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Life Science & Technology

HIV-1 Protease-Inhibiting Substances

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Two peptides t hat inhibit HIV-1 (human immunodeficiency virus type 1) protease were isolated from the hydrolysate of oyster proteins prepared with thermolysin. These peptides behaved as competitive inhibitors for HIV-1 protease, and were more potent as an HIV-1 protease inhibitor than pepstatin A.

And furthermore, a water-soluble lignin-like substance inhibiting HIV-1 protease was isolated from boiling water extracts of sclerotium of bunashimeji (*Hypsizigus marmoreus)*. Dehydrogenation polymers which are thought to be model compounds of lignin were then synthesized and fractionated into four ranges of molecular mass by ultra-filtration, i.e. over 30kDa, 30k-10kDa, 10k-1kDa and 1k-500Da. These fractions had the HIV-1 protease inhibitory activity. The smallest mass fractions of dehydrogenation polymers (1k-500Da) also inhibited cytopathicity of MT-4 cells induced by HIV-1.

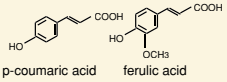
HIV-1 Protease Inhibitory Activity of Peptides from Oyster

	IC_{50}(nM)	Ki(nM)
Leu-Leu-Glu-Tyr-Ser-Ile	20	13
Leu-Leu-Glu-Tyr-Ser-Leu	15	10
Pepstain A	1,800	

Anti-HIV Effect of Ligunin-like Sub stances

	ED(μ g/ml)[a)]
Bunashimeji (Hypsizigus marmoreus) lignin	7.8
Oligomer of p-coumaric acid (Mr 500～1,000)	15.6
Oligomer of ferulic acid (Mr 500～1,000)	15.6

[a)] ED,Effective dose, based on 100% inhibition of HIV-1-indured cytopathirity in MT-4 cells



p-coumaric acid ferulic acid

HIV-1 protease-inhibiting peptides and lignin-like substances