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Synthesis and Activity Assay of Danshensu Derivatives Bearing Amino Alcohols as Potential Cardioprotective Agents

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SUMMARY. A series of novel danshensu amino alcohols derivatives (**9a-18a**, **9b-18b**) were rationally designed and easily semisynthesized under mild conditions by using the natural plant extract sodium danshensu as the starting material. All new derivatives were evaluated for protective effects against hydrogen peroxide (H_2O_2)-induced oxidative damage on H9c2 rat cardiomyoblast cells. Biological results demonstrated that some compounds exhibited better or similar protective effects than the positive control sodium danshensu. Among these compounds, compound **14a** displayed most potential protective effects with EC_{50} = 119.2 μM and reduce LDH leakage. Structure-activity relationships were briefly discussed.

RESUMEN. Se diseñaron racionalmente una serie de nuevos derivados de aminoalcoholes del danshensu (**9a-18a**, **9b-18b**) y se semisintetizaron fácilmente bajo condiciones suaves usando el extracto natural de la planta danshensu sódico como material de partida. Todos los nuevos derivados se evaluaron para evaluar sus efectos protectores contra el daño oxidativo inducido por peróxido de hidrógeno (H_2O_2) en células de cardiomioblastos de rata H9c2. Los resultados biológicos demostraron que algunos compuestos exhibían mejores o similares efectos protectores que el control positivo de danshensu sódico. Entre ellos, el compuesto **14a** mostró la mayoría de los efectos protectores potenciales con EC_{50} = 119,2 μM y reduce la fuga de LDH. Se discutieron brevemente las relaciones estructura-actividad.

KEY WORDS: cardioprotective effects, danshensu derivatives, ischaemic heart disease, semisynthesis.

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