Indacaterol maleate

Cat. No.: HY-14299A

CAS No.: 753498-25-8

Molecular Formula: $C_{28}H_{32}N_2O_7$

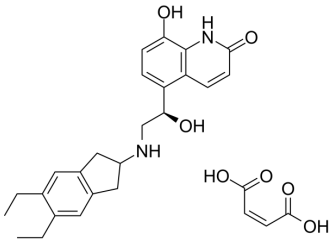
Molecular Weight: 508.56

Target: Adrenergic Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (196.63 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.9663 mL	9.8317 mL	19.6634 mL
5 mM	0.3933 mL	1.9663 mL	3.9327 mL
10 mM	0.1966 mL	0.9832 mL	1.9663 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.09 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 1.43 mg/mL (2.81 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.43 mg/mL (2.81 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Indacaterol maleate (QAB149) is an orally active ultra-long-acting β2 adrenergic receptor (ADRB2) agonist. Indacaterol maleate inhibits NF-κB activity in a β-arrestin2-dependent manner, preventing further lung damage and improving lung function in COPD (chronic obstructive pulmonary disorder). Indacaterol maleate can also be used in cardiovascular disease research[1][2].

IC_{50} & Target

β adrenergic receptor

In Vitro

Indacaterol maleate (1, 2.5, 5, 10 μM; 12 h) inhibits TNF-α induced MMP-9 expression and activity in human fibrosarcoma

HT1080 cells[1].
Indacaterol maleate (10 μM; 6 h) inhibits TNF-α induced invasion and migration of human fibrosarcoma cells by reducing MMP-9 expression and activity[1].
Indacaterol maleate (1, 2.5, 5, 10 μM; 2.5 h) inhibits TNF-α-activated IKK/NF-κB signaling in HT1080 cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	HT1080 cells (constitutively express MMP-9)
Concentration:	1, 2.5, 5, 10 μM
Incubation Time:	12 h (pretreat)
Result:	Significantly suppressed MMP-9 mRNA expression in the 2.5 to 10 μM range.

Cell Migration Assay [1]

Cell Line:	HT1080 cells (constitutively express MMP-9)
Concentration:	10 μM
Incubation Time:	6 h (pretreat for 2 h, then incubat with TNF-α for 4 h)
Result:	Significantly reduced cell migration of fibrosarcoma and inhibited HT1080 cell migration in the zone of wound healing.

Western Blot Analysis[1]

Cell Line:	HT1080 cells
Concentration:	1, 2.5, 5, 10 μM
Incubation Time:	2.5 h (pretreat for 2 h, then incubat with TNF-α for 0.5 h)
Result:	Suppressed TNF-α induced the phosphorylation of IκBα and IKKα/β (the upstream activators of NF-κB). Inhibited TNF-α-induced NF-κB nuclear translocation when at 5 and 10 μM. Suppressed TNF-α-induced MMP-9 enzyme activity and decreased MMP-9 protein levels in a dose-dependent manner in the 2.5 to 10 μM range.

In Vivo

Indacaterol maleate (0.3 mg/kg; po; sinle daily for 15 weeks) shows activity of normalizing and reversing cardiac remodeling in a myocardial infarction (MI) rat model of heart failure (HF)[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Wistar rats (225-250 g; myocardial infarction (MI) rat model of heart failure (HF))[2].
Dosage:	0.3 mg/kg
Administration:	In animal drinking water; sinle daily for 15 weeks
Result:	Significantly reduced both mean arterial blood pressure and heart rate. Increased both systolic and diastolic LVID where in HF, and reversed the decreased ejection fraction (%) values. Significantly reduced the infarct size, and catecholamine values to basal levels. Significantly increased β1 mRNA expression and cardiac cAMP levels in respect to HF.

CUSTOMER VALIDATION

- Pharmaceutics. 2020 Feb 11;12(2):145.
- J Neuroimmunol. 2019 Jul 15;332:37-48.
- Drug Test Anal. 2020 Aug 27.

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REFERENCES

[1]. Lee SU, et al. Indacaterol inhibits tumor cell invasiveness and MMP-9 expression by suppressing IKK/NF-κB activation. Mol Cells. 2014 Aug;37(8):585-91.

[2]. Calzetta L, et al. Effects of the new ultra-long-acting β2-AR agonist indacaterol in chronic treatment alone or in combination with the β1-AR blocker metoprolol on cardiac remodelling. 2015.

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