

Synthetic Modifications of Lead Compounds as Antitrypanosomal Drugs

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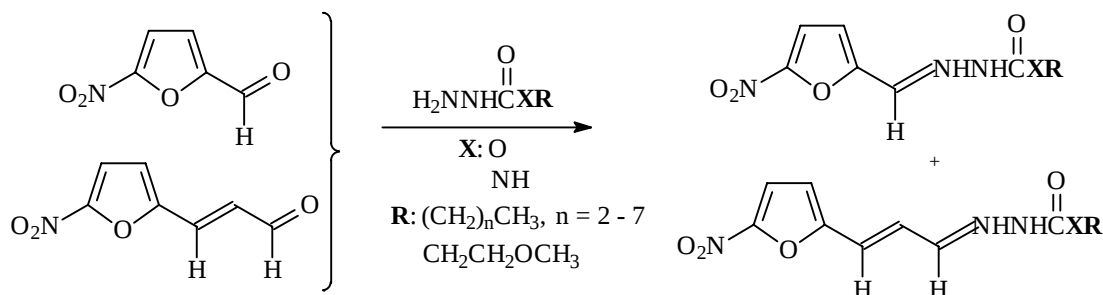
Abstract: Following our work in the synthesis of compounds with antichagasic activity, we describe new potential products in which the same "leader" compound was modulated.

Introduction

We have previously reported the synthesis and biological activity against *Trypanosoma cruzi* epimastigote forms *in vitro* and *in vivo*, of a series of semicarbazone derivatives of 5-nitrofurfural ("leader" compounds) [1,2].

Experimental

The synthesis of the new compounds is shown in the following scheme:



These compounds (I-IX), treated with Lawesson's reagent, produced the thiocarbonyl compounds.

Results and Discussion

The new compounds were identified by ¹H-NMR, ¹³C-NMR, IR, MS and were tested *in vitro* against epimastigote forms of *Trypanosoma cruzi*.

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References and Notes

1. Cerecetto, H.; Di Maio, R.; Ibaruri, G.; Seoane, G.; Denicola, A.; Peluffo, G.; Quijano, C.; Paulino, M. Synthesis and anty-trypanosomal activity of novel 5-Nitro-2-furaldehyde and 5-Nitrothiophene-2-carboxaldehyde semicarbazones derivatives, *Il farmaco* **1998**, *53*, 89-94.
2. Cerecetto, H.; Di Maio, R.; González, M.; Risso, M.; Sagrera, G.; Seoane, G.; Denicola, A.; Peluffo, G.; Quijano, C.; Basombrío, M.A.; Stoppani, A.O.M.; Paulino, M.; Olea-Azar, C. Synthesis and anty-trypanosomal evaluation of E-isomers of 5-nitro-2-furaldehyde and 5-Nitrothiophene-2-carboxaldehyde semicarbazones. *Eur. J. Med. Chem.* (in press).