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Regioselective and Efficient Halogenation of 4,5-unsubstituted alkyl 3-hydroxypyrrole / 3-hydroxythiophene-2-yl-carboxylates

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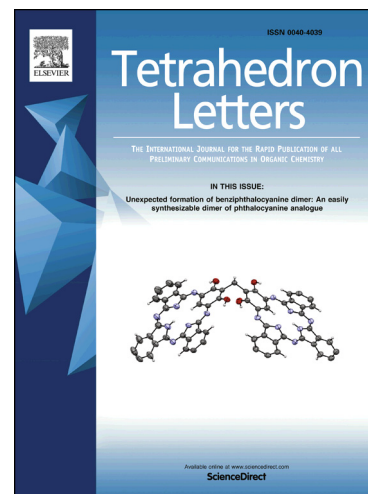
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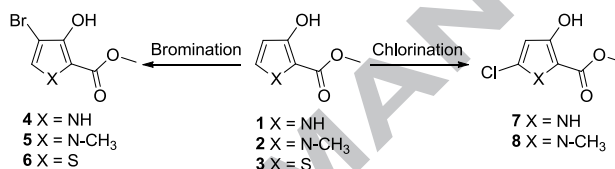
Graphical Abstract

Regioselective and Efficient Halogenation of 4,5-unsubstituted alkyl 3-hydroxypyrrol / 3-hydroxythiophen-2-yl-carboxylates

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Omar Castillo-Aguilera, Patrick Depreux, Ludovic Halby, Nathalie Azaroual, Paola B. Arimondo and Laurence Goossens

Substituted heterocycles, such as pyrrole and thiophene, are commonly found in the field of pharmaceutical and material sciences. Here we studied the reactivity of 4,5-unsubstituted alkyl 3-hydroxypyrrol-2-yl-carboxylates and 4,5-unsubstituted alkyl 3-hydroxythiophen-2-yl-carboxylates in different halogenation conditions, due to their interest as building blocks in the synthesis of bioactive compounds and materials. We describe herein the regioselective monohalogenation of 3-hydroxypyrroles and 3-hydroxythiophenes in mild conditions with common halogenation agents. The selectivity of the halogenation was studied. Optimized one-step reaction conditions were found for mono bromination and mono chlorination. Finally, we observed that bromination with *N*-bromosuccinimide (NBS) took place at the C4 position of the heterocycle, while chlorination with SO_2Cl_2 led to C5-halogenated derivatives.



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