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Review Article

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Bioactive chalcones from Dorstenia species (Moraceae) in Cameroon

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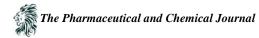
Abstract Chalcone is an aromatic ketone that forms a central core for a variety of important biological compounds, which are collectively known as chalcones. They consist of open-chain flavonoids in which the two aromatic rings are joined by a three-carbon α , β -unsaturated carbonyl system. They are of a large distribution amongst plant Kingdom. This review aims to highlight the geographical distribution of *Dorstenia* species in Cameroon and the specificity of Chalcones isolated in those plants species. The hemi-synthetic derivatives as well as the biological activities of some isolated compounds are also mentioned. This review is expected to be a comprehensive, authoritative, and critical review of the chalcone template to the chemistry community.

Keywords Moraceae, Dorstenia, Chalcones, biological activities

1. Introduction

Chalcones (1,3-diaryl-2-propen-1-ones), are a class of organic compounds found mainly in plants as natural defence mechanisms and as synthetic intermediates mainly in the biosynthesis of flavonoids and isoflavonoids. They are one of the major classes of natural products with widespread distribution in fruits, vegetables, spices, tea and soy based food stuff. The name "Chalcones" was given by Kostanecki and Tambor [1]. They possess different activities like antibacterial, antifungal, anti-inflammatory, anti tumor, etc. depending on the substitution made on them [2-8]. Studies revealed that compounds with a chalcone-based structure have anti-inflammatory [9], anti-bacterial [10], antifungal [11; 12], and anti-tumor activities [13; 14]. Introduction of various substituents into the two aryl rings is also a subject of interest because it leads to useful structure-activity relationship (SAR). In fact, the antioxidant activity of natural compounds like chalconoids is related to a number of different mechanisms such as free radical scavenging, hydrogen donation singlet oxygen quenching, metal ion chelation and acting as a substrate for free radicals such as superoxide and hydroxide [15].

In the present chapter, an attempt is made to review the chalcones and related compounds from the Medicinal Plants of the genus *Dorstenia* found in Cameroon.



2. A scorpus of the genus Dorstenia

The Genus *Dorstenia* (Moraceae) consists of monoecious plants latex; herbs or sub- shrubs with herbaceous or succulent roots. It is especially the African species that have succulent roots. The leafy stems are erect or ascending. The leaves are spiral or couplets pinnately veined and free lateral stipules. The flowers are solitary. The male flowers have 2-3 stamens, curved in the flower bud while the female flowers are in smaller number and contain 1-2 stigmas. The fruit can vary in size up to 1 cm in length. The endosperm is present in small grains and absent in large one [16].

The species of the genus *Dorstenia* are generally found in the undergrowth of rainforests and gallery forests, often near rivers or mountains [16]. This genus includes about 170 species distributed worldwide [17]. The table 1 below shows the twenty species recorded in Cameroon

| Name of the specie | Localisation | Region |
|--------------------|---|----------------------|
| D. africana* | Kribi | South |
| D. angusticarnis* | Ediki(Kumba) | South West |
| D. barteri* | Tombel, Kumba | South West |
| D. barnimiana | Djombi(Tibati) | Adamawa |
| D. benguellensis | Ngaoundéré | Adamawa |
| D. ciliata* | Ngombuku | South West |
| D. convexa* | Kala (Yaoundé)Mountain | Center |
| D. cuspidata | Djoumté (Poli) Mountain Fall of Doumba near Djohong (Mbéré) | North, Adamawa |
| D. dinklagei* | Eseka | Center |
| D. dorstenoïde | Kribi | South |
| D. elliptica* | Ediki(Kumba),Tombel(Moungo) | South West, Littoral |
| D. harmsiana | Nyabesan(Kribi) | South |
| D. involuta* | Kribi | South |
| D. kameruniana* | Kupé mountain | South West |
| D. ledermannii | Bakundu(Kumba) Reserve | South West |
| D. lujae | Lolodorf | South |
| D. mannii* | Nkoljobé(Yaoundé)mountain, Kribi | Center South |
| D.ophiocoma | Bakundu(Kumba) Reserve | South West |
| D. picta | Kribi,Lolodorf | South |
| D. poinsettifolia* | Yingui(Nkam) | Littoral |
| D. preussi | N'koemvone(Ebolowa) | South |
| D. proropens* | Makak | Center |
| D. psilurus* | Mbouda | West |
| D. turbinata* | Mamfé | South West |
| D. yambuyaensis* | Mount Elephant (Kribi) | South |
| D. zenkeri* | Kribi | South |
| D. africana* | Kribi | South |
| D. angusticarnis* | Ediki (Kumba) | South West |
| D. barteri* | Tombel, Kumba | South West |
| D. barnimiana | Djombi (Tibati) | Adamawa |
| D. benguellensis | Ngaoundéré | Adamawa |
| D. ciliata* | Ngombuku | South West |
| D. convexa* | Kala (Yaoundé) Mountain | Center |
| D. cuspidata | Djoumté (Poli) MountainFall of Doumba near Djohong (Mbéré) | North Adamawa |
| D. dinklagei* | Eseka | Center |
| D. dorstenoïde | Kribi | South |
| D. elliptica* | Ediki (Kumba),Tombel (Moungo) | South West, Littoral |
| D. harmsiana | Nyabesan (Kribi) | South |
| D. involuta* | Kribi | South |
| D. kameruniana* | Kupé mountain | South West |
| D. ledermannii | Bakundu (Kumba) Reserve | South West |

 Table 1: Dorstenia Species identified in Cameroon [18]

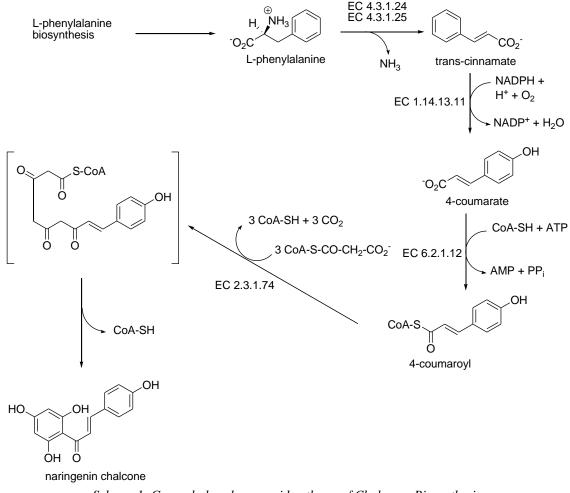


| D. lujae | Lolodorf | South |
|--------------------|-----------------------------------|--------------|
| D. mannii* | Nkoljobé (Yaoundé) mountain Kribi | Center South |
| D. ophiocoma | Bakundu (Kumba) Reserve | South West |
| D. picta | Kribi, Lolodorf | South |
| D. poinsettifolia* | Yingui (Nkam) | Littoral |
| D. preussi | N'koemvone (Ebolowa) | South |
| D. proropens* | Makak | Center |
| D. psilurus* | Mbouda | West |
| D. turbinata* | Mamfé | South West |
| D. yambuyaensis* | Mount Elephant (Kribi) | South |
| D. zenkeri* | Kribi | South |

N.B: *Indicates the species that has already been the subject of a phytochemical study in Cameroon.

3. Biosynthesis of chalcones

In recent years, much effort has been directed at elucidating the flavonoid biosynthetic pathway from a molecular genetics point of view. Flavonoids biosynthesis can conveniently be divided into three stages: (i) the formation of the C_6 - C_3 - C_6 skeleton; (ii) the biosynthesis of the different classes of flavonoids and (iii) the biosynthesis of the individual compounds within each flavonoid class. Flavonoids are synthesized by the phenylpropanoid metabolic pathway in which the amino acid phenylalanine is used to produce 4-coumaroyl-CoA [19]. This can be combined with malonyl-CoA to yield the true backbone of flavonoids, a group of compounds called chalcones, which contain two phenyl rings [20].



Scheme 1: General phenylpropanoid pathway of Chalcones Biosynthesis

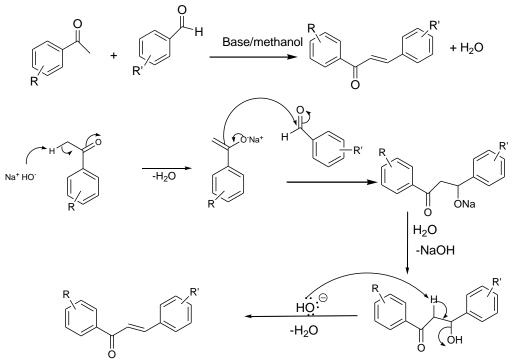


Enzymes:

EC 4.3.1.24 phenylalanine- ammonia-lyase EC 4.3.1.25 phenylalanine-tyrosine ammonia-lyase EC 1.14.13.11 trans-cinnamate 4-monooxygenase EC 6.2.1.12 4-coumarate—CoA ligase EC 2.3.1.74 naringenin-chalcone synthase

4. Synthesis of chalcones

A variety of methods are available for the synthesis of chalcones, the most convenient method is the one that involves the Claisen-Schmidt condensation of equimolar quantities of a substituted acetophenone with substituted aldehydes in the presence of aqueous alcoholic alkali as follow:



Scheme 2: General method of Chalcone synthesis and proposed mechanism

5. Chemical tests for chalcones

All chalcones give a pink coloration with concentrated H_2SO_4 (positive Wilson test). They also give a violet coloration with alcoholic ferric chloride solution when substituted with a phenolic hydroxyl [15].

6. Biological activities of chalcones isolated from the plant genus Dorstenia

Chalcone is a general name for the compounds containing 1,3-diaryl-2-propane-1-one unit, which are members of flavonoids. Natural or synthetic chalcones are known to have various biological activities, such as antioxidant, antimalarial, anticancer, antitumor, antimicrobial, antibacterial, antidiabetic, anti-inflammatory, anti-tuberculosis, anti-fungal, and antileishmanial [21]. It was reported that chalcones have the potential of inhibiting HIV1 virus and are active toward leukemia [21]. Chalcones have also various other applications such as in optical materials as UV-absorbing filters, in food industry and holographic paper technologies and medical treatments. In this section, we will emphasize on some of the hit or well-known pharmacological chalcones reported in African plants. An overview of biologically active Cameroonian chalcones isolated from *Dorstenia* species are listed in Table 2.



6.1. Antimicrobial activities

Chalcones were isolated primarily from plants of the family Moraceae and the genus *Dortenia* such as *Dorstenia* angusticornis [22], *Dorstenia elliptica* [23], *Dorstenia barteri* [24], and *Dorstenia barteri* [25; 26]. Among the chalcones, diprenylated compounds such as angusticornin B (7) and bartericin A (1) were reported to be very active against many Gram-positive and Gram-negative bacteria as well as yeasts such as *C. albicans, C. glabrata* and *C. krusei*. Stipulin (4) and bartericin C (3) displayed antimicrobial activities against *Citrobacter freundii* (MIC of 0.31 µg/ml for bartericin C and 0.61 µg.ml for stipulin, *Enterobacter aerogenes* (MIC of 78.12 µg/ml for bartericin C and 39.06 µg.ml for stipulin), *Escherichia coli* (MIC of 1.22 µg/ml for bartericin C and 0.61 µg.ml for stipulin), *Klebsiella pneumoniae* (MIC and stipulin and bartericin C , *Morganella morganii* (MIC of 1.22 µg/ml for bactericin C, 0.61 µg.mL for stipulin), *Proteus mirabilis* (MIC of 2.44 µg/ml for bartericin C and stipulin), *Salmonella typhi* (MIC of 78.12 µg/ml for bartericin C and 19.53 µg/ml for stipulin), *Bacillus cereus* (MIC of 1.22 µg/ml for bartericin C and 0.61 µg/ml for stipulin), *Staphylococcus aureus* (MIC of 2.44 µg/ml for bartericin C and 0.61 µg/ml for bartericin C and 0.61 µg/ml for stipulin), *Staphylococcus aureus* (MIC of 2.44 µg/ml for bartericin C and 0.61 µg/ml for stipulin), *Staphylococcus aureus* (MIC of 2.44 µg/ml for bartericin C and 0.61 µg/ml for stipulin), *Staphylococcus aureus* (MIC of 2.44 µg/ml for bartericin C and 0.61 µg/ml for stipulin), *Candida albicans* and *Candida glabrata* (MIC of 0.61 µg/ml for bartericin C, and 0.61 µg/ml for stipulin), *Candida kreusei* (MIC of 1.22 µg/ml for bartericin C and 5.12 µg/ml for stipulin), *Candida kreusei* (MIC of 1.22 µg/ml for bartericin C and 5.12 µg/ml for stipulin), *Candida kreusei* (MIC of 1.22 µg/ml for bartericin C and 5.10 µg/ml for stipulin), *Candida kreusei* (MIC of 1.22 µg/ml for bartericin C and stipulin), *Candida kreusei* (MIC

Isobavachalcon (14) displayed significant antimicrobial activities against *P. mirabilis, Proteus vulgaris, Microsporum audouinii* and *Trychophyton rubrum* (MIC of 1.2 µg/ml), *E. aerogones, M. morganii, Shigella flexneri, Bacillus subtilis, B. megaterium* and *B. cereus* (MIC of 0.6 µg/ml), *Enterobacter cloacae, S. faecalis, S. aureus, C. albicans and C. glabrata* (MIC of 0.3 µg/ml) [26], *Mycobacterium tuberculosis* ATCC700084 and *M. tuberculosis* H37Rv (MIC of 2.44 µg/ml), *Neisseria gonorrhoeae* (MIC range of 0.61 to 9.76 µg/ml) [27].

4-hydroxylonchocarpin (**18**) also displayed significant activities against *M. audouinii* (MIC of 9.8 μg/ml), *E. aerogenes, S. flexneri, S. faecalis, S. aureus, B. cereus, B. subtilis, C. albicans, C. glabrata, T. rubrum* (MIC of 4.9 μg/ml), *E. cloacae, M. morganii, Bacillus megaterium* and *Bacillus stearothermophilus* (MIC of 1.2 μg/ml), *Mycobacterium tuberculosis* ATCC700084 (MIC of 9.76 μg/ml), *N. gonorrhoeae* ATCC49226(MIC of 9.76 μg/ml) [27].

Kanzonol C (27) showed good activities against *P. mirabilis*, *P. vulgaris*, *B. cereus*, *B. subtilis*, *M. audouinii* (MIC of 9.8 µg/ml), *E aerogenes*, *E. cloacae*, *M. morganii*, *S. flexneri*, *S. faecalis*, *B. sterothermophilus*, *C. albicans* and *C. glabrata* (MIC of 4.9 µg/ml),[27]*Mycobacterium tuberculosis* ATCC700084 (MIC of 9.76 µg/ml), *N. gonorrhoeae* ATCC49226(MIC of 9.76 µg/ml) [27].

It has been demonstrated that hydroxylation of the prenylgroups induced a significant increase of the antimicrobial activity [23]. Mbaveng et al. also demonstrated that transposition of prenyl from 5' (stipulin) to 3' leads to kanzonol C (27), inducing an increase of antimicrobial activy. A mono-prenylated chalcone, was more active than most of the diprenylated chalcones tested so far, with significant inhibitory effects observed on several bacteria and fungi [23]. Cyclization of this molecule induced a significant reduction of the activity [23]. Kuete et al. also demonstrated that the shift of prenyl group from C3 to position C3' reduced the specificity of related compounds against Gramnegative bacteria, while activity remained significant on the Gram-positive bacteria and yeasts [24].

6.2. Anti-protozoan Activity

Malaria is caused by protozoans of the genus *Plasmodium* (*Plasmodium falciparum*, *Plasmodium malariae*, *Plasmodium ovale*, and *Plasmodium vivax*) [28].

The chalcones bartericin A (1), 4-hydroxylonchocarpin (18), stipulin (4) and kanzonol B (10) were isolated from the twigs of *Dorstenia barteri* (Moraceae) from Cameroon by Ngameni *et al* [29]. These compounds were evaluated in culture against the W2 strain of *P. falciparum*. The evaluated compounds were found to be active *in vitro* against *P. falciparum.Compound* (1), (18) and (4), demonstrated particular potencies with relatively low IC₅₀ values (2.15 μ M, 3.36 μ M and 5.13 μ M respectively). The observed activities confirmed the chalcones as potential leads for the development of anti-malarial.



6.3. Anticancer and Cytotoxic Activities

In a human body, between the fertility of the egg and death there in 10^{16} cell divisions occur, and these divisions are subject to very strict control mechanisms. Sometimes for reasons not well understood, these cells escape these controls and develop anarchically to form cells known as tumor growths name [29- 31]. Diseases related to abnormal cell proliferation are grouped under the name of cancer. Among the cancer disposal methods in humans, chemoprevention is noted of preventing the occurrence of these diseases by the administration of certain chemicals including chalcones [32]. Indeed, studies show that all ketones having a double bond conjugated with a ketone function are mostly anti officer's cancer [4; 5; 32].

Ngameni et al. Carried out Biological screening on six natural chalcones isolated from *D.barteri*, three hemisynthetic homologues derived from the cyclisation of kanzonol C (27) and the hydrogenation of isobavachalcon (14) as well as on the crude extract of this plant for the inability to inhibit the MMP-2 secretions which are involved in the invasive phase of primary brain tumours derived from glioblastoma U₈₇. These results show that, stipulin (4), isobavachalcon (14), dorsmanin A (16) and paratocarpin C (9) show a good inhibitory activity (60 to 80% of inhibition); while kazonol C (27) and 4-hydroxylonchocarpin (18) exhibit a moderate activity. The hemi-synthetic compounds have a lower activity compare to that of the natural compounds; thus justifying importance of the hydroxyl group and the double bond in the activity of the compounds [27].

6.4 Anti-inflammatory activity

Inflammation is the body's response to invasion of a pathogen. The Mast cells play a central role in the pathogenesis of diseases such as asthma, rhino-conjontivite, urticaria, etc. and other chronic inflammatory disorders caused by Mast cells and neutrophils. The inhibition of the chemical mediators released by cells Mast and neutrophils is therefore a rational therapeutic approach to treat a variety of inflammatory diseases and allergic [29-31]. Dzoyem et al. have investigated the anti-inflammatory and anticholinesterase activity of six naturally occurring flavonoids: (-) pinostrobin (1), 2',4'-dihydroxy-3',6'-dimethoxychalcone (2), 6-8-diprenyleriodictyol (3), isobavachalcone (4), 4-These hydroxylonchocarpin (5) and 6-prenylapigenin (6). compounds were isolated from Dorstenia and Polygonum species used traditionally to treat pain. The anti-inflammatory activity was determined by using the Griess assay and the 15-lipoxygenase inhibitory activity was determined with the ferrous oxidation-xylenol orange assay. Acetylcholinesterase inhibition was determined by the Ellman's method. At the lowest concentration tested (3.12 µg/mL), compounds 2, 3 and 4 had significant NO inhibitory activity with 90.71, 84.65 and 79.57 % inhibition respectively compared to the positive control quercetin (67.93 %). At this concentration there was no significant cytotoxicity against macrophages with 91.67, 72.86 and 70.86 % cell viability respectively, compared to 73.1 % for quercetin. Compound 4 had the most potent lipoxygenase inhibitory activity $(IC_{50} \text{ of } 25.92 \,\mu\text{g/ml})$. Except (-) pinostrobin (1), all the flavonoids had selective anticholinesterase activity with IC_{50} values ranging between 5.93 and 8.76 µg/ml compared to the IC_{50} 4.94 µg/ml of eserine the positive control. These results indicate that the studied flavonoids especially isobavachalcone are potential anti-inflammatory natural products that may have the potential to be developed as therapeutic agents against inflammatory conditions and even Alzheimer's disease [32].

| Plant | Source | Chalcone subclass | Chalcones present | Reference |
|--|--------|----------------------|--|-----------|
| D. barteri var. subtriangularis | twigs | prenylic | Bartericins A (1), B (2) and Bartericin C (3)Stipulin (4) | [33] |
| D. angusticornis and D. barteri var. subtriangularis | twigs | prenylic | Bartericin D (5);Angusticornin A (6) Angusticornin B (7) 3'-(2-hydroxy-3-methylbut-3-enyl)- 4,2',4'- trihydroxychalcone (8) Bartericins A (1), B (2) and C (3) Paratocarpin C (9); Kanzonol B (10) | [34] |

 Table 2. Occurrence of chalcones from Cameroonian Dorstenia species (Moraceae)



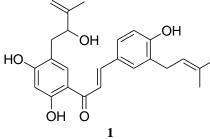
| | | | Paratocarpin F (11) | |
|-------------------|-----------------|-----------|--|------|
| D. elliptica | twigs | prenylic | 3-(3,3-dimethylally)-4,2',4' | [35] |
| | | | -trihydroxylchalcone. (12) | |
| D. kameruniana | leaves | prenylic | 3,4-,4',5'-bis-(2,2-dimethylchromano) | [36] |
| | | | -2'-hydroxychalcone. (13) | |
| | | | Isobavachalcone (14) | |
| | | | (E)-1-[2,4-dihydroxy-5-[3-methylbut-2- | |
| | | | enyl] phenyl]-3-[4-hydroxy-3-[3- | |
| | | | methylbut-2-enyl]phenyl]-prop-2-en-1- | |
| | | | one. (15) | |
| D. mannii | twigs | Prenylic | Dorsmanin A (16) | [37] |
| | -0- | j# | 3',4'-(2,2-dimethylchromeno)- | r1 |
| | | | 2',4'-dihydroxychalcone (17) | |
| D. mannii | Aerial parts | Prenylic | 4-Hydroxylonchocarpin (18) | [38] |
| D. poinsettifolia | herbs | Geranylic | Poinsettifolin B (19) | [39] |
| D. poinsettifolia | twigs | Prenylic | 3',4'-(2,2-dimethylchromeno)- | [17] |
| 1 | 6 | Ĵ | 2',4'-dihydroxychalcone (17) | |
| | | | 3',4'-(2,2-dimethylpyrano)- | |
| | | | 2'-dihydroxy-4-methoxychalcone (20) | |
| D. prorepens | | Geranylic | Prorepensin (21) | [40] |
| * * | | • | 4-Hydroxylonchocarpin (18) | |
| D. zenkeri | twigs | Prenylic | Bichalcone (22) | |
| | - | · | 3',4'-(3-hydroxy-2,2- | |
| | | | dimethyldihydropyrano)- | |
| | | | 4,2'-dihydroxychalcone (23) | |
| | | | Dorsmanin A (16) | |
| | | | Isobavachalcone (14) | |
| D. ciliata | Aerial parts | Prenylic | Stipulin (4) | [41] |
| | - | - | Isobavachalcone (14) | |
| | | | β-galactopyranoside- | |
| | Chemical | | 4-Hydroxylonchocarpin(24) | [42] |
| D. barteri Bureau | transformations | | B-D-glucosaminide- | |
| | | | 4-Hydroxylonchocarpin (25) | |
| | | | Angusticornin C (29); Stipulin (4) | |
| | | | Paratocarpin C (9) | |
| D. angusticornis | Twigs | Prenylic | Paratocarpin F (11) | [43] |
| ~ | - | - | Angusticornin A (6) | _ |
| | | | Angusticornin B (7) | |

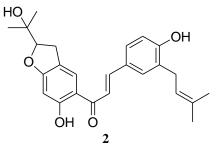
Table 3: Biologically active chalcones and its derivatives isolated from Cameroonian Dorstenia plants

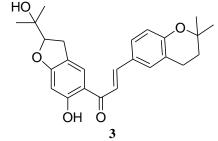
| Subclass and compound | Plant | Pharmacologic alativities | Reference |
|-------------------------------|-----------------------|---------------------------------------|-----------|
| Prenylic | D. angusticornis | Antimicrobial | [44] |
| Stipulin (4), Angusticornin B | | | |
| (7) and BartericinA (1) | | | |
| Prenylic | D. barteri and D. | Antitrichomonal | [22;36] |
| Isobavachalcone (14) | convexa | Antioxidant | |
| Bartericin B (2) | | | |
| Bartericin A (1) | | | |
| 4-Hydroxylonchocarpin (18) | | | |
| Isobavachalcone (14) | D. barteriBureau var. | Antimicrobial; | [23;45] |
| 4-hydroxylonchocarpin (18) | multiradiata | Antimycobacterial, Antigonorrheal and | |
| Kanzonol C (27) | | Reverse transcriptase | |



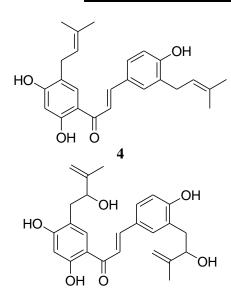
| 4-hydroxylonchocarpin (18) | D. tubinata | Inhibition of MMP-2 secretion | [42] |
|----------------------------|-----------------------|---|------|
| Kanzonol C (27) | | | |
| 4-hydroxylonchocarpin (18) | | | |
| Kanzonol C (27) | D. turbinata | Antibacterial and antifungalactivities | [26] |
| Bartericin A (1) | | | |
| Bartericin B (2) | D. barteri var. | | |
| Stipulin (4) | subtriangularis | Antimalarial | |
| Isobavachalcone (14) | - | | [27] |
| 4-Hydroxylonchocarpin (18) | | | |
| Kanzonol B (10) | | | |
| | | | |
| Poinsettifolin B (19) | D. poinsettifolia | Cytotoxicity | [46] |
| Isobavachalcone (14) | Dorstenia barteri | Cytotoxicity | [47] |
| | Bureau var. | | |
| | multiradiata | | |
| Isobavachalcone (14) | D. barteri | Antimicrobial | [48] |
| 4-Hydroxylonchocarpin (18) | | | |
| Isobavachalcone (14) | D. barteri | Anti-inflammatory and | [26] |
| 4-Hydroxylonchocarpin (18) | | anticholinesterase activity | |
| Isobavachalcone (14) | D. barteri | Antibacterial activity(MDR strains, and | [49] |
| | | that combination with efflux pump | |
| | | Inhibitors reinforces their activity) | |
| Bartericin C (3) | D. species | Antibacterial activity | [45] |
| Stipulin (4) | | | |
| 4-Hydroxylonchocarpin (18) | | | |
| Angusticornin B (26) | | | |
| 4-Hydroxylonchocarpin (18) | D. barteri | Cytotoxicityactivity | [50] |
| Dorsmanin A (16) | D. mannii | Antimicrobial | [51] |
| Stipulin (4) | D. barteri Bureau var | Inhibition of MMP-2 secretion | [52] |
| Paratocarpin C (9) | multiradiata | | |
| Isobavachalcone (14) | | | |
| Dorsmanin A (16) | | | |
| 4-Hydroxylonchocarpin (18) | | | |
| Kanzonol C (27) | | | |
| Isocycloglabrol (28) | | | |
| 2'',3''-α,β-Tetrahydro- | Chemical | | |
| Isobavachalcone (29) | transformations | | |

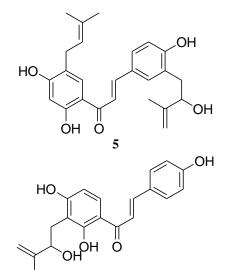


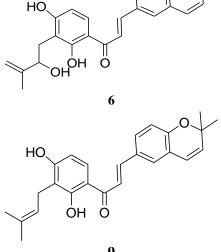




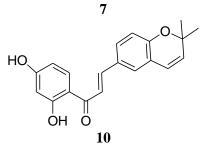


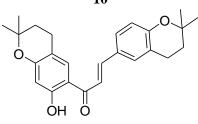


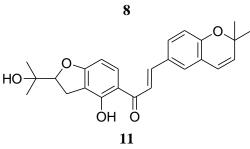


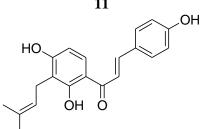


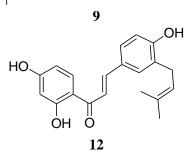
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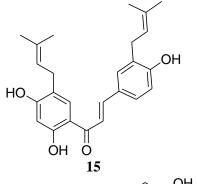


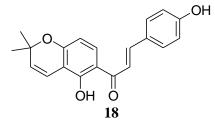


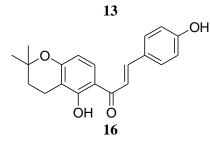


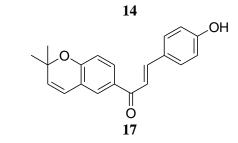




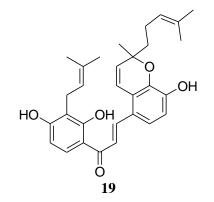


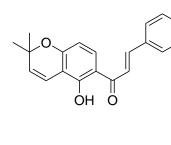


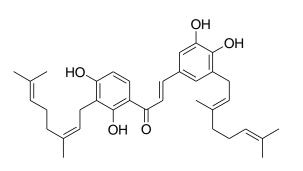




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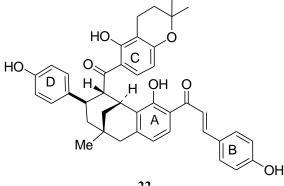


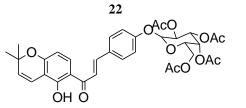




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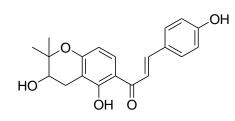
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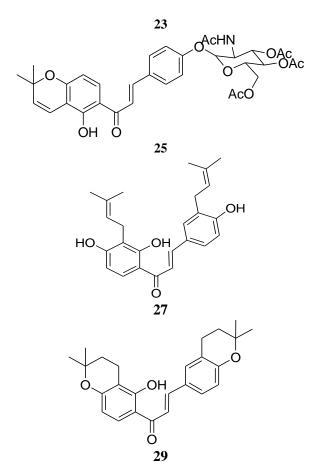
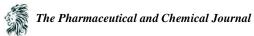
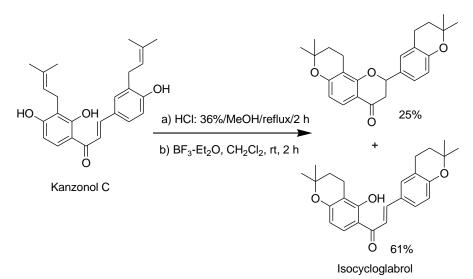


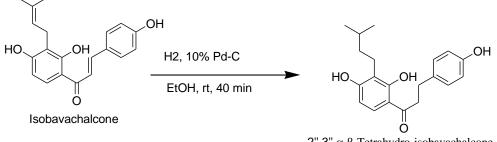
Figure 1 : Bioactive chalcones identified in Cameroonian Dorstenia plant



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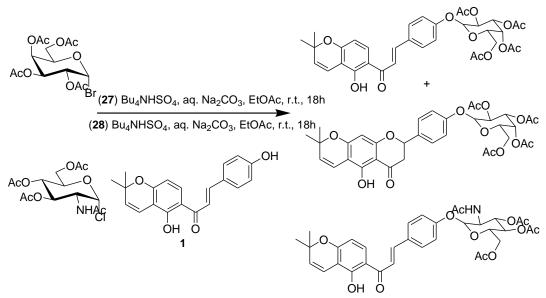


Scheme 3: Kanzonol C (3) acid-catalyzed cyclization: (a) HCl (36%) in MeOH, reflux, 2 h; (b) BF_3 - Et_2O , CH_2Cl_2 , rt, 2 h.

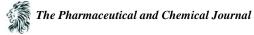


2",3", α , β -Tetrahydro-isobavachalcone

Scheme 4: Isobavachalcone hydrogenation



Scheme 5: Glycosylation of 4-hydroxylonchocarpin (1)



7. Conclusion

Amongst the 26 species of *Dorstenia* described in Cameroon, Phytochemical study have been carried on 16 species. A total of 29 structures of chalcones have been isolated in 10 species and sub-species. Almost all the chalcones are prenylated and only two are geranylated compounds namely poinsettifolin B (**19**) and proropensin (21) and compound (**22**) is a bichalcone. 4-hydroxyonchocarpin, isobavachalcone and Kanzonol C are of large distribution and seem to be the marquers of this genus. Those 3 compounds along other chalcones have been tested for their antibacterial, anti-inflammatory, cytotoxic, antitrichomonal and anticancer potential. Some chemical transformation have been carried on Kanzol C, isobavachalcone and 4-hydroxyonchocarpin (Cyclisation, hydrogenation, glycosylation). The overall results showed that natural products are more reactive than the hemi-synthetic homologues hence highlighting the importance of phenolic group, the α , β double bond and the prenyl group in the activities.

Conflict of Interest statement

The authors declare that they have no conflict of interest.

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