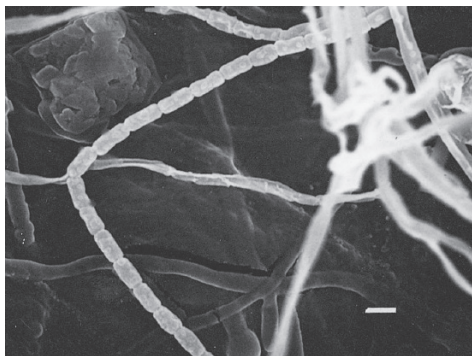


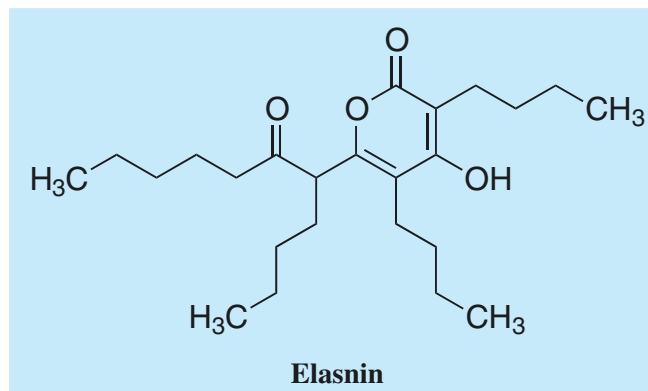
Elasnin ©

1. Discovery, producing organism¹⁾ and structure^{2,3)}

Elasnin was isolated from the culture broth of the actinomycete strain KM-2753 as an inhibitor of human granulocyte elastase by an enzyme assay. This was the first case to elucidate the structural relationship between the tautomeric isomers by detecting ^{13}C - ^{13}C coupling in the ^{13}C NMR spectrum of a compound biosynthetically enriched with a ^{13}C -labeled precursor. The total synthesis of elasnin was reported by Pfister⁴⁾ and Shone *et al.*⁵⁾ (See Appendix-I).



Streptomyces noboritoensis KM-2753



2. Physical data

Colorless viscous oil. $\text{C}_{24}\text{H}_{40}\text{O}_4$; mol wt 392.29. Sol. in MeOH, EtOH, DMSO, acetone, CHCl_3 . Insol. in H_2O .

3. Biological activity⁶⁾

Elasnin specifically inhibits human granulocyte elastase.

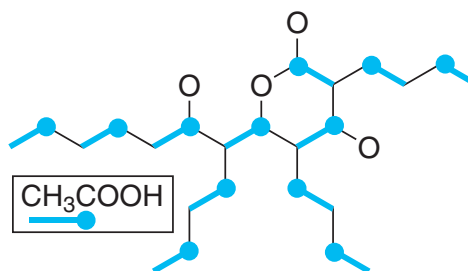
Inhibitory activity of several inhibitors on various proteases

Protease	IC_{50} ($\mu\text{g/ml}$)					
	Elasnin	Elastatinal	R-Ala-Ala-R'	R-Pro-Ala-R'	R-Pro-Ile-R'	R-Pro-Val-R'
Granulocyte elastase	1.3	>200	>200	>200	7.8	18
Pancreatic elastase	30.1	0.63	14	3.8	2.1	6.4
Chymotrypsin	82	>200	>200	>200	>200	>200
Trypsin	90	>200	>200	>200	>200	>200

R, Ac-Ala-Ala-; R', $-\text{CH}_2\text{Cl}$

4. Biosynthesis³⁾ and improvement of productivity⁷⁾

Elasnin is derived from 12 molecules of acetate through a hypothetical intermediate "polyketide"³⁾. The elasnin productivity increased drastically upon addition of lauric acid⁷⁾.



5. References

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