EP3 antagonist 6

Cat. No.: HY-157495

CAS No.: 499149-94-9

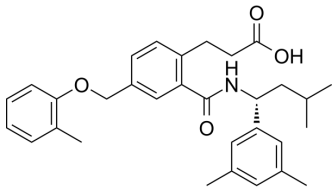
Molecular Formula: $C_{31}H_{37}NO_4$

Molecular Weight: 487.63

Target: Prostaglandin Receptor

Pathway: GPCR/G Protein

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

EP3 antagonist 6 (compound 5) is a potent, orally and selective EP3 receptor antagonist, with an IC_{50} of 1.9 nM. EP3 antagonist 6 can inhibits PGE2-induced (HY-101952) uterine contraction in pregnant rats[1].

IC_{50} & Target

EP3
1.9 nM (IC_{50})

In Vivo

EP3 antagonist 6 (0.1-1 mg/kg; p.o.; Single Dose) is effective in eliciting dose-dependent uterine contraction presentation in pregnant rats[1].
EP3 antagonist 6 (0.1-1 mg/kg; p.o.) shows an AUC of 1.01 μg·h/mL and a Cmax of 0.33 μg/mL[1].

Pharmacokinetic Analysis in EP3 antagonist 6 [1]

[1]

Route	Dose (mg/kg)	AUC (μg·h/mL)	$t_{1/2}$ (h)	Cl_{tot} (mL·min/kg)	V_{ss} (L/kg)	C_{max} (μg/mL)	F (%)
i.v.	2.7	0.89	0.4	51.5	1.24	/	/
p.o.	10	1.01	1.6	/	/	0.33	31

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

Animal Model:	pregnant rats [1]
Dosage:	0.1 ; 0.3 ; 1 mg/kg; Single Dose
Administration:	p.o.
Result:	Showed a dose-dependent inhibition of uterine contractions with 29% inhibition at 0.1 mg/kg, 53% at 0.3 mg/kg, and 98% at 1 mg/kg.

REFERENCES

[1]. Asada M, et, al. 3-(2-Aminocarbonylphenyl)propanoic acid analogs as potent and selective EP3 receptor antagonists. Part 3: Synthesis, metabolic stability, and biological evaluation of optically active analogs. Bioorg Med Chem. 2010 May 1;18(9):3212-23.

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