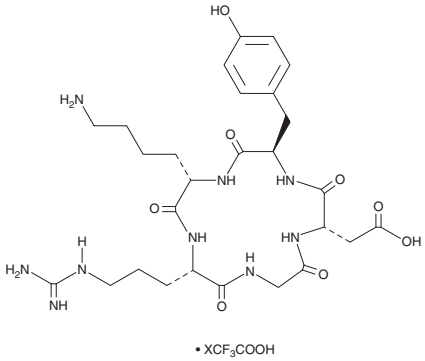
PRODUCT INFORMATION

Cyclo(RGDyK) (trifluoroacetate salt)

Item No. 35173



Formal Name:	cyclo(L-arginylglycyl-L-α-aspartyl-D-tyrosyl-L-lysyl), trifluoroacetate salt
Synonyms:	c(RGDyK), cyclic-L-Arg-L-Gly-L-Asp-D-Tyr-L-Lys
MF:	$C_{27}H_{41}N_9O_8 \bullet XCF_3COOH$
FW:	619.7
Purity:	≥98%
UV/Vis.:	λ_{max}: 225 nm
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

Cyclo(RGDyK) (trifluoroacetate salt) is supplied as a solid. A stock solution may be made by dissolving the cyclo(RGDyK) (trifluoroacetate salt) in the solvent of choice, which should be purged with an inert gas. Cyclo(RGDyK) (trifluoroacetate salt) is soluble in the organic solvent ethanol. It is also soluble in water. We do not recommend storing the aqueous solution for more than one day.

Description

Cyclo(RGDyK) is a cyclic peptide ligand of αVβ3 integrin (IC_{50} = 37.5 nM) that contains the αVβ3 integrin-binding sequence arginine-glycine-aspartate (RGD).[1] It has been conjugated to radiolabels or fluorescent probes for the visualization of αVβ3-mediated neoangiogenesis or optical imaging of αVβ3-expressing tumors in live mice.[1,2] Cyclo(RGDyK) has also been conjugated to the DNA topoisomerase I inhibitor SN38 (Item No. 15632) and an SN38-releasing trigger moiety to form a prodrug that targets cells overexpressing αVβ3 integrin and induces cytotoxicity in KB human cervical carcinoma cells.[3]

References

1. Chen, X., Conti, P.S., and Moats, R.A. *In vivo* near-infrared fluorescence imaging of integrin $\alpha_v\beta_3$ in brain tumor xenografts. *Cancer Res.* **64(21)**, 8009-8014 (2004).
2. van Hagen, P.M., Breeman, W.A., Bernard, H.F., *et al*. Evaluation of a radiolabelled cyclic DTPA-RGD analogue for tumour imaging and radionuclide therapy. *Int. J. Cancer* **90(4)**, 186-198 (2000).
3. Huang, B., Desai, A., Tang, S., *et al*. The synthesis of a c(RGDyK) targeted SN38 prodrug with an indolequinone structure for bioreductive drug release. *Org. Lett.* **12(7)**, 1384-1387 (2010).

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