# **PRODUCT** INFORMAT



Endothelin-1 (human, porcine) (trifluoroacetate salt) Item No. 24127 Synonym: C<sub>109</sub>H<sub>159</sub>N<sub>25</sub>O<sub>32</sub>S<sub>5</sub> MF: • XCF<sub>3</sub>COOH FW: 2.491.9 **Purity:** ≥95% Supplied as: A lyophilized powder Storage: -20°C Stability: ≥4 years • XCF<sub>2</sub>COOH

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

# Laboratory Procedures

Endothelin-1 (human, porcine) (trifluoroacetate salt) is supplied as a lyophilized powder. A stock solution may be made by dissolving the endothelin-1 (human, porcine) (trifluoroacetate salt) in the solvent of choice, which should be purged with an inert gas. Endothelin-1 (human, porcine) (trifluoroacetate salt) is soluble in the organic solvent formic acid. The solubility of endothelin-1 (human, porcine) (trifluoroacetate salt) in formic acid is approximately 1 mg/ml.

# Description

Endothelin-1 is a peptide vasoconstrictor and agonist of endothelin (ET) receptors  $ET_A$  and  $ET_B$  (IC<sub>50</sub>s = 0.15 and 0.12 nM, respectively).<sup>1,2</sup> It induces contraction of pig coronary artery strips (EC<sub>50</sub> = 0.52 nM), vasoconstriction of pig cardiac ventricular membrane (120% of control), and increases mean early pressor phase blood pressure by 66 mg Hg in rats when administered at a dose of 1 nmol/kg. In an inducible system of endothelium-restricted overexpression of human endothelin-1, induction of endothelin-1 increases blood pressure in mice.<sup>3</sup> It stabilizes and induces nuclear translocation of hypoxia-inducible factor 1 and 2 alpha (HIF-1 $\alpha$  and HIF-2 $\alpha$ ) via ET<sub>B</sub> in human lymphatic endothelial cells.<sup>4</sup> Endothelin-1 autocrine and paracrine signaling modulates cell proliferation, apoptosis, migration, and epithelial-to-mesenchymal transition, suggesting a role in cancer.<sup>5</sup>

# References

- 1. Inoue, A.Y., M., Kimura, S., Kasuya, Y., et al. The human endothelin family: Three structurally and pharmacologically distinct isopeptides predicted by three separate genes. Proc. Nat. Acad. Sci. USA 86(8), 2863-2867 (1989).
- 2. Kikuchi, T., Kubo, K., Ohtaki, T., et al. Endothelin-1 analogues substituted at both position 18 and 19: Highly potent endothelin antagonists with no selectivity for either receptor subtype  $ET_A$  or  $ET_B$ . J. Med. Chem. 36(25), 4087-4093 (1993).
- 3. Rautureau, Y., Coelho, S.C., Fraulob-Aquino, J.C., et al. Inducible human endothelin-1 overexpression in endothelium raises blood pressure via endothelin type A receptors. Hypertension 66(2), 347-355 (2015).
- 4. Caprara, V., Scappa, S., Garrafa, E., et al. Endothelin-1 regulates hypoxia-inducible factor-1a and -2α stability through prolyl hydroxylase domain 2 inhibition in human lymphatic endothelial cells. Life Sci. 118(2), 185-190 (2014).
- 5. Rosanò, L., Spinella, F., and Bagnato, A. Endothelin 1 in cancer: Biological implications and therapeutic opportunities. Nat. Rev. Cancer 13(9), 637-651 (2013).

WARNING THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

## SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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