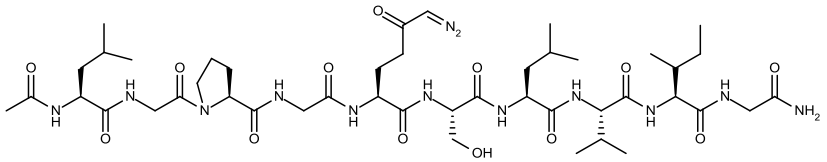
Product Data Sheet

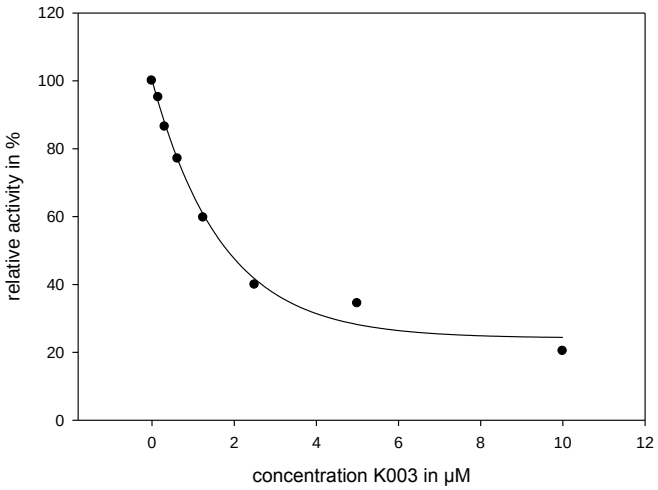
Product number **K003**
Revision number RN3.1

Product Name	Ac-LGPG-DON-SLVIG-NH_2, K9-DON
Quantity	5 mg
Application	Inhibitor of coagulation factor XIII (also blocks TG2)
Molecular Formula	$C_{45}H_{75}N_{13}O_{13}$
Molecular Weight	1006.16
Chemical Structure	
Purity by HPLC	>95 % (214 nm)
Solubility	10 mM in DMSO
Appearance	Pale yellow solid
Storage	Store at -20°C, desiccate
Related products	T007 Coagulation factor XIII human plasma T027 Human blood coagulation Factor XIII, recombinant D004 1,3-Dimethyl-4,5-diphenyl-2-[(2-oxopropyl)thio]-imidazolium trifluorosulfonicacid salt T101 1,3,4,5-Tetramethyl-2-[(2-oxopropyl)thio]-imidazolium chloride salt
Reference(s)	Sabo, T. M. *et al. Biochemistry* **2007**, *46*, 10089. v. d. Akker J. *et al. PLoS One* **2011**, *6*, e23067. Matlung, H. L. *et al. Atherosclerosis* **2010**, *213*, 77. de Jager, M. *et al. Neuropathol. Appl. Neurobiol.* **2015**, *Accepted manuscript* (DOI: 10.1111/nan.12244).
Release date	04 November 2015
NOTE	INTENDED FOR RESEARCH USE ONLY, NOT FOR USE IN HUMAN, THERAPEUTIC OR DIAGNOSTIC APPLICATIONS.

Application

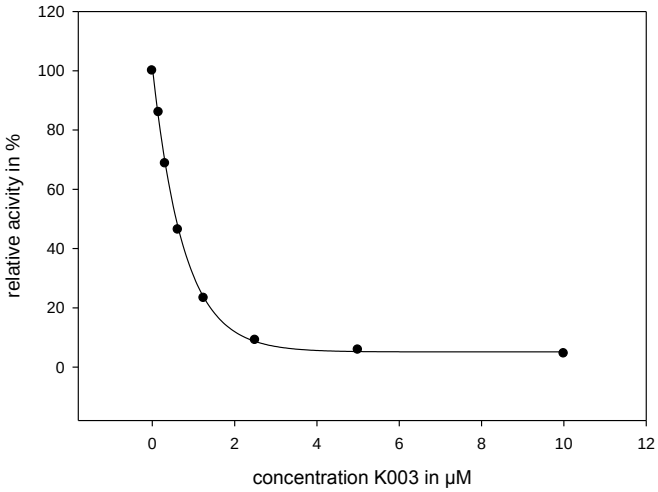
K9-DON is a side chain modified peptide. The sequence is derived from casein. The electrophilic “DON” group replaces the substrate glutamine. The active site cysteinyl residue attacks the carbonyl group. The subsequent reaction leads to the release of nitrogen and the concomitant irreversible alkylation of the transglutaminase. Inhibition parameters were obtained by progress curve analysis using a continuous assay.

- Inhibition of recombinant human blood coagulation Factor XIII-A (T027)



0,3 µg rhFXIIIa were preincubated for 20 min with 1.5 U thrombin and the substrate. The inhibitor was added in concentrations between 0.16-10 µM. The remaining activity was measured continuously using Abz-NE(CAD-DNP)EQVSPLTLLK-OH (A101) as substrate. The substrate carries a fluorescent dye and a suitable quencher. The fluorescence increases upon transglutaminase-catalysed removal of the quencher molecule and can be measured online (25°C, ex. wavelength = 313 nm, em. wavelength = 418 nm). The activity is determined by the difference of fluorescence intensity between 20 and 30 min.

- Inhibition of recombinant human tissue transglutaminase (T022)



6 µg rhTG2 were incubated with 0.16-10 µM K003. The remaining activity was measured continuously using Abz-NE(CAD-DNP)EQVSPLTLLK-OH (A101) as substrate. The substrate carries a fluorescent dye and a suitable quencher. The fluorescence increases upon transglutaminase-catalysed removal of the quencher molecule and can be measured online (25°C, ex. wavelength 313 nm, em. wavelength = 418 nm). The activity is determined by the difference of fluorescence intensity between 10 and 20 min.