DX600 TFA

Cat. No.: HY-P2222A

Molecular Formula: $C_{141}H_{185}N_{35}O_{40}S_2.xC_2HF_3O_2$

Sequence: Ac-Gly-Asp-Tyr-Ser-His-Cys-Ser-Pro-Leu-Arg-Tyr-Tyr-Pro-Trp-Trp-Lys-Cys-Thr-Tyr-Pro -NH2 (Disulfide bridge: Cys6-Cys17)

Sequence Shortening: Ac-GDYSHCSPLRYYPWWKCTYPDPEGGG-NH2 (Disulfide bridge: Cys6-Cys17)

Target: Angiotensin-converting Enzyme (ACE)

Pathway: Metabolic Enzyme/Protease

Storage: Sealed storage, away from moisture and light, under nitrogen

Powder	-80°C	2 years
	-20°C	1 year

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

SOLVENT & SOLUBILITY

In Vitro	H_2O : 100 mg/mL (Need ultrasonic)

BIOLOGICAL ACTIVITY

Description	DX600 TFA is a selective ACE2 specific inhibitor (K_D: 1.3 nM), and does not cross-react with ACE. DX600 TFA exacerbates diabetes-induced cardiovascular dysfunction and the increase in cardiac and renal NOX activity[1][2][3].
In Vitro	DX600 (1 μM) TFA inhibits rhACE2 activity by 47%, with a pIC_{50} of 8.0[4]. DX600 (10 μM) TFA inhibits ACE2 activity by 42% in human MNCs (mononuclear cells)[4]. DX600 (100 nM, 4 h) TFA decreases NR 8383 cell growth and increase in TNF-a and IL-6 content in the supernatant (in the presence of LPS and osthole)[5]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	DX600 (5 μg/kg/day, i.p., daily for 4 weeks) TFA exacerbates diabetes-induced cardiovascular dysfunction in Streptozotocin (HY-13753)-treated diabetes rats[2]. DX600 (0.1 μmol/L/kg, i.v) TFA increases thrombus weight by 30% in thrombosis model in rats[5]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	STZ-treated diabetes rats[2]
Dosage:	5 μg/kg/day
Administration:	i.p., daily for 4 weeks
Result:	Increased cardiac and renal NOX activity.

CUSTOMER VALIDATION

- Cell Metab. 2022 Feb 7;34(3):424-440.e7.

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REFERENCES

[1]. Liao K, et al. Development of an enzymatic assay for the detection of neutralizing antibodies against therapeutic angiotensin-converting enzyme 2 (ACE2).J Immunol Methods. 2013 Mar 29;389(1-2):52-60.

[2]. Yousif MH, et al. Characterization of Angiotensin-(1-7) effects on the cardiovascular system in an experimental model of type-1 diabetes. Pharmacol Res. 2012 Sep;66(3):269-75.

[3]. Svilenov HL, et al. Extrinsic stabilization of antiviral ACE2-Fc fusion proteins targeting SARS-CoV-2. Commun Biol. 2023 Apr 8;6(1):386.

[4]. Joshi S, et al. Angiotensin converting enzyme versus angiotensin converting enzyme-2 selectivity of MLN-4760 and DX600 in human and murine bone marrow-derived cells. Eur J Pharmacol. 2016 Mar 5;774:25-33.

[5]. Fraga-Silva RA, et al. ACE2 activation promotes antithrombotic activity. Mol Med. 2010 May-Jun;16(5-6):210-5.

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