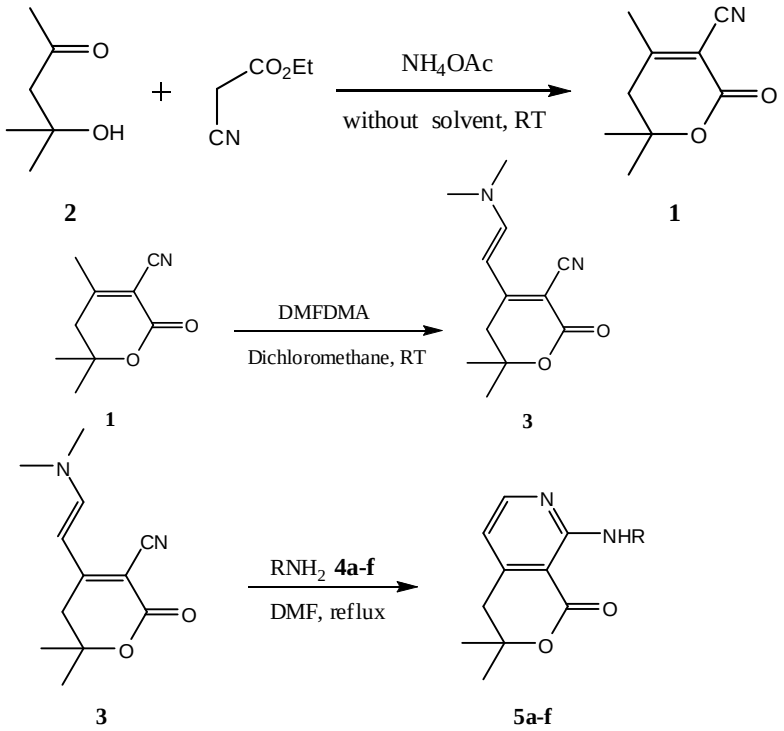
Synthesis of 2-aminopyridine lactones and studies of their antioxidant, antibacterial and antifungal properties.

- **Fadila Salhi,[1,3] Nawel Cheikh,[2,3] Didier Villemin,[1*] Nathalie Bar[1]**

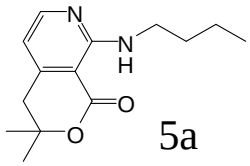
- [1]Normandie Université France, ENSICAEN, LCMT, UMR CNRS 6507, INC3 M, FR 3038, Labex EMC3, LabexSynOrg, 6 Bd Maréchal Juin 14050 Caen, France
- **[2] Laboratoire de Catalyse et Synthèse en Chimie Organique, Faculté des Sciences, Université Abou-Bakr Belkaid, BP 119, 13000 Tlemcen, Algeria**
- Correspondence: didier.villemin@ensicaen.fr;

Synthesis and biological activities of substituted 2-aminopyridine δ-lactone derivatives



Salhi, F; Cheikh,N.; Villemin,D.; Mostefa-Kara, B.; Nathalie Bar, N.; Jarsalé,K.; Choukchou-Braham N.;, **Catalyzed reaction of enaminonitrile with primary amines by SbF_3: synthesis of new 2-aminosubstituted-pyridine-fused δ-lactones**, *Arkivok*, 2018, V, 64- 74; doi :10.24820/ark.5550190.p010.495

2-aminopyridine lactones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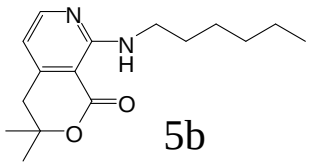
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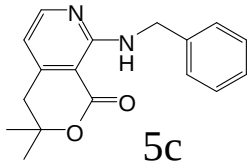
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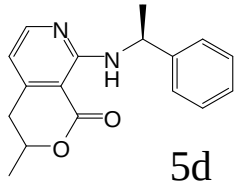
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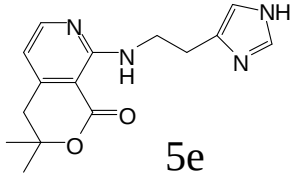
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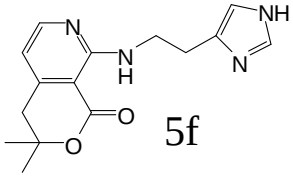
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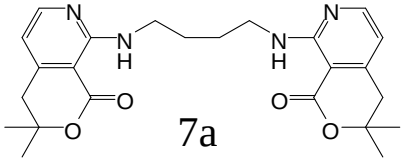
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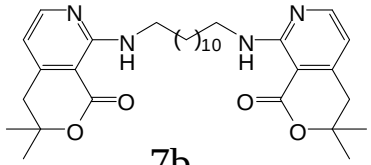
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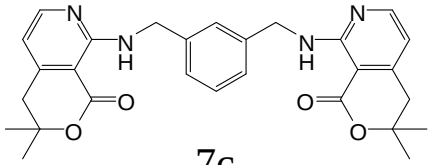
7c

Antioxidant effects

- Screening of antioxidant activity DPPH on TLC was employed
- Spectroscopic measurements were made through DPPH assay.
- The antioxidant proprieties were measured and evidenced in terms of their efficient concentration IC_{50}, as well as their reduction kinetics.

- Evaluation of the antioxydant activity by the test of DPPH, revealed a great antioxydant capacity for the most of compounds tested with a variation of IC_{50} between 1.30- 3.61 mg/ml and times of reaction of 30 minutes.

Antifungal and antibacterial activities

- **The antibacterial acti**vity of the compounds was determined by the disc diffusion method against clinical Gram-negative bacteria: *Escherichia coli*, *Pseudomonas aeruginosa* and Gram-positive bacteria : *Staphylococcus aureus*, *Listeria monocytogenes* and *Bacillus cereus*.
- **The antifungal activity** of the compounds was determined by using a direct-contact and agar diffusion test against clinical fungi *Aspergillus flavus* and *Aspergillus ochraceus.*
- The compounds showed moderate to very good antibacterial and antifungal activities, that the **5b**, **5d**, **5e** and **5f** presents a best minimal inhibitory concentration (MIC) with 62.5 µg/ml. The *Aspergillus ochraceus* strain revealed a stronger sensitivity than *Aspergillus flavus* to all compounds tested, While that the **7c** and 7**b** showed a braod-spectrum antifungal activity again pathogenic *Aspergillus ochraceus* with an inhibition percentage of 77% and 78%, respectively.

Based our results, the compounds of 2-aminopyridines and bis-2-aminopyridines can be considered as a source of novel antibiotic and antifungal.