WORLD JOURNAL OF PHARMACY AND PHARMACEUTICAL SCIENCES

SJIF Impact Factor 6.647

Volume 6, Issue 3, 1140-1144 Review Article ISSN 2278 – 4357

# SYNTHESIS OF ANALOGUES OF QUERCETIN ISOLATED FORM PHYLLANTHUS PLANTS

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Article Received on
18 Jan. 2017,

Revised on 08 Feb. 2017,
Accepted on 28 Feb. 2017

DOI: 10.20959/wjpps20173-8820

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## ABSTRACT

Quercetin has been isolated from *Phyllanthus emblica* and identified[1]. Five analogues of quercetin have been synthesized and characterized by chemical and spectral data.

**KEYWORDS:** Quercetin, Analogues.

## INTRODUCTION

In continuation of our earlier work[1] on isolation of flavonoids from Phyllanthus plants we hereby report the synthesis of analogues of quercetin isolated form *Phyllanthus emblica*. Quercetin is believed to protect against several degenerative diseases by preventing lipid Peroxidation.[2] Quercetin and its sugar bound or glucosylated forms represent 60-75% of flavonoid intake.[3] The oxidation of low density properties can result in the formation of atherosclerotic plaques leading to cardiovascular diseases.[4] The methoxyl derivative of quercetin have also found to have the property of relaxation of smooth muscles in mammals.[5] Other quercetin derivatives have also been reported to possess variety of biological activities.[6,7] The diverse biological activities of quercetin and its derivative compelled us to synthesize some analogues of quercetin.

## MATERIAL AND METHODS

### Synthesis of Analogues of Quercetin

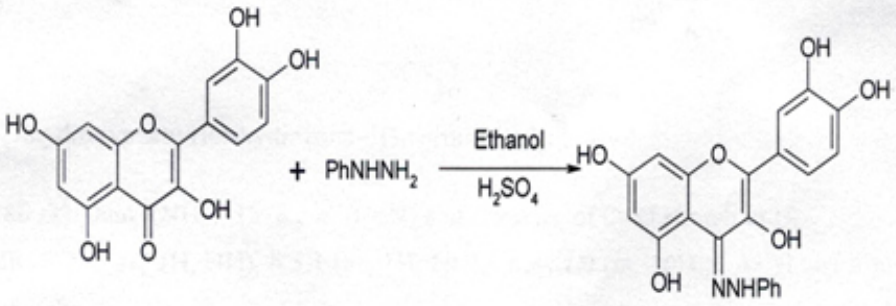
#### 1) Quercetin phenyl hydrazone (1a)

**2-(3,4- Dihydroxy-phenyl)-4-(phenyl-hydrazono)-4H-chromene-3,5,7-triol**

A mixture of 0.001 mole (0.302 g) of Quercetin and 0.002 mole (0.216 g) of phenyl hydrazine was refluxed in ethyl alcohol containing 2 drops of concentrated sulphuric acid for

two hours. The mixture was allowed to cool and poured on crushed ice. The yellow mass so obtained was separated out and triturated with ethanol. The solid obtained was crystallized from ethanol as yellowish crystals.

Yield 68%, Melting point 212$^0$C, Spectrum No. T1.




Quercetin **1a**

2-(3,4- Dihydroxy-phenyl)-4-(phenyl-hydrazono)-4H-chromene-3,5,7-triol

**1) Spectral data of compound 1a.**

IR: 3200cm$^{-1}$(NH), 3001 cm$^{-1}$(OH), 1608 cm$^{-1}$(C=N) and Absence of C=O stretch in IR

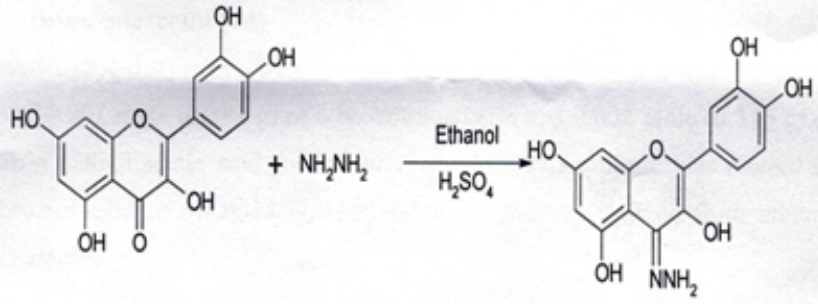
$^1$H NMR δ 2.51 (s, 1H, NH), δ 6.8- 7.0 (m, 10H, 5 Ar-H and 5 phenolic OH),δ 7.1 -7.4 (m, 5 H, Ar- H protons).

**2) Quercetin hydrazone (1b)**

**2-(3,4-Dihydroxyphenyl)-4-hydrazono-4H-chromene-3,5,7-triol**

A mixture of 0.001 mole (0.302 g) of Quercetin and 0.003 mole (0.150 g) of hydrazine hydrate was refluxed in ethyl alcohol containing 2 drops of concentrated sulphuric acid for two hours. The mixture was allowed to cool and poured on crushed ice. The yellow solid so obtained was separated out was crystallized from ethanol as yellowish crystals.

Yield 72%, Melting point 239$^0$C, Spectrum No. T3.




**1b**

2-(3,4-Dihydroxyphenyl)-4-hydrazono-4H-chromene-3,5,7-triol

IR: 3286 -3200cm$^{-1}$($NH_2$), 1578 cm$^{-1}$(C=N) and Absence of C=O stretch in IR

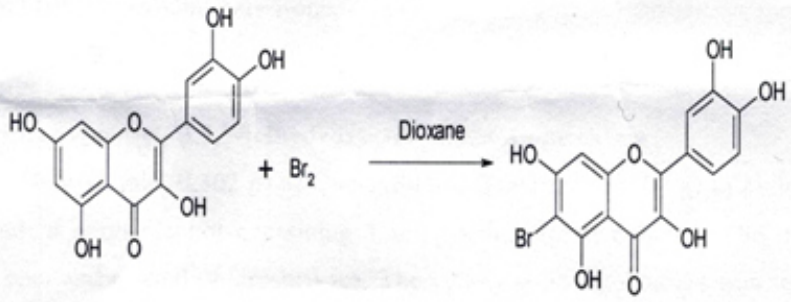
$^1$H NMR: δ 2.51 (s, 1H, NH), δ 5.1 (bs, 1H, NH),δ 6.8- 7.0 (m, 10H, 5 Ar-H and 5 phenolic OH protons).

**3) 6- Bromo quercetin (1c)**

**6 Bromo-2-(3,4-dihyroxy-phenyl)-3,5,7-trihydroxy-chromen-4-one**

A mixture of 0.001 mole (0.302 g) of Quercetin and 0.002 mole (0.316 g) of bromine was stirred in dioxane for two hours at 22$^0$C. The mixture was poured on crushed ice. The brown solid so obtained was separated out and crystallized from ethanol as light brown crystals.

Yield 62%, Melting point 251$^0$C, Spectrum No. Q2.




**1c**

6 Bromo-2-(3,4-dihyroxy-phenyl)-3,5,7-trihydroxy-chromen-4-one

IR: 3413 cm$^{-1}$(OH), 1732 cm$^{-1}$(C=O), 637,602,465 cm$^{-1}$(Ar- Br)

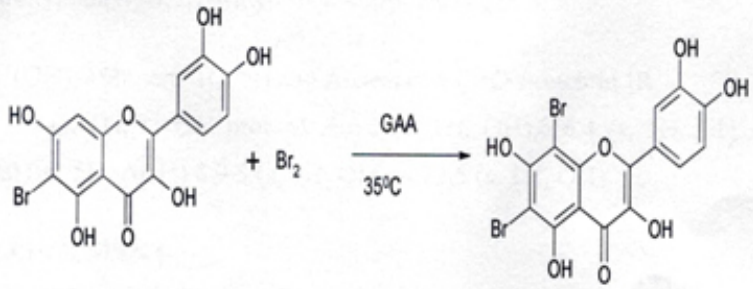
$^1$H NMR (Plate No Q2): δ 2.1 (s, 1H, OH) δ 2.8 (s, 1H, OH) δ 3.0 (s, 1H, OH), δ 3.6 (s, 1H, OH), δ 5.0 (s, 1H, OH),δ 8.0 (m, 4H, AR-H).

**4) 6,8- Dibromo quercetin (1d)**

**6,8 Dibromo-2-(3,4-dihyroxy-phenyl)-3,5,7-trihydroxy-chromen-4-one**

A mixture of 0.001 mole (0.381 g) of 6 bromo quercetin and 0.002 mole (0.316 g) of bromine was stirred in glacial acetic acid for one hour at 35$^0$C. The mixture was poured on crushed ice. The brown solid so obtained was separated out and crystallized from ethanol as light brown crystals.

Yield 65%, Melting point 242$^0$C.




**1d**

6,8 Dibromo-2-(3,4-dihyroxy-phenyl)-3,5,7-trihydroxy-chromen-4-one

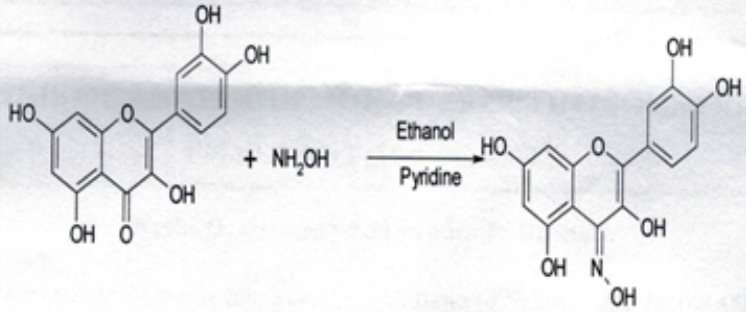
From chemical and analytical data and the analogy with the reaction for synthesis of compound 1c the compound 1d was assigned the structure as 6,8 Dibromo-2-(3,4-dihyroxy-phenyl)-3,5,7-trihydroxy-chromen-4-one.

**5) Quercetin oxime (1f)**

**2-(3,4-Dihydroxyphenyl)-3,5,7-trihydroxy –chromen-4-one oxime**

A mixture of 0.001 mole (0.302 g) of Quercetin and 0.002 mole (0.07 g) of hydroxyl amine was refluxed in ethyl alcohol containing 1 ml pyridine for two hours. The mixture was allowed to cool and poured on crushed ice. The yellow solid so obtained was separated out and was crystallized from ethanol as yellowish crystals.

Yield 80%, Melting point 321$^0$C, Spectrum No. Q1.




**1f**

2-(3,4-Dihydroxyphenyl)-3,5,7-trihydroxy –chromen-4-one oxime

IR: 3409 $cm^{-1}$(OH), 1609 $cm^{-1}$(C=N) and Absence of C=O stretch in IR

$^1$H NMR: δ 3.6 (s, 1H, N=OH proton), δ 6.2 (s, 1H, OH),δ 6.4 (s, 1H, OH),δ 6.9 (s, 1H, OH),δ 7.6- 8.0 (m, 5H, Ar-H),δ 9.5 (s, 1H, OH) ,δ 12.5 (s, 1H, OH).

**ACKNOWLEDGEMENT**

Authors are thankful to the Management and Principal of Shri R. L.T College of Science, Akola for providing the necessary facilities. Authors are also thankful to the Management and Principal of Smt. Maherbanu Junior College of Science, Akola.

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