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### Synthesis of Heterocyclic Compounds with Multi- Cyclic Systems Utilizing Fused and Suspended Routs



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### Abstract

When 2-aminoisoquinoline-1,3-(2H,4H)-dione (1a) or 2-phenylisoquinoline- 1,3-(2H,4H)-dione (1b) was reacted with some of the aromatic aldehydes, derivatives 2a-e and 3a-e respectively were obtained in moderate yield (55%-60%).

On the other hand, when compounds **1a**, **b** stirred overnight with aldo-sugars either hexoses or pentoses in a pyridine/pepperdine mixture, new glycosides **4a-e** and **5a-e** respectively were produced.

Keywords: Aminoisoquinoline, phenylisoquinoline, aromatic aldehydes, hexoses, pentoses, glycosides.

#### 1. Introduction

A large number of the newly prepared heterocyclic compounds such as isoquinolindiones play an important role in medicinal chemistry and drug manufacture<sup>1,2</sup>. They are well known to possess diverse pharmacological properties, viz. antimicrobial, anti-inflammatory, anticonvulsant, antiviral, antimalarial, anti- tuberculosis and anticancer.<sup>3–9</sup>

#### 2- Results and Discussion:

As the starting material<sup>10</sup> have an active methylene group in its main structure, so it is easy, from the chemical point of view, to react this moiety with some of the selected aromatic aldehydes to obtain new Schiff Bases.

Thus, when the chosen starting materials 2aminoisoquinoline-1,3-(2H,4H)- dione (1a) or 2phenylisoquinoline-1,3-(2H,4H)-dione (1b) reacted with some of the selected aromatic aldehydes, as

4-(benzofuran-2-yl)-1-phenyl-1*H*-pyrazole-3carbaldehyde, 4-amino-3,5-dimethylbenzaldehyde, 1*H*-indole-3-carbaldehyde, 3-methoxy-2-nitro benzaldehyde, and 2-naphthaldehyde in the presence of absolute ethanol and few drops of triethyl amine (as a catalyst) under reflux for 10-12 hr., the corresponding derivatives **2a-e** and **3a-e** were obtained. The structure of the newly collected compounds were confirmed through different analytical and spectral data. See experimental part.

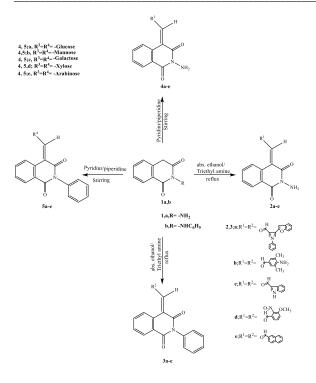
On the other hand, when some of the aldo-sugar (Hexoses or Pentoses) like glucose, galactose, mannose, xylose, or arabinose, was stirred overnight with either compound **1a** or **1b** in a mixture of dry pyridine/pepperdine (1:1), we obtained glycosides **4a-e** and **5a-e** respectively in 60%-65% yield after re-crystallization in suitable solvents. Scheme (**1**)

Also, the chemical structure of the newly formed glycosides were confirmed through elemental analysis, NMR spectroscopy, and infra-red ( $\lambda_{Max}$  =365, 254).

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Scheme (1)

#### 3. Experimental:

Solid compounds were re-crystallized to constant melting points and dried in vacuum in drying pistol containing sodium hydroxide. All melting points are uncorrected and were taken in open capillaries on a Gallen Kamp Apparatus.

Micro analyses were carried out at the Micro Analytical Unite, National Research Centre.

<sup>1</sup>H-NMR spectra were measured in DMSO-*d*<sub>6</sub> or CDCl<sub>3</sub>, using Joel Ex. 270 NMR spectrometer, Faculty of Science, Cairo University, Faculty of Science-Ein Shams University, Faculty of Pharmacy Cairo University and National Research Centre. Signals were measured with reference to TMS as an internal standard.

The Mass spectra were recorded on Finnigan SSQ 7000 spectrometer, National Research Centre, Dokki, Giza.

IR spectra were carried out on FT/IR 300 E Jasco using KBr discs, National Research Centre.

All reactions were followed up by TLC using CHCl<sub>3</sub>/MeOH (9:1, v/v) and/or ethyl acetate/benzene (7:3) and detected under UV Lamp ( $\lambda_{max}254$ ).

# (Z)-2-Amino-4-((4-(benzofuran-2-yl)-1-phenyl-1*H*-pyrazol-3-yl)methylene)isoquinoline-1,3-(2*H*,4*H*)-dione(2a):

Compound **1a** (0.01 mole, 1.76gm) and 4-(benzofuran-2-yl)-1-phenyl-1*H*-pyrazole-3-carbaldehyde (0.01mole, 2.88 gm) were heated under reflux for 10hr. Recrystallization from dioxane/DMF (3:1) to give compound **2a** as a shiny yellow powder. Yield (55%), mp: 271-2°C. IR (KBr),  $v(\text{cm}^{-1})$ ; 3244 (NH<sub>2</sub>), 1708, 1693 (2CO), 1650, 1648 (C=N), and 1644, 1640 (C=C). <sup>1</sup>H-NMR (DMSO-*d*<sub>6</sub>),  $\delta(\text{ppm})$ ; 6.40 (br.s, 2H, NH<sub>2</sub>, D<sub>2</sub>O exchangeable), 7.6 (s, 1H, furan ring proton), 7.7-7.9, 8.00-8.10 (2m, 14H, 13 aromatic protons + methylene proton), and 8.30 (s, 1H, pyrazole ring proton). MS (EI) m/e (rel.int.); 446 (M<sup>+</sup>, 100). Anal. Calc. for C<sub>27</sub>H<sub>18</sub>N<sub>4</sub>O<sub>3</sub> (446): C, 72.64%; H, 4.06%; N, 12.55%. Found. C, 72.55%; H, 3.76%; N, 12.48%.

#### (Z)-2-Amino-4-(4-amino-3,5-dimethylbenzylidene) isoquinoline-1,3-(2*H*,4*H*)- dione (2b):

Compound 1a (0.01 mole, 1.76gm) and 4-amino-3,5dimethylbenzaldehyde (0.01mole, 1.49 gm) was heated under reflux for 10hr. Recrystallized from dioxane to give compound 2b as deep yellow powder. Yield 60%, mp: 276-2°C. IR (KBr), v(cm<sup>-1</sup>); 3244, 3242(2NH<sub>2</sub>), 2960 (CH<sub>3</sub>), 1704, 1689 (2CO), 1638, 1636, (C=C). <sup>1</sup>H-NMR (DMSO-*d*<sub>6</sub>), *δ*(ppm); 1.8(s, 6H, 2CH<sub>3</sub>), 6.64 (br.s, 2H,NH<sub>2</sub>, D<sub>2</sub>O exchangeable ), 6.75 (br.s,  $2H,NH_2,$  $D_2O$ exchangeable ), 7.40(s, 2H, aromatic protons), 7.80(s, 1H, methylene proton), and 7.76- 8.4(2m, 4H, aromatic protons). MS (EI) m/e (rel.int.); 307 (M<sup>+</sup>, 100). Anal. Calc. for C<sub>18</sub>H<sub>17</sub>N<sub>3</sub>O<sub>2</sub> (307): C, 70.34%; H, 5.58%; N, 13.67%. Found. C, 69.69%; H, 5.47%; N, 13.59%.

### (Z)-4-((1*H*-Indol-3-yl)methylene)-2-aminoisoquinoline-1,3(2*H*,4*H*)-dione(2c):

Compound **1a** (0.01 mole, 1.76gm) and 1*H*-indole-3carbaldehyde (0.01 mole, 1.45 gm) was heated under reflux for 12hr. Recrystallization from dioxane to give **2c** as brownish yellow powder. Yield 60%, mp: 288-2°C. IR (KBr),  $v(\text{cm}^{-1})$ ; 3347(NH), 3242(NH<sub>2</sub>), 1704, 1689 (2CO),1633, 1630, (C=C). <sup>1</sup>H-NMR (DMSO-*d*<sub>6</sub>),  $\delta$ (ppm); 6.45 (br. s, 2H, NH<sub>2</sub>, D<sub>2</sub>O exchangeable), 7.45(m, 4H, aromatic protons), 7.80(m, 3H, aromatic protons + methylene proton), 7.88- 8.10(m, 2H, aromatic protons), 8.20 (s, 1H, indole proton), and 11.64(s, 1H, NH, D<sub>2</sub>O exchangeable). MS (EI) m/e (rel.int.); 303 (M<sup>+</sup>, 76). Anal. Calc. for C<sub>18</sub>H<sub>13</sub>N<sub>3</sub>O<sub>2</sub> (303): C, 71.28%; H, 3.32%; N, 13.85%. Found. C, 70.77%; H, 3.10%; N, 13.69%.

### (Z)-2-Amino-4-(3-methoxy-2-nitrobenzylidene) isoquinoline-1,3-(2*H*,4*H*)-dione (2d):

Compound **1a** (0.01 mole, 1.76gm) and 3-methoxy-2under reflux for 12hr. Recrystallization from dioxane/ DMF(1:1) to give compound **2d** as brown powder. Yield 60%, mp: 284-2°C. IR (KBr),  $v(\text{cm}^{-1})$ ; 3244(NH<sub>2</sub>), 2900(CH<sub>3</sub>), 1708, 1680 (2CO), 1640, 1638 (C=C). <sup>1</sup>H-NMR (DMSO- $d_6$ ),  $\delta$ (ppm); 3.98(s, 3H, OCH<sub>3</sub>), 6.40 (br. s, 2H, NH<sub>2</sub>, D<sub>2</sub>O exchangeable), 6.80(d, *J*=7.25Hz, 1H, aromatic proton), 7.4-7-46(m, 2H, aromatic proton), 7.88(t, *J*=7.65Hz, 1H, aromatic proton), and 8.20(m, 4H, aromatic protons + methylene proton). MS (EI) m/e (rel.int.); 339 (M<sup>+</sup>, 93). Anal. Calc. for C<sub>17</sub>H<sub>13</sub>N<sub>3</sub>O<sub>5</sub> (339): C, 60.18%; H, 3.86%; N, 12.38%. Found. C, 59.97%; H, 3.69%; N, 11.88%.

### (Z)-2-Amino-4-(naphthalen-2-ylmethylene)isoquinoline-1,3-(2*H*,4*H*)-dione (2e):

Compound **1a** (0.01 mole, 1.76gm) and 2naphthaldehyde (0.01mole, 1.56gm) was heated under reflux for 10hr. The excess of solvent was removed under vacuum. Recrystallization from dioxane to give compound **2e** as yellow powder. Yield 55%, mp: 276-2°C. IR (KBr),  $v(\text{cm}^{-1})$ ; 3244 (NH<sub>2</sub>), 1708, 1686 (2CO), 1640, 1636, (C=C). <sup>1</sup>H-NMR (DMSO- $d_6$ ),  $\delta$ (ppm); 6.38 (br. s, 2H, NH<sub>2</sub>,

D<sub>2</sub>O exchangeable), 6.80(m, 4H, aromatic protons), 7.68-7.74(m, 5H, aromatic protons + methylene proton), and 7.78-7.80(m, 3H, aromatic proton). MS (EI) m/e (rel.int.); 314 (M<sup>+</sup>, 100). Anal. Calc. for  $C_{20}H_{14}N_2O_2$  (314): C, 76.42%; H, 4.49%; N, 8.91%; Found. C, 75.81%; H, 3.86%; N, 8.73%.

### (Z)-4-((4-(Benzofuran-2-yl)-1-phenyl-1*H*-pyrazol-3-yl) methylene)-2-phenylisoquinoline-1,3-(2*H*, 4*H*) -dione(3a):

Compound **1b** (0.01 mole, 2.37gm) and 4-(benzofuran- 2-yl)-1-phenyl-1*H*-pyrazole-3-carbaldehyde (0.01mole,2.88 gm) was heated under reflux for 12hr. Recrystallization from DMF to give compound **3a** as brown powder. Yield 55%, mp: 287-2°C. IR (KBr),  $v(\text{cm}^{-1})$ ; 1700, 1688 (2CO), 1651, 1648(C=N), 1643, 1640, and 1638(C=C). <sup>1</sup>H-NMR (DMSO-*d*<sub>6</sub>),  $\delta$ (ppm); 7.4 (s, 1H, furan ring proton), 7.74-7.86 (2m, 19H, 18 aromatic protons + methylene proton), and 8.30 (s, 1H, pyrazole ring proton). MS (EI) m/e (rel.int.); 507 (M<sup>+</sup>, 100). Anal. Calc. for C<sub>33</sub>H<sub>21</sub>N<sub>3</sub>O<sub>3</sub> (507): C, 78.09%; H, 4.17%; N, 8.28%. Found. C, 77.77%; H, 3.88.27%; N, 7.89%.

### (Z)-4-(4-Amino-3,5-dimethylbenzylidene)-2phenylisoquinoline-1,3-(2*H*,4*H*)- dione(3b):

Compound **1b** (0.01 mole, 2.37gm) and 4-amino-3,5dimethyl benzaldehyde (0.01mole,1.49 gm) was heated under reflux for 11hr. Recrystallized from dioxane/DMF (2:1) to give compound **3b** as brown powder. Yield 55%, mp: 278-2°C. IR (KBr),  $v(\text{cm}^{-1})$ ; 3246(NH<sub>2</sub>), 2930 (CH<sub>3</sub>), 1708, 1688 (2CO), 1642, 1640 (C=C). <sup>1</sup>H- NMR (DMSO- $d_6$ ),  $\delta$ (ppm); 2.00 (s, 6H, 2CH<sub>3</sub>)), 6.45(br. s, 2H, NH<sub>2</sub>, D<sub>2</sub>O exchangeable), 7.40(s, 2H, aromatic protons), 7.64-7.68(m, 4H, aromatic protons + methylene proton)), 7.82(m, 4H, aromatic protons), and 8.00(m, 2H, aromatic protons). MS (EI) m/e (rel.int.); 369 (M<sup>+</sup>, 100). Anal. Calc. for C<sub>24</sub>H<sub>20</sub>N<sub>2</sub>O<sub>2</sub> (368): C, 78.24%; H, 5.47%; N, 7.60%. Found. C, 77.59%; H, 5.28%; N, 7.39%.

#### (Z)-4-((1*H*-Indol-3-yl)methylene)-2-phenylisoquinoline-1,3-(2*H*,4*H*)-dione (3c):

Compound **1b** (0.01 mole, 2.37gm) and 1*H*-indole-3carbaldehyde (0.01mole, 1.45 gm) was heated under reflux for 12hr. Recrystallized from Dioxane/DMF (3:1) to give compound **3c** as deep yellow powder. Yield 55%, mp: 288-2°C. IR (KBr),  $v(\text{cm}^{-1})$ ; 3330(NH), 1700, 1684 (2CO), 1635, 1633 (C=C). <sup>1</sup>H-NMR (DMSO- $d_6$ ),  $\delta$ (ppm); 7.45(m, 4H, aromatic protons), 7.80(m, 5H, aromatic protons + methylene proton), 7.80-7.84(m, 5H, aromatic protons), 8.00(s, 1H, indol proton) and 11.70(s, 1H, NH, D<sub>2</sub>O exchangeable). MS (EI) m/e (rel.int.); 364 (M<sup>+</sup>, 100). Anal. Calc. for C<sub>24</sub>H<sub>16</sub>N<sub>2</sub>O<sub>2</sub> (364): C, 79.11%; H, 4.43%; N, 7.69%. Found. C, 78.69%; H, 4.27%; N, 7.49%.

### (Z)-4-(3-Methoxy-2-nitrobenzylidene)-2-phenylisoquinoline-1,3-(2H, 4H)dione (3d):

Compound **1b** (0.01 mole, 2.37gm) and 3-methoxy-2-nitrobenzaldehyde (0.01mole,1.81 gm) was heated under reflux for 11hr. Recrystallization from dioxane/DMF (3:1) to give compound **3d** as orang powder. Yield 60%, mp: 289-2°C. IR (KBr),  $v(\text{cm}^{-1})$ ; 2920(CH<sub>3</sub>), 1700, 1684 (2CO), 1640, 1638 (C=C). <sup>1</sup>H-NMR (DMSO-*d*<sub>6</sub>),  $\delta$ (ppm); 3.94(s, 3H, OCH<sub>3</sub>) 6.82(d, *J*=7.25, 1H, aromatic proton), 7.44-7.55(m, 6H, aromatic protons), 7.80(t, *J*=8.25Hz,1H, aromatic proton), and 8.20(m, 5H, aromatic protons + methylene proton). MS (EI) m/e (rel.int.); 400 (M<sup>+</sup>, 100). Anal. Calc. for C<sub>23</sub>H<sub>16</sub>N<sub>2</sub>O<sub>5</sub> (400): C, 69.00%; H, 4.03%; N, 7.00%. Found. C, 68.59%; H, 3.59%; N, 6.79%.

### (Z)-4-(Naphthalen-2-ylmethylene)-2-phenylisoquinoline-1,3-(2*H*,4*H*)dione (3e):

Compound **1b** (0.01 mole, 2.37gm) and 2naphthaldehyde (0.01mole, 1.56gm) was heated under reflux for 12hr. Recrystallization from dioxane to give compound **3e** as yellow powder. Yield 55%, mp: 291-2°C. IR (KBr),  $v(\text{cm}^{-1})$ ; 1700, 1684 (2CO), and 1640, 1638, (C=C). <sup>1</sup>H-NMR (DMSO- $d_{\delta}$ ),  $\delta$ (ppm); 6.82(m, 3H, aromatic protons), 7.44-7-55(m, 3H, aromatic protons), 7.80(m, 3H, aromatic protons), 7.92(m, 5H, aromatic protons + methylene proton) and 8.20(t, *J*=8.20Hz, 2H, aromatic protons). MS (EI) m/e (rel.int.); 375 (M<sup>+</sup>, 100). Anal. Calc. for C<sub>26</sub>H<sub>17</sub>NO<sub>2</sub> (374): C, 83.18%; H, 4.56%; N, 3.73%. Found. C, 82.88%; H, 4.16%; N, 3.65%.

### General Procedure for Preparation of Glycosides 4a-e and 5a-e:

A mixture of compounds **1a**, **b** (10 mmol) and appropriate aldo-sugar either hexoses (10 mmol, 1.80gm) or pentoses (10 mmol, 1.50gm) were stirred in pyridine (dry): pepperdine mixture (1:1) overnight where by a precipitate was formed. The obtained precipitate was filtered off, washed several times with cold water/ethyl alcohol mixture (1:1), dried and purified by recrystallization from suitable solvents to produce the desired glycosides **4a-e** or **5a-e** in moderate yields (60-65%).

The mass is not possible because of the high polarity of all compounds. Yields are not optimized. All TLC was observed after burning with 10% ethanolic solution of concentrated sulfuric acid (CH<sub>3</sub>CH<sub>2</sub>OH /H<sub>2</sub>SO<sub>4</sub>) to detected the reaction with sugar moiety as free sugar has no observation under UV (short and long).

### (*E*)-2-Amino-4- glucosylisoquinoline-1,3-(2*H*,4*H*)-dione(4a):

From compound 1a (0.01 mole, 1.76gm) and D (+)glucose (10mmole, 1.80gm). A yellow precipitate, recrystallized from dioxane to give compound 4a in 65% yield, mp: 281-2°C. IR (KBr), v(cm<sup>-1</sup>); 3400(broad OHs), 3244(NH<sub>2</sub>), 2960(aliphatic CH, CH<sub>2</sub>), 1700, 1686(2CO), and 1644 (C=C). <sup>1</sup>H-NMR (DMSO- $d_6$ ),  $\delta$ (ppm); 3.55 (m, 5H, 5OH, D<sub>2</sub>O exchangeable OH-2'-OH-6'), 3.75 (m, 1H, H-5'), 4.30 (m, 2H, H-6`, H-6``), 4.45 (m, 1H, H-4`), 4.60 (m, 1H, H-3<sup>\*</sup>), 5.40 (m, 1H, H-2<sup>\*</sup>), 6.12 (br s, 2H, NH<sub>2</sub>, D<sub>2</sub>O exchangeable). 7.50(m, 3H, aromatic protons), 7.64(d, J=8.2Hz, 1H, aromatic proton), and 7.68(d, J=8.23Hz, 1H, aromatic proton). Anal. Calc. for C15H18N2O7 (338): C, 53.25%; H, 5.36%; N, 8.28%. Found. C, 52.88%; H, 5.11%; N, 7.79%.

### (*E*)-2-Amino-4- galactosylisoquinoline-1,3-(2*H*,4*H*) -4*H*) -dione(4b):

Compound 1a (0.01 mole, 1.76gm) and D (+)galactose (0.01mole, 1.80 gm). Recrystallized from dioxane to give compound 4b as pall yellow powder. Yield 65%, mp: 290-2°C. IR (KBr),  $v(cm^{-1})$ ; 3407(broad OHs), 3243(NH<sub>2</sub>), 2960(CH, CH2), 1700, 1680(2(CO), and 1644 (C=C). <sup>1</sup>H-NMR (DMSO- $d_6$ ),  $\delta$ (ppm); 3.75 (m, 5H, 5OH, D<sub>2</sub>O exchangeable OH-2'-OH-6'), 4.20 (m, 2H, H2-6'), 4.50 (m, 3H, H-3`-H-5`), 5.10 (d, 1H, J = 7.5Hz, H-2), 6.12 (br s, 2H, NH<sub>2</sub>, D<sub>2</sub>O exchangeable). 7.40 (m, 1H, CH, H-1`), 7.50(m, 2H, aromatic protons), 7.64(d, *J*=8.2Hz, 1H, aromatic proton), and 7.68(d, J=8.23Hz, 1H, aromatic proton). Anal. Calc. for C15H18N2O7 (338): C, 53.25%; H, 5.36%; N, 8.28%. Found. C, 52.88%; H, 5.11%; N, 7.79%.

#### (*E*)-2-Amino-4- mannosylisoquinoline-1,3-(2*H*,4*H*) -dione(4c):

Compound **1a** (0.01 mole, 1.76gm) and D (+)mannose (0.01mole, 1.80gm). Recrystallized from ethanol/dioxane (1:3) to give compound **4c** as orange powder. Yield 60%, mp: 276-2°C. IR (KBr),  $v(\text{cm}^{-1})$ ;

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3400(broad OHs), 3244(NH<sub>2</sub>), 2960(CH), 1700, 1686(2(CO), and 1644 (C=C). <sup>1</sup>H-NMR (DMSO- $d_6$ ),  $\delta$ (ppm); 3.60 (m, 5H, 5OH, D<sub>2</sub>O exchangeable OH-2`-OH-6`), 4.25 (m, 1H, CH, H-3`), 4.35 (m, 2H, CH<sub>2</sub>, H<sub>2</sub>-6`), 4.50 (m, 2H, 2CH, H-3` and H-4`), 5.20 (dd, 1H, CH, *J*=7.5Hz, H-2`), 6.12 (br s, 2H, NH<sub>2</sub>, D<sub>2</sub>O exchangeable). 7.50(m, 2H, aromatic protons), 7.62 (d, 1H, *J*=7.5Hz, H-1`),7.65(d, *J*=8.2Hz, 1H, aromatic proton), and 7.68(d, *J*=8.23Hz, 1H, aromatic proton). Anal. Calc. for C<sub>15</sub>H<sub>18</sub>N<sub>2</sub>O<sub>7</sub> (338): C, 53.25%; H, 5.36%; N, 8.28%. Found. C, 52.88%; H, 5.11%; N, 7.79%.

### (*E*)-2-Amino-4- xylosylisoquinoline-1,3-(2*H*,4*H*)-dione(4d):

Compound **1a** (0.01 mole, 1.76gm) and D (+)-xylose (0.01mole, 1.50 gm). Recrystallization from dioxane to give compound **4d** as pall yellow powder. Yield 60%, mp: 255-2°C. IR (KBr),  $v(\text{cm}^{-1})$ ; 3400(broad OHs), 3244(NH<sub>2</sub>), 2960(CH), 1700, 1686(2(CO), and 1644 (C=C). <sup>1</sup>H NMR (DMSO- $d_6$ ),  $\delta$ (ppm); 3.70 (m, 4H, 4OH, D<sub>2</sub>O exchangeable, OH-2`-OH-5`), 4.35 (m, 1H, H-3`), 4.45 (m, 1H, H-4`), 4.60 (m, 2H, H<sub>2</sub>-5`), 5.10 (dd, 1H, *J*=7.50 Hz, H-2`), 6.25(br s, 2H, NH<sub>2</sub>, D<sub>2</sub>O exchangeable), 7.40 (d, *J*=8.00Hz, 1H, 7.50Hz, H-1`), 7.50(m,2H, aromatic protons), 7.64(d, *J*=8.2Hz, 1H, aromatic proton), and 7.68(d, *J*=8.23Hz, 1H, aromatic proton). Anal. Calc. for C<sub>14</sub>H<sub>16</sub>N<sub>2</sub>O<sub>6</sub> (308): C, 54.54%; H, 5.23%; N, 9.09%. Found. C, 54.46%; H, 4.87%; N, 8.79%.

### (E)-2-Amino-4- arabinosylisoquinoline-1,3-(2H,4H) -dione(4e):

Compound 1a (0.01 mole, 1.76gm) and D (+)arabinose (0.01mole, 1.50 gm). Recrystallization from dioxane to give compound 4e as yellowish brown powder. Yield 60%, mp: 257-2°C. IR (KBr),  $v(\text{cm}^{-1})$ ; 3390(broad OHs), 3240(NH<sub>2</sub>), 2965(CH), 1720, 1688(2CO), and 1644-1642 (C=C). <sup>1</sup>H-NMR  $(DMSO-d_6), \delta(ppm); 3.70 (m, 4H, 4OH, D_2O)$ exchangeable, OH-2`-OH-5`), 4.35 (m, 1H, H-3`), 4.45 (m, 1H, H-4<sup>`</sup>), 4.60 (m, 2H, H<sub>2</sub>-5<sup>`</sup>), 5.10 (dd, 1H, J=7.50 Hz, H-2`), 6.20(br, s, 2H, NH<sub>2</sub>, D<sub>2</sub>O exchangeable), 7.40 (d, 1H, 7.45Hz, H-1`), 7.50(m, 2H, aromatic protons), 7.64(d, J=8.2Hz, 1H, aromatic proton), and 7.68(d, J=8.23Hz, 1H, aromatic proton). Anal. Calc. for C<sub>14</sub>H<sub>16</sub>N<sub>2</sub>O<sub>6</sub> (308): C, 54.54%; H, 5.23%; N, 9.09%. Found. C, 54.46%; H, 4.87%; N, 8.79%.

### (*E*)-4-Glucosyl-2-phenylisoquinoline-1,3-(2*H*,4*H*)-dione(5a):

Compound **1b** (0.01 mole, 2.37gm) and D (+)glucose (10mmole, 1.80gm). A brownish yellow precipitate, recrystallized from dioxane to give compound **5a** in 65% yield, mp: 286-2°C. IR (KBr),  $v(\text{cm}^{-1})$ ; 3400(broad OHs), 2960(aliphatic CH, CH<sub>2</sub>), 1700, 1686(2CO), and 1644,1640, 1638 (C=C).<sup>1</sup>H-NMR (DMSO- $d_6$ ),  $\delta$ (ppm); 3.55 (m, 5H, 5OH, D<sub>2</sub>O exchangeable OH-2`-OH-6`), 3.75 (m, 1H, H-5`), 4.30 (m, 2H, H-6`, H-6``), 4.45 (m, 1H, H-4`), 4.60 (m, 1H, H-3`), 5.40 (m, 1H, H-2`), 7.50(m, 2H, aromatic protons), 7.64(d, *J*=8.2Hz, 1H, aromatic proton), 7.68(d, *J*=8.23Hz, 1H, aromatic proton), 7.70-7.73(m, 4H, aromatic protons) and 7.70-7.73(m, 2H, aromatic protons). Anal. Calc. for C<sub>21</sub>H<sub>21</sub>NO<sub>7</sub> (399): C, 63.15%; H, 5.30%; N, 3.51%. Found. C, 62.88%; H, 4.88%; N, 3.35%.

### (*E*)-4-Galactosyl-2-phenylisoquinoline-1,3-(2*H*, 4*H*)-dione(5b):

Compound 1b (0.01 mole, 2.37gm) and D (+)galactose (0.01mole, 1.80 gm). Recrystallized from dioxane to give compound 5b as deep yellow powder. Yield 60%, mp: 278-2°C. IR (KBr), v(cm<sup>-1</sup>); 2960(CH, 3411(broad OHs),  $CH_2$ ), 1705. 1686(2(CO), and 1643, 1640 (C=C). <sup>1</sup>H-NMR (DMSO-*d*<sub>6</sub>), δ(ppm); 3.75 (m, 5H, 5OH, D<sub>2</sub>O exchangeable OH-2<sup>-</sup> OH-6<sup>-</sup>), 4.20 (m, 2H, H<sub>2</sub>-6<sup>-</sup>), 4.50 (m, 3H, H-3`-H-5`), 5.10 (d, 1H, J = 7.5Hz, H-2), 7.40 (m, 1H, CH, H-1), 7.50(m, 3H, aromatic protons), 7.64(d, J=8.2Hz, 1H, aromatic proton), 7.68(d, J=8.23Hz, 1H, aromatic proton), and 7.74(m, 2H, aromatic protons). Anal. Calc. for C<sub>21</sub>H<sub>21</sub>NO<sub>7</sub> (399): C, 63.15%; H, 5.30%; N, 3.51%. Found. C, 62.89%; H, 4.86%; N, 3.37%.

### (2E)-4-Mannosyl-2-phenylisoquinoline-1,3-(2H, 4H)-dione(5c):

Compound **1b** (0.01 mole, 2.37gm) and D (+)mannose (0.01mole, 1.80gm). Recrystallized from ethanol/dioxane (1:3) to give compound **5c** as orange powder. Yield 60%, mp: 276-2°C. IR (KBr),  $v(\text{cm}^{-1})$ ; 3400(broad OHs), 2960(CH), 1700, 1686(2(CO), and 1644 (C=C). <sup>1</sup>H-NMR (DMSO-*d*<sub>6</sub>),  $\delta$ (ppm); 3.60 (m, 5H, 5OH, D<sub>2</sub>O exchangeable OH-2`-OH-6`), 4.25 (m, 1H, CH, H-3`), 4.35 (m, 2H, CH2, H<sub>2</sub>-6`), 4.50 (m, 2H, 2CH, H-3` and H-4`), 5.20 (dd, 1H, CH, J=7.5Hz, H-2`), 7.50(m, 2H, aromatic protons), 7.62 (d, 1H, *J*=7.5Hz, H-1`),7.65(d, *J*=8.2Hz, 1H, aromatic proton), 7.68(d, J=8.23Hz, 1H, aromatic proton), and 7.74(m, 2H, aromatic protons).. Anal. Calc. for C<sub>21</sub>H<sub>21</sub>NO<sub>7</sub> (399): C, 63.15%; H, 5.30%; N, 3.51%. Found. C, 62.89%; H, 4.86%; N, 3.37%.

## (*E*)-4-Xylosyl-2-phenylisoquinoline-1,3-(2*H*,4*H*)-dione(5d):

Compound **1b** (0.01 mole, 2.37gm) and D (+)-xylose (0.01mole, 1.50gm). Recrystallization from dioxane to give compound **5d** as yellow powder. Yield 60%, mp: 263-2°C. IR (KBr),  $v(\text{cm}^{-1})$ ; 3410(broad OHs), 2900(CH), 1700, 1686(2CO), and 1644-1640 (C=C). <sup>1</sup>H-NMR (DMSO-*d*<sub>6</sub>),  $\delta$ (ppm); 3.75 (m, 4H, 4OH, D<sub>2</sub>O exchangeable, OH-2<sup>×</sup>-OH-5<sup>×</sup>), 4.34 (m, 1H, H-3<sup>×</sup>), 4.45 (m, 1H, H-4<sup>×</sup>), 4.63 (m, 2H, H<sub>2</sub>-5<sup>×</sup>), 5.00 (dd, 1H, *J*=7.50 Hz, H-2<sup>×</sup>), 6.45 (d, *J*=7.50Hz, 1H, H-

1`), 7.56(m, 5H, aromatic protons), 7.60(d, J=8.2Hz, 1H, aromatic proton), and 7.70(m, 3H, aromatic protons). Anal. Calc. for C<sub>20</sub>H<sub>19</sub>N<sub>2</sub>O<sub>5</sub> (369): C, 65.03%; H, 5.19%; N, 3.79%. Found. C, 64.87%; H, 4.79%; N, 3.66%.

### (*E*)-4-Arabinosyl-2-phenylisoquinoline-1,3-(2*H*, 4*H*)-dione(5e):

Compound **1b** (0.01 mole, 2.76gm) and D (+)arabinose (0.01mole, 1.50gm). Recrystallization from dioxane/DMF mixture (3:1) to give compound **5e** as brownish yellow powder. Yield 65%, mp: 261-2°C IR (KBr),  $v(\text{cm}^{-1})$ ; 3412(broad OHs), 2955(aliphatic CH, CH<sub>2</sub>), 1705, 1688(2CO), and 1641-1638 (C=C). <sup>1</sup>H- NMR (DMSO- $d_6$ ),  $\delta$ (ppm); 3.75 (m, 4H, 4OH, D<sub>2</sub>O exchangeable, OH-2<sup>-</sup>-OH-5<sup>-</sup>), 4.34 (m, 1H, H-3<sup>-</sup>), 4.44 (m, 1H, H-4<sup>-</sup>), 4.63 (m, 2H, H<sub>2</sub>-5<sup>-</sup>), 5.00 (dd, 1H, *J*=7.50 Hz, H-2<sup>-</sup>), 6.46 (d, *J*=7.50Hz, 1H, H-1<sup>-</sup>), 7.56(m, 5H, aromatic protons), 7.58(d, *J*=8.2Hz, 1H, aromatic proton), and 7.68(m, 3H, aromatic protons). Anal. Calc. for C<sub>20</sub>H<sub>19</sub>NO<sub>6</sub> (369): C, 65.03%; H, 5.19%; N, 3.79%. Found. C, 64.87%; H, 4.79%; N, 3.66%.

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