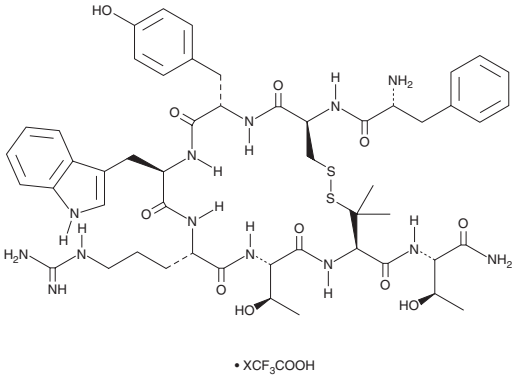
PRODUCT INFORMATION

CTAP (trifluoroacetate salt)

Item No. 14959



Formal Name:	D-phenylalanyl-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-arginyl-L-threonyl-3-mercapto-L-valyl-cyclic (2→7)-disulfide-L-threoninamide, trifluoroacetate salt
MF:	$C_{51}H_{69}N_{13}O_{11}S_2 \bullet XCF_3COOH$
FW:	1,104.3
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years

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

Laboratory Procedures

CTAP (trifluoroacetate salt) is supplied as a solid. A stock solution may be made by dissolving the CTAP (trifluoroacetate salt) in water. We do not recommend storing the aqueous solution for more than one day.

Description

CTAP is a water soluble, cyclic octapeptide which acts as a receptor antagonist that is selective for the μ opioid receptor (IC_{50} = 3.5 nM) over the δ receptor (IC_{50} = 4,500 nM).[1] It is a poor antagonist of the somatostatin receptor (IC_{50} = 14.3 μM).[1] CTAP is at least 10-fold more potent than naltrexone as an antagonist of diverse compounds which have antinociceptive effects through the μ opioid receptor.[2] It resists enzymatic metabolism in the blood and enters the brain and cerebrospinal fluid.[3]

References

1. Pelton, J.T., Kazmierski, W., Gluya, K., *et al*. Design and synthesis of conformationally constrained somatostatin analogues with high potency and specificity for μ opioid receptors. *J. Med. Chem.* **29(11)**, 2370-2375 (2013).
2. Sterious, S.N. and Walker, E.A. Potency differences for D-Phe-Cys-Tyr-D-Trp-Arg-Thr-Pen-Thr-NH2 as an antagonist of peptide and alkaloid μ-agonists in an antinociception assay. *J. Pharmacol. Exp. Ther.* **304(1)**, 301-309 (2003).
3. Abbruscato, T.J., Thomas, S.A., Hruby, V.J., *et al*. Blood-brain barrier permeability and bioavailability of a highly potent and μ-selective opioid receptor antagonist, CTAP: Comparison with morphine. *J. Pharmacol. Exp. Ther.* **280(1)**, 402-409 (1997).

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