

TECHNOLOGY OFFER

PROCESS FOR PREPARING NOVEL PIPERIDIN-4-ONES

This technology offers a new reaction to prepare efficiently various novel piperidine-4-ones, especially 2-substituted piperidine-4-ones, which have not been accessible by other reaction pathways until now. This technology extends the spectrum of accessible 4-amino-piperidine therapeutic compounds, fentanyl being among their most popular representatives.

BACKGROUND

Piperidin-4-ones are important intermediates for the syntheses of 4-amino-piperidine therapeutic compounds. Examples of this compound class include important drugs such as fentanyl, alfentanil, sufentanil, remifentanil, bupivacaine, thenaldine, astemizol, indoramin, diphenylpyraline, pimizide, domperidone, loperamide. Also the 4-aryl,4-hydroxypiperidine drug haloperidol is obtainable from the piperidin-4-one intermediate. Since piperidin-4-ones with substitution at the carbon atom adjacent to the nitrogen are rarely available, 2-substituted derivatives of most drugs mentioned above have not been investigated for their therapeutic potential.

TECHNOLOGY

This new reaction provides access to novel piperidine-4-ones, especially 2-substituted piperidine-4-ones. Tetrahydropyridinylidene salts with differing substitution patterns are the educts of this reaction (Fig. 1). These compounds are available by mainly two different reaction sequences and they can be further modified by insertion of various substituents before the target piperidin-4-ones are yielded.

ADVANTAGES

- Access to novel 2-substituted piperidine-4-ones.
- Access to novel 4-amino-piperidine therapeutic compounds, including new derivatives of fentanyl, alfentanil, sufentanil, remifentanil, bupivacaine, thenaldine, astemizol, indoramin, diphenylpyraline, pimizide, domperidone and loperamide.
- Access to novel derivatives of haloperidol and other drugs derived from piperidine-4-ones.

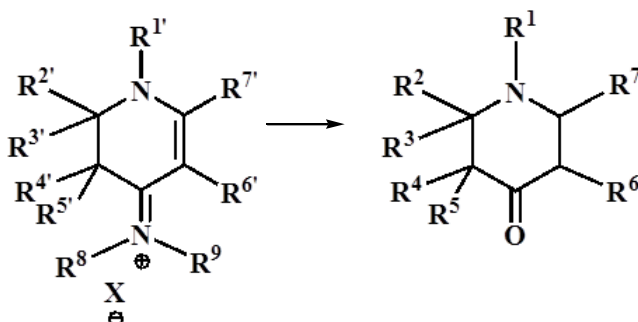


Fig. 1 – Reduction of tetrahydropyridin-4-ylidene ammonium salts to piperidin-4-ones

KEYWORDS:

2-SUBSTITUTED-
PIPERIDINE-4-ONES

INVENTOR:

SEEBACHER W.

COOPERATION OPTIONS:

LICENSE AGREEMENT
DEVELOPMENT PARTNERSHIP

DEVELOPMENT STATUS:

PROOF OF CONCEPT
(NOVEL PIPERIDINE-4-ONES / ONE
NEW FENTANYL DERIVATIVE)

STATUS OF PATENTS:

PRIORITY APPLICATION
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CONTACT:

Gernot Faustmann

University of Graz
Research Management
Universitaetsplatz 3
8010 Graz
T: +43 316 380 3994
gernot.faustmann@uni-graz.at
www.uni-graz.at
www.wtz-sued.at