CHAPTER II

HISTORICAL

1. Chemical Constituents of genus *Ochna*

Several compounds have been found in the genus *Ochna*. Flavonoids were the outstanding group, followed by steroids, benzenoids, anthraquinone derivatives and hydrocarbon derivatives. The data from literature review are shown in Table 1.

Table 1 Chemical constituents of genus *Ochna*

Plant species	Chemical constituent	Category	Part	Reference
Ochna afzelii	lophirone C (**1**)	biflavonoid	stem bark	Pegnyemb *et al.*, 2001
	isolophirone C (**2**)	biflavonoid	stem bark	Pegnyemb *et al.*, 2001
	dihydrolophirone C (**3**)	biflavonoid	stem bark	Pegnyemb *et al.*, 2001
O. atropurpurea	ochnaflavone (**4**)	biflavonoid	leaf	Khan, Siddiqui, Ilyas, 1984
	palmitoleic acid (**5**)	fatty acid	seed	Ahmad *et al.*, 1982
O. beddomei	afrormosin (**6**)	isoflavonoid	leaf	Jayaprakasam *et al.*, 2000
	2,3-dihydro ochnaflavone (**7**)	biflavonoid	leaf	Jayaprakasam *et al.*, 2000

Table 1 Chemical constituents of genus *Ochna* (continued)

Plant species	Chemical constituent	Category	Part	Reference
O. beddomei (continued)	2,3-dihydro ochnaflavone 7-*O*-methyl ether (**8**)	biflavonoid	leaf	Jayaprakasam *et al.*, 2000
	(-)-epicatechin (**9**)	flavonoid	leaf	Jayaprakasam *et al.*, 2000
	kaempferol (**10**)	flavonoid	leaf	Jayaprakasam *et al.*, 2000
	kaempferol 3-*O*-rhamnoside (**11**)	flavonoid glycoside	leaf	Jayaprakasam *et al.*, 2000
	kaempferol 3-*O*-glucoside (**12**)	flavonoid glycoside	leaf	Jayaprakasam *et al.*, 2000
	ochnaflavone (**4**)	biflavonoid	leaf	Jayaprakasam *et al.*, 2000
	7-*O*- methyl tetrahydro ochnaflavone (**13**)	biflavonoid	leaf	Jayaprakasam *et al.*, 2000
	taxifolin 3-*O*-rhamnoside (**14**)	flavonoid glycoside	leaf	Jayaprakasam *et al.*, 2000
O. calodendron	calodendroside A (**15**)	flavoniod	stem bark	Messanga, Sondengam and Bodo, 2000
	calodendroside B (**16**)	fatty acid	stem bark	Messanga *et al.*, 2001
	calodendroside C (**17**)	fatty acid	stem bark	Messanga *et al.*, 2001

Table 1 Chemical constituents of genus *Ochna* (continued)

Plant species	Chemical constituent	Category	Part	Reference
O. calodendron (continued)	calodenin A (**18**)	biflavonoid	stem bark	Messanga *et al.*, 1994
	calodenin B (**19**)	biflavonoid	stem bark	Messanga *et al.*, 1994
	calodenin C (**20**)	dimeric proantho-cyanidin	stem bark	Messanga *et al.*, 1998
	calodenone (**21**)	isobiflavo-noid	stem bark	Messanga *et al.*, 1992
	(+)-catechin (**22**)	flavonoid	root bark	Messanga *et al.*, 2001
	chamaejasmine (**23**)	biflavonoid	root bark	Messanga *et al.*, 2001
	β-sitosterol-β-D-glucoside (**24**)	sterol glycoside	stem bark	Messanga *et al.*, 1992
	5,4′-dihydroxy-3′-methoxy-6,7-methylenedioxy isoflavone (**25**)	isoflavonoid	stem wood	Messanga *et al.*, 1998
	5 -hydroxy-4′-methoxy-6,7-methylenedioxy isoflavone (**26**)	isoflavone	stem wood	Messanga *et al.*, 1998
	lophirone A (**27**)	biflavonoid	stem bark	Messanga *et al.*, 1992

Table 1 Chemical constituents of genus *Ochna* (continued)

Plant species	Chemical constituent	Category	Part	Reference
O. calodendron (continued)	lophirone C (**1**)	biflavonoid	stem bark	Ghogomu Tih, *et al.*, 1989
	lophirone K (**28**)	biflavonoid	stem bark	Messanga *et al.*, 1994
	ochnachalcone (**29**)	pentaflavo-noid	stem bark	Messanga *et al.*, 2001
	quercetrin (**30**)	flavonoid	root bark	Messanga *et al.*, 2001
	quercitrin (**31**)	flavonoid	stem bark	Messanga *et al.*, 2001
O. integerrima	2″,3″-dihydro-ochnaflavone (**32**)	biflavonoid	leaf	Likhitwitayawuid *et al.*, 2000
	2″,3″-dihydro-ochnaflavone 7″-*O*-methyl ether (**33**)	biflavonoid	leaf	Likhitwitayawuid *et al.*, 2000
	6-γ,γ-dimethylallyl taxifolin 7-*O*-β-D-glucoside (**34**)	flavonoid glycoside	leaf	Likhitwitayawuid *et al.*, 2000
O. jabotapita	isoorientin (**35**)	flavonoid glycoside	leaf	Nair, Ramesh and Subramanian, 1975
	orientin (**36**)	flavonoid glycoside	leaf	Nair, Ramesh and Subramanian, 1975

Table 1 Chemical constituents of genus *Ochna* (continued)

Plant species	**Chemical constituent**	**Category**	**Part**	**Reference**
O. jabotapita (continued)	vitexin (**37**)	flavonoid glycoside	leaf	Nair, Ramesh, and Subramanian, 1975
O. mossambicensis	gentisic acid (**38**)	benzenoid	leaf	Griffiths, 1959
O. obtusata	2,3-dihydro ochnaflavone (**7**)	biflavonoid	leaf	Rao *et al.*, 1997
	2,3-dihydro ochnaflavone 7-*O*-methyl ether (**8**)	biflavonoid	leaf	Rao *et al.*, 1997
	kaempferol 3-*O*-glucoside (**12**)	flavonoid glycoside	leaf	Rao *et al.*, 1997
	ochnaflavone (**4**)	biflavonoid	leaf	Rao *et al.*, 1997
	przewalskinone B (**39**)	anthraquinone	stem bark	Sivaprakasam *et al.*, 1997
	quercetin 3-*O*-glucoside (**40**)	flavonoid glycoside	leaf	Rao *et al.*, 1997
O. pulchra	acetylvisimione D (**41**)	anthraquinone	root bark	Sibanda *et al.*, 1993
	3-*O*-geranylemodin-anthrone (**42**)	anthraquinone	root bark	Sibanda *et al.*, 1993
	(-)-ochnabianthrone (**43**)	anthraquinone	root bark	Sibanda *et al.*, 1990

Table 1 Chemical constituents of genus *Ochna* (continued)

Plant species	Chemical constituent	Category	Part	Reference
O. pulchra (continued)	vismione D (**44**)	anthraquinone	root bark	Sibanda *et al.*, 1993
	vismione L (**45**)	anthraquinone	root bark	Sibanda *et al.*, 1993
	vismione M (**46**)	anthraquinone	root bark	Sibanda *et al.*, 1993
	ochnaflavone (**4**)	biflavonoid	leaf	Kamil *et al.*, 1983 Kamil *et al.*, 1987
O. pumila	7″-*O*-methyl ochnaflavone (**47**)	biflavonoid	leaf	Kamil *et al.*, 1983 Kamil *et al.*, 1987
	7″-*O*-methyltetrahydro-amentoaflavone (**48**)	biflavonoid	leaf	Kamil *et al.*, 1987
	tetrahydroamentoflavone (**49**)	biflavonoid	leaf	Kamil *et al.*, 1987
O. squarrosa	campesterol (**50**)	steroid	entire plant	Purushothaman, Sarada and Ablakrishnan, 1980
	4′,7-di-*O*-methyl ochnaflavone (**51**)	biflavonoid	leaf	Okigawa and Kawano, 1976

Table 1 Chemical constituents of genus *Ochna* (continued)

Plant species	**Chemical constituent**	**Category**	**Part**	**Reference**
O. squarrosa (continued)	isovitexin (**52**)	flavonoid glycoside	leaf	Mohammad *et al*., 1982
	5-methoxyfurano (2″,3″ : 7,8) flavone (**53**)	flavonoid	stem	Reddy, Kumar and Srimannarayana, 1983
	ochnaflavone (**4**)	biflavonoid	leaf	Okigawa and Kawano, 1973
	4′-*O*-methyl ochnaflavone (**54**)	biflavonoid	leaf	Okigawa and Kawano, 1976
	octacosan-1-ol (**55**)	long chained alcohol	Entire plant	Purushothaman, Sarada and Ablakrishnan, 1980
	orientin (**36**)	flavonoid glycoside	leaf	Okigawa and Kawano, 1976
	β-sitosterol (**56**)	steroid	heart wood	Rao and Gunasekar, 1989
	oleanolic acid (**57**)	steroid	heart wood	Rao and Gunasekar, 1989
	squarrosin (**58**)	isoflavonoid	heart wood	Rao and Gunasekar, 1989
	5,7,8-trimethoxy-3′,4′-methylenedioxy isoflavone (**59**)	isoflavonoid	root bark	Nia and Gunasekar, 1992

Table 1 Chemical constituents of genus *Ochna* (continued)

Plant species	Chemical constituent	Category	Part	Reference
O. squarrosa (continued)	vitexin (**37**)	flavonoid glycoside	leaf	Mohammad *et al.*, 1982
	5, 3′,4′-trimethoxy-6,7-methylenedioxy isoflavone (**60**)	isoflavonoid	heart wood	Rao and Gunasekar, 1989
	vitexin (**37**)	flavonoid glycoside	leaf	Mohammad *et al.*, 1982

2. Biological activity of compounds isolated from *Ochna*

Ochnaflavone (**4**), a biflavonoid found in many *Ochna* species e.g. *O. atropurpurea*, *O. beddomei*, *O. obtusata*, *O. pumila and O. squarrosa*, inhibited rat platelet phospholipase A_2 at IC_{50} 3 μM (Chang *et al.*, 1994). Lophirone A (**27**), a compound isolated from plants in the genera *Lanceolata* and *Ochna*, significantly inhibited inflammation of mouse ear which was induced by HHPA (12-*O*- hexadeca-noyl-16-hydroxyphorbol-13-acetate). It also inhibited both Ca^{2+} and phospholipid-dependent protein kinase C (PKC) activation by 12-*O*-tetradecanoylphorbol-13-acetate (TPA) at IC_{50} 50 μM. Application of lophirone A 160 nmol reduced the number of tumors per mouse in an initiation-promotion experiment using dimethylbenz[a]anthracene (DMBA) 0.19 mumol and TPA 1.6 nmol on ICR mouse skin. (Murakami, *et al.*, 1991).

3. Flavonoids with free radical scavenging activities

Flavonoids are widely distributed in plants. Over 4,000 structures have been identified. Some of them have long been recognized to possess anti-inflammatory, antioxidative, antiallergic, hepatoprotective, antithrombotic, antiviral and anticarcinogenic activities (Middleton, Kandaswami and Theoharides, 2000). Most interesting activity has been devoted to the antioxidative activity of flavonoids, which is due to their ability to reduce free radical formation and to scavenge free radicals (Pietta, 2000). Flavonoids as free radical scavengers have been grouped, as follows:

3.1 Flavone derivatives

The antilipid peroxidative effects of some flavones were investigated using CCl_4-induced lipid peroxidation in rat microsomes. The active compounds were apigenin (**61**), gardenin D (**62**) and luteolin (**63**) with IC_{50} values of 79.1 $\pm$ 0.8, 84.6 $\pm$ 1.7 and 70.4 $\pm$ 1.7 μM, respectively (Cholbi, Paya and Alcaraz, 1991). Table 2 shows the results of luteolin (**63**), sorbalin (**64**), biacalin (**65**) in inhibiting lipid perooxidation which was induced by H_2O_2, Fe^{2+} and H_2O_2+Fe^{2+}. Cirsimarin (**66**), 6-hydroxyluteolin (**67**), baicalein (**68**) exhibited antilipid peroxidative activity induced by Fe^{2+} and H_2O_2+Fe^{2+}. In another report apigenin (**61**) and scutellarein (**69**) inhibited H_2O_2-induced lipid peroxidation while luteolin 7-*O*-glucoside (**70**) inhibited H_2O_2+Fe^{2+}-induced lipid peroxidation (Yokozawa *et al.*, 1997).

Table 2 IC_{50} (μg/ml) values of antilipid peroxidative flavones

Compound	Treatment		
	H_2O_2	Fe^{2+}	H_2O_2+ Fe^{2+}
61	68.58±16.18	>500	>500
63	16.84±2.17	2.64±0.04	1.18±0.01
64	16.20±1.45	2.0±0.09	0.82±0.01
65	>500	23.31±1.13	4.40±0.09
66	100.07±13.49	46.32±6.8	6.76±0.41
67	>500	287.20±57.04	14.58±0.33
68	>500	316.71±31.55	16.58±1.06
69	2.80±0.56	>500	>500
70	>500	>500	125.07±17.68

Flavones also showed $ABTS^{\cdot+}$ cation scavenging activity, in comparison with trolox, a standard compound. The activity was expressed as the trolox equivalent antioxidative capacity value (TEAC) (Pietta, 2000), as shown in Table 3.

Table 3 Flavones as $ABTS^{\cdot+}$ cation scavengers

Compound	TEAC (mM)
apigenin (**61**)	1.45
luteolin (**63**)	2.09
luteolin 4′–glucoside (**71**)	1.74
chrysin (**72**)	1.43

2″-*O*-Glycosylisovitexin (**73**) from barley leaves, *Hordium vulgare* L. Var *nudum* Hook, showed activity against H_2O_2+ Fe^{2+} induced ethylinoleate oxidation at 100 μg/1.5 mg of ethyl linoleate (Osawa *et al.*, 1992). Flavones from *Ginkgo biloba* have been studied for their antilipid peroxidation which was induced by *t*-butyl peroxide. Only luteolin (**63**) exhibited DPPH radical scavenging activity as shown in Table 4 (Joyeux *et al.*, 1995).

Table 4 Antilipid peroxidation flavones from *Ginkgo biloba*

Compound	Concentration (μM)	MDA nm/10^6 cell	DPPH, % decoloration 10^{-4} M
apigenin (**61**)	100	7.13±0.48	0
luteolin (**63**)	100	0.70±0.18	59
chrysin (**72**)	100	6.93±1.79	0
flavone (**74**)	100	11.11±0.32	0
acacetin (**75**)	100	6.97±0.51	0

3.2 Flavonol derivatives

Flavonols which inhibited CCl_4-induced lipid peroxidation were dasticetin (**76**), galangin (**77**), morin (**78**) and robinetin (**79**) with IC_{50} values of 39.5±0.8, 68.9±1.3, 48.5±0.9 μM, 96.8±1.6 μM, respectively (Cholbi, Paya and Alcaraz, 1991). Yokozawa *et al.*, 1997 have studied several flavonols with antilipid peroxidative activity as shown in Table 5.

Table 5 Antilipid peroxidative activities of flavonols

Compound	Treatment		
	H_2O_2	Fe^{2+}	H_2O_2+ Fe^{2+}
rhamnetin (**80**)	18.06±4.44	0.96±0.07	0.73±0.01
hyperin (**81**)	49.56±8.69	2.94±0.03	1.09±0.05
oxyayanin A (**82**)	1.09±0.07	1.44±0.02	1.18±0.03
quercetin (**83**)	3.02±0.13	0.01±0.01	1.32±0.03
chrysosplenol B (**84**)	3.11±0.33	1.66±0.03	1.40±0.02
kaempferol (**10**)	51.33±5.54	3.55±0.11	4.23±0.06
isoquercitrin (**40**)	>500	56.02±4.63	5.03±0.12
chrysosplenol C (**85**)	>500	>500	10.34±0.79
quercitrin (**31**)	12.77±1.47	>500	20.56±0.86
tetramethylquercitin (**86**)	15.11±2.21	5.36±0.31	22.23±0.32
quercimeritrin (**87**)	253.31±99.60	>500	78.25±3.50
rutin (**88**)	22.74±6.09	>500	>500

Some flavonols have been tested for their free radical scavenging activities, and the results are shown as TEAC values in Table 6 (Pietta, 2000). Flavonol derivatives, from *Ginkgo biloba*, inhibited *t*-BuOOH-induced lipid peroxidation and showed DPPH radical scavenging activities as shown in Table 6 (Joyeux, *et al.*, 1995).

Table 6 TEAC values and antilipid peroxidative activities of flavonols

Compound	TEAC (mM)	Concentration (μM)	MDA nm/10^6 cell	DPPH, % decoloration 10^{-4} M
kaempferol (**10**)	1.34	50	11.12±0.19	37
galangin (**77**)	1.49	-	-	-

Table 6 TEAC values and antilipid peroxidative activities of flavonols (continued)

Compound	TEAC (mM)	Concentration (μM)	MDA nm/10^6 cell	DPPH, % decoloration 10^{-4} M
quercetin (**83**)	4.7	50	1.33±0.07	58
rutin (**88**)	2.42	-	-	-
myricetin (**89**)	-	50	0.48 ± 0.06	63
fisetin (**90**)	-	50	1.95±0.10	44

Many flavonol glycoside derivatives from *Eucalyptus rostrata* also inhibited peroxidation of rabbit erythrocyte as shown in Table 7 (Okamura *et al.*, 1993).

Table 7 Antilipid peroxidative activities of flavonol glycosides from *Eucalyptus rostrata*

Compound	IC_{50} (μM)
kaempferol (**10**)	80
quercetin (**83**)	43
myricetin (**89**)	53
quercetin 4′-*O*-β-D-glucopyranoside (**91**)	162
quercetin 3-*O*-β-D-galactopyranoside (**92**)	151
quercetin 3-*O*-β-D-glucopyranoside (**93**)	216
myricetin 3-*O*-α-L-arabinopyranoside (**94**)	182
quercetin 3- *O*-α-arabinopyranoside-2″–gallate (**95**)	34
kaempferol 3- *O*-α-arabinopyranoside-2″–gallate (**96**)	65
quercetin 4′-*O*-β-D-glucopyranoside-6″–gallate (**97**)	26

5,6,7,4′-Tetrahydroxyflavonol 3-*O*-rutinoside (**98**) and kaempferol 3-*O*-neohesperidoside (**99**) from *Daphniphyllum calycinum* showed moderate activity against DPPH radical assay with IC_{50} 43.2 μg/ml and 79.6 μg/ml, respectively (Gamez *et al.*, 1998). The aerial part of *Polygonum salicifolium* gave many flavonol glycosides (**100-105**) that demonstrated scavenging properties toward 2,2-diphenyl-1-picrylhydrazyl (DPPH) radical in TLC autobiographic assay (Calis *et al.*, 1999).

3.3 Flavanone derivatives

Eriodictyol (**106**) showed antilipid peroxidative effect in rat liver microsome induced by CCl_4 with IC_{50} of 78.9±1.3 μM (Cholbi, Paya and Alcaraz, 1991). This compound (**106**) and several flavanone derivatives, including hesperetin (**107**), naringenin (**108**) and naringenin 7-rutinoside (**109**) exhibited $ABTS^{\cdot+}$ cation scavenging activities with TEAC values at 1.8, 1.4, 1.5 and 0.8 mM, respectively (Pietta, 2000).

3.4 Flavanonol derivatives

Taxifolin (**110**) inhibited CCl_4-induced rat liver microsome lipid peroxidation at IC_{50} 100 mM (Cholbi, Paya and Alcaraz, 1991). TEAC values of taxifolin (**110**) and dihydrokaempferol (**111**) have been reported at IC_{50} 1.9 and 1.30 mM, respectively (Pietta, 2000).

3.5 Flavan derivatives

Catechin (**112**) exhibited antilipid peroxidative effect in rat liver microsome, induced by CCl_4 at IC_{50} 87.1±1.7 μM (Cholbi, Paya and Alcaraz, 1991).

The $ABTS^{\cdot+}$ cation scavenging activities of (+)-catechin (**21**), (-)- epicatechin (**9**), (-)-epigallocatechin (**113**), (-)-epicatechin gallate (**114**) and (-)-epigallo- catechin gallate (**115**) have been shown as TEAC values at 2.4, 2.5, 3.8, 4.93 and 4.75 mM, respectively (Pietta, 2000).

Flavan derivatives isolated from *Celastrus orbiculatus*, (-)-epicatechin 5-*O*-β-D-glucosyl 3-benzoate (**116**) and (-)-epicatechin 3-benzoate (**117**) were found to be moderately active in the DPPH assay with IC_{50} values of 25 and 17 μg/ml while (-)-epicatechin (**9**) and (-)-epiafzalechin (**118**) showed more potent activities with IC_{50} values of 8.5 and 7.5 μg/ml (Hwang *et al.*, 2001).

Regarding isoflavan derivatives from *Glycyrrhiza glabra*, glabridin (**119**) showed potent inhibitory activity against Fe^{3+}-ascorbate induced lipid peroxidation at IC_{50} 3.5 μM whereas 3′-*O*-hydroxy-4′–methylglabridin (**120**) exhibited antioxidative activity against Fe^{3+}-ADP/NADPH dependent lipid peroxidation at IC_{50} 0.4 μM (Haraguchi, 2001).

3.6 Anthocyanidin derivatives

Anthocyanidin derivatives, such as cyanidin (**121**), cyanidin 3-rutinoside (**122**) and pelargonidin (**123**), showed $ABTS^{\cdot+}$ cation scavenging activities as TEAC values at 4.4, 3.2 and 1.3 mM (Pietta, 2000). The antilinoleic acid oxidative effects of cyanidin 3-*O*-β-D-glucoside (**124**), pelargonidin 3-*O*-β-D-glucoside (**125**), delphinidin 3-*O*-β-D-glucoside (**126**) isolated from *Phaseolus vulgaris* have been reported (Tsuda, *et al.*, 1994). The anthocyanins, cyanidin 3-*O*-rhamnosyl-1″-glucosyl-4″-*O*-rhamnoside (**127**), cyanidin 3-*O*-rhamnosyl-1″-*O*-rhamnoside (**128**), cyanidin 3-*O*-rhamnoside (**129**), and cyanidin (**121**) from cherry, have shown their anti Fe^{2+}-induced lipid peroxidative activity at IC_{50} 39, 70, 75 and 57 at 2 mM (Wang *et al.*, 1999).

3.7 Isoflavone derivatives

Genistein (**130**), biachanin A (**131**), daidzein (**132**), formonetin (**133**) and genistein 7-glucoside (**134**) exhibited their $ABTS^{\cdot+}$ cation scavenging activities with TEAC values at 2.90, 1.16, 1.25, 0.11 and 1.24 mM, respectively (Pietta, 2000).

Isoflavan and pterocarpan derivatives (**135-159**) from *Lespedeza homoloba* exhibited antioxidative activity against lipid peroxidation in the rat brain homogenate test, as shown in Table 8 (Miyase *et al.*, 1999).

Table 8 Antioxidative activities of isoflavonoids from *Lespedeza homoloba*

Compound	Antioxidative activity IC_{50} (μM)	O_2^- radical scavenging activity (%)
haginin E (**135**)	0.3	52.4
haginin D (**136**)	0.2	38.0
3,9-dihydroxypterocarp-6a-en (**137**)	0.2	76.1
lepedezol A_1 (**138**)	0.2	61.4
lepedezol A_2 (**139**)	0.2	51.3
lepedezol A_3 (**140**)	0.3	64.8
lepedezol B_1 (**141**)	0.3	64.4
lepedezol B_2 (**142**)	0.2	69.8
lepedezol B_3 (**143**)	0.3	61.4
lepedezol C_1 (**144**)	0.3	55.5
lepedezol A_4 (**145**)	0.2	85.1

Table 8 Antioxidative activities of isoflavonoids from *Lespedeza homoloba* (continued)

Compound	Antioxidative activity IC_{50} (μM)	O_2^- radical scavenging activity (%)
lepedezol A_5 (**146**)	0.4	66.8
lepedezol A_6 (**147**)	0.4	24.2
lepedezol D_1 (**148**)	-[d]	-15.5
lepedezol D_2 (**149**)	-[d]	2.5
lepedezol D_3 (**150**)	0.5	98.6
lepedezol D_4 (**151**)	0.1	14.7
lepedezol D_5 (**152**)	0.2	-5.9
lepedezol D_6 (**153**)	0.1	-12.7
lepedezol E_1 (**154**)	-[d]	89.1
lepedezol E_2 (**155**)	0.4	54.7
lespedol D (**156**)	-[d]	-14.7
lespedol E (**157**)	-[d]	11.7
lepedezol F_1 (**158**)	0.4	54.9
lepedezol G_1 (**159**)	-[d]	9.2

-[d] = not determined

3.8 Chalcone derivatives

Retrochalcones (**160-164**) isolated from the root of *Glycyrrhiza inflata* exhibited anti Fe^{3+}-ADP/NADPH-induced lipid peroxidative activity. In particular, licochalcone B (**161**) and licochalcone D (**163**) showed O_2^- radical scavenging and DPPH scavenging activities (Haraguchi, 2001), as shown in Table 9.

Table 9 Antioxidative activities of retrochalcones from *Glycyrrhiza inflata*

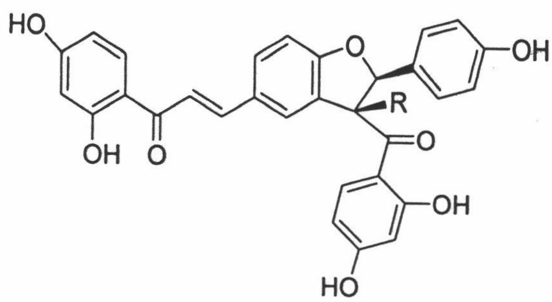
Compound	**IC_{50} (μM)**		
	lipid peroxidation	**DPPH radical**	**O_2^- generation**
licochalcone A (**160**)	18.1	44.1	64.8
licochalcone B (**161**)	2.5	6.5	7.0
licochalcone C (**162**)	44.1	>100	71.2
licochalcone D (**163**)	2.0	5.7	9.9
echinatin (**164**)	61.0	>100	>100

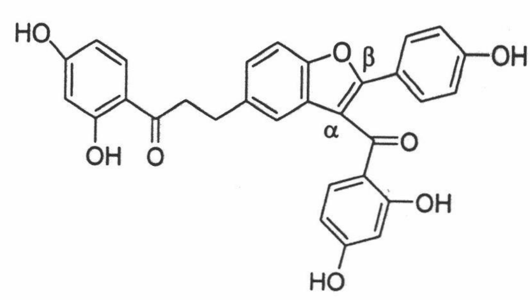
3.9 Biflavone derivatives

Amentoflavone (**165**) inhibited CCl_4-induced rat liver microsome lipid peroxidation at IC_{50} 74.1±0.8 μM (Cholbi, Paya and Alcaraz, 1991). Antilipid peroxidative and DPPH scavenging activity of several biflavones from *Ginkgo biloba* have been reported, as shown in Table 10 (Joyeux, *et al.*, 1995).

Table 10 Antioxidative activities of biflavones from *Ginkgo biloba*

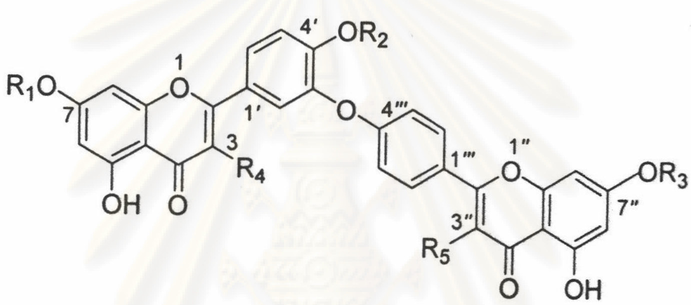
Compound	**Concentration (μM)**	**MDA nm/10^6 cell**	**DPPH, % decoloration 10^{-4} M**
amentoflavone (**165**)	100	3.83±0.49	21
bilobetin (**166**)	100	2.26±0.15	0
ginkgetin (**167**)	100	3.14 ± 0.18	0
isoginkgetin (**168**)	100	2.93±0.38	0
sciadopitysin (**169**)	100	4.50±0.70	0





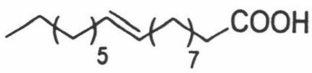
	R
(1)	H
(28)	OH

	α_2	β_2
(2)	-	-
(3)	H	H

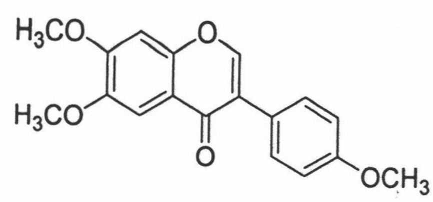


	R_1	R_2	R_3	R_4	R_5
(4)	H	H	H	H	H
(7)	H	H	H	H,H	H
(8)	CH_3	H	H	H,H	H
(13)	CH_3	H	H	H,H	H,H
(32)	H	H	H	H	H,H
(33)	H	H	CH_3	H	H,H
(47)	H	H	CH_3	H	H
(51)	CH_3	CH_3	H	H	H
(54)	H	CH_3	H	H	H

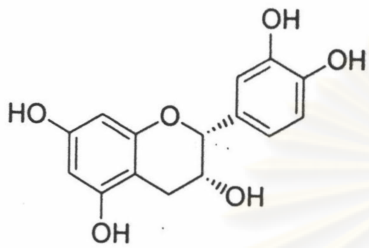
Figure 2 Structures of compounds previously isolated from *Ochna*



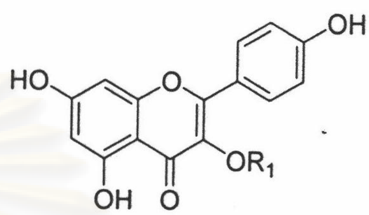
(5)



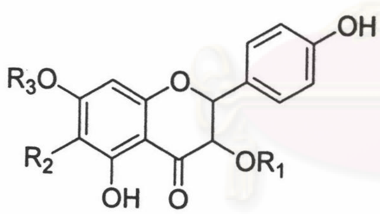
(6)

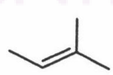


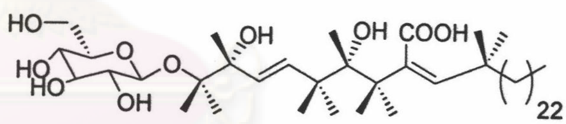
(9)



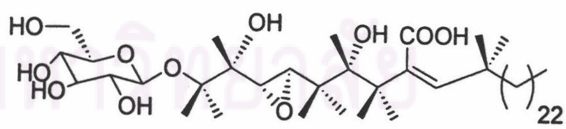
	R_1
(10)	H
(11)	Rham
(12)	Glu



	R_1	R_2	R_2
(14)	Rham	H	H
(15)	Glu-*O*-β-Glu	H	H
(34)	H		β-Glu

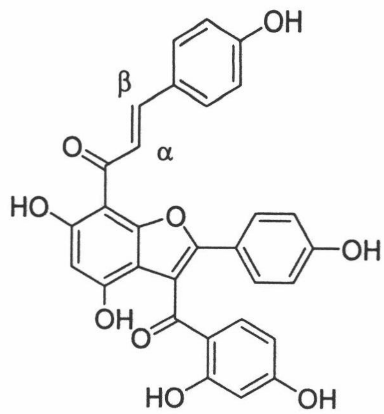


(16)

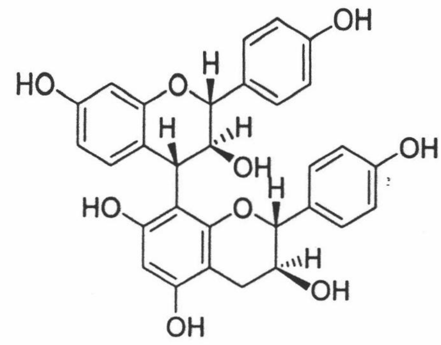


(17)

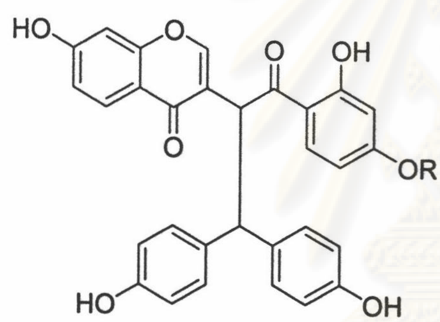
Figure 2 Structures of compounds previously isolated from *Ochna* (continued)



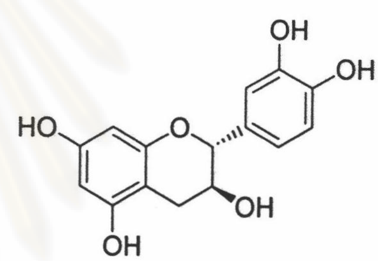
	α	β
(18)	H	H
(19)	H,H	H, H



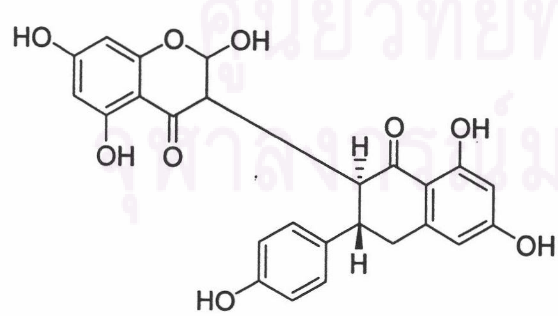
(20)



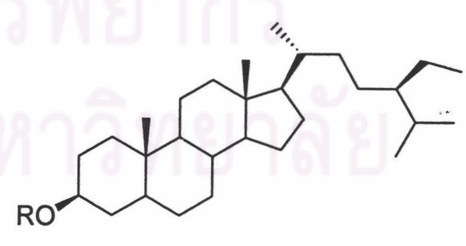
	R
(21)	CH_3
(27)	H



(22)

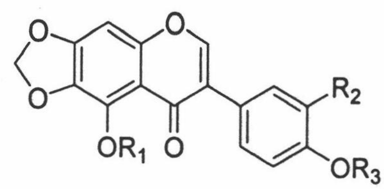


(23)

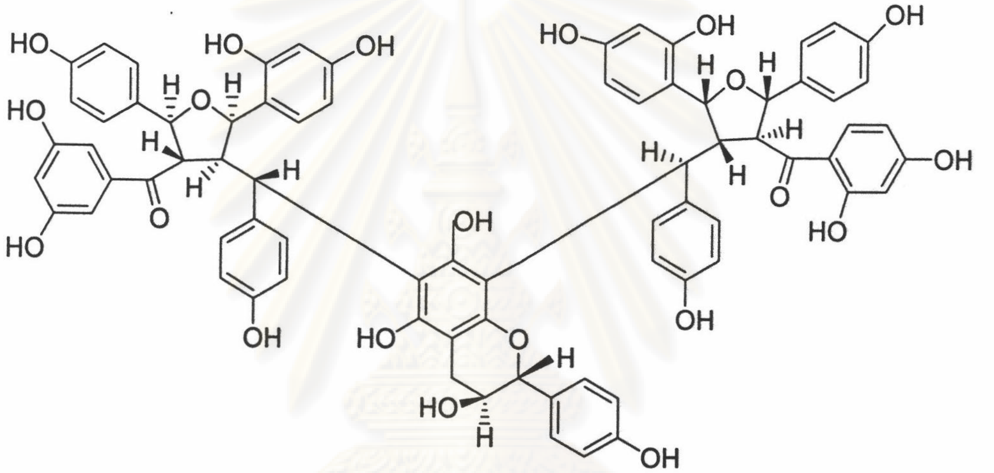


	R
(24)	Glu
(56)	H

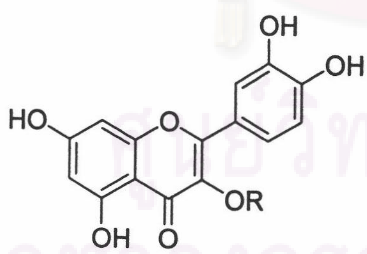
Figure 2 Structures of compounds previously isolated from *Ochna* (continued)

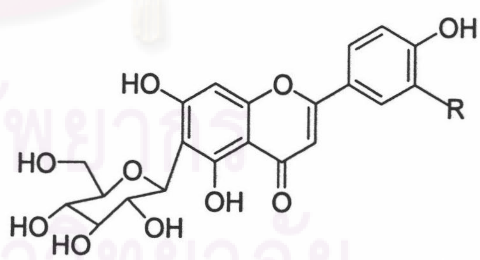


	R_1	R_2	R_3
(25)	H	OCH_3	H
(26)	H	H	CH_3
(58)	H	OCH_3	CH_3
(60)	CH_3	OCH_3	CH_3



(29)

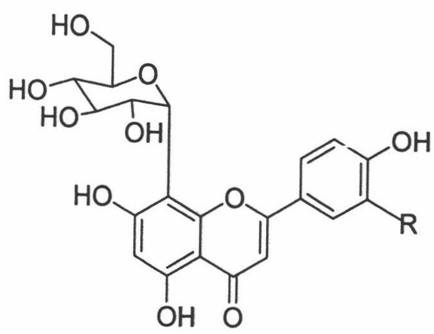




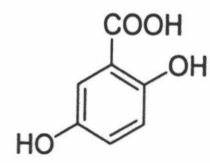
	R
(30)	α-L-Rham
(31)	Rham
(40)	Glu

	R
(35)	OH
(52)	H

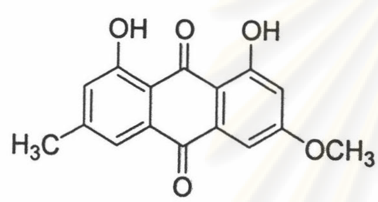
Figure 2 Structures of compounds previously isolated from *Ochna* (continued)



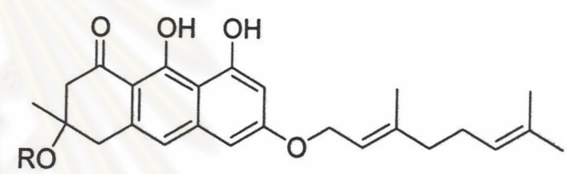
	R
(36)	OH
(37)	H



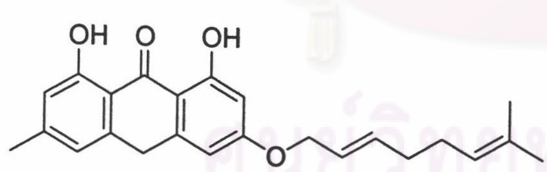
(38)



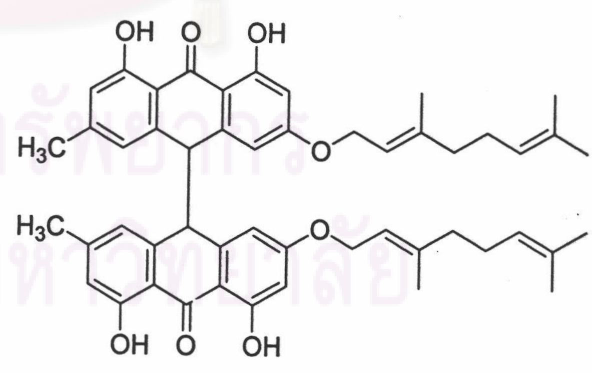
(39)



	R
(41)	Ac
(44)	H

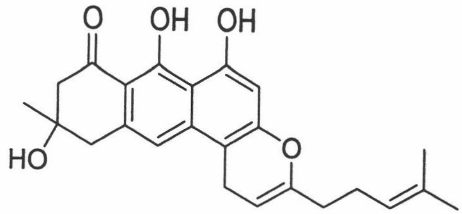


(42)

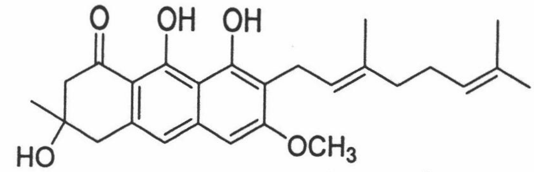


(43)

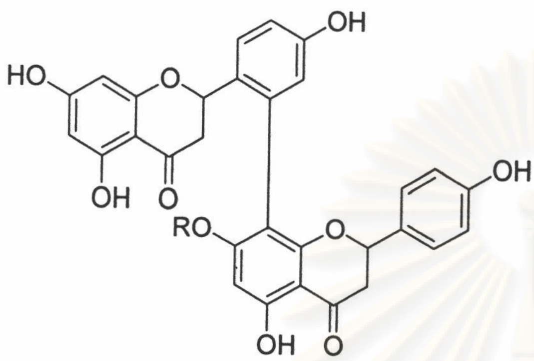
Figure 2 Structures of compounds previously isolated from *Ochna* (continued)

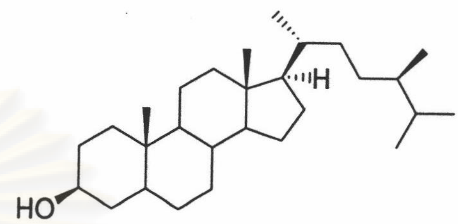


(45)



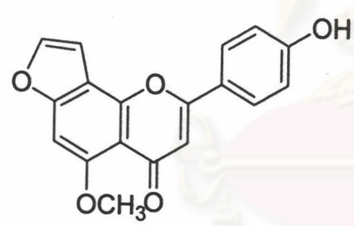
(46)



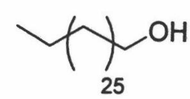


(50)

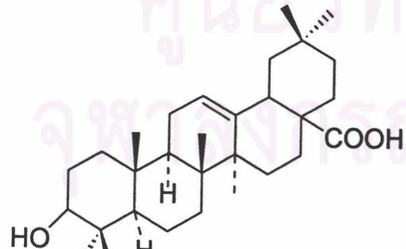
	R
(48)	**CH_3**
(49)	**H**



(53)



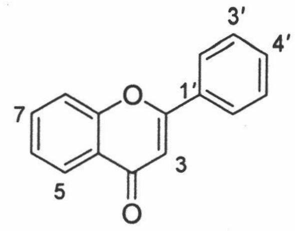
(55)



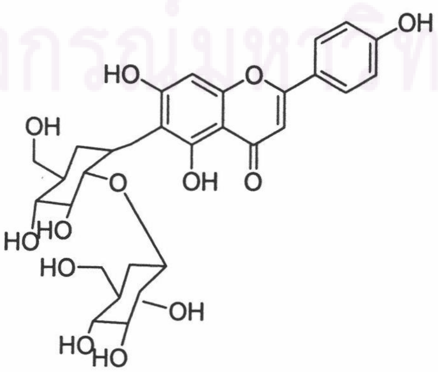
(57)

(59)

Figure 2 Structures of compounds previously isolated from *Ochna* (continued)

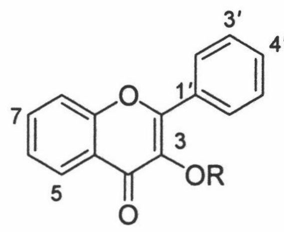


	5	6	7	8	3′	4′
(61)	**OH**	**H**	**OH**	**H**	**H**	**OH**
(62)	**OH**	**OCH_3**	**OCH_3**	**OCH_3**	**OH**	**OCH_3**
(63)	**OH**	**H**	**OH**	**H**	**OH**	**OH**
(64)	**OH**	**OH**	**OH**	**H**	**H**	**OH**
(65)	**OH**	**OH**	***O*-Glucur**	**H**	**H**	**H**
(66)	**OH**	**OCH_3**	**OCH_3**	**H**	**H**	***O*-Glu**
(67)	**OH**	**OH**	**OH**	**H**	**OH**	**OH**
(68)	**OH**	**OH**	**OH**	**H**	**H**	**H**
(69)	**OH**	**OH**	**OH**	**H**	**H**	**OH**
(70)	**OH**	**H**	***O*-Glu**	**H**	**H**	**OH**
(71)	**OH**	**H**	**OH**	**H**	**OH**	***O*-Glu**
(72)	**OH**	**H**	**OH**	**H**	**H**	**H**
(74)	**H**	**H**	**H**	**H**	**H**	**H**
(75)	**OH**	**H**	**OH**	**H**	**H**	**OCH_3**



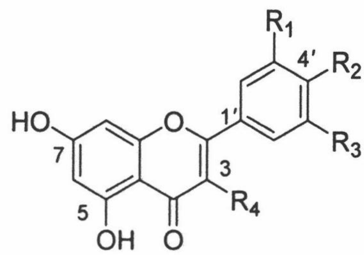
(73)

Figure 3 Structures of flavonoids with free radical scavenging activity

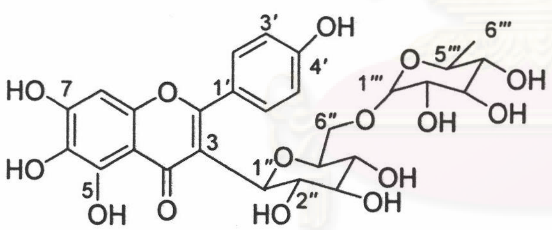


	3 R	5	6	7	2′	3′	4′	5′
(76)	**H**	**OH**	**H**	**OH**	**OH**	**H**	**H**	**H**
(77)	**H**	**OH**	**H**	**OH**	**H**	**H**	**H**	**H**
(78)	**H**	**OH**	**H**	**OH**	**OH**	**H**	**OH**	**H**
(79)	**H**	**H**	**H**	**OH**	**H**	**OH**	**OH**	**OH**
(80)	**H**	**OH**	**H**	**OCH_3**	**H**	**OH**	**OH**	**H**
(81)	**Gal**	**OH**	**H**	**OH**	**H**	**OH**	**OH**	**H**
(82)	**CH_3**	**OH**	**H**	**OCH_3**	**OH**	**H**	**OCH_3**	**OH**
(83)	**H**	**OH**	**H**	**OH**	**H**	**OH**	**OH**	**H**
(84)	**CH_3**	**OH**	**OCH_3**	**OCH_3**	**H**	**OH**	**OH**	**H**
(85)	**CH_3**	**OH**	**OH**	**OCH_3**	**H**	**OCH_3**	**OH**	**H**
(86)	**H**	**OCH_3**	**H**	**OCH_3**	**H**	**OCH_3**	**OCH_3**	**H**
(87)	**H**	**OH**	**H**	***O*-Glu**	**H**	**OH**	**OH**	**H**
(88)	**Rham-*O*-Glu**	**OH**	**H**	**OH**	**H**	**OH**	**OH**	**H**
(89)	**H**	**OH**	**H**	**OH**	**H**	**OH**	**OH**	**OH**
(90)	**H**	**H**	**H**	**OH**	**H**	**OH**	**OH**	**H**

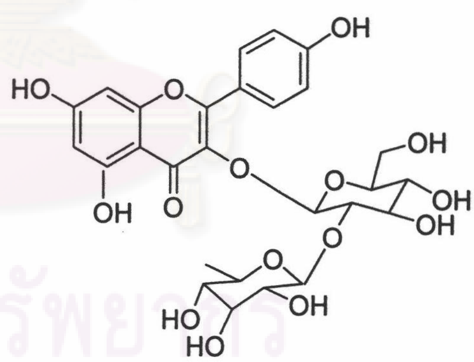
Figure 3 Structures of flavonoids with free radical scavenging activity (continued)



	R_1	R_2	R_3	R_4
(91)	**OH**	***O*-Glc**	**H**	**OH**
(92)	**OH**	**OH**	**H**	***O*-Gal**
(93)	**OH**	**OH**	**H**	***O*-Glu**
(94)	**OH**	**OH**	**OH**	***O*-Ara**
(95)	**OH**	**OH**	**H**	***O*-α-Ara-2″-gallate**
(96)	**H**	**OH**	**H**	***O*-α-Ara-2″-gallate**
(97)	**OH**	***O*-Glc-6″-gallate**	**H**	**OH**

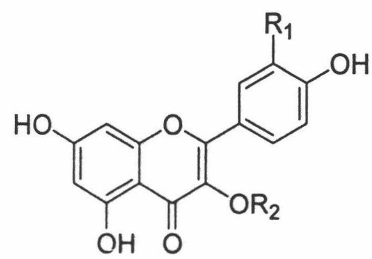


(98)

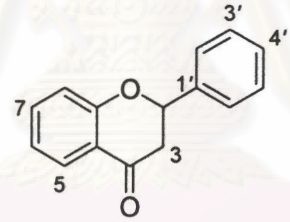


(99)

Figure 3 Structures of flavonoids with free radical scavenging activity (continued)

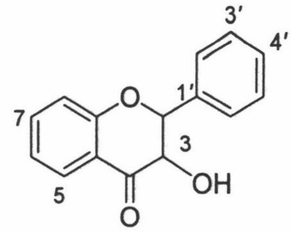


	R_1	R_1
(100)	**H**	**β-D-Glu**
(101)	**H**	**β-D-Gal**
(102)	**OH**	**β-D-Glu**
(103)	**OH**	**β-D-Gla**
(104)	**OH**	**β-D-(2″-*O*-galloyl)-Glu**
(105)	**OH**	**β-D-Glucur**

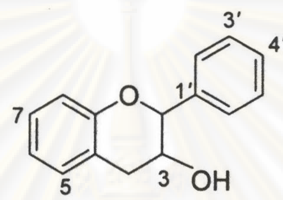


	5	7	3′	4′
(106)	**OH**	**OH**	**OH**	**OH**
(107)	**OH**	**OH**	**OH**	**OCH_3**
(108)	**OH**	**OH**	**H**	**OH**
(109)	**OH**	***O*-Rham-*O*-Glu**	**H**	**OH**

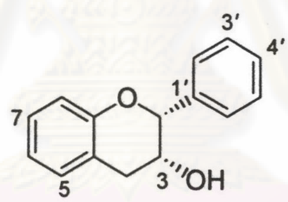
Figure 3 Structures of flavonoids with free radical scavenging activity (continued)



	5	7	3′	4′
(110)	OH	OH	OH	OH
(111)	OH	OH	OH	H

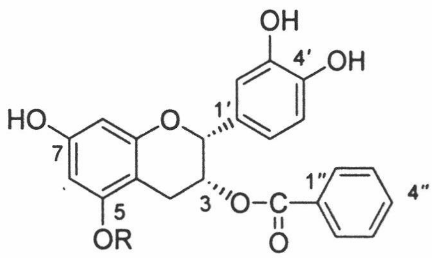


(112)

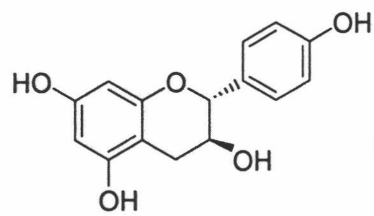


	3	5	7	3′	4′	5′
(113)	OH	OH	OH	OH	OH	OH
(114)	*O*-Gall	OH	OH	OH	OH	H
(115)	*O*-Gall	OH	OH	OH	OH	OH

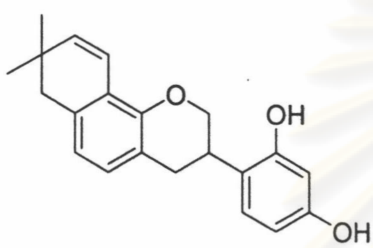
Figure 3 Structures of flavonoids with free radical scavenging activity (continued)



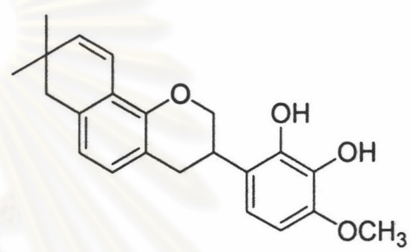
	R
(116)	**β–D-Glu**
(117)	**H**



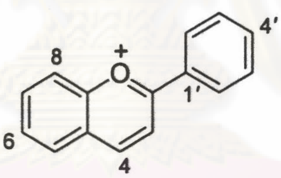
(118)



(119)

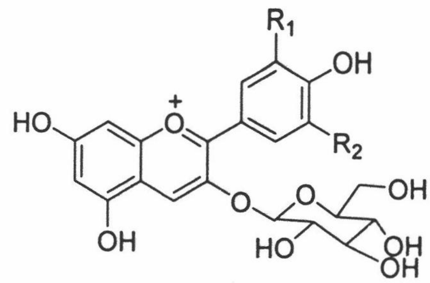


(120)

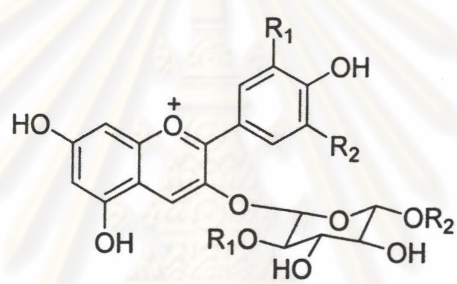


	3	5	7	3′	4′
(121)	**OH**	**OH**	**OH**	**OH**	**OH**
(122)	***O*-Rham-*O*-Glu**	**OH**	**OH**	**OH**	**OH**
(123)	**OH**	**OH**	**OH**	**H**	**OH**

Figure 3 Structures of flavonoids with free radical scavenging activity (continued)

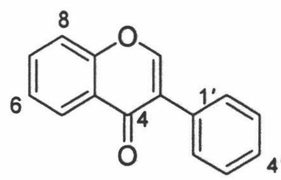


	R_1	R_2
(124)	**OH**	**H**
(125)	**H**	**H**
(126)	**OH**	**OH**

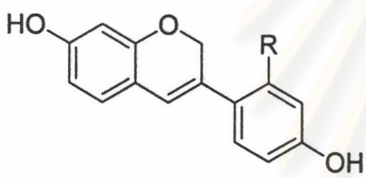


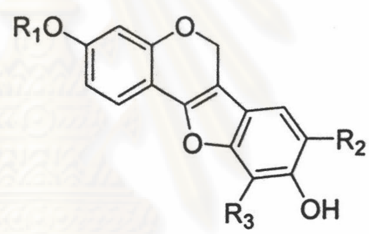
	R_1	R_2
(127)	**Glu**	**Rham**
(128)	**H**	**Rham**
(129)	**H**	**H**

Figure 3 Structures of flavonoids with free radical scavenging activity (continued)



	5	7	4′
(130)	**OH**	**OH**	**OH**
(131)	**OH**	**OH**	**OCH_3**
(132)	**H**	**OH**	**OH**
(133)	**H**	**OH**	**OCH_3**
(134)	**OH**	***O*-Glu**	**OH**





	R
(135)	**H**
(136)	**OH**

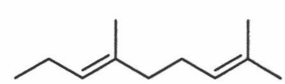
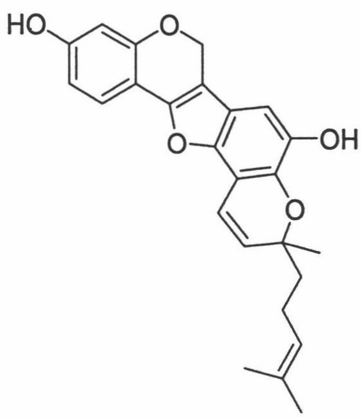
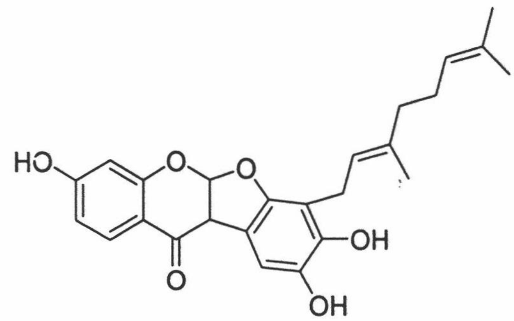
	R_1	R_2	R_3
(137)	**H**	**H**	**H**
(138)	**CH_3**	**H**	**H**
(139)	**H**	**OH**	

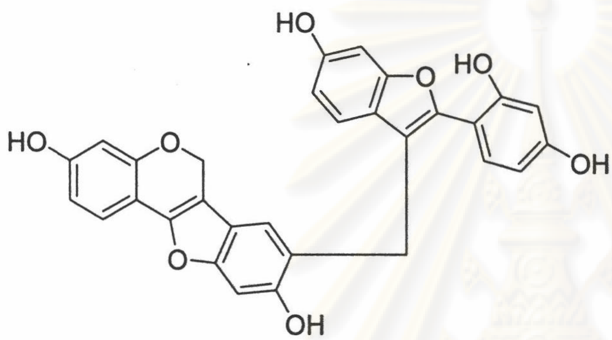
Figure 3 Structures of flavonoids with free radical scavenging activity (continued)



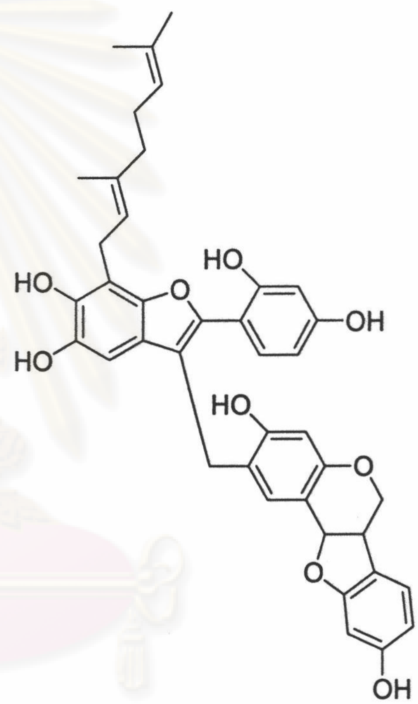
(140)



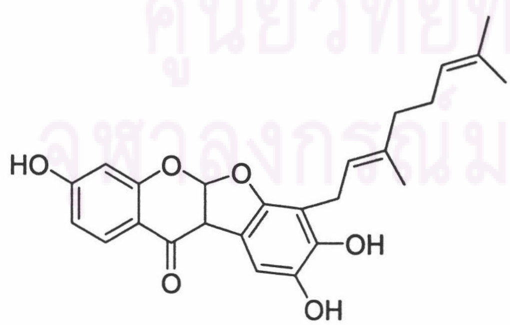
(141)



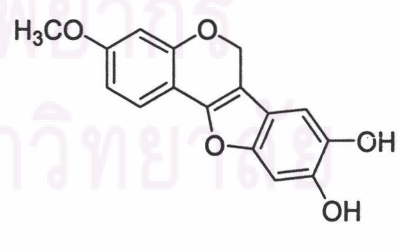
(142)



(143)

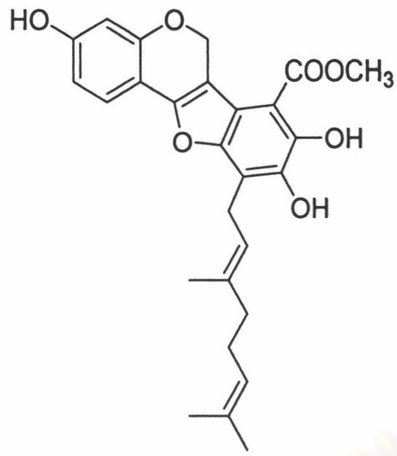


(144)

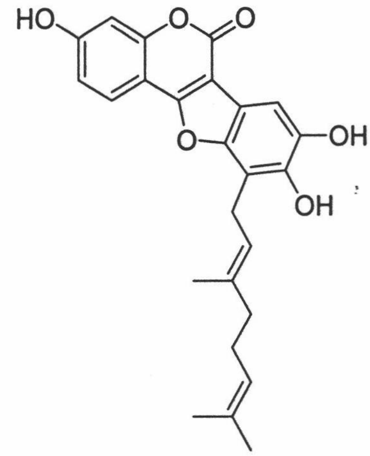


(145)

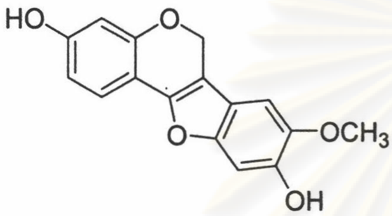
Figure 3 Structures of flavonoids with free radical scavenging activity (continued)



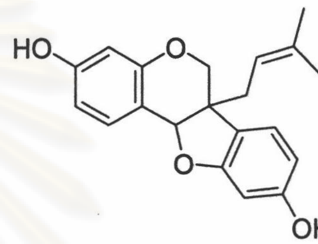
(146)



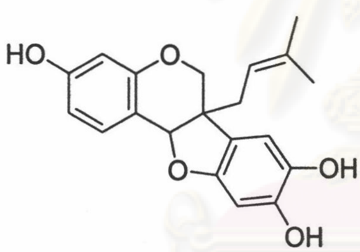
(147)



(148)



(149)



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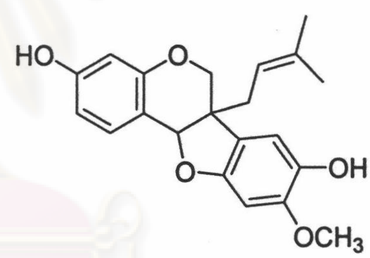
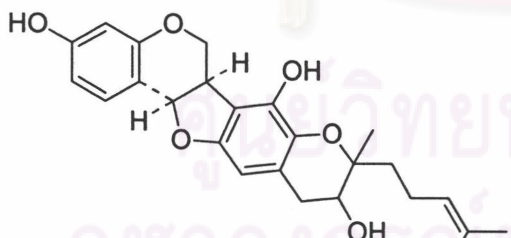
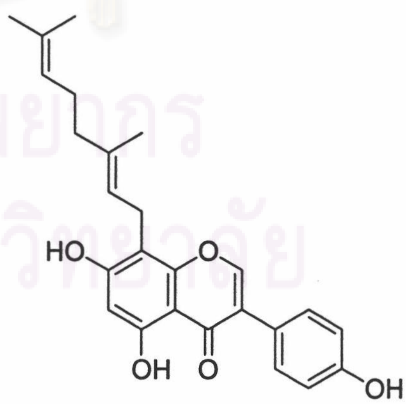


(151)

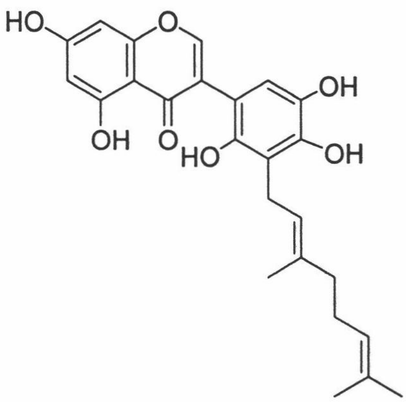


(152), (153)

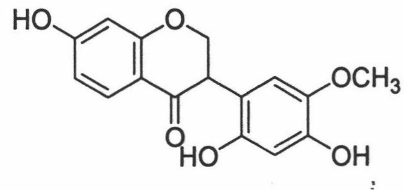


(154)

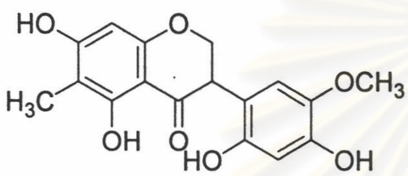
Figure 3 Structures of flavonoids with free radical scavenging activity (continued)



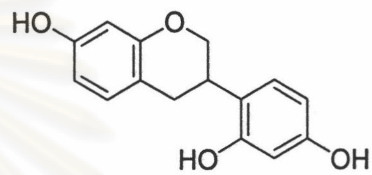
(155)



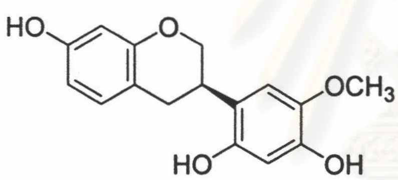
(156)



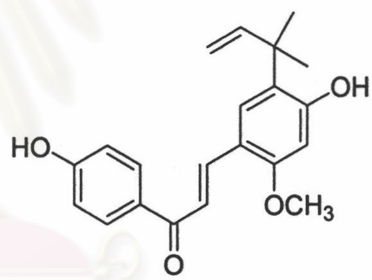
(157)



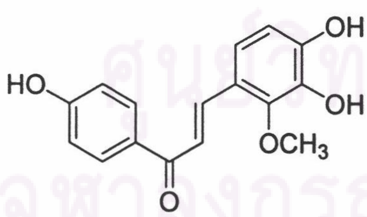
(158)



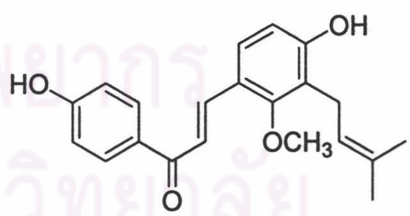
(159)



(160)

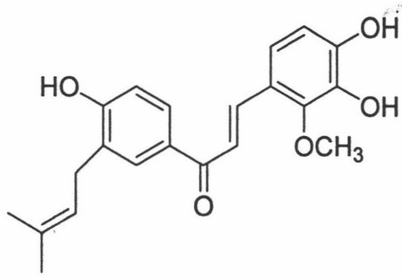


(161)

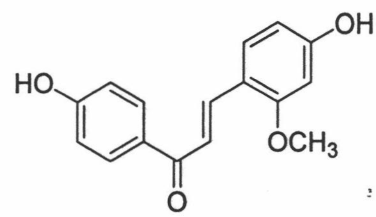


(162)

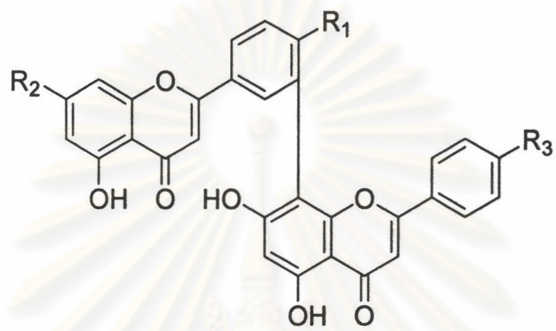
Figure 3 Structures of flavonoids with free radical scavenging activity (continued)



(163)



(164)



	R_1	R_2	R_3
(165)	**OH**	**OH**	**OH**
(166)	**OCH_3**	**OH**	**OH**
(167)	**OCH_3**	**OCH_3**	**OH**
(168)	**OCH_3**	**OH**	**OCH_3**
(169)	**OCH_3**	**OCH_3**	**OCH_3**

Figure 3 Structures of flavonoids with free radical scavenging activity (continued)