

1: [Planta Med.](#) 1993 Apr;59(2):148-51.  [Links](#)

Inhibition of reverse transcriptase activity by extracts of cultured blue-green algae (cyanophyta).

[Lau AF](#), [Siedlecki J](#), [Anleitner J](#), [Patterson GM](#), [Caplan FR](#), [Moore RE](#).

Cancer Research Center, University of Hawaii, Manoa, Honolulu 96813.

Lipophilic and hydrophilic extracts of over 900 strains of cultured blue-green algae (cyanophyta) were examined in vitro for their ability to inhibit the reverse transcriptases (RT) of avian myeloblastosis virus (AMV) and human immunodeficiency virus, type 1 (HIV-1). Eighteen (2.0%) aqueous extracts showed activity against AMV and HIV RTs. The maximal level of RT inhibition achieved by some of the active extracts was equivalent to that measured for 3'-azido-2',3'-dideoxythymidine (AZT) at 668 ng/ml. Examination of partially purified fractions prepared by C18 column chromatography demonstrated that the RT inhibition observed could not be attributed entirely to the degradation of transcript DNA, template RNA, or enzyme protein in the reaction mixture. Thus, these results indicate that cultured blue-green algae may represent a novel source of compounds that inhibit RT activity, including that of HIV-1.

1: [Neurol Res.](#) 2007;29 Suppl 1:S88-92.  [Links](#)

Suppressive effect by Hizikia fusiforme on the production of tumor necrosis factor in BV2 murine microglial cells.

[Jung K](#), [Ha E](#), [Uhm Y](#), [Park H](#), [Kim MJ](#), [Kim H](#), [Baik H](#), [Hong M](#), [Yang J](#), [Yim SV](#).

Department of Pharmacology, College of Medicine, Kyung Hee University, Seoul 130-701, Korea.

BACKGROUND: Hizikia fusiforme has been commonly used as food in Korea. Antioxidant effect of Hizikia fusiforme, however, was recently reported. Thus, herein, we investigated the effect of Hizikia fusiforme on the production and expression of tumor necrosis factor (TNF), a major proinflammatory mediator, in lipopolysaccharide (LPS)-activated BV2 microglial cells. **METHODS:** Cells were pre-treated with 5 or 50 µg/ml Hizikia fusiforme and treated with 1 µg/ml LPS. The production of TNF was measured by enzyme-linked immunosorbent assay (ELISA). The effect of Hizikia fusiforme on the expression of TNF was also performed by immunoblot analysis and reverse transcription-polymerase chain reaction (RT-PCR). Activation of nuclear factor kappaB (NFκappaB) was determined by electrophoretic mobility shift assay (EMSA). **RESULTS:** We observed that Hizikia fusiforme decreased the production of TNF. The inhibitory effect of the Hizikia fusiforme on the expression of TNF was confirmed by immunoblot and RT-PCR analyses. In addition, EMSA experiment revealed that Hizikia fusiforme blocked the LPS-induced activation of NFκappaB. **CONCLUSION:** The present study suggests that Hizikia fusiforme may suppress LPS-stimulated TNF production via inhibition of NFκappaB in murine microglial cells.

Biological activities and 3D QSAR studies of a series of *Delisea pulchra* (cf. *fimbriata*) derived natural products.

[Wright AD](#), [de Nys R](#), [Angerhofer CK](#), [Pezzuto JM](#), [Gurrath M](#).

Australian Institute of Marine Science, PMB No. 3, Townsville MC, Qld 4810, Australia. a.wright@aims.gov.au

Twenty-five natural products, mainly halogenated furanones, isolated from the temperate red algae *Delisea pulchra* were investigated for their cytotoxic, antimicrobial, and antiplasmodial effects, their inhibition of the activity of the enzymes HIV-1-RT (HIV-1-reverse transcriptase), PKC (protein kinase C), and TK (tyrosine kinase), and their inhibition of the biosynthesis of IL-1 (interleukin-1). All were found to mediate a positive response in one or more of these test systems. In particular, compounds 9, 11, 12, 14, 16, 17, 19, and 20 demonstrated cytotoxic activity in all of the assays they were tested in; compounds 11, 12, 17, 19, and 20 were also active in the majority of the anti-infective screens. In the antimalarial and tyrosine kinase assays, compounds 17, 19, and 20 were all active. Molecular modeling studies employing 3D QSAR with receptor modeling methodologies performed with 16 halogenated furanones generated a pharmacophore hypothesis consistent with the experimentally derived cytotoxicity data. This hypothesis is developed around an active molecule having a framework based on compound 11 with an OH function or OAc (assay dependent) at C-7 and bulky electron-rich groups at C-6, such as Cl and Br but not I.

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Inhibition of HIV-1 replication in human primary cells by a dolabellane diterpene isolated from the marine algae *Dictyota paffii*.

[Cirne-Santos CC](#), [Teixeira VL](#), [Castello-Branco LR](#), [Frugulhetti IC](#), [Bou-Habib DC](#).

Laboratório de Virologia Molecular, Departamento de Biologia Celular e Molecular, Universidade Federal Fluminense, Niterói, RJ, Brazil.

We describe in this paper that the dolabellane diterpene 8,10,18-trihydroxy-2,6-dolabelladiene (3), isolated from the marine algae *Dictyota paffii*, inhibits the HIV-1 infection in human primary cells and tumor cell lines. We initially observed that compound 3 inhibited the activity of a purified HIV-1 enzyme reverse transcriptase (RT) in a dose-dependent manner, with an IC (50) value of 16.5 +/- 4.3 microM. Next, we found that compound 3 inhibited HIV-1 infection by an R5-tropic isolate in peripheral blood mononuclear cells (PBMCs) in a dose-dependent manner with an EC (50) value of 8.4 +/- 2.8 microM. The replication of HIV-1 isolates presenting distinct

tropism for chemokine receptors was also inhibited, as analyzed in PBMCs or U87 cells infected with R5-, X4- or R5X4-tropic isolates. Likewise, compound 3 blocked HIV-1 infection in macrophages by R5 and R5X4 viruses in a dose-dependent manner with EC (50) values of 1.7 +/- 0.6 microM and 1.85 +/- 0.75 microM, respectively. Compound 3 sustained antiretroviral activity even when added to HIV-1-infected Sup-T1 cells at 12 h after infection, suggesting that, as well as inhibiting HIV-1 RT, it also blocks HIV-1 replication at a post transcriptional step. Our results support further investigations on compound 3 pharmacokinetics and we propose that this diterpene could be considered as a potential compound for HIV-1 therapy.


[Planta Med.](#) 2005 Nov;71(11):1019-24.   [Links](#)

Effects of diterpenes isolated from the Brazilian marine alga *Dictyota menstrualis* on HIV-1 reverse transcriptase.

[de Souza Pereira H](#), [Leão-Ferreira LR](#), [Moussatché N](#), [Teixeira VL](#), [Cavalcanti DN](#), [da Costa LJ](#), [Diaz R](#), [Frugulhetti IC](#).

Departamento de Biologia Celular e Molecular/Programa de Neuroimunologia, Instituto de Biologia, Universidade Federal Fluminense, Niterói - RJ, Brasil.
helena@biologia.ufrj.br

It has been recently demonstrated that HIV-1 reverse transcriptase is the target of two diterpenes, (6 R)-6-hydroxydichotoma-3,14-diene-1,17-dial (compound 1) and (6 R)-6-acetyoxydichotoma-3,14-diene-1,17-dial (compound 2), that inhibit HIV-1 replication in vitro. In this work, the effects of both diterpenes on the kinetic properties of the recombinant HIV-1 reverse transcriptase (RT) enzyme were evaluated. RNA-dependent DNA-polymerase (RDDP) activity assays demonstrated that both diterpenes behave as non-competitive inhibitors with respect to dTTP and uncompetitive inhibitors with respect to poly(rA).oligo(dT) template primers. The K(i) values obtained for compounds 1 and 2 were 10 and 35 microM, respectively. Neither of these diterpenes affected the DNA-dependent DNA-polymerase (DDDP) activity of the HIV-1 RT. The RDDP activities of AMV-RT and MMLV-RT enzymes were also inhibited by compounds 1 and 2. In contrast to the HIV-1 enzyme, the DDDP activities of AMV-RT and MMLV-RT enzymes were significantly reduced by compound 1. Taken together, our results demonstrate that compound 1 is a more effective inhibitor of the viral reverse transcriptases from HIV-1, AMV and MMLV than compound 2. The kinetic behavior analyses of the HIV-1 RT demonstrate that both diterpenes have similar mechanisms of inhibition of RDDP activity.


[Biol Pharm Bull.](#) 1999 Feb;22(2):111-6.  [Links](#)

Action of a new mammalian DNA polymerase inhibitor, sulfoquinovosyldiacylglycerol.

[Ohta K](#), [Mizushina Y](#), [Hirata N](#), [Takemura M](#), [Sugawara F](#), [Matsukage A](#), [Yoshida S](#), [Sakaguchi K](#).

Department of Applied Biological Science, Faculty of Science and Technology,
Science University of Tokyo, Chiba, Japan.

We found and previously reported a new mammalian DNA polymerase inhibitor from a sea alga, *Gigartina tenella*, (Ohta K., et al., Chem. Pharm. Bull., 46, 684-686, 1998). It was a new sulfolipid compound that belonged in the class of sulfoquinovosyldiacylglycerol. The biochemical properties have been investigated here. The compound, temporarily designated KM043, potently inhibited the activities of mammalian DNA polymerase alpha (pol. alpha) and DNA polymerase beta (pol. beta) and terminal deoxynucleotidyl transferase (TdT), and moderately, human immunodeficiency virus reverse transcriptase (HIV-RT). KM043 dose-dependently inhibited their activities, and each of their IC₅₀ values was 0.25 microM for pol. alpha, 0.38 microM for TdT, 3.6 microM for pol. beta, or 11.2 microM for HIV-RT, and almost complete inhibition of each was achieved at 1.0 to 2.0 microM for pol. alpha and TdT, 7.5 microM for pol. beta and about 30 microM for HIV-RT. However, the compound did not influence the activities of prokaryotic DNA polymerases such as *E. coli* DNA polymerase I, and DNA metabolic enzymes like DNase I. Inhibition of pol. alpha or beta by KM043 was non-competitive with both the DNA template and the substrate deoxythymidine 5'-triphosphate (dTTP). KM043 was weakly cytotoxic to cultured HeLa-S3 cells, and the IC₅₀ value was 80 microM. KM043 could synergistically enhance the cytotoxic effect of an anti-cancer chemotherapy agent, bleomycin. In the presence of 50 microM KM043, the effect ratio of (bleomycin plus KM043)/(bleomycin only) decreased from 0.76 to 0.22.



[Chem Pharm Bull \(Tokyo\)](#). 1998 Apr;46(4):684-6.  [Links](#)

Sulfoquinovosyldiacylglycerol, KM043, a new potent inhibitor of eukaryotic DNA polymerases and HIV-reverse transcriptase type 1 from a marine red alga, *Gigartina tenella*.

[Ohta K](#), [Mizushima Y](#), [Hirata N](#), [Takemura M](#), [Sugawara F](#), [Matsukage A](#), [Yoshida S](#), [Sakaguchi K](#).

Department of Applied Biological Science, Faculty of Science and Technology,
Science University of Tokyo, Japan.

A new sulfolipid, KM043, which belongs to the 6-sulfo-alpha-D-quinovopyranosyl-(1->3')-1',2'-diacylglycerol (SQDG) class of compounds, has been isolated from a marine red alga, *Gigartina tenella*, as a potent inhibitor of eukaryotic DNA polymerases and HIV-reverse transcriptase type 1. Its structure was determined on the basis of spectroscopic and gas chromatographic analyses. The inhibition was dose-dependent, and complete (more than 90%) inhibition of DNA polymerase alpha (pol. alpha), DNA polymerase beta (pol. beta) and HIV-reverse transcriptase type 1 (HIV-RT) was observed at concentrations of 5, 10, and 30 microM, respectively.




[Arch Biochem Biophys](#). 1995 Feb 1;316(2):789-96.  [FULL-TEXT ARTICLE](#)  [Links](#)

Peyssonols A and B, two novel inhibitors of the reverse transcriptases of human immunodeficiency virus types 1 and 2.

[Loya S](#), [Bakhanashvili M](#), [Kashman Y](#), [Hizi A](#).

Department of Cell Biology and Histology, Sackler School of Medicine, Tel Aviv, Israel.

Two new sesquiterpene hydroquinones, peyssonol A and peyssonol B, of the Red Sea algae *Peyssonelia* sp., have been shown to be potent inhibitors of the RNA-directed DNA synthesis of the reverse transcriptases (RTs) of human immunodeficiency virus (HIV)-1 and HIV-2. The DNA-dependent DNA polymerase activity is inhibited to a lesser extent, whereas the RNase H activity is unaffected. The inhibition of the DNA polymerase activities is independent of the nature of the template primers used. Peyssonol A probably binds the RT at a site distinct from those occupied by the substrates of the RNA-directed DNA synthesis, since the mode of inhibition is noncompetitive with respect to both dNTP's and template primer. This is partially true for peyssonol B, which is noncompetitive with respect to only dNTP, but is competitive with respect to the template primer. We have speculated that, since peyssonol B and the template primer bear no apparent structural resemblance, the competitive pattern of inhibition can be explained by an indirect steric hindrance or by the overlap of the inhibitor and the substrate distinct binding sites of the enzyme. Alternatively, the binding of the inhibitor to a distinct site induces conformational changes that distort the binding of the template primer. Furthermore, we have shown that both peyssonol A and peyssonol B interfere with the direct binding of the RT to the template primer, offering an explanation for the mechanism of the enzyme inhibition. The insensitivity of DNA polymerase beta and the poor response of DNA polymerase alpha to peyssonol A make this inhibitor more attractive for the future development of a potent anti-HIV RT drug.

[Nat Rev Microbiol.](#) 2006 Dec;4(12):922-31. Epub 2006 Nov 6.   
[Links](#)

Common infection strategies of pathogenic eukaryotes.

[Halder K](#), [Kamoun S](#), [Hiller NL](#), [Bhattacharje S](#), [van Ooij C](#).

Department of Pathology, Northwestern University, 303 East Chicago Avenue, Chicago, Illinois 60611, USA. haldar@northwestern.edu

Pathogenic eukaryotes belong to several distinct phylogenetic lineages and have evolved the ability to colonize a range of hosts, including animals and plants. Pathogenic lifestyles have evolved repeatedly in eukaryotes, indicating that unique molecular processes are involved in host infection. However, evidence is now emerging that divergent eukaryotic pathogens might share common mechanisms of pathogenicity. The results from recent studies demonstrate that *Plasmodium falciparum* and *Phytophthora infestans* use equivalent host-targeting signals to deliver virulence adhesins and avirulence gene products into human and plant cells,

respectively. Remodelling of host cells by different eukaryotic pathogens might therefore share some common features.

[Anaerobe](#). 2006 Apr;12(2):93-8. Epub 2006 Feb 20.   [Links](#)

Evaluation of support materials for the immobilization of sulfate-reducing bacteria and methanogenic archaea.

[Silva AJ](#), [Hirasawa JS](#), [Varesche MB](#), [Foresti E](#), [Zaiat M](#).

Universidade de São Paulo (USP), Escola de Engenharia de São Carlos (EESC), Departamento de Hidráulica e Saneamento, Laboratório de Processos Biológicos, Av. Trabalhador São-carlense, 400, CEP: 12560-250 São Carlos, SP, Brazil.

This paper reports on the adhesion of sulfate-reducing bacteria (SRB) and methanogenic archaea on polyurethane foam (PU), vegetal carbon (VC), low-density polyethylene (PE) and alumina-based ceramics (CE). Anaerobic differential reactors fed with a sulfate-rich synthetic wastewater were used to evaluate the formation of a biofilm. The PU presented the highest specific biomass concentration throughout the experiment, achieving 872 mg TVS/g support, while 84 mg TVS/g support was the maximum value obtained for the other materials. FISH results showed that bacterial cells rather than archaeal cells were predominant on the biofilms. These cells, detected with EUB338 probe, accounted for 76.2% (+/-1.6%), 79.7% (+/-1.3%), 84.4% (+/-1.4%) and 60.2% (+/-1.0%) in PU, VC, PE and CE, respectively, of the 4'6-diamidino-2-phenylindole (DAPI)-stained cells. From these percentages, 44.8% (+/-2.1%), 55.4% (+/-1.2%), 32.7% (+/-1.4%) and 18.1% (+/-1.1%), respectively, represented the SRB group. Archaeal cells, detected with ARC915 probe, accounted for 33.1% (+/-1.6%), 25.4% (+/-1.3%), 22.6% (+/-1.1%) and 41.9% (+/-1.0%) in PU, VC, PE and CE, respectively, of the DAPI-stained cells. Sulfate reduction efficiencies of 39% and 45% and mean chemical oxygen demand (COD) removal efficiencies of 86% and 90% were achieved for PU and VC, respectively. The other two supports, PE and CE, provided mean COD removal efficiencies of 84% and 86%, respectively. However, no sulfate reduction was observed with these supports.

[Arch Biochem Biophys](#). 2006 Jan 1;445(1):56-64. Epub 2005 Nov 28.   [Links](#)



Fucoidan a sulfated polysaccharide from brown algae is a potent modulator of connective tissue proteolysis.

[Senni K](#), [Gueniche F](#), [Foucault-Bertaud A](#), [Igondjo-Tchen S](#), [Fioretti E](#), [Collic-Jouault S](#), [Durand P](#), [Guezennec J](#), [Godeau G](#), [Letourneur D](#).

Université Paris Descartes, Faculté de Chirurgie Dentaire, EA2496 Réparations et Remodelages Oro-faciaux, Montrouge, France. senni_k@yahoo.com

Fucoidans are sulfated fucosylated polymers from brown algae cell wall that exhibit some heparin/heparan sulfate properties. We previously demonstrated that these polysaccharides were able in vitro to stimulate dermal fibroblast proliferation and

extracellular matrix deposition. Here, we investigated the action of a 16kDa fucoidan fraction on parameters involved in connective tissue breakdown. This fucoidan is able to inhibit gelatinase A secretion and stromelysin 1 induction by interleukin-1beta on dermal fibroblasts in culture. Furthermore, we observed that fucoidan increases the rate of association of MMPs with their specific inhibitors namely TIMPs. Using tissue sections of human skin in ex vivo experiments, we evidenced that this polysaccharide was able to minimize human leukocyte elastase activity resulting in the protection of human skin elastic fiber network against the enzymatic proteolysis due to this serine proteinase. These results suggested that fucoidan could be used for treating some inflammatory pathologies in which uncontrolled extracellular matrix degradation takes place.

[Plant Mol Biol.](#) 2003 May;52(2):463-72.  

A thylakoidal processing peptidase from the heterokont alga *Heterosigma akashiwo*.

[Chaal BK](#), [Ishida K](#), [Green BR](#).

Department of Botany, University of British Columbia, Vancouver, BC, V6T 1Z4 Canada.

Heterokont algae such as diatoms, brown seaweeds and the raphidophyte *Heterosigma akashiwo* acquired their chloroplasts via a secondary endosymbiosis involving a red algal endosymbiont and a eukaryote host, resulting in chloroplasts surrounded by four membranes rather than two. The precursor of a nuclear-encoded thylakoid lumen protein, PsbO, from *Heterosigma* has a presequence composed of a typical ER signal peptide followed by putative stromal and thylakoid targeting domains. A processing enzyme associated with *Heterosigma* thylakoids cleaved the presequence (with or without the ER signal sequence) in a single step, giving a product of the size of the mature protein. Its sensitivity to a penem inhibitor and insensitivity to other protease inhibitors suggest that it is a member of the Type I signal peptidase family. Furthermore the *Heterosigma* enzyme appeared to have similar substrate specificity to the pea thylakoidal processing peptidase.

[Int J STD AIDS.](#) 2002 Dec;13 Suppl 2:30-4. 

Post-exposure prophylaxis.

[van der Ende ME](#), [Regez RM](#), [Schreij G](#), [van der Meer JT](#), [Danner SA](#); [Dutch PEP registration](#).

Department of Internal Medicine, Erasmus MC, Rotterdam, The Netherlands.
vanderende@inw2.azr.nl

The mean risk of acquiring HIV after an occupational exposure, injecting drug use or sexual exposure varies from < 0.1 to 3%. A high plasma HIV-RNA of the source increases the risk of each of the exposures. Other factors, such as the volume of the inoculum involved to which the individual was exposed, other sexually transmitted diseases and ruptures of mucous membranes are associated with a higher risk of HIV

transmission. Based on the calculated risk, post-exposure prophylaxis (PEP) should be recommended. In the Netherlands, prescription of PEP in the occupational setting is a standard procedure and has proved to be feasible. This was associated with a high percentage (62%) of mild and reversible toxicity and a small percentage (2%) of serious adverse events related to antiretroviral drugs, i.e. nephrolithiasis (due to indinavir) and toxic hepatitis (due to nevirapine). In The Netherlands so far no HIV-seroconversions have been recorded after an occupational accident.

[Ann Nutr Metab.](#) 2002;46(6):259-67.



Antihypertensive effects of hydrolysates of wakame (*Undaria pinnatifida*) and their angiotensin-I-converting enzyme inhibitory activity.

[Sato M](#), [Oba T](#), [Yamaguchi T](#), [Nakano T](#), [Kahara T](#), [Funayama K](#), [Kobayashi A](#), [Nakano T](#).

Laboratory of Marine Biochemistry, Graduate School of Agricultural Science, Tohoku University, Miyagi, Japan. msato@bios.tohoku.ac.jp

AIM: The angiotensin-I-converting enzyme (ACE) inhibitory and antihypertensive activities of wakame hydrolysates have been investigated in several studies. **METHODS:** Wakame (*Undaria pinnatifida*) was hydrolyzed using 17 kinds of proteases and the inhibitory activity of the hydrolysates for ACE was measured. Of these hydrolysates 4 with potent ACE inhibitory activity were administered singly and orally to spontaneously hypertensive rats (SHR). **RESULTS:** The systolic blood pressure of SHR decreased significantly after single oral administration of protease S 'Amano' and proleather FG-F hydrolysates (10 mg protein/kg body weight). In a long-term feeding experiment, 7-week-old SHR were fed standard chow supplemented with protease S 'Amano'-derived wakame hydrolysates for 10 weeks. In SHR fed the 1 and 0.1% wakame hydrolysates, elevation of systolic blood pressure was still significantly suppressed for 7 weeks. **CONCLUSIONS:** The hydrolysates derived from wakame by protease S 'Amano' have a powerful ACE-inhibitory activity (IC(50) = 86 microg protein/ml) and were effective in spite of their slight bitterness as 'physiologically functional food' with antihypertensive activity. Copyright 2002 S. Karger AG, Basel

[J Calif Dent Assoc.](#) 1998 Apr;26(4):261-7, 269-71. [Links](#)

Occupational exposure to blood and body fluids: new postexposure prophylaxis recommendations. United States Occupational Safety and Health Administration.

[Cuny E](#), [Carpenter WM](#).

University of the Pacific School of Dentistry, San Francisco, CA 94115, USA.

Dental health care professionals continue to suffer exposure incidents from instruments contaminated with blood and/or body fluids from patients. Each of these cases requires that a rigid protocol be followed for their evaluation. New information

regarding the risk factors for HIV-seroconversion following an exposure incident have been identified. Recent data has demonstrated that a 79 percent reduction in disease transmission may be possible with a new combination drug therapy. The anti-retroviral drugs included in this new regimen are now standard in the management of occupational exposure to HIV. Several factors set dentistry apart from other health care occupations, and these differences appear to have an effect on the risks associated with occupational exposures. This article explores these risk factors and the new recommendations for postexposure care.



[Biol Pharm Bull.](#) 1997 Nov;20(11):1131-5.  [Links](#)

Inhibitory effect of oversulfated fucoidan on tube formation by human vascular endothelial cells.

[Soeda S](#), [Shibata Y](#), [Shimeno H](#).

Department of Biochemistry, Faculty of Pharmaceutical Sciences, Fukuoka University, Japan.

Fucoidan is a sulfated poly(L-fucopyranose) present in brown marine algae. In this study, we examined the effect of native and chemically oversulfated fucoidans (NF and OSF) on the tube structure formation by human umbilical vein endothelial cells (HUVEC) on the basement membrane preparation, Matrigel. Unlike NF, OSF significantly decreased the tube formation: maximal inhibition (50% of control) was obtained with 25 micrograms/ml. The OSF effect was mediated, at least in part, through the inhibition of HUVEC migration, as determined by the ability to block chemotaxis in a Transwell chamber assay. Quantitative immunoreactive assays for tissue-type plasminogen activator (t-PA) and plasminogen activator inhibitor-1 (PAI-1) in the culture media indicated that OSF (25 micrograms/ml) increased the accumulation of PAI-1 antigen, but not of t-PA antigen, 2.7-fold compared with control. The release of both antigens by HUVEC was slightly affected by the addition of NF. Determination of the media levels of type IV collagenase activity and tissue inhibitor of metalloproteinase-1 (TIMP-1) antigen showed that OSF (25 micrograms/ml) decreased the collagenolytic activity by 50% compared to the control, without alteration of the TIMP antigen level. However, the collagenase inhibition by OSF was not observed in an assay system using purified enzyme. NF had no effect on collagenase activity or TIMP-1 antigen levels. These results indicate that the introduction of sulfate groups into NF enables it to effectively inhibit the formation of capillary-like structures by HUVEC on Matrigel by reducing the basement membrane destruction and cell migration. It is involved as at least one of the mechanisms by which the OSF-induced increase in HUVEC PAI-1 decreases plasmin formation and suppresses the following pro-collagenase activation.


[Life Sci.](#) 1997;61(10):933-49.   [Links](#)

Anti-human immunodeficiency virus (anti-HIV) natural products with special emphasis on HIV reverse transcriptase inhibitors.

[Ng TB](#), [Huang B](#), [Fong WP](#), [Yeung HW](#).

Department of Biochemistry, The Chinese University of Hong Kong, Shatin, New Territories.

This review article aims at summarizing research findings concerning natural products which are endowed with the ability to inhibit human immunodeficiency virus (HIV). An emphasis is placed on HIV reverse transcriptase inhibitors because the bulk of the literature is focused on these compounds. It was found that a spectacular diversity of chemical structures encompassing proteins, terpenoids, coumarins, xanthenes, alkaloids, flavonoids, polyphenols, and polysaccharides, which are elaborated by plant species as phylogenetically remote as the algae, gymnosperms and angiosperms, were capable of rendering the retroviral enzyme less active. The literature pertaining to natural products with HIV protease and integrase inhibitory activities is less voluminous.

[Thromb Res.](#) 1991 Dec 15;64(6):723-31.  [Links](#)

The influence of sulfate content and molecular weight of a fucan sulfate from the brown seaweed *Ecklonia kurome* on its antithrombin activity.

[Nishino T](#), [Aizu Y](#), [Nagumo T](#).

Department of Biophysics, School of Hygienic Sciences, Kitasato University, Kanagawa, Japan.

The antithrombin effects of the sub-fractionated fucans with different molecular weights and sulfate contents, which were prepared from a fucan sulfate isolated from the brown seaweed *Ecklonia kurome*, were examined for their abilities to inhibit thrombin-fibrinogen reaction and amidolytic activity of thrombin, and to bind to fibrinogen. The inhibitory effects of the fucans on both fibrinogen clotting by thrombin and amidolysis of the protein in the presence of heparin cofactor II were improved with increase in their molecular weights and reduced with decrease in their sulfate contents. The binding abilities of the fucans with almost the same sulfate content to fibrinogen were unchanged independently of their molecular weights, although the ability diminished with decrease in the sulphate content. These results suggest that heparin cofactor II-mediated antithrombin activity of the fucan sulfate is dependent on both its sulfate content and molecular weight, and also that the inhibitory effect of the polysaccharide on fibrinogen clotting by thrombin may be attributable to the steric hindrance by its binding to fibrinogen.