Ebopiprant

Cat. No.: HY-112284

CAS No.: 2005486-31-5

Molecular Formula: $C_{30}H_{34}FN_3O_5S_2$

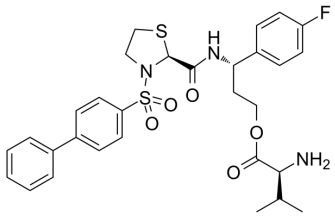
Molecular Weight: 599.74

Target: Prostaglandin Receptor

Pathway: GPCR/G Protein

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 : 250 mg/mL (416.85 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.6674 mL	8.3369 mL	16.6739 mL
5 mM	0.3335 mL	1.6674 mL	3.3348 mL
10 mM	0.1667 mL	0.8337 mL	1.6674 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: ≥ 2.08 mg/mL (3.47 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (3.47 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.47 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Ebopiprant (OBE022) is an oral and selective prostaglandin $F_{2\alpha}$ ($PGF_{2\alpha}$) receptor antagonist, with K_is of 1 nM, 26 nM for human and rat FP receptors, respectively.

IC_{50} & Target

Human FP Receptor	Rat FP Receptor
1 nM (Ki)	26 nM (Ki)

In Vitro

Ebopiprant (OBE022) and OBE002 are assayed for FP binding affinity by competitive binding analysis with 3H-PGF2α using

	HEK293 cells stably transfected with the FP receptor. Binding affinities (K_i) of OBE022 for the human and rat FP receptor are 1 nM and 26 nM respectively. For OBE002, K_is are 6 nM for the human and 313 nM for the rat FP receptor. The binding of both OBE022 and OBE002 is reversible and competitive since increasing concentrations of either compound causes successive decreases in the slope of the binding curves, consistent with an increase in equilibrium dissociation constant (K_D) without a reduction in receptor density[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Time-course of the cumulative percentage of delivers mice after RU486-induced preterm parturition at GD17, in OBE022, nifedipine or vehicle treatment groups. Oral treatment with OBE022 delays the preterm birth caused by RU486 administration as reflected by a shift to the right of the percentage of delivery curve. The effect of oral treatment with nifedipine is comparable. Both OBE022 and nifedipine show a trend to increase the time of first pup delivery. As an important consequence of the prolongation of gestation, dams deliver viable pups. Combination of OBE022 and nifedipine cause a synergistic effect on the delay of RU486-induced preterm birth as reflected by a more pronounced shift to the right of the percentage of delivery curve, in comparison to OBE022 or nifedipine alone. Also, a larger increase of the time of first pup delivery is observed[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	Mice[1] Primigravid CD1 mice, on day 17 of pregnancy (about 85% gestation) at the beginning of the experiments, are used. Approximately 3 hours before induction of preterm labor (Day 1 (D1) at 10h00), the pregnant mice at gestational day 17, are placed in individual cages with food and water ad libitum. Pregnant mice receive on D1 (at day time: 13h00) a single subcutaneous (s.c.) injection of RU486 at a dose of 2.5 mg/kg in a final volume of 10 mL/kg of sesame oil. OBE022 (10, 30 and 100 mg/kg) or nifedipine (5 mg/kg) are administered orally (p.o.) at a volume of 5 mL/kg once on D1 (18h00), twice on D2 (8h00 and 18h00) and once on D3 (8h00) for a total of 4 administrations. For combination treatment, mice receive OBE022 plus nifedipine using the same experimental design as single treatment[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- EMBO Mol Med. 2022 Dec 13;e16373.

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REFERENCES

[1]. Oliver Pohl, et al. OBE022, an oral and selective prostaglandin F2α receptor antagonist as an effective and safe modality for the treatment of preterm labor. J Pharmacol Exp Ther. 2018 Aug;366(2):349-364.

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