TECHNOLOGY OFFER

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NOVEL 5-SUBSTITUTED DIHYDROPYRIDINONES AS VALUABLE SYNTHONS WITH ANTIBACTERIAL AND ANTICANCER ACTIVITY

This technology offers a new reaction to prepare 2,3-dihydropyridin-4-ones (DHPO's) with an amine containing side chain in position 5 via an amine migration reaction of tetrahydropyridinylidene salts (THPS's) with aryl- or alkyl- aldehydes. The formed 5-substituted dihydropyridinones are valuable reagents for further reactions, like the syntheses of alkaloids and Diel-Alder reactions and show antibacterial as well as anticancer potency.

BACKGROUND

2,3-Dihydropyridin-4-ones (DHPO's) are valuable synthons for the preparation of alkaloids. Furthermore, DPHO is a partial structure found in a natural product, cenocladamide, an alkaloid with anticancer potency and deterrent activity against ants. DPHO's can serve as starting material for further reactions, like cycloadditions, electrophilic substitutions, and they can be functionalized on the N and the O atom. As small molecules they are able to pave the way for the synthesis for other new compounds which cannot be accessed until now. The so far prepared DPHO's show antibacterial activity and anticancer activity against leukaemia cells.

TECHNOLOGY

- This new reaction provides access to novel DPHO's bearing an amine containing side chain in position 5.
- It was discovered, that tetrahydropyridinylidene salts react with aldehydes to 2,3-dihydropyridinones. The amine residue migrates together with the aldehyde to position 5.

ADVANTAGES

- Access to novel 5-substituted 2,3-dihydropyridinones.
- Access to novel products of reactions with those DPHO's like Diels-Alder products and alkaloids.
- Less cytotoxicity.

APPLICATIONS

- Novel compounds with antibacterial potency.
- Novel compounds with anticancer potency.
- Novel reagents for e.g. the syntheses of alkaloids and Diel-Alder reactions.

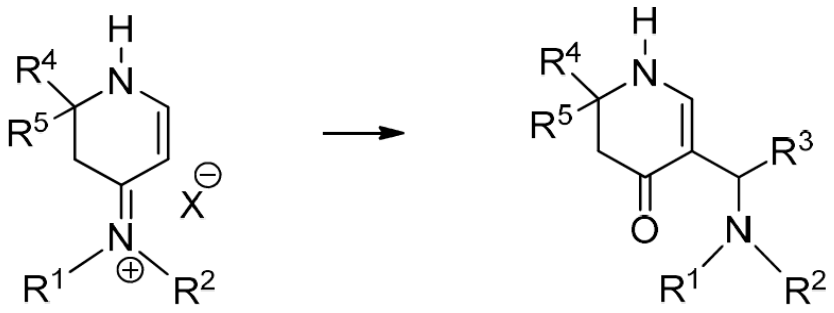

Fig. 1 – Amine migration of tetrahydropyridinylidene salts

KEYWORDS:
5—SUBSTITUTED
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DEVELOPMENT PARTNERSHIP

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