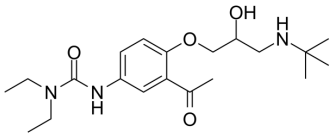
Celiprolol

Cat. No.:	HY-119873
CAS No.:	56980-93-9
Molecular Formula:	$C_{20}H_{33}N_3O_4$
Molecular Weight:	379.49
Target:	NO Synthase; Adrenergic Receptor
Pathway:	Immunology/Inflammation; GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Celiprolol (REV 5320) is a potent, cardioselective and orally active β1-andrenoceptor r antagonist with partial β2 agonist activity, with K_i values of 0.14-8.3 μM. Celiprolol has antihypertensive and antianginal activity, and can be used for the research of cardiovascular disease such as high blood pressure[1][4].
IC_{50} & Target	Beta-adrenergic receptor 0.14-8.3 μM (Ki)
In Vitro	Celiprolol (0-3 mM, 90 min) is uptaken by human small intestinal transporter OATP-A/1A2 in Xenopus Laevis oocytes[5]. Celiprolol (10 μM, 0-50 min) is transported across human intestinal epithelial (Caco-2) cells by mediation of multiple transporters including P-glycoprotein[6]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Celiprolol (Oral administration, 100 mg/kg/day for 31 days) improves endothelial function in the arteries of in Otsuka Long-Evans Tokushima Fatty (OLETF) rats, and restores it 4 weeks after endothelial denudation in the arteries of OLETF rats[2]. Celiprolol (Treated in drinking water, 10 mg/kg/day for 5 weeks) suppresses VCAM-1 expression by inhibition of oxidative stress, NF-κB, signal transduction, and increases eNOS via stimulation of the PI3K-Akt pathway, and improves cardiovascular remodeling in deoxycorticosterone acetate (DOCA)-salt hypertensive rats[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Type II male Otsuka Long-Evans Tokushima Fatty (OLETF) diabetic rats[2]
Dosage:	100 mg/kg/day for 31 days
Administration:	Oral administration
Result:	Improved acetylcholine-induced NO-dependent relaxation in arteries. Improved tone-related basal NO release and acetylcholine-induced NO-dependent relaxation in the arteries and plasma NOx.

Animal Model:	Deoxycorticosterone acetate (DOCA)-salt hypertensive rats [3]
Dosage:	10 mg/kg/d for 5 weeks

Administration:	Treated in drinking water
Result:	Activated phosphorylation of eNOS through the PI3K-Akt signaling pathway. Modulated VCAM-1 expression, which is associated with inhibition of NF-κB phosphorylation. Reduced production of ROS by suppressing NAD(P)H oxidase subunit p22phox, p47phox, gp91phox, and nox1 expression.

CUSTOMER VALIDATION

- J Pharmaceut Biomed. 2020, 113870.

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REFERENCES

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[2]. Toshio Hayashi, et al. beta1 antagonist and beta2 agonist, celiprolol, restores the impaired endothelial dependent and independent responses and decreased TNFalpha in rat with type II diabetes. Life Sci. 2007 Jan 16;80(6):592-9.

[3]. Naohiko Kobayashi, et al. Celiprolol activates eNOS through the PI3K-Akt pathway and inhibits VCAM-1 Via NF-kappaB induced by oxidative stress. Hypertension. 2003 Nov;42(5):1004-13.

[4]. R G Van Inwegen, et al. Effects of celiprolol (REV 5320), a new cardioselective beta-adrenoceptor antagonist, on in vitro adenylate cyclase, alpha- and beta-adrenergic receptor binding and lipolysis. Arch Int Pharmacodyn Ther. 1984 Nov;272(1):40-55.

[5]. Yukio Kato, et al. Involvement of influx and efflux transport systems in gastrointestinal absorption of celiprolol. J Pharm Sci. 2009 Jul;98(7):2529-39.

[6]. J. Karlsson, et al. Transport of celiprolol across human intestinal epithelial (Caco-2) cells: mediation of secretion by multiple transporters including P-glycoprotein. Br J Pharmacol. 1993 Nov; 110(3): 1009–1016.

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