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Design, synthesis and biological activity of menadione-1,2,3-triazole chalcogenides

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ABSTRACT

Menadione and organochalcogen compounds are valued by chemists for their biological properties and versatility in organic synthesis.[1,2] Our study aimed to design a combination of these scaffolds into a unique structure to achieve molecules with relevant biological profile. Calcogenonaphthoquinone-1,2,3-triazole were synthesized via a *"click"* reaction between azide **1** derived from menadione and alkyne **2,** containing chalcogen atoms (Se and S), catalyzed by Cu(I).[3] The method proved to be effective in the presence of electron-withdrawing and electron-donating groups linked to the aromatic rings of chalcogenides. Furthermore, it was also possible to evaluate different substituents in the R_1 portion of the menadione. Through this protocol it was possible to obtain 16 new molecules with moderate to good yields (34-93%) in short reaction times. The compounds exhibited promising activity against *Mtb* H37Rv, especially compounds **3a**, **3c**, **3g**, and **3h**, with MIC values < 7.37 µM.

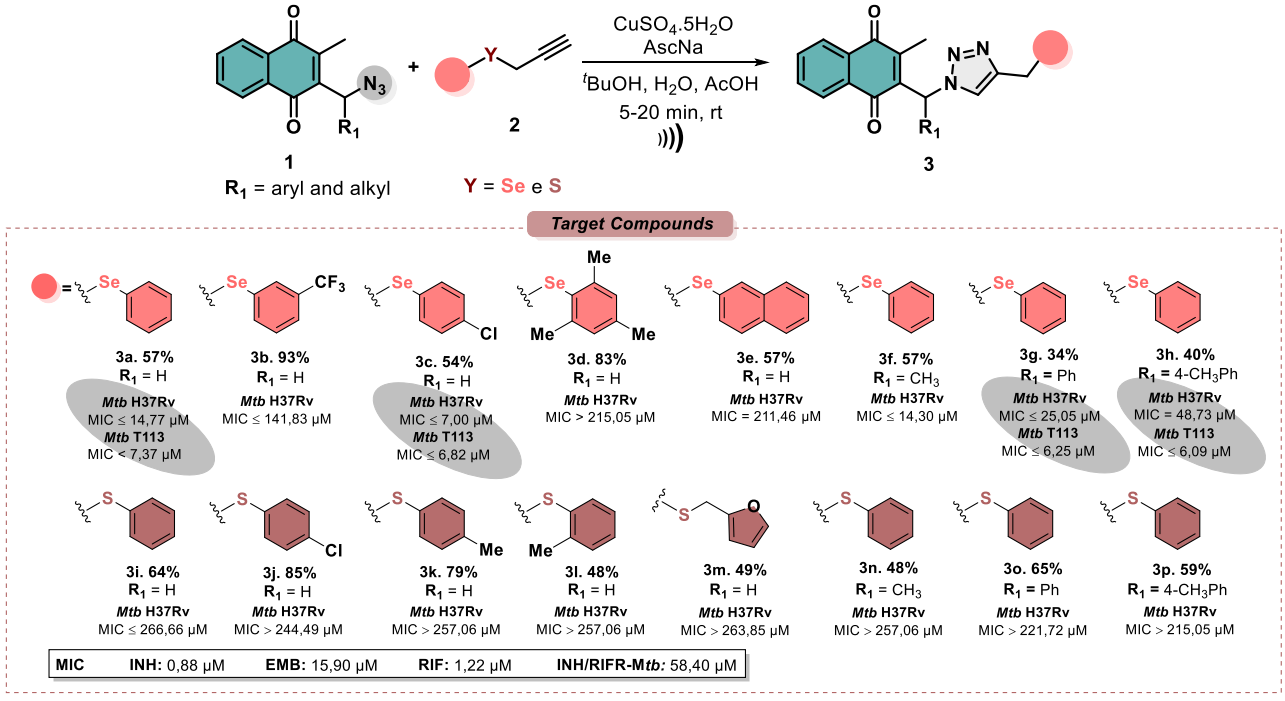

Figure 1. Synthetic route for obtaining chalcogenonaphthoquinone-1,2,3-triazole derivatives.

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REFERENCES

[1] de Souza, *et al. Beilstein J. Org. Chem.* **2022**, *18*, 381.
[2] Nascimento, V. *et al. Eur. J. Med. Chem.* **2021**, 209, 112859.
[3] Jia, Z.; Zhu, Q.; *Bioorg Med Chem Lett*. **2010**, 20, 6222.