Proteins

Product Data Sheet

LY2444296

Cat. No.: HY-135230 CAS No.: 1346133-11-6 Molecular Formula: $C_{24}H_{22}F_{2}N_{2}O_{2}$ Molecular Weight: 408.44

Target: **Opioid Receptor**

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: Powder -20°C 3 years

4°C 2 years

In solvent -80°C 2 years

> -20°C 1 year

$$H_2N$$

SOLVENT & SOLUBILITY

In Vitro

Ethanol: 27.5 mg/mL (67.33 mM; Need ultrasonic)

| Preparing Stock Solutions | Solvent Mass Concentration | 1 mg | 5 mg | 10 mg |
|------------------------------|-------------------------------|-----------|------------|------------|
| | 1 mM | 2.4483 mL | 12.2417 mL | 24.4834 mL |
| | 5 mM | 0.4897 mL | 2.4483 mL | 4.8967 mL |
| | 10 mM | 0.2448 mL | 1.2242 mL | 2.4483 mL |

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- 1. Add each solvent one by one: 10% EtOH >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.75 mg/mL (6.73 mM); Clear solution
- 2. Add each solvent one by one: 10% EtOH >> 90% corn oil Solubility: ≥ 2.75 mg/mL (6.73 mM); Clear solution

BIOLOGICAL ACTIVITY

| Description | LY2444296 is an orally bioavailable, high-affinity and selective short-acting kappa opioid receptor (KOPR) antagonist, with a K_i value of -1 nM. LY2444296 exhibits anti-anxiety like effects ^{[1][2]} . |
|---------------------------|---|
| IC ₅₀ & Target | Ki: ~1 nM (KOPR) ^[1] |
| In Vivo | LY2444296 displays anti-anxiety like effects in the EPM test ^[1] . LY2444296 (3 mg/kg; i.p.; 30 min before U69,593 administration) prevents behavioral and neuroendocrine effects caused by the reference kappa agonist U69,593 in cocaine-naïve rats ^[2] . LY2444296 reduces anxiety-like and depressive-like behaviors, as well as CORT release, in rats tested after chronic extended access cocaine self-administration, but not in cocaine-naïve rats ^[2] . |

| Animal Model: | Adult male Sprague Dawley rats (240–250 g) ^[2] | |
|-----------------|--|--|
| Dosage: | 3 mg/kg | |
| Administration: | Injected intraperitoneally; 30 min before U69,593 administration | |
| Result: | Prevented behavioral and neuroendocrine effects caused by U69,593 in cocaine-naïve rats. | |

REFERENCES

[1]. Huang P, et al. Two short-acting kappa opioid receptor antagonists (zyklophin and LY2444296) exhibited different behavioral effects from the long-acting antagonist norbinaltorphimine in mouse anxiety tests. Neurosci Lett. 2016 Feb 26;615:15-20.

[2]. Valenza M, et al. "Effects of the novel relatively short-acting kappa opioid receptor antagonist LY2444296 in behaviors observed after chronic extended-access cocaine self-administration in rats". Psychopharmacology (Berl). 2017 Aug;234(15):2219-2231.

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

Tel: 609-228-6898

Fax: 609-228-5909

 $\hbox{E-mail: } tech @ Med Chem Express.com$

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA