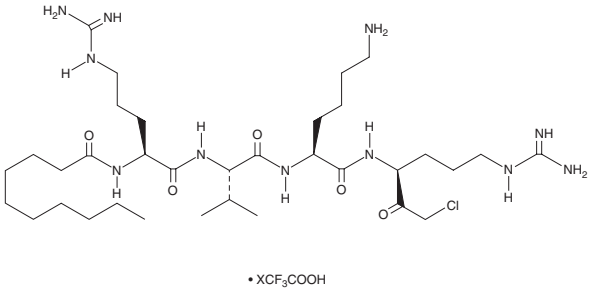
PRODUCT INFORMATION

Furin Inhibitor I (trifluoroacetate salt)

Item No. 14965

Formal Name:	N^2-(1-oxodecyl)-L-arginyl-L-valyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-(2-chloroacetyl)butyl]-L-lysinamide, trifluoroacetate salt
Synonym:	Decanoyl-Arg-Val-Lys-Arg-CMK
MF:	$C_{34}H_{66}ClN_{11}O_5 \bullet XCF_3COOH$
FW:	744.4
Purity:	≥95%
Supplied as:	A crystalline 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

Furin inhibitor I (trifluoroacetate salt) is supplied as a crystalline solid. A stock solution may be made by dissolving the furin inhibitor I (trifluoroacetate salt) in the solvent of choice, which should be purged with an inert gas. Furin inhibitor I (trifluoroacetate salt) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of furin inhibitor I (trifluoroacetate salt) in these solvents is approximately 33 mg/ml.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of furin inhibitor I (trifluoroacetate salt) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of furin inhibitor I (trifluoroacetate salt) in PBS (pH 7.2) is approximately 1 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Furin inhibitor I is a selective, irreversible, and cell-permeable competitive inhibitor of proprotein convertases, including furin/SPC1 (K_i = ~1 nM), SPC2/PC2 (K_i = 0.36 nM), SPC3/PC1/PC3 (K_i = 2.0 nM), SPC4/PACE4 (K_i = 3.6 nM), SPC6/PC5/PC6, and SPC7/LPC/PC7/PC8 (K_i = 0.12 nM).[1,2] Because furin activates viral glycoproteins, this inhibitor is a useful antiviral agent.[3] In addition, it inhibits furin-dependent pro-MMP-2 activation in mononuclear inflammatory cells.[4]

References

1. Angliker, H., Wikstrom, P., Shaw, E., *et al.* The synthesis of inhibitors for processing proteinases and their action on the Kex2 proteinase of yeast. *Biochem. J.* **293(1)**, 75-81 (1993).
2. Fugère, M., Limperis, P.C., Beaulieu-Audy, V., *et al.* Inhibitory potency and specificity of subtilase-like Pro-protein convertase (SPC) prodomains. *J. Biol. Chem.* **277(10)**, 7648-7656 (2002).
3. Wanyiri, J.W., O'Connor, R., Allison, G., *et al.* Proteolytic processing of the Cryptosporidium glycoprotein gp40/15 by human furin and by a parasite-derived furin-like protease activity. *Infect. Immun.* **75(1)**, 184-192 (2007).
4. Stawowy, P., Meyborg, H., Stibenz, D., *et al.* Furin-like proprotein convertases are central regulators of the membrane type matrix metalloproteinase-pro-matrix metalloproteinase-2 proteolytic cascade in atherosclerosis. *Circulation* **111(21)**, 2820-2827 (2005).

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