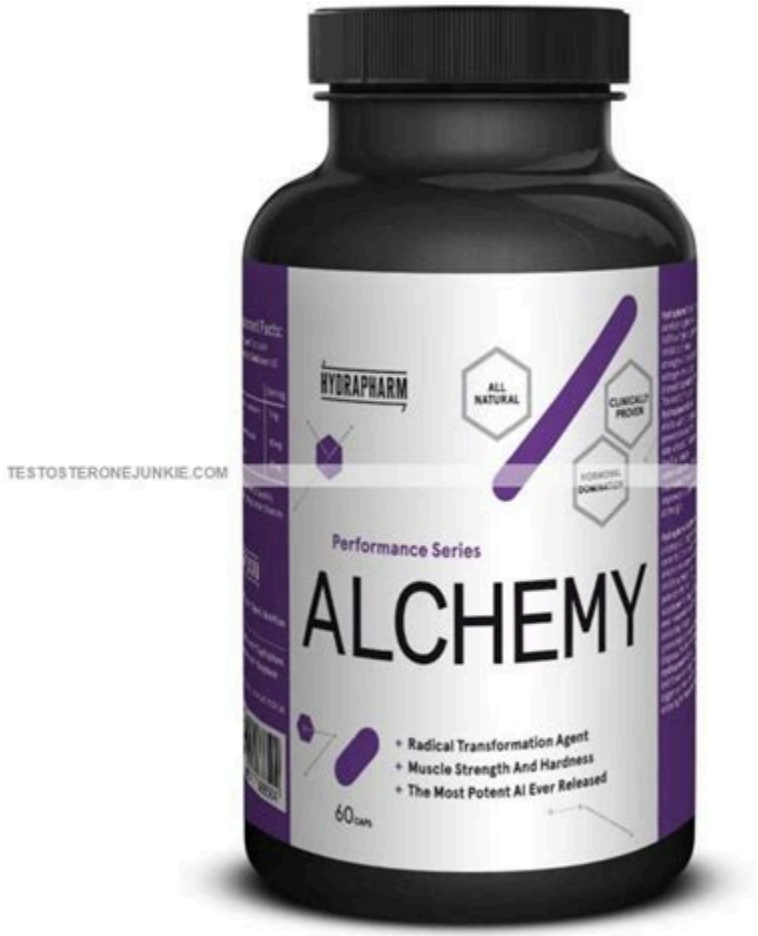
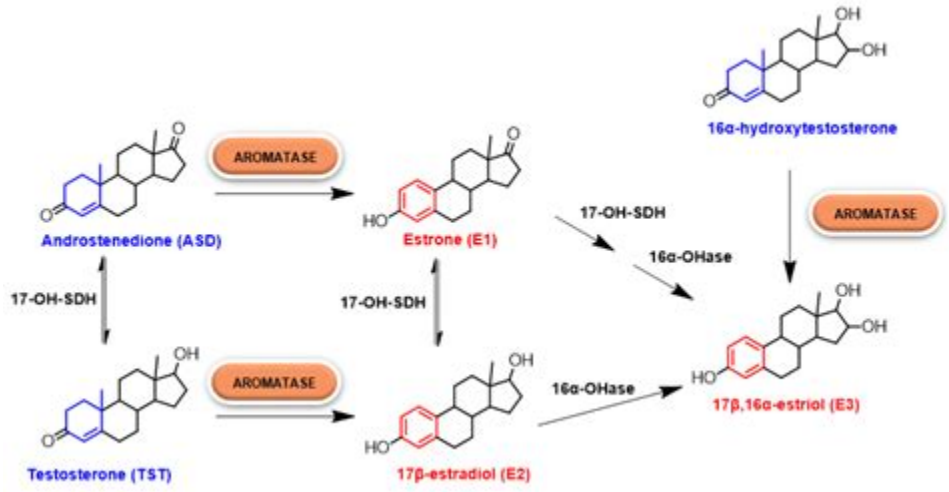
Abstract. Several naturally occurring and synthetic flavones were found to inhibit the aromatization of androstenedione and testosterone to estrogens catalyzed by human placental and ovarian microsomes. These flavones include (in order of decreasing potency) 7,8-benzoflavone, chrysin, apigenin, flavone, flavanone, and quercetin; 5,6 .

Anyone tried alchemy by hydrapharm? : r/PEDs - Reddit



7-hydroxy-4-imidazolyl-flavan The active ingredient in Iron Legion Virtus is said to be up to 12 times more potent than alpha-naphthoflavone (7,8-benzoflavone) The active ingredient in Sustain Alpha and other non-steroidal AI products. 127 times as potent as aminoglutheamide the active ingredient in the Prescription AI Cytadren.

Lead optimization of 4-imidazolylflavans: New promising aromatase .



Iron Legion Virtus Virtus by Iron Legion is a topical application of the most powerful and versitile aromatase inhibitor ever released. 7-hydroxy-4-imidazolyl-flavan is a flavan derivative which is structurally similar to epicatechin, naringenin, and related compounds. Flavans such as this are naturally occurring in

Synthesis and evaluation of 4-triazolylflavans as new aromatase .

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Bioorganic & Medicinal Chemistry Letters 14 (2004) 5215–5218
Bioorganic & Medicinal Chemistry Letters

Synthesis and evaluation of 4-triazolylflavans as new aromatase inhibitors

Samir Yahiaoui,[a] Christelle Pouget,[a] Catherine Fagnere,[a] Yves Champavier,[b] Gérard Habrioux[a] and Albert José Chulia[a,*]

[a]*UPRES EA 1085 'Biomolécules et Cibles Cellulaires Tumorales,' Faculté de Pharmacie, 2 rue du Docteur Marcland, 87025 Limoges cedex, France*
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Received 11 December 2003; revised 12 July 2004; accepted 15 July 2004

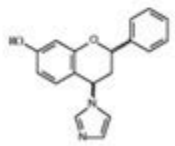
Abstract—Aromatase is a target of pharmacological interest for the treatment of estrogen-dependent cancers. Azole derivatives such as letrozole or anastrozole have been developed for aromatase inhibition and are used for the treatment of breast tumors. In this paper, four 4-triazolylflavans were synthesized and were found to exhibit moderate to high inhibitory activity against aromatase.

1. Introduction

Breast cancer, being the first cause of death in women between 40 and 50years old, is an important public health problem. About 50% of breast cancers are considered to be estrogen dependent.[1] The final and the rate step in the production of estrogens is the conversion of androgens, androstenedione, and testosterone to estrone and estradiol, respectively, by aromatase, a cytochrome P450 enzyme.[2]

In postmenopausal women, aromatase inhibitors such as letrozole and anastrozole, have been shown to be useful in the second-line therapy of estrogen-dependent breast cancer and have recently been approved as first-line therapy in several countries.[3] These compounds are nonsteroidal inhibitors with an aza-hetero ring containing a sp^2 nitrogen that binds to the heme iron atom of aromatase. Previous studies revealed that inhibitory potency and selectivity for aromatase depend on the number and position of sp^2 nitrogen atoms in the heterocycle.[4–6]

In our search of new aromatase inhibitors, we synthesized the 4-imidazolyl-7-methoxyflavan **A** and 7-hydroxy-4-imidazolylflavan **B** (Fig. 1), which were found to present a significant anti-aromatase activity since they were shown to be more potent than aminoglutethimide, the first nonsteroidal inhibitor clinically used in breast cancer therapy.[7] Compounds **A** and **B** have to be considered as a result of the modulation of flavonoids, which are natural compounds widely distributed in the plant kingdom and with about the same inhibitory effect as aminoglutethimide against aromatase.[8,9] Some flavonoids are also known to be inhibitors of other steroidogenic enzymes such as the 17β-HSD type 1 (17β-hydroxysteroid dehydrogenase), which is involved in the regulation of the reversible interconversion of estrone to the potent estradiol.[10,11]



A: R = Me
B: R = H

Figure 1. Structure of 4-imidazolylflavans **A** and **B**.

Keywords: 4-Triazolylflavans; Aromatase inhibition; Breast cancer.
*Corresponding author. Tel.: +33 (0) 555 435 834; fax: +33 (0) 555 435 900; e-mail: jose.chulia@unilim.fr

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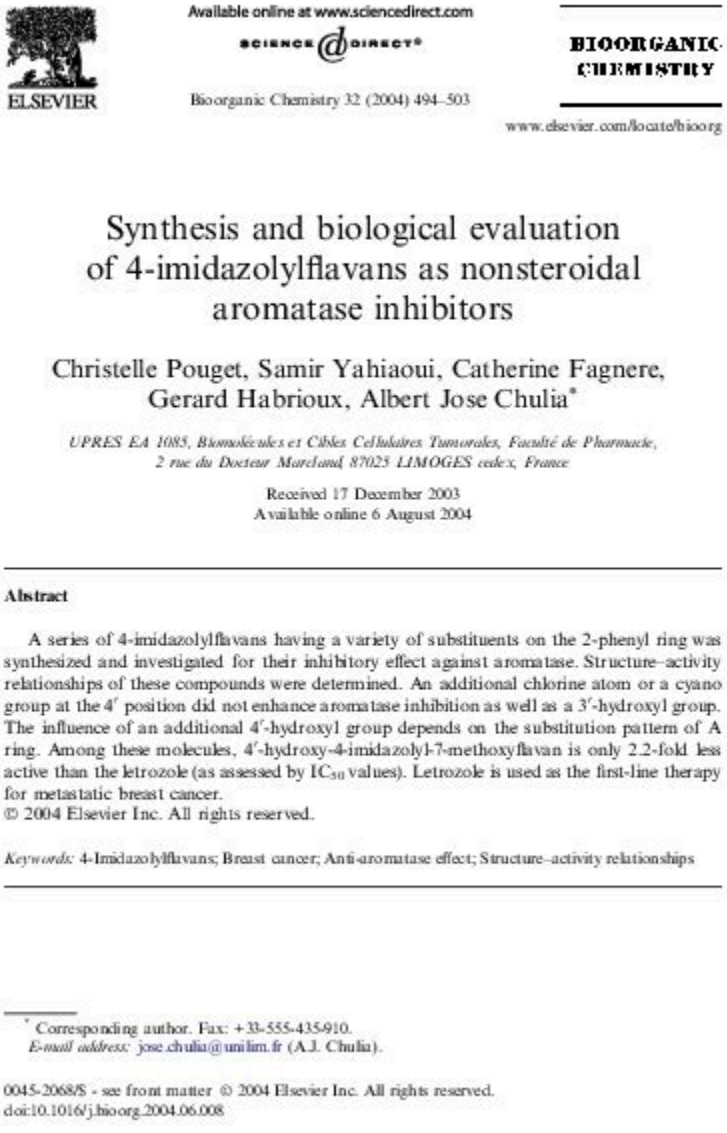
doi:10.1016/j.bmcl.2004.07.090

Among these molecules, 4′-hydroxy-4-imidazolyl-7-methoxyflavan is only 2. 2-fold less active than the letrozole (as assessed by IC 50 values). . we report the optimization of these lead compounds by the modulation of flavan A ring. The resulting 7,8-benzo-4-imidazolylflavans were tested in order to assess their ability to inhibit aromatase .

Synthesis and biological evaluation of 4-imidazolylflavans as .



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Synthesis and biological evaluation of 4-imidazolylflavans as nonsteroidal aromatase inhibitors

Christelle Pouget, Samir Yahiaoui, Catherine Fagnere, Gerard Habrioux, Albert Jose Chulia*

UPRES EA 1085, Biomolécules et Cibles Cellulaires Tumorales, Faculté de Pharmacie, 2 rue du Docteur Marcland, 87025 LIMOGES cedex, France

Received 17 December 2003
Available online 6 August 2004

Abstract

A series of 4-imidazolylflavans having a variety of substituents on the 2-phenyl ring was synthesized and investigated for their inhibitory effect against aromatase. Structure–activity relationships of these compounds were determined. An additional chlorine atom or a cyano group at the 4′ position did not enhance aromatase inhibition as well as a 3′-hydroxyl group. The influence of an additional 4′-hydroxyl group depends on the substitution pattern of A ring. Among these molecules, 4′-hydroxy-4-imidazolyl-7-methoxyflavan is only 2.2-fold less active than the letrozole (as assessed by IC_{50} values). Letrozole is used as the first-line therapy for metastatic breast cancer.

Keywords: 4-Imidazolylflavans; Breast cancer; Anti-aromatase effect; Structure–activity relationships

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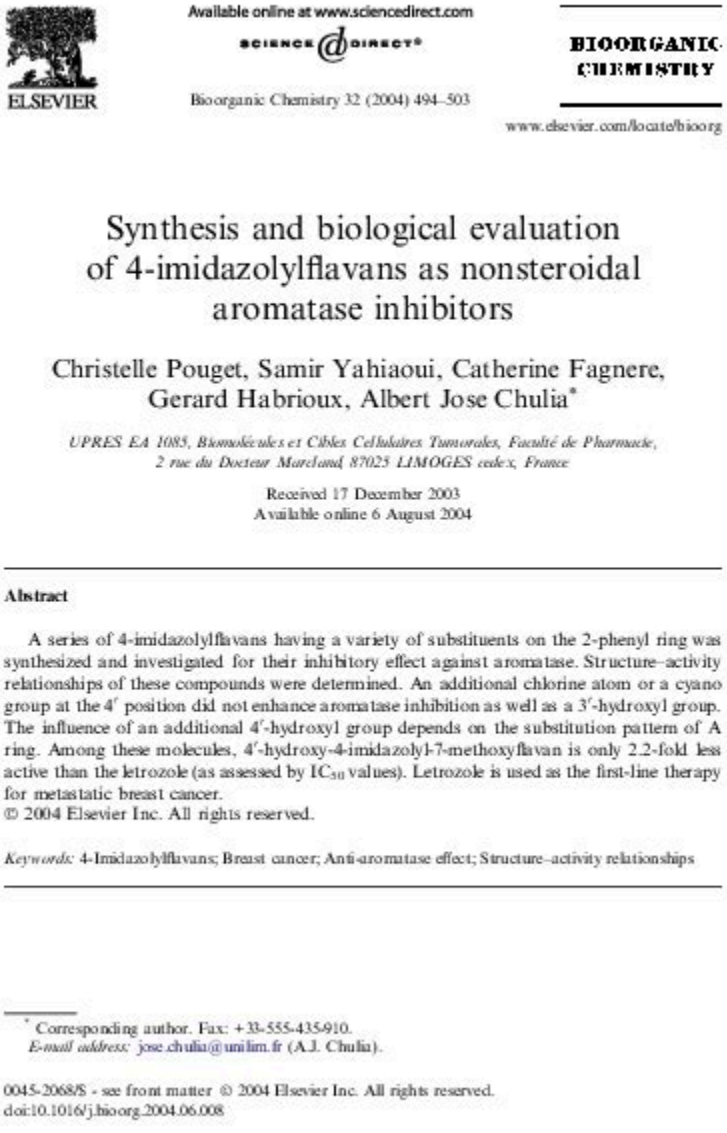
doi:10.1016/j.bioorg.2004.06.008

7-hydroxy-4-imidazolyl-flavan, an ordinarily active compound which thinks about have demonstrated, can hinder aromatase 10 x as much as the officially extremely powerful AI formestane.
7-hydroxy-4-imidazolyl-flavan is a flavan subordinate, which is basically like epicatechin, naringenin, and related mixes.

Synthesis and biological evaluation of 4-imidazolylflavans as .



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Keywords: 4-Imidazolylflavans; Breast cancer; Anti-aromatase effect; Structure–activity relationships

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4-Imidazolylflavans are potent inhibitors of aromatase. New synthesized 7,8-benzo-4-imidazolylflavans are highly active. Great influence of stereochemistry on biological effect was demonstrated. Modulation of flavonoids could provide new promising aromatase inhibitors. Introduction

Supplement Spotlight: Iron Legion Virtus - modernathletichealth

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Virtus by Iron Legion - Strong Supplement Shop

#1 Virtus 7-hydroxy-4-imidazolyl-flavan Up to 12 times more potent than alpha-naphthoflavone (7,8-benzoflavone) The active ingredient in Sustain Alpha and other non-steroidal AI products. 127 times as potent as aminoglutheamide the active ingredient in the Prescription AI Cytadren.

Flavanols from Nature: A Phytochemistry and Biological Activity Review

molecules

MDPI

Review

Flavanols from Nature: A Phytochemistry and Biological Activity Review

Yu Luo †, Yuqing Jian *,†, Yingkai Liu, Sai Jiang, Daniyal Muhammad and Wei Wang *

TCM and Ethnomedicine Innovation & Development International Laboratory, Innovative Materia Medica Research Institute, School of Pharmacy, Hunan University of Chinese Medicine, Changsha 410208, China; luoyu19981118@163.com (Y.L.); yingkailiu@hotmail.com (Y.L.); saijiang6266@hotmail.com (S.J.); Daniyaldani1518@yahoo.com (D.M.)

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† These authors contributed equally to this work.

Abstract: Flavanols, a common class of secondary plant metabolites, exhibit several beneficial health properties by acting as antioxidant, anticarcinogen, cardioprotective, anti-microbial, anti-viral, and neuroprotective agents. Furthermore, some flavanols are considered functional ingredients in dairy products. Based on their structural features and health-promoting functions, flavanols have gained the attention of pharmacologists and botanists worldwide. This review collects and summarizes 121 flavanols comprising four categories: flavan-3-ols, flavan-4-ols, isoflavan-4-ols, and flavan-3,4-ols. The research of the various structural features and pharmacological activities of flavanols and their derivatives aims to lay the groundwork for subsequent research and expect to provide mentality and inspiration for the research. The current study provides a starting point for further research and development.

Keywords: flavanols; flavonoids; natural products; biological activities

check for updates

Citation: Luo, Y.; Jian, Y.; Liu, Y.; Jiang, S.; Muhammad, D.; Wang, W. Flavanols from Nature: A Phytochemistry and Biological Activity Review. *Molecules* **2022**, *27*, 719. https://doi.org/10.3390/molecules27030719

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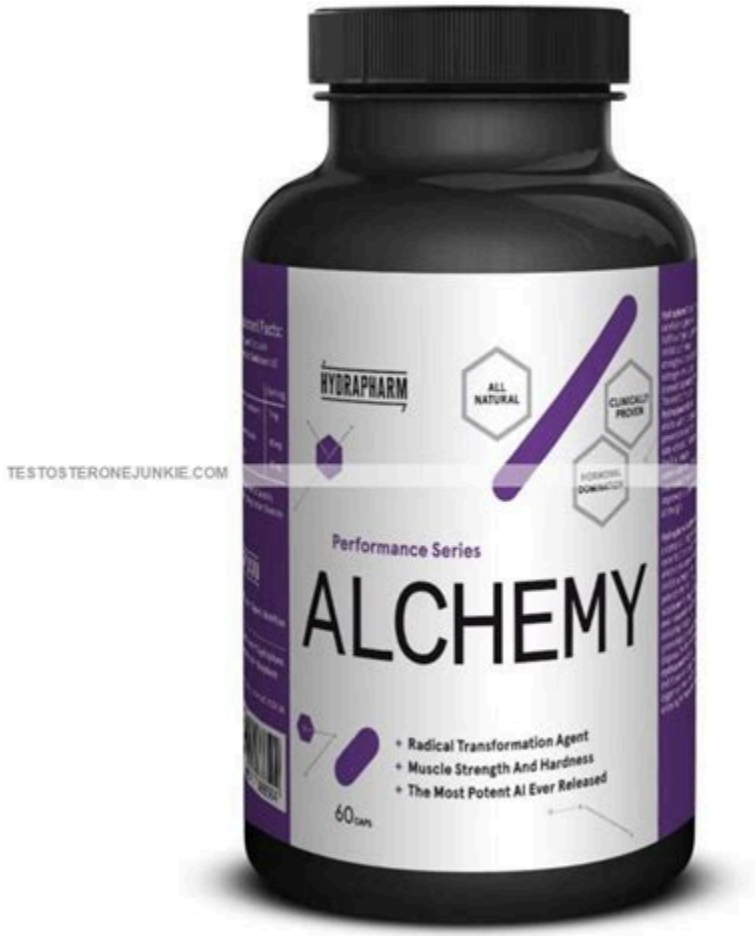
Published: 22 January 2022

1. Introduction

Various flavanols have been isolated from Nature over the past few decades. As their potential beneficial properties have been recognized, they have been increasingly studied by scientists worldwide [1]. Up to now, flavanols have been found in common foods, including cereals, legumes, fruits, vegetables, forages, hops, beers, red wine, tea, cocoa, grapes, and apples [2]. In addition, flavanols were used as quality markers for nuts and cereals as well. Therefore, there is a growing awareness of the benefits of natural flavanols. As a distinct sub-group of flavonoids, flavanols are broadly characterized by the absence of a no double bond between C-2 and C-3 and the absence of a carbonyl group on the C ring (C-4), while featuring a hydroxyl group(s) on C-3 or C-4. Given the above structural characteristics, four main types of flavanols have been found in Nature: (i) flavan-3-ols, (ii) flavan-4-ols, (iii) isoflavan-4-ols, and (iv) flavan-3,4-ols, whose basic skeletons are shown in Figure 1. Flavan-3-ols are the most commonly reported among the four types, followed by flavan-4-ols and flavan-3,4-ols, and lastly, isoflavan-4-ols. The classification and quantity of each category of flavanols are displayed in Figure 2. Due to aggregation, oligomerized flavan-3-ols and oligomerized flavan-3,4-ols have also been discovered in succession. The four subtypes of flavan-4-ols are based on the position of the linked saccharide or the seven-membered oxygen heterocycle between the sugar and aglycone. In general, 121 flavanols and their derivatives were found from the natural plant kingdom, distributed in 52 species and 29 families (Figure 2). They range from simple monomers to oligomers, and from aglycones to glycosides. Through the analysis and data statistics, plants rich-in flavanols are mainly include 10 species (*Proncphrium penangianum, Camellia sinensis, Theobroma cacao, Astragalus membranaceus, Rhoicissus tridentate, Juniperus*

7-hydroxy-4-imidazolyl-flavan: A flavan derivative which is structurally similar to epicatechin, naringenin, and related compounds. Flavans such as this are naturally occurring in nature and commonly found in tea and chocolate. Studies on 7-hydroxy-4-imidazolyl-flavan have demonstrated tremendous aromatase inhibitory potency at a staggering .

Hydrapharm Alchemy Aromatase Inhibitor And Testosterone Booster Review

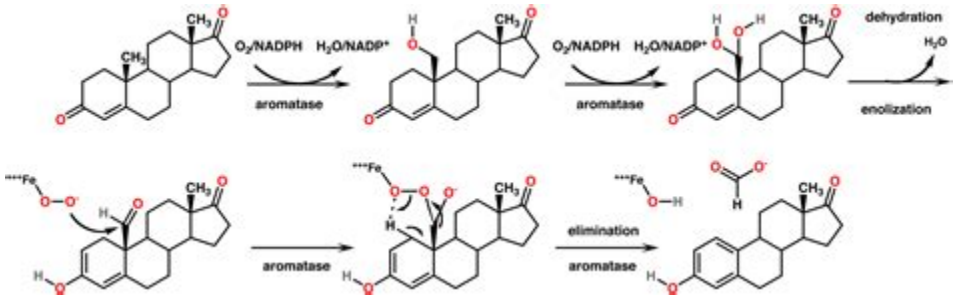


What is Iron Legion Virtus. Iron Legion Virtus is a powerful 7-hydroxy-4-imidazolylflavan topical, a non-steroidal aromatase inhibitor designed to support the highest level of estrogen management and enhance testosterone. Its strong potency allows for maximum benefit. Iron Legion states that 7-hydroxy-4-imidazolylflavan is: Up to 12 times more .

Virtus - Topical Aromatase Inhibitor - AnabolicMinds

Keyword:'7-hydroxy-4-imidazolyl-flavin' Showing 1-1 of 1 result for "7-hydroxy-4-imidazolyl-flavin" within Products. Products Genes Papers Technical Documents Site Content Chromatograms. Filter & Sort. All Photos (2) Direct yellow 27. Empirical Formula (Hill Notation): C 25 H 20 N 4 Na 2 O 9 S 3. CAS No. : 10190-68-8. Molecular Weight: 662. 62 .

Inhibition of Human Estrogen Synthetase (Aromatase) by Flavones



$59. 99 Possibly the most potent AI EVER! The active ingredient in Virtus is 7-hydroxy-4-imidazolylflavan, this exotic flavan has been shown to be up to 10x more potent than the now banned estrogen blocker formestane! Active Ingredient in Virtus compared to other popular AI's: Up to 10 times more potent than Formestane

Design, synthesis and evaluation of 4-imidazolylflavans as new leads .

Symposium date: 8th September 2008

Nucleic Acids Symposium Series No. 52 553–554
doi:10.1093/nass/nrn280

Design, Synthesis And Evaluation Of Constrained Methoxyethyl (cMOE) and Constrained Ethyl (cEt) Nucleoside Analogs

Punit P. Seth[1],* Andrew Siwkowski[2], Charles R. Allerson[1], Guillermo Vasquez[1], Sam Lee[3], Thazha P. Prakash[1], Garth Kinberger[1], Michael T. Migawa[1], Hans Gaus[3], Balkrishen Bhat[1] and Eric E. Swayze[1]

[1]Medicinal Chemistry, [2]Drug Discovery, [3]Structural Biology, Isis Pharmaceuticals, Inc. 1891 Rutherford Road, Carlsbad, CA 92008. USA

ABSTRACT

Antisense drug discovery technology is a powerful method to modulate gene expression in animals and represents a novel therapeutic platform.[1] We have previously demonstrated that replacing 2'-*O*-methoxyethyl (MOE, 2) residues in second generation antisense oligonucleotides (ASOs) with LNA (3) nucleosides improves the potency of some ASOs in animals. However, this was accompanied with a significant increase in the risk for hepatotoxicity.[2] We hypothesized that replacing LNA with novel nucleoside monomers that combine the structural elements of MOE and LNA might mitigate the toxicity of LNA while maintaining potency. To this end we designed and prepared novel nucleoside analogs 4 (*S*-constrained MOE, *S*-cMOE) and 5 (*R*-constrained MOE, *R*-cMOE) where the ethyl chain of the 2'-*O*-MOE moiety is constrained back to the 4' position of the furanose ring. As part of the SAR series, we also prepared nucleoside analogs 7 (*S*-constrained ethyl, *S*-cEt) and 8 (*R*-constrained Ethyl, *R*-cEt) where the methoxymethyl group in the cMOE nucleosides was replaced with a methyl substituent. A highly efficient synthesis of the nucleoside phosphoramidites with minimal chromatography purifications was developed starting from cheap commercially available starting materials. Biophysical evaluation revealed that the cMOE and cEt modifications hybridize complementary nucleic acids with the same affinity as LNA while greatly increasing nuclease stability. Biological evaluation of oligonucleotides containing the cMOE and cEt modification in animals indicated that all of them possessed superior potency as compared to second generation MOE ASOs and a greatly improved toxicity profile as compared to LNA.

INTRODUCTION

Antisense drug discovery technology represents a powerful method to modulate gene expression in animals.[1] Second generation antisense oligonucleotides (ASOs) are chimeric oligonucleotides, which have a central DNA region of 10-14 nucleotides, flanked on the 5' and 3' ends with five to two 2'-*O*-methoxyethyl (MOE) residues. The above 'gapmer' design supports RNase H mediated degradation of target mRNA due to the central DNA region. At the same time, the flanking MOE residues increase hybridization to complementary mRNA and further stabilize the oligonucleotide toward enzymatic degradation. There are currently almost 20 second generation ASOs in human clinical trials for a variety of disease indications including hypercholesteremia, diabetes and cancer, among others. One particular compound, Mipomersen (ISIS 301012), targeting ApolipoproteinB (ApoB) 100 has shown impressive reductions of LDL cholesterol in humans in phase I and phase II clinical trials.[3]

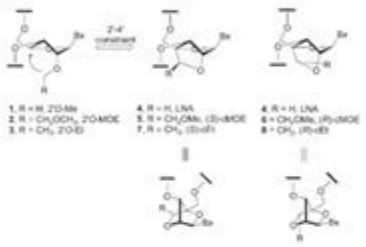


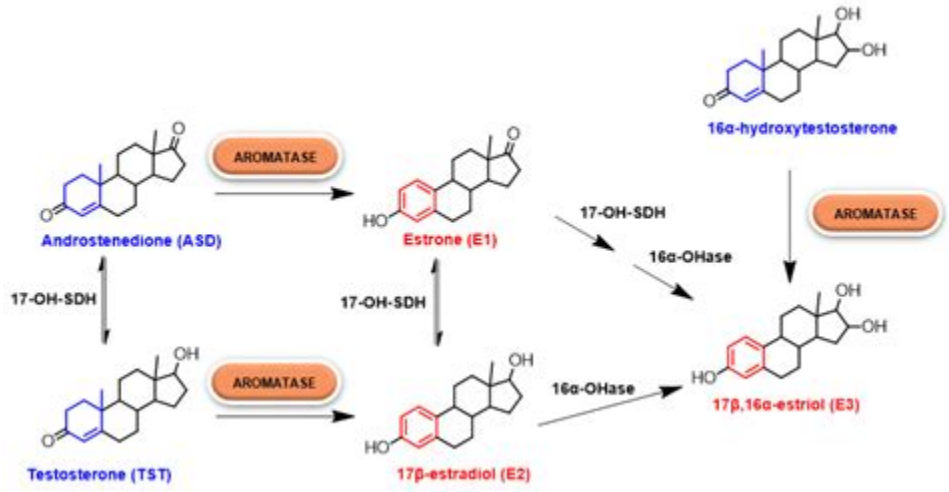
Figure 1. Design of constrained MOE (cMOE) and constrained Ethyl (cEt) nucleoside modifications

RESULTS AND DISCUSSION

We have previously demonstrated that replacing MOE residues with LNA nucleosides improves the potency of some ASOs in animals. However, this was accompanied with a significant increase in the risk for hepatotoxicity.[3] We hypothesized that replacing LNA with novel nucleoside monomers that combine the structural elements of MOE and LNA might mitigate the toxicity of LNA while maintaining potency. As such, LNA[4,5] can essentially be considered a 2'-*O*-Me nucleoside where the methyl group is constricted to the 4' position of the furanose ring. This 2',4' constraint enforces a N-type sugar pucker of the furanose ring and thereby improves hybridization with complementary RNA. Utilizing a similar strategy of conformational restraint, constraining the ethyl chain in the MOE residue back to the 4'-position of the furanose ring provided compounds **5** (*S*-constrained MOE, S-cMOE) and

Find 7-hydroxy-4-imidazole-flavin and related products for scientific research at MilliporeSigma. US EN. Applications Products Services Documents Support. Advanced Search. Structure Search. Search Within. Products Building Blocks Explorer Technical Documents Site Content Papers Genes Chromatograms. Shipping. Ships Today (4)

Lead optimization of 4-imidazolylflavans: New promising aromatase .



7-hydroxy-4-imidazolyl-flavan: A flavan derivative which is structurally similar to epicatechin, naringenin, and related compounds. Flavans such as this are naturally occurring and commonly found in tea and chocolate.

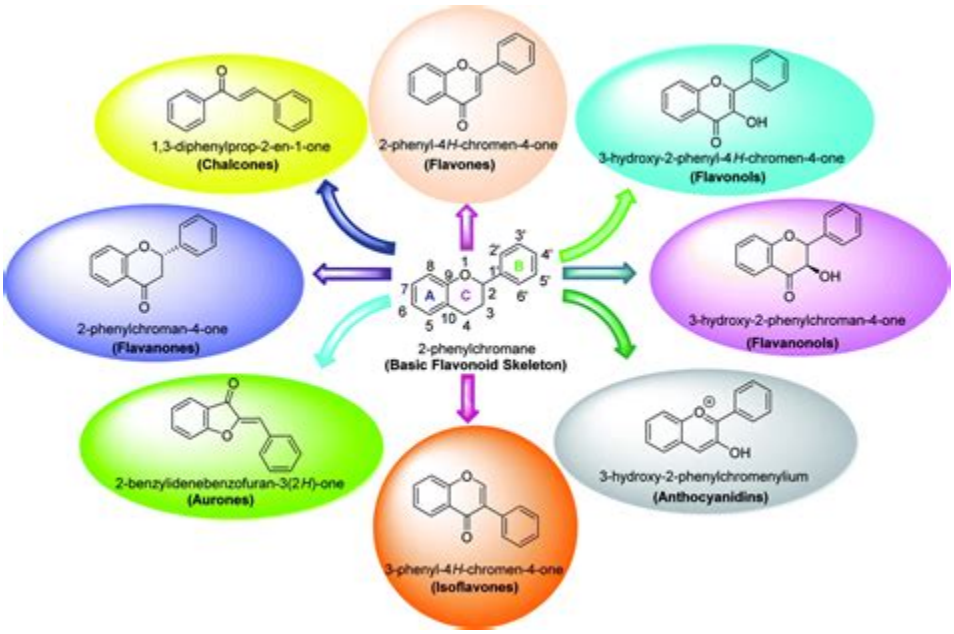
7-hydroxy-4-imidazolyl-flavin | Sigma-Aldrich - MilliporeSigma

7,8-Benzo-4"-hydroxy-4-imidazolylflavan | C22H18N2O2 | CID 54584262 - structure, chemical names, physical and chemical properties, classification, patents .

Best PCT for Prohormones Guide {How, When & What to Take}

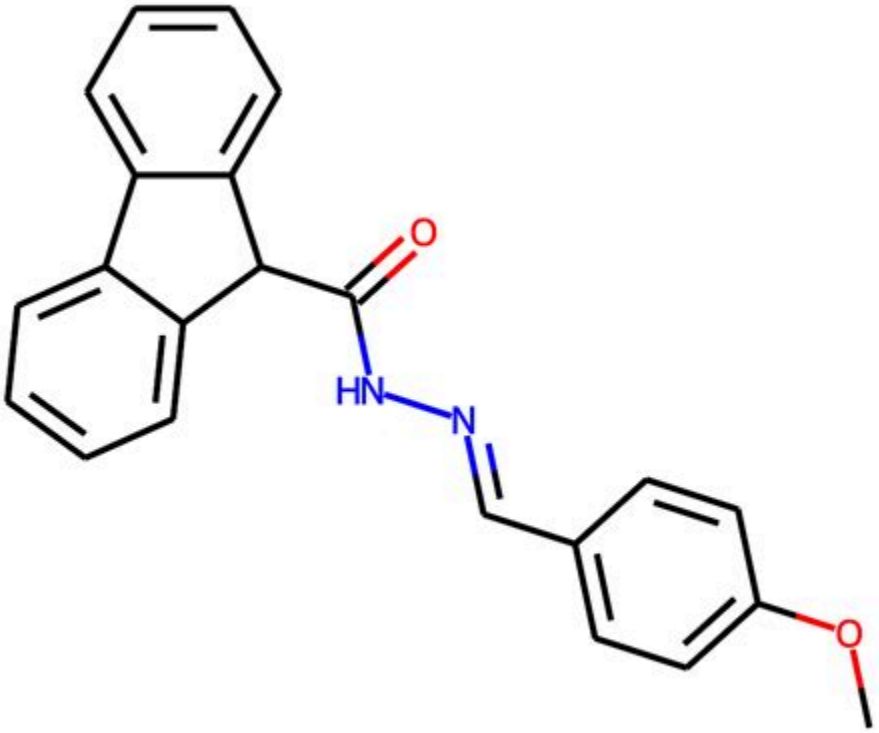
Stereoselective reduction by NaBH 4 of 7-methoxyflavanone 1 and 7-hydroxyflavanone 2 gave respectively 2,4- cis -7-methoxyflavan-4-ol 3 and 2,4- cis -7-hydroxyflavan-4-ol 4 as previously described. 7 Treatment of these two compounds with 1,1′-carbonyldiimidazole in THF led to the azole derivatives 5 (38%) and 6 (37%).

Role of Natural and Synthetic Flavonoids as Potential . - PubMed



As such staple ingredients should include the likes of 7-hydroxy-4-imidazolyl-flavan, Forskolin, 7-OXO, Maslinic Acid, Ursolic Acid, Ecklonia Cava, Berberine and even fish oils all of which can help enhance body composition but without causing negative effects on muscle mass. Notably, none of these ingredients is a stimulant nor will they .

7,8-Benzo-4''-hydroxy-4-imidazolylflavan | C22H18N2O2 | CID . - PubChem



This essential feature has been utilized to modify several natural flavonoids into 5 and 7 hydroxy/ methoxy flavone, 4-imidazolyl/triazolyl flavone, 5,4'- diamino flavone, 7,8- benzo-4-imidazolyl flavone, α-naphthoflavone, and 2-azole/thiazolyl isoflavone derivatives. These scaffolds have been considered in this review for meticulous study in .

Virtus - iron-legion

4-Imidazolylflavans are potent inhibitors of aromatase. New synthesized 7,8-benzo-4-imidazolylflavans are highly active. Great influence of stereochemistry on biological effect was demonstrated. Modulation of flavonoids could provide new promising aromatase inhibitors. Aromatase inhibitors 7,8-Benzo-4-imidazolylflavans Enantiomers

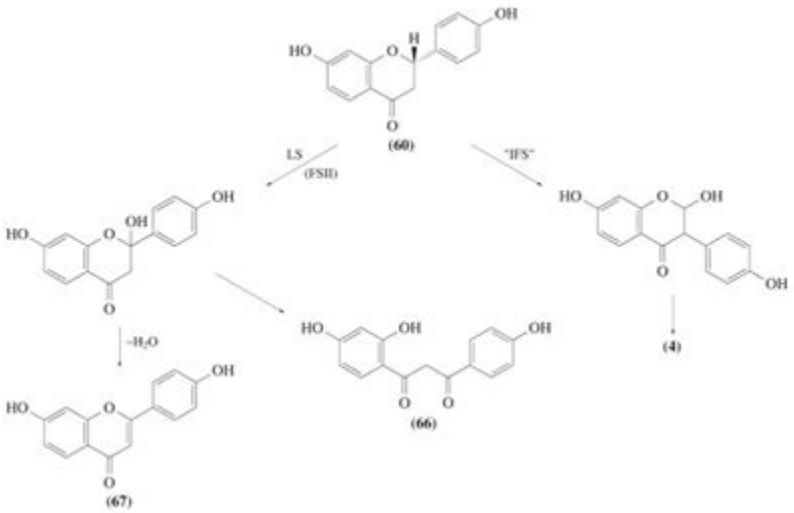
Iron Legion: Virtus | 7-hydroxy-4-imidazolylflavan

7-hydroxy-4-imidazolyl-flavan: 7mg Dehydroabiatic acid: 40mg Naringenin: 40mg Archived post. New comments cannot be posted and votes cannot be cast. Sort by: betapen • 3 yr. ago here (Assuming you are on gea r) If you don't have E2 symptoms Get bloods done before messing with your Estrogen. what's your current cycle?

7-hydroxy-4-imidazole-flavin | Sigma-Aldrich - MilliporeSigma

In our search of new aromatase inhibitors, we synthesized the 4-imidazolyl-7-methoxyflavan A and 7-hydroxy-4-imidazolylflavan B (Fig. 1), which were found to present a significant anti-aromatase activity since they were shown to be more potent than aminoglutethimide, the first nonsteroidal inhibitor clinically used in breast cancer therapy. 7 Compounds A and B have to be considered as a result .

The Power of 7-hydroxy-4-imidazolyl-flavan - suppreviewers



7-hydroxy-4-imidazolyl-flavan is the one which could potentially make or break this product. However, it seems so un-researched and if it offers anywhere near the potential side effects of Letrozole it is a big risk to take. Plus, these side effects of Letrozole are extremely common, not just a few certain people who react badly to the drug. Cons

Virtus - socal-nutrition

2. 2. Alkaloid Flavan-3-ols. In the review, a group of exceptional flavan-3-ols attached to pyrrolidone, indole moiety and skytanthine moieties (compounds 35-47) is uniformly classified as alkaloid flavan-3-ols []. They are usually linked with five-membered lactam rings at the C-6 or C-8 positions of ring-A. Interestingly, a new chiral center is formed naturally based on the γ-position carbon .

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