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Anticancer Activity of Novel Benzo[*d*] [1, 3] dioxol Carrying a Biologically Active Heterocyclic Moieties

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SUMMARY. N-(Benzo[*d*] [1,3] dioxol-5-ylmethyl)-2-cyanoacetamide **2** was utilized as a key intermediate for the synthesis of acrylamides **3-8**, 1,2-dihydropyridines **9-16** and **25**, dihydroquinoline **18** 2,3-dihydrothiazoles **19-24**, chromenes **26,27**, and benzochromenes **28,29**. The structure of the newly synthesized compounds was confirmed on the basis of elemental analyses and spectral data. All the newly synthesized compounds were evaluated for their cytotoxic activity against breast cancer cell line MCF7. Compound **22** exhibited higher activity with IC_{50} value (3.68 μg/mL) compared to doxorubicin with IC_{50} value (5.75 μg/mL) as reference drug. Also, compounds **23**, **17**, **21**, **19**, **24**, **16** and **9** are nearly as active as doxorubicin, while compounds **2**, **4**, **5**, **6**, **10**, **15**, **18**, **25**, **26** and **28** exhibited a moderate activity. In addition compounds **3**, **13** and **20** showed less activity than doxorubicin, while compounds **7**, **11**, **12**, **14**, **27** and **29** showed no activity.

RESUMEN. La N-(benzo[*d*] [1,3]dioxol-5-ilmetil)-2-cianoacetamida 2 se utilizó como intermedio para la síntesis de las acrilamidas **3-8**, 1,2-dihidropiridinas **9-16**, **25**, dihidroquinolina **18**, 2,3-dihydrothiazoles **19-24**, cromenos **26,27** y benzocromenos **28,29**. La estructura de los compuestos sintetizados se confirmó mediante análisis elemental y datos espectrales. La actividad citotóxica de todos los compuestos se evaluó contra la línea celular de cáncer de mama MCF7. El compuesto **22** exhibió mayor actividad con valor de IC_{50} 3,68 μg/mL en comparación con la doxorrubicina como fármaco de referencia (IC_{50} = 5.75 μg/mL). Los compuestos **23**, **17**, **21**, **19**, **24**, **16** y **9** son casi tan activos como la doxorrubicina, mientras que los compuestos **2**, **4**, **5**, **6**, **10**, **15**, **18**, **25**, **26** y **28** mostraron una actividad moderada. Los compuestos de adición de **3**, **13** y **20** mostraron menos actividad que la doxorrubicina, mientras que los compuestos **7**, **11**, **12**, **14**, **27** y **29** no mostraron actividad.

KEY WORDS: acrylamides, benzochromene anticancer activity, chromenes, pyridines, thiazole.

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