CI-1020

Cat. No.: HY-103459

CAS No.: 162256-50-0

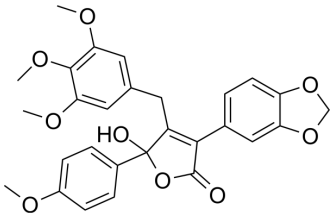
Molecular Formula: $C_{28}H_{26}O_9$

Molecular Weight: 506.5

Target: Endothelin Receptor

Pathway: GPCR/G Protein

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



BIOLOGICAL ACTIVITY

Description

CI-1020 (PD156707) is an orally active and selective antagonist targeting endothelin (ET_A) with an IC_{50} value of 0.3 nM. CI-1020 blocks intimal hyperplasia in human saphenous veins completely in organ culture. CI 1020 inhibits hypoxic pulmonary hypertension and blocks ET-1-induced pressor responses following oral administration[1][2][3].

IC_{50} & Target

ET_A
0.3 nM (IC_{50})

In Vitro

CI-1020 (1 μM, 28 days) blocks intimal hyperplasia in human saphenous veins completely in organ culture [1].
CI-1020 (1 μM, 14 days) is not toxic to the tissue[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

CI-1020 (30 mg/kg, p.o.) represents full inhibition of the $ET\text{-}_A$ and has no significant effect on basal blood pressure in normotensive rats[2].
CI-1020 (40 mg/kg, p.o.) attenuates established pulmonary hypertension in rats previously exposed to chronic hypoxia[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	normal rats [2]
Dosage:	30 mg/kg
Administration:	oral administration (p.o.)
Result:	Inhibited the $ET\text{-}_A$ and has no significant effect on basal blood pressure in normotensive rats.

Animal Model:	basal blood pressure in normotensive rats[4]
Dosage:	40 mg/kg/day
Administration:	oral administration (p.o.)
Result:	Reduced the increase in RV/LV+S and the percentage DEL induced by chronic hypoxia. Lowered the increase in pulmonary resistance in isolated perfused lungs significantly.

REFERENCES

[1]. Maguire JJ, et al. ETA receptor antagonists inhibit intimal smooth muscle cell proliferation in human vessels. Clin Sci (Lond). 2002 Aug;103 Suppl 48:184S-188S.

[2]. Doherty AM, et al. Discovery and development of an endothelin A receptor-selective antagonist PD 156707. Pharm Biotechnol. 1998;11:81-112.

[3]. Jones RD, et al. The effect of the endothelin ET(A) receptor antagonist CI-1020 on hypoxic pulmonary vasoconstriction. Eur J Pharmacol. 1999 Jun 25;374(3):367-75.

[4]. Sheedy W, et al. The effect of the ETA receptor antagonist (CI-1020) in rats with established hypoxic pulmonary hypertension. Pulm Pharmacol Ther. 1998 Apr-Jun;11(2-3):173-6.

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