

Scientific Study Examples of Garcinia Mangostana L

The following is a compilation of scientific studies found at the U.S. National Library of Medicine, National Institutes of Health (NIH). These studies illustrate how the xanthenes found in the mangosteen fruit could greatly impact health. Hundreds of scientific research papers can be found, but these should be enough to capture your attention.

The following studies demonstrate how the mangosteen could play a significant role in the treatment of inflammatory conditions, cancer of all types, heart disease, allergy/asthma, tuberculosis, central nervous system conditions, and human immunodeficiency virus (HIV). Additional studies show the anti-bacterial, anti-fungal and anti-viral properties of the mangosteen.

At the center of attention are the biologically active compounds found in the mangosteen called xanthenes. Within the last 5 years, the xanthenes have been the focus of much of the scientific research. With over 200 xanthenes identified in nature, so far 43 xanthenes are identified in the mangosteen fruit. The mangosteen is simply the most abundant source of xanthenes on earth. Continued study is required as only 6 of the 43 xanthenes found in the mangosteen fruit, have been researched. However, their potent activity and broad-ranging indications for use may soon reveal the mangosteen's xanthenes to be one of the all-time greatest medical discoveries.

Anti-inflammatory:

Gamma-Mangostin xanthone acts as anti-inflammatory.

1: Mol Pharmacol. 2004 Jun 24 [Epub ahead of print] Related Articles, Links

Gamma-Mangostin Inhibits I κ B Kinase Activity and Decreases Lipopolysaccharide-Induced Cyclooxygenase-2 Gene Expression in C6 Rat Glioma Cells.

Nakatani K, Yamakuni T, Kondo N, Arakawa T, Oosawa K, Shimura S, Inoue H, Ohizumi Y.

Graduate school of Pharmaceutical Science, Tohoku University.

We here investigated the effect of gamma-mangostin purified from the fruit hull of the medicinal plant, *Garcinia mangostana*, on spontaneous prostaglandin E2 (PGE2) release and inducible cyclooxygenase (COX-2) gene expression in C6 rat glioma cells. An 18-h treatment with gamma-mangostin potently inhibited spontaneous PGE2 release in a concentration-dependent manner with the IC50 value of about 2 microM, without affecting the cell viability even at 30 microM. By immunoblotting and RT-PCR, it was shown that gamma-mangostin concentration-dependently inhibited lipopolysaccharide (LPS)-induced expression of COX-2 protein and its mRNA, but not those of constitutive COX-1 cyclooxygenase. Since LPS is known to stimulate I κ B kinase (IKK)-mediated phosphorylation of inhibitor kappaB (I κ B) followed by its degradation which in turn induces NF-kappaB nuclear translocation leading to transcriptional activation of COX-2 gene, the effect of gamma-mangostin on the IKK/I κ B cascade controlling the NF-kappaB activation was examined. An in vitro IKK assay using IKK protein immunoprecipitated from C6 cell extract showed that this compound inhibited IKK activity in a concentration-dependent manner with the IC50 value of about 10 microM. Consistently gamma-mangostin was also observed to decrease the LPS-induced I κ B degradation and phosphorylation in a concentration-dependent manner, as assayed by immunoblotting. Furthermore, luciferase reporter assays showed that gamma-mangostin reduced the LPS-inducible activation of NF-kappaB- and human COX-2 gene promoter region-dependent transcription. gamma-Mangostin also inhibited rat carrageenan-induced paw edema. These results suggest that gamma-mangostin directly inhibits IKK activity, and thereby prevents COX-2 gene transcription, an NF-kappaB target gene, probably to decrease the inflammatory agent-stimulated PGE2 production in vivo, and is a new useful lead compound for anti-inflammatory drug development.

PMID: 15218091 [PubMed - as supplied by publisher]

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Anti-Inflammatory:

Study shows that gamma-mangostin competitively inhibited the activities of both COX-1 and COX-2.

1: Biochem Pharmacol. 2002 Jan 1;63(1):73-9

Inhibition of cyclooxygenase and prostaglandin E2 synthesis by gamma-mangostin, a xanthone derivative in mangosteen, in C6 rat glioma cells.

Nakatani K, Nakahata N, Arakawa T, Yasuda H, Ohizumi Y.

Department of Pharmaceutical Molecular Biology, Graduate School of Pharmaceutical Sciences, Tohoku University, Aoba, Aramaki, Aoba-ku, 980-8578, Sendai, Japan.

The fruit hull of mangosteen, *Garcinia mangostana* L., has been used for many years as a medicine for treatment of skin infection, wounds, and diarrhea in Southeast Asia. In the present study, we examined the effect of gamma-mangostin, a tetraoxygenated diprenylated xanthone contained in mangosteen, on arachidonic acid (AA) cascade in C6 rat glioma cells. gamma-Mangostin had a potent inhibitory activity of prostaglandin E2 (PGE2) release induced by A23187, a Ca²⁺ ionophore. The inhibition was concentration-dependent, with the IC₅₀ value of about 5 microM. gamma-Mangostin had no inhibitory effect on A23187-induced phosphorylation of p42/p44 extracellular signal regulated kinase/mitogen-activated protein kinase or on the liberation of [14C]-AA from the cells labeled with [14C]-AA. However, gamma-mangostin concentration-dependently inhibited the conversion of AA to PGE2 in microsomal preparations, showing its possible inhibition of cyclooxygenase (COX). In enzyme assay in vitro, gamma-mangostin inhibited the activities of both constitutive COX (COX-1) and inducible COX (COX-2) in a concentration-dependent manner, with the IC₅₀ values of about 0.8 and 2 microM, respectively. Lineweaver-Burk plot analysis indicated that gamma-mangostin competitively inhibited the activities of both COX-1 and -2. This study is a first demonstration that gamma-mangostin, a xanthone derivative, directly inhibits COX activity.

PMID: 11754876 [PubMed - indexed for MEDLINE]

Anti-Cancer:

Mangosteen xanthone, garcinone E has potent cytotoxic effect on liver cancer.

1: Planta Med. 2002 Nov;68(11):975-9.

Garcinone E, a xanthone derivative, has potent cytotoxic effect against hepatocellular carcinoma cell lines.

Ho CK, Huang YL, Chen CC.

Department of Medical Research & Education, Veterans General Hospital, Taipei, ROC.

Treatment of hepatocellular carcinomas (HCCs) with chemotherapy has generally been disappointing and it is most desirable to have more effective new drugs. We extracted and purified 6 xanthone compounds from the rinds (peel) of the fruits of *Garcinia mangostana* L., using partitioned chromatography and then tested the cytotoxic effects of these compounds on a panel of 14 different human cancer cell lines including 6 hepatoma cell lines, based on the MTT method. Several commonly used chemotherapeutic agents were included in the assay to determine the relative potency of the potential new drugs. Our results have shown that one of the xanthone derivatives which could be identified as garcinone E has potent cytotoxic effect on all HCC cell lines as well as on the other gastric and lung cancer cell lines included in the screen. We suggest that garcinone E may be potentially useful for the treatment of certain types of cancer.

PMID: 12451486 [PubMed - indexed for MEDLINE]

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Anti-Cancer: Study shows strong antiproliferation effect on breast cancer.

1: J Ethnopharmacol. 2004 Jan;90(1):161-6.

Antiproliferation, antioxidation and induction of apoptosis by *Garcinia mangostana* (mangosteen) on SKBR3 human breast cancer cell line.

Moongkarndi P, Kosem N, Kaslungka S, Luanratana O, Pongpan N, Neungton N.
Department of Microbiology, Faculty of Pharmacy, Mahidol University, Sri Ayudthaya Road,
Rajdhevee, Bangkok 10400, Thailand. pypmk@mahidol.ac.th

This study was designed to determine the antiproliferative, apoptotic and antioxidative properties of crude methanolic extract (CME) from the pericarp of *Garcinia mangostana* (family Guttiferae) using human breast cancer (SKBR3) cell line as a model system. SKBR3 cells were cultured in the presence of CME at various concentrations (0-50 microg/ml) for 48 h and the percentage of cell viability was evaluated by 3-(4,5-dimethylthiazol-2-yl)-2,5-di phenyl tetrazolium bromide (MTT) assay. CME showed a dose-dependent inhibition of cell proliferation with ED(50) of 9.25+/-0.64 microg/ml. We found that antiproliferative effect of CME was associated with apoptosis on breast cancer cell line by determinations of morphological changes and oligonucleosomal DNA fragments. In addition, CME at various concentrations and incubation times were also found to inhibit ROS production. These investigations suggested that the methanolic extract from the pericarp of *Garcinia mangostana* had strong antiproliferation, potent antioxidation and induction of apoptosis. Thus, it indicates that this substance can show different activities and has potential for cancer chemoprevention which were dose dependent as well as exposure time dependent.

PMID: 14698525 [PubMed - in process]

Anti-Cancer: Study shows that xanthenes inhibit growth of breast cancer, kidney cancer and melanoma.

1: Bioorg Med Chem. 2002 Dec;10(12):3725-30.

Xanthenes as inhibitors of growth of human cancer cell lines and their effects on the proliferation of human lymphocytes in vitro.

Pedro M, Cerqueira F, Sousa ME, Nascimento MS, Pinto M.
Centro de Estudos de Quimica Organica, Fitoquimica e Farmacologia da Universidade do Porto,
Faculdade de Farmacia, Porto, Portugal. madalena@ff.up.pt

Twenty-seven oxygenated xanthenes have been assessed for their capacity to inhibit in vitro the growth of three human cancer cell lines, MCF-7 (breast cancer), TK-10 (renal cancer) and UACC-62 (melanoma). The effect of these xanthenes on the proliferation of human T-lymphocytes was also evaluated. Differences on their potency towards the effect on the growth of the human cancer cell lines as well as on the proliferation of human T-lymphocytes can be ascribed to the nature and positions of the substituents on the xanthonic nucleus.

PMID: 12413829 [PubMed - indexed for MEDLINE]

Anti-Cancer: Xanthone shows complete inhibition of leukemia cell line.

1: J Nat Prod. 2003 Aug;66(8):1124-7.

Induction of apoptosis by xanthenes from mangosteen in human leukemia cell lines.

Matsumoto K, Akao Y, Kobayashi E, Ohguchi K, Ito T, Tanaka T, Inuma M, Nozawa Y.
Gifu International Institute of Biotechnology, 1-1 Naka-Fudogaoka, Kakamigahara, Gifu 504-0838,
Japan. kmatsumoto@giib.or.jp

We examined the effects of six xanthenes from the pericarps of mangosteen, *Garcinia mangostana*, on the cell growth inhibition of human leukemia cell line HL60. All xanthenes displayed growth inhibitory effects. Among them, alpha-mangostin showed complete inhibition at 10 microM through the induction of apoptosis.

PMID: 12932141 [PubMed - indexed for MEDLINE]

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Heart Disease:

Mangosteen shown to protect LDL from oxidative damage.

1: Free Radic Res. 1995 Aug;23(2):175-84. Related Articles, Links

Mangostin inhibits the oxidative modification of human low density lipoprotein.

Williams P, Ongsakul M, Proudfoot J, Croft K, Beilin L.

University of Western Australia, Department of Medicine, Royal Perth Hospital, Australia.

The oxidation of low density lipoprotein (LDL) may play an important role in atherosclerosis. We investigated the possible antioxidant effects of mangostin, isolated from *Garcinia mangostana*, on metal ion dependent (Cu^{2+}) and independent (aqueous peroxy radicals) oxidation of human LDL. Mangostin prolonged the lagtime to both metal ion dependent and independent oxidation of LDL in a dose dependent manner over 5 to 50 μM as monitored by the formation of conjugated dienes at 234 nm ($P < 0.001$). There was no significant effect of mangostin on the rate at which conjugated dienes were formed in the uninhibited phase of oxidation. Levels of thiobarbituric reactive substances (TBARS) generated in LDL were measured 4 and 24 hours after oxidation with 5 μM Cu^{2+} in the presence or absence of 50 μM or 100 μM mangostin. We observed an inhibition of TBARS formation with 100 μM mangostin at 4 hours ($P = 0.027$) but not at 24 hours ($P = 0.163$). Similar results were observed in the presence of 50 μM mangostin. Mangostin, at 100 μM , retarded the relative electrophoretic mobility of LDL at both 4 and 24 hours after Cu^{2+} induced oxidation. Mangostin (100 μM) significantly inhibited the consumption of alpha-tocopherol in the LDL during Cu^{2+} initiated oxidation over a 75 minute period ($P < 0.001$). From these results, we conclude that mangostin is acting as a free radical scavenger to protect the LDL from oxidative damage in this in vitro system.

PMID: 7581813 [PubMed - indexed for MEDLINE]

Heart Disease:

Xanthone from Mangosteen inhibits oxidation of LDL cholesterol.

1: Free Radic Res. 2000 Nov;33(5):643-59. Related Articles, Links

Inhibition of lipoprotein oxidation by prenylated xanthenes derived from mangostin.

Mahabusarakam W, Proudfoot J, Taylor W, Croft K.

Chemistry Department, Prince of Songkla University, Hat Yai, Thailand.

Oxidative damage is thought to play a critical role in cardiovascular and other chronic diseases. This has led to considerable interest in the antioxidant activity of dietary compounds. Flavonoids have received the most attention and much is known about the structural requirements for antioxidant activity. However, little is known about the antioxidant activity of other plant derived phenolic compounds such as the xanthenes. We have previously shown that the prenylated xanthone, mangostin, can inhibit the oxidation of low density lipoprotein. In order to examine the effects of structure modification on antioxidant activity of this class of compound we have prepared a number of derivatives of mangostin and tested antioxidant activity in an isolated LDL and plasma assay. The results of this study show that structural modification of mangostin can have a profound effect on antioxidant activity. Derivatisation of the C-3 and C-6 hydroxyl groups with either methyl, acetate, propane diol or nitrile substantially reduces antioxidant activity. In contrast, derivatisation of C-3 and C-6 with aminoethyl derivatives enhanced antioxidant activity, which may be related to changes in solubility. Cyclisation of the prenyl chains had little influence on antioxidant activity.

PMID: 11200095 [PubMed - indexed for MEDLINE]

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Anti-histamine: Study shows mangosteen has potent inhibitory activities of both histamine release and prostaglandin E2 synthesis.

1: Biol Pharm Bull. 2002 Sep;25(9):1137-41. Related Articles, Links

Inhibitions of histamine release and prostaglandin E2 synthesis by mangosteen, a Thai medicinal plant.

Nakatani K, Atsumi M, Arakawa T, Oosawa K, Shimura S, Nakahata N, Ohizumi Y.

Department of Pharmaceutical Molecular Biology, Graduate School of Pharmaceutical Sciences, Tohoku University, Sendai, Japan.

The fruit hull of mangosteen, *Garcinia mangostana* L. has been used as a Thai indigenous medicine for many years. However, its mechanism of action as a medicine has not been elucidated. The present study was undertaken to examine the effects of mangosteen extracts (100% ethanol, 70% ethanol, 40% ethanol and water) on histamine release and prostaglandin E2 synthesis. We found that the 40% ethanol extract of mangosteen inhibited IgE-mediated histamine release from RBL-2H3 cells with greater potency than the water extract of *Rubus suavissimus* that has been used as an anti-allergy crude drug in Japan. All extracts of mangosteen potently inhibited A23187-induced prostaglandin E2 synthesis in C6 rat glioma cells, while the water extract of *Rubus suavissimus* had no effect. The 40% ethanol extract of mangosteen inhibited the prostaglandin E2 synthesis in a concentration-dependent manner with relatively lower concentrations than the histamine release. In addition, passive cutaneous anaphylaxis (PCA) reactions in rats were significantly inhibited by this ethanol extract as well as by the water extract of *Rubus suavissimus*. These results suggest that the 40% ethanol extract of mangosteen has potent inhibitory activities of both histamine release and prostaglandin E2 synthesis.

PMID: 12230104 [PubMed - indexed for MEDLINE]

Anti-histamine: Mangosteen contains lead compounds for histamine and serotonin receptor antagonists

1: Nippon Yakurigaku Zasshi. 1997 Oct;110 Suppl 1:153P-158P. Related Articles, Links

[Novel types of receptor antagonists from the medicinal plant *Garcinia mangostana*] [Article in Japanese] Furukawa K, Chairungsrilerd N, Ohta T, Nozoe S, Ohizumi Y.

Department of Pharmaceutical Molecular Biology, Faculty of Pharmaceutical Sciences, Tohoku University, Sendai, Japan.

A crude methanolic extract of the fruit hull of *Garcinia mangostana* L. inhibited the contraction of the isolated rabbit aorta induced by histamine and serotonin. The extract has been fractionated by silica gel chromatography, monitoring the pharmacological activity to give active compounds. On the basis of physicochemical data, the active substances were identified as alpha-mangostin and gamma-mangostin. To define the pharmacological properties of alpha-mangostin, the effect of alpha-mangostin on both histamine H1 and H2 receptors were examined by monitoring the mechanical responses of smooth muscles and measuring the radioligand binding to cultured vascular smooth muscle cells. The results suggest that alpha-mangostin acts as a selective and competitive histamine H1 receptor antagonist. The pharmacological actions of gamma-mangostin on 5-HT receptors were also investigated by using contractile response of vascular smooth muscle, platelet aggregation and radioligand binding studies. The results provide the evidence that gamma-mangostin is a selective and competitive 5-HT2A receptor antagonist. It is of great interest that the structures of alpha-mangostin and gamma-mangostin free from nitrogen atom are not resemble to the common structures of histamine and serotonin receptor antagonists. alpha-Mangostin and gamma-mangostin may become novel types of lead compounds for histamine and serotonin receptor antagonists.

PMID: 9503424 [PubMed - indexed for MEDLINE]

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HIV treatment:

Mangosteen is leading compound for chemotherapy of HIV infection.

1: Planta Med. 1998 Mar;64(2):97-109. Related Articles, Links

Plant-derived leading compounds for chemotherapy of human immunodeficiency virus (HIV) infection.

Vlietinck AJ, De Bruyne T, Apers S, Pieters LA.

Department of Pharmaceutical Sciences, University of Antwerp (UA), Belgium.
vlietink@uta.ua.ac.be

Many compounds of plant origin have been identified that inhibit different stages in the replication cycle of human immunodeficiency virus (HIV): 1) virus adsorption: chromone alkaloids (schumannificine), isoquinoline alkaloids (michellamines), sulphated polysaccharides and polyphenolics, flavonoids, coumarins (glycocoumarin, licopyranocoumarin) phenolics (caffeic acid derivatives, galloyl acid derivatives, catechinic acid derivatives), tannins and triterpenes (glycyrrhizin and analogues, soyasaponin and analogues); 2) virus-cell fusion: lectins (mannose- and N-acetylglucosamine-specific) and triterpenes (betulinic acid and analogues); 3) reverse transcription; alkaloids (benzophenanthridines, protoberberines, isoquinolines, quinolines), coumarins (calanolides and analogues), flavonoids, phloroglucinols, lactones (protolichesterinic acid), tannins, iridoids (fulvoplumierin) and triterpenes; 4) integration: coumarins (3-substituted-4-hydroxycoumarins), depsidones, O-caffeoyl derivatives, lignans (arctigenin and analogues) and phenolics (curcumin); 5) translation: single chain ribosome inactivating proteins (SCRIP's); 6) proteolytic cleavage (protease inhibition): saponins (ursolic and maslinic acids), xanthenes (mangostin and analogues) and coumarins; 7) glycosylation: alkaloids including indolizidines (castanospermine and analogues), piperidines (1-deoxynojirimicin and analogues) and pyrrolizidines (australine and analogues); 8) assembly/release: naphthodianthrones (hypericin and pseudohypericin), photosensitisers (terthiophenes and furoisocoumarins) and phospholipids. The target of action of several anti-HIV substances including alkaloids (O-demethyl-buchenavianine, papaverine), polysaccharides (acemannan), lignans (intheriotherins, schisantherin), phenolics (gossypol, lignins, catechol dimers such as peltatols, naphthoquinones such as conocurvone) and saponins (celasdin B, Gleditsia and Gymnocladus saponins), has not been elucidated or does not fit in the proposed scheme. Only a very few of these plant-derived anti-HIV products have been used in a limited number of patients suffering from AIDS viz. glycyrrhizin, papaverine, trichosanthin, castanospermine, N-butyl-1-deoxynojirimicin and acemannan.

PMID: 9525100 [PubMed - indexed for MEDLINE]

Anti-viral:

Mangosteen demonstrates potent inhibitory activity against HIV-1.

1: Planta Med. 1996 Aug;62(4):381-2. Related Articles, Links

Active constituents against HIV-1 protease from *Garcinia mangostana*.

Chen SX, Wan M, Loh BN.

The ethanol extract of *Garcinia mangostana* L. (Guttiferae) showed potent inhibitory activity against HIV-1 protease. The activity-guided purification of the extract resulted in the isolation of two active, known compounds. The chemical structures of the isolated compounds were established by spectroscopic analyses as mangostin (IC₅₀ = 5.12 +/- 0.41 microM) and gamma-mangostin (IC₅₀ = 4.81 +/- 0.32 microM). The type of inhibition by both compounds is noncompetitive.

PMID: 8792678 [PubMed - indexed for MEDLINE]

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Anti-fungal:

Mangosteen's xanthenes inhibit fungi activity.

1: J Nat Prod. 1997 May;60(5):519-24. Related Articles, Links

Evaluation of the antifungal activity of natural xanthenes from *Garcinia mangostana* and their synthetic derivatives.

Gopalakrishnan G, Banumathi B, Suresh G.

Centre for Agrochemical Research, SPIC Science Foundations, Madras, India.

The antifungal activity of several xanthenes isolated from the fruit hulls of *Garcinia mangostana* and some derivatives of mangostin against three phytopathogenic fungi, *Fusarium oxysporum* vasinfectum, *Alternaria tenuis*, and *Dreschlera oryzae*, has been evaluated. The natural xanthenes showed good inhibitory activity against the three fungi. Substitution in the A and C rings has been shown to modify the bioactivities of the compounds.

PMID: 9213587 [PubMed - indexed for MEDLINE]

Anti-bacterial:

Study shows mangosteen kills intracellular Salmonella bacteria.

1: J Med Assoc Thai. 1997 Sep;80 Suppl 1:S149-54. Related Articles, Links

Immunopharmacological activity of polysaccharide from the pericarb of mangosteen *Garcinia mangostana*: phagocytic intracellular killing activities.

Chanarat P, Chanarat N, Fujihara M, Nagumo T.

Department of Clinical Microscopy, Faculty of Associated Medical Sciences, Chiang Mai University, Thailand.

Polysaccharides from the pericarbs of mangosteen, *Garcinia mangostana* Linn., was obtained by treating the dried ground pericarbs with hot water followed by ethanol precipitation (M fraction). The extract was fractionated by anion exchange chromatography on a DEAE-cellulose column as MDE1-5 fractions. The fractions of MDE3 and MDE4 composed of mainly D-galacturonic acid and a small amount of neutral sugar (L-arabinose as the major one and L-rhamnose and D-galactose as the minor ones) were studied for immunopharmacological activities by phagocytic test to intracellular bacteria (*Salmonella enteritidis*) and nitroblue tetrazolium (NBT) and superoxide generation tests. The results showed that the number of *S. enteritidis* in cultured monocyte with extract of pericarb of mangosteen (MDE3) was killed. Activating score (mean +/- SD) of NBT test of 100 polymorphonuclear phagocytic cells were 145 +/- 78, 338 +/- 58, 222 +/- 73, 209 +/- 77, 211 +/- 63, 372 +/- 19, 369 +/- 20, 355 +/- 34 in normal saline control, phorbol myristate acetate (PMA), MDE3, MDE4, indomethacin (I), PMA + MDE3, PMA + MDE4 and PMA + I, respectively. Superoxide generation test was also done by color reduction of cytochrome c. Both MDE3 and MDE4 stimulate superoxide production. The number of *S. enteritidis* in cultured monocyte with extract of pericarb of mangosteen was killed. This paper suggests that polysaccharides in the extract can stimulate phagocytic cells and kill intracellular bacteria (*S. enteritidis*).

PMID: 9347663 [PubMed - indexed for MEDLINE]

Scientific Study Examples of Garcinia Mangostana L

Anti-bacterial:

Xanthenes more active against Staphylococcus than Vancomycin.

1: J Pharm Pharmacol. 1996 Aug;48(8):861-5. Related Articles, Links

Antibacterial activity of xanthenes from guttiferaceous plants against methicillin-resistant *Staphylococcus aureus*.

Iinuma M, Tosa H, Tanaka T, Asai F, Kobayashi Y, Shimano R, Miyauchi K.

Department of Pharmacognosy, Gifu Pharmaceutical University, Japan.

Extracts of *Garcinia mangostana* (Guttiferae) showing inhibitory effects against the growth of *S. aureus* NIHJ 209p were fractionated according to guidance obtained from bioassay and some of the components with activity against methicillin-resistant *Staphylococcus aureus* (MRSA) were characterized. One active isolate, alpha-mangostin, a xanthone derivative, had a minimum inhibitory concentration (MIC) of 1.57-12.5 micrograms mL⁻¹. Other related xanthenes were also examined to determine their anti-MRSA activity. Rubraxanthone, which was isolated from *Garcinia dioica* and has a structure similar to that of alpha-mangostin, had the highest activity against staphylococcal strains (MIC = 0.31-1.25 micrograms mL⁻¹), an activity which was greater than that of the antibiotic vancomycin (3.13-6.25 micrograms mL⁻¹). The inhibitory effect against strains of MRSA of two of the compounds when used in conjunction with other antibiotics was also studied. The anti-MRSA activity of alpha-mangostin was clearly increased by the presence of vancomycin; this behaviour was not observed for rubraxanthone. The strong in-vitro antibacterial activity of xanthone derivatives against both methicillin-resistant and methicillin-sensitive *Staphylococcus aureus* suggests the compounds might find wide pharmaceutical use.

PMID: 8887739 [PubMed - indexed for MEDLINE]

Anti-mycobacterial:

Study shows three xanthenes inhibit tuberculosis.

Antimycobacterial activity of prenylated xanthenes from the fruits of *Garcinia mangostana*.

Suksamrarn S, Suwannapoch N, Phakhodee W, Thanuhiranlert J, Ratananukul P, Chimnoi N, Suksamrarn A.

Department of Chemistry, Faculty of Science, Srinakharinwirot University, Bangkok, Thailand. sunit@swu.ac.th

Prenylated xanthenes, isolated from the fruit hulls and the edible arils and seeds of *Garcinia mangostana*, were tested for their antituberculosis potential. Alpha- and beta-mangostins and garcinone B exhibited strong inhibitory effect against *Mycobacterium tuberculosis* with the minimum inhibitory concentration (MIC) value of 6.25 microg/ml. Tri- and tetra-oxygenated xanthenes with di-C5 units or with a C5 and a modified C5 groups are essential for high activities. Substitution in the A and C rings has been shown to modify the bioactivity of the compounds.

PMID: 12843596 [PubMed - indexed for MEDLINE]

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Central Nervous System:

Mangosteen contains a promising compound for treatment of central nervous system disorders

1: Br J Pharmacol. 1998 Mar;123(5):855-62. Related Articles, Links

Effect of gamma-mangostin through the inhibition of 5-hydroxy-tryptamine_{2A} receptors in 5-fluoro-alpha-methyltryptamine-induced head-twitch responses of mice.

Chairungsrilerd N, Furukawa K, Tadano T, Kisara K, Ohizumi Y., Department of Molecular Biology, Faculty of Pharmaceutical Sciences, Tohoku University, Sendai, Japan.

1. Intracerebroventricular (i.c.v.) injection of gamma-mangostin (10-40 nmol/mouse), a major compound of the fruit hull of *Garcinia mangostana* Lin., like ketanserin (10, 20 nmol/mouse, i.c.v.) inhibited 5-fluoro-alpha-methyltryptamine (5-FMT) (45 mg kg⁻¹, i.p.)-induced head-twitch response in mice in the presence or absence of citalopram (a 5-hydroxytryptamine (5-HT)-uptake inhibitor). 2. Neither the 5-FMT- nor the 8-hydroxy-2-(di-n-propylamino)tetralin (5-HT_{1A}-agonist)-induced 5-HT syndrome (head weaving and hindlimb abduction) was affected by gamma-mangostin or ketanserin. 3. The locomotor activity stimulated by 5-FMT through the activation of alpha₁-adrenoceptors did not alter in the presence of gamma-mangostin. 4. 5-HT-induced inositol phosphates accumulation in mouse brain slices was abolished by ketanserin. Gamma-mangostin caused a concentration-dependent inhibition of the inositol phosphates accumulation. 5. Gamma-mangostin caused a concentration-dependent inhibition of the binding of [³H]-spiperone, a specific 5-HT_{2A} receptor antagonist, to mouse brain membranes. 6. Kinetic analysis of the [³H]-spiperone binding revealed that gamma-mangostin increased the K_d value without affecting the B_{max} value, indicating the mode of the competitive nature of the inhibition by gamma-mangostin. 7. These results suggest that gamma-mangostin inhibits 5-FMT-induced head-twitch response in mice by blocking 5-HT_{2A} receptors not by blocking the release of 5-HT from the central neurone. Gamma-mangostin is a promising 5-HT_{2A} receptor antagonist in the central nervous system.

PMID: 9535013 [PubMed - indexed for MEDLINE]