

# Synthesis, crystallographic structure, and antibacterial activity of new pyrene-based hydrazones

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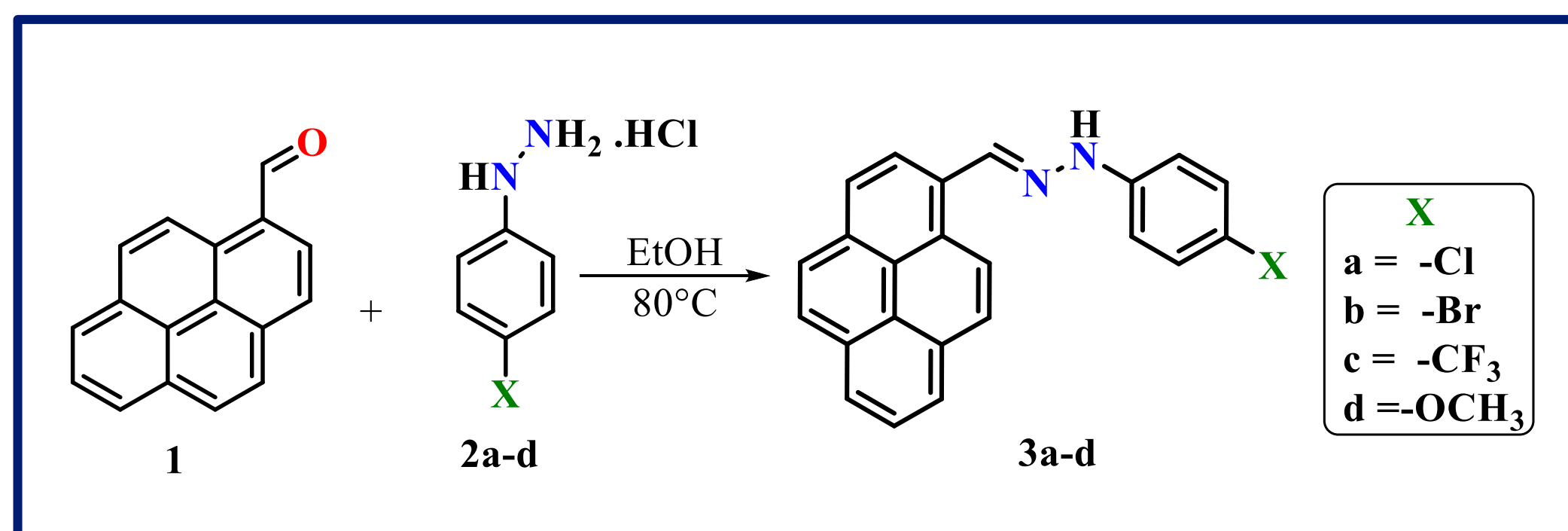
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## INTRODUCTION & AIM

The development of new chemical entities with potential antimicrobial activity against clinically relevant pathogens has posed challenges in recent years due to the emergence of strains resistant to traditional treatments. In this vein, the study of hydrazones has emerged as an interesting alternative due to their structural and biological properties [1-3].

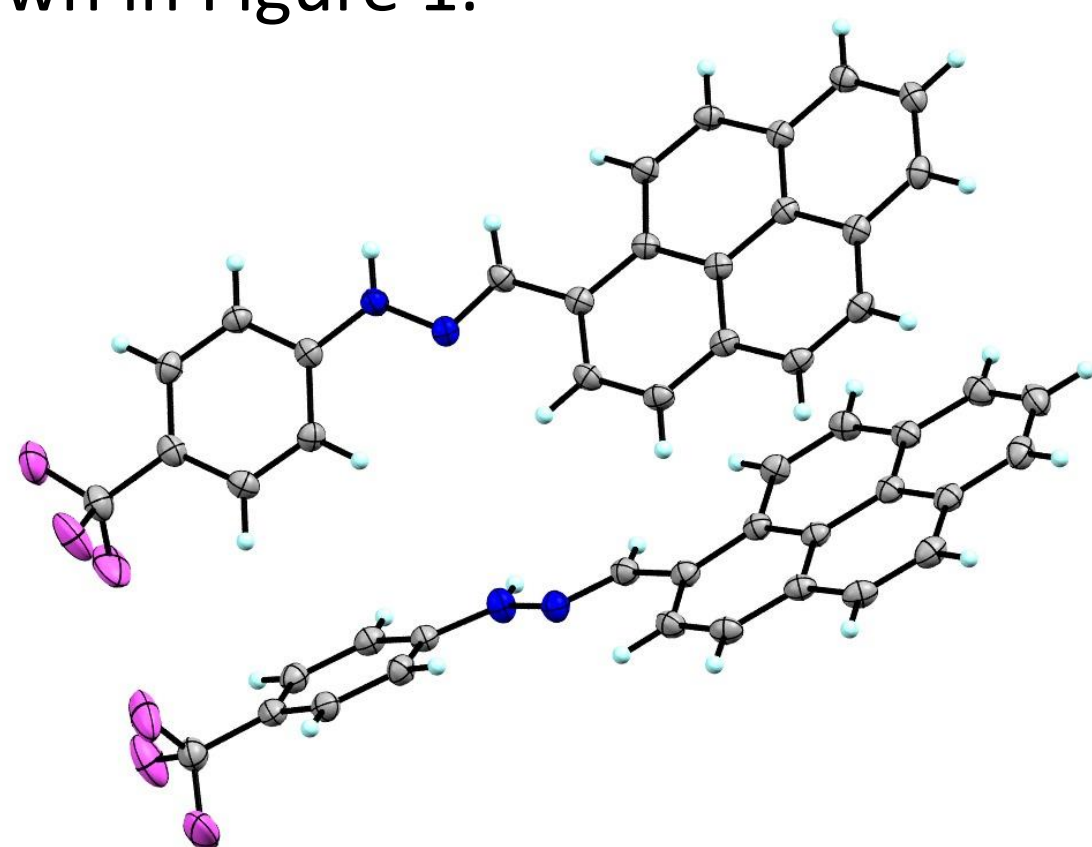
Likewise, the pyrene nucleus is found in derivatives that have shown activity against gram-positive and gram-negative bacteria as well as fungal species, and has been investigated in bioimaging for its optical properties [4].

## METHOD



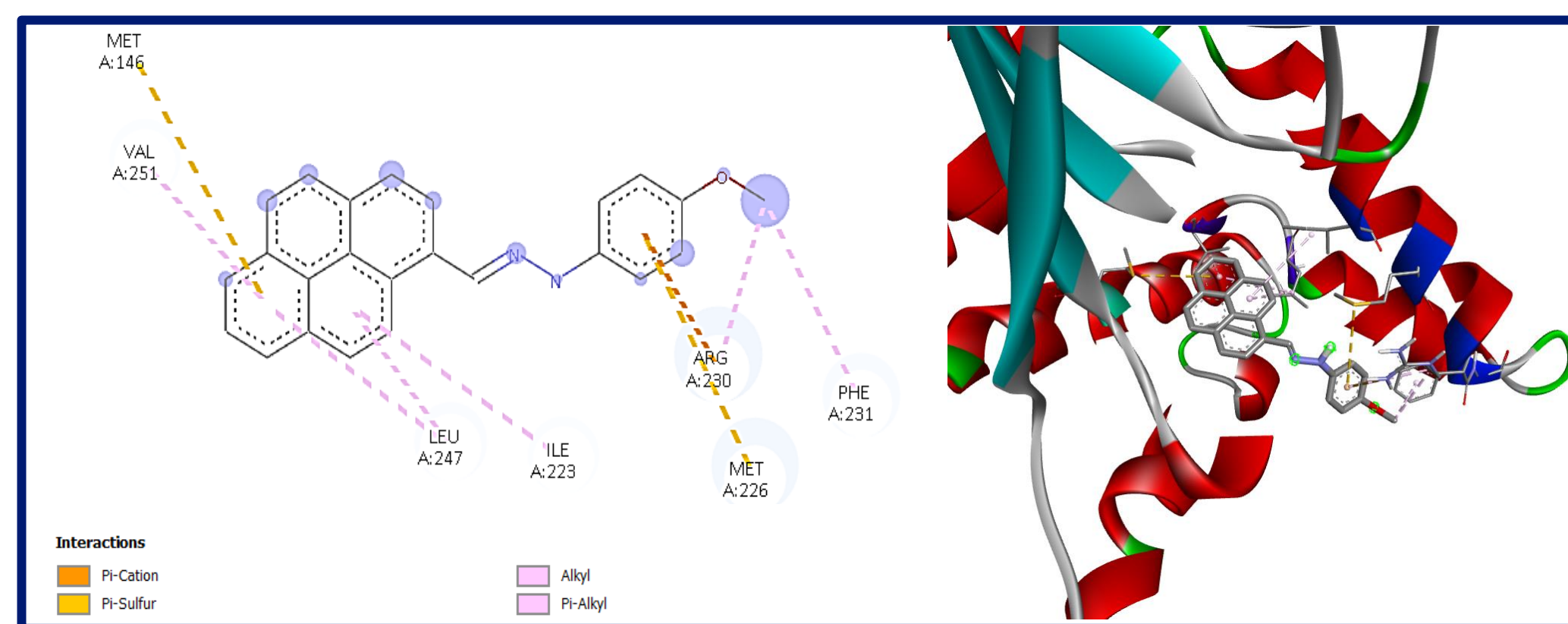
## RESULTS & DISCUSSION

Compounds **3a-d** were obtained with yields greater than 73% and these were characterized using spectroscopic techniques and mass spectrometry (scheme 1). Additionally, the structure of hydrazone **3c** was confirmed by single-crystal X-ray diffraction, as shown in Figure 1.



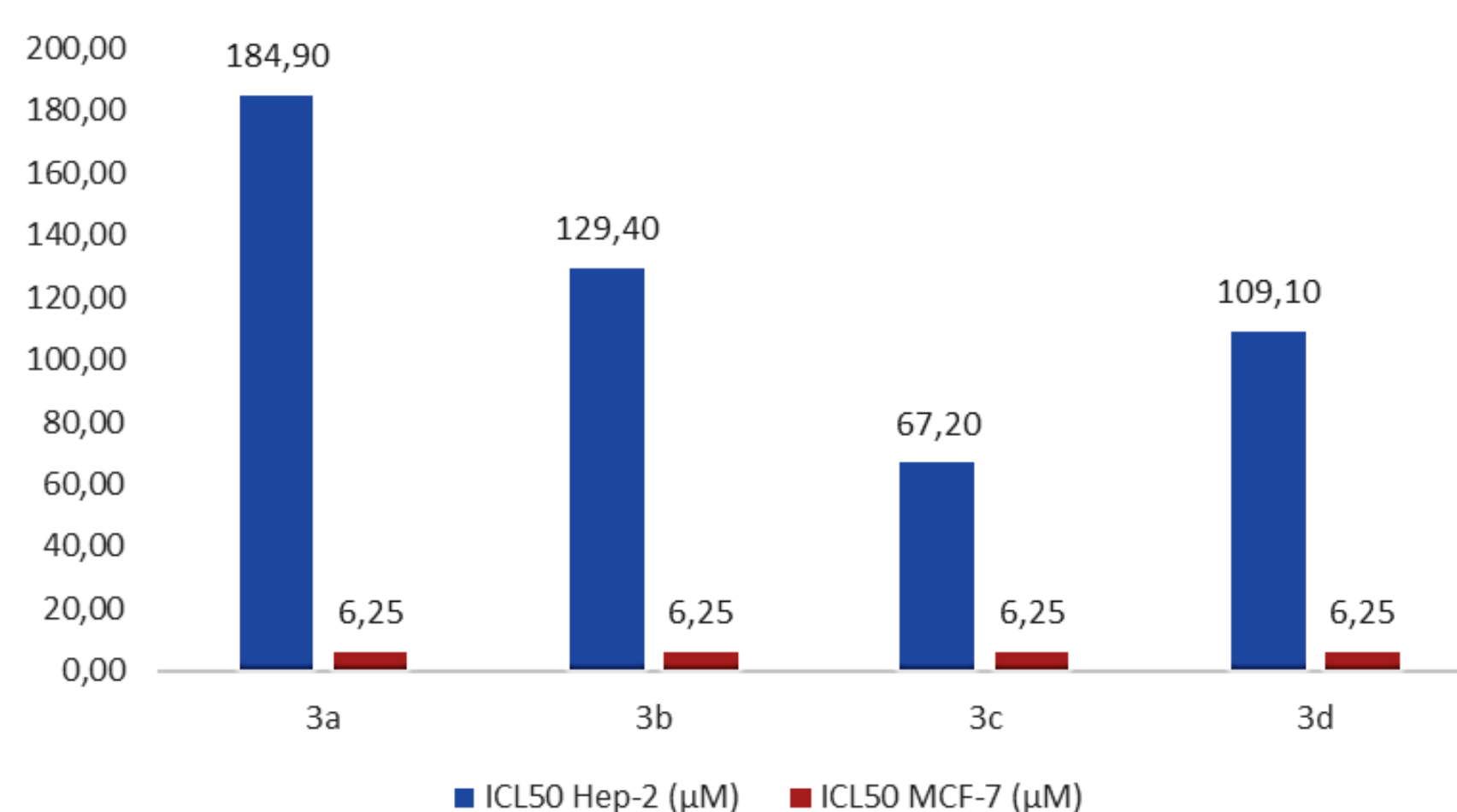
**Figure 1.** The molecular structure of **3c**.

On the other hand, the hydrazone **3d** exhibited bacteriostatic activity against *Mycobacterium tuberculosis* with an MIC of 40 µg/mL, indicating possible interactions with the protein tyrosine phosphatase PtpB (20Z5) (Figure 2).



**Figure 2.** Intermolecular interactions between **3d** and 20Z5

Anticancer activity studies revealed that hydrazones **3a-d** exhibited high activity against triple-positive breast cancer (MCF-7) with an IC<sub>50</sub> of 6,25 µM (Figure 3), as well as against cervical cancer (Hep-2) reaching an IC<sub>50</sub> value of 67,2 µM for compound **3c**.



**Figure 3.** Inhibitory concentrations (IC<sub>50</sub>) of hydrazones (**3a-d**) against cancer cell lines Hep-2 (blue) and MCF-7 (red).

## CONCLUSION

New pyrene-based hydrazones were synthesized and characterized using different spectroscopic and spectrometric techniques. The molecular structure of compound **3c** was determined using single-crystal X-ray diffraction (XRD). Compound **3d** exhibited high activity against *M. tuberculosis* with an MIC of 40 µg/mL, while compound **3c** presented an IC<sub>50</sub> of 67,20 µM against Hep-2. Additionally, all compounds **3a-d** showed an IC<sub>50</sub> value of 6.25 µM against MCF-7.

## REFERENCES

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- [4] Kathiravan, A., et. al, *Phys. Chem. B*, **2024**, *118*, 13573–13581.