5-HT Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (144.76 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.8952 mL	14.4760 mL	28.9519 mL
	5 mM	0.5790 mL	2.8952 mL	5.7904 mL
	10 mM	0.2895 mL	1.4476 mL	2.8952 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: ≥ 2.5 mg/mL (7.24 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.24 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.24 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

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

IC_{50} & Target

$5\text{-}HT_{1A}$ Receptor

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]

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

PROTOCOL

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].

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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].

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

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.

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