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Synthesis and Anti-tuberculosis Activity of 2-[(2-Hydroxy-4-trifluoromethyl-phenylamino)-methylene]-cyclohexane-1,3-dione

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SUMMARY: **In the present study 2-[(2-Hydroxy-4-trifluoromethyl-phenylamino)-methylene]-cyclohexane-1,3-dione was synthesized and screened for anti-tuberculosis activity against *Mycobacterium tuberculosis* (H37R*v*). Cyclohexan-1,3-dione was subjected to condensation reaction with 2-hydroxy-4-trifloromethyl aniline in presence of triethylorthformate at 120 °C to obtain the corresponding aniline-dione conjugate. The product formed was purified by column chromatography and then characterized using ^{1}H NMR, ^{13}C NMR and MS spectral techniques. The compound was screened *in vitro* for anti-tuberculosis activity against *Mycobacterium tuberculosis* H37Ra strain by broth microdilution method. The condensation reaction of cyclohexan-1,3-dione with 2-hydroxy-4-trifloromethyl aniline and triethylorthformate led to the formation of 2-[(2-Hydroxy-4-trifluoromethyl-phenylamino)-methylene]-cyclohexane-1,3-dione in descent yield. The compound significantly inhibited the growth of *Mycobacterium tuberculosis* H37Ra in dose-dependent manner. The minimum inhibitory concentration of 2-[(2-Hydroxy-4-trifluoromethyl-phenylamino)-methylene]-cyclohexane-1,3-dione against *Mycobacterium tuberculosis* H37Ra was found to be 1.25 µg/mL. In summary, the present study demonstrates a simple method for the synthesis of 2-[(2-Hydroxy-4-trifluoromethyl-phenylamino)-methylene]-cyclohexane-1,3-dione. The compound effectively inhibits the growth of *Mycobacterium tuberculosis* H37Ra and therefore can be developed for the treatment of tuberculosis.**

RESUMEN: En el presente estudio se sintetizó 2-[(2-hidroxi-4-trifluorometil-fenilamino)-metilen]-ciclohexano-1,3-diona y se analizó su actividad antituberculosa contra *Mycobacterium tuberculosis* (H37Rv). La ciclohexan-1,3-diona se sometió a una reacción de condensación con 2-hidroxi-4-triflorometil anilina en presencia de trietilorformiato a 120 ºC para obtener el correspondiente conjugado de anilina-diona. El producto formado se purificó por cromatografía en columna y luego se caracterizó usando técnicas espectrales de 1H NMR, 13C NMR y MS. El compuesto se seleccionó *in vitro* para determinar la actividad antituberculosa frente a la cepa H37Ra de Mycobacterium tuberculosis mediante el método de microdilución en caldo. La reacción de condensación de ciclohexano-1,3-diona con 2-hidroxi-4-triflorometil anilina y ortoformiato de trietilo condujo a la formación de 2-[(2-hidroxi-4-trifluorometil-fenilamino)-metileno]-ciclohexano-1,3 -diona en rendimiento de descendencia. El compuesto inhibió significativamente el crecimiento de Mycobacterium tuberculosis H37Ra de forma dependiente de la dosis. Se encontró que la concentración inhibitoria mínima de 2-[(2-hidroxi-4-trifluorometil-fenilamino)-metileno]-ciclohexano-1,3-diona contra *Mycobacterium tuberculosis* H37Ra era de 1,25 µg/mL. En resumen, el presente estudio demuestra un método simple para la síntesis de 2-[(2-hidroxi-4-trifluorometil-fenilamino)-metileno]-ciclohexano-1,3-diona. El compuesto inhibe eficazmente el crecimiento de *Mycobacterium tuberculosis* H37Ra y, por lo tanto, puede desarrollarse para el tratamiento de la tuberculosis.

KEY WORDS: condensation, diones, minimum inhibitory concentration, tuberculosis treatment.

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