

Pharmacological Reports 2008, 60, 439–463 ISSN 1734-1140 Copyright © 2008 by Institute of Pharmacology Polish Academy of Sciences

Review

Tropane alkaloids as medicinally useful natural products and their synthetic derivatives as new drugs*

Grzegorz Grynkiewicz¹, Maria Gadzikowska²

¹Pharmaceutical Research Institute, Rydygiera 8, PL 10-793 Warszawa, Poland

²Department of Inorganic Chemistry, Faculty of Pharmacy, Medical University, Staszica 6, PL 20-081 Lublin, Poland

Correspondence: Grzegorz Grynkiewicz, e-mail: g.grynkiewicz@ifarm.waw.pl

Abstract:

Secondary metabolites of *Solanaceae* plants, sharing tropane skeleton as a common structural feature, are sharply divided into two classes: tropine and ecgonine derivatives. The first group, represented by well known alkaloids: atropine and scopolamine, which are considered to be model anticholinergic drugs, continues to provide inspiration in the search for more selective muscarinic receptor antagonists. The second class accommodates one of the principal drugs of abuse, cocaine. Synthesis of much needed cocaine antagonists, despite extensive research, has not been particularly successful. Therefore, new concepts of cocaine abuse treatment resort to immunotherapy and biotechnology. Contemporary pharmaceutical industry manufactures over 20 active pharmaceutical substances containing tropane moiety in their structure, which are applied as mydriatics, antiemetics, antispasmodics, anesthetics and broncho-dilators. There are two sources of raw materials for this industrial activity: natural products isolated from cultivated transgenic plants (mainly scopolamine and atropine from Australian *Duboisia*) and chemical synthesis based on common intermediate: tropinone, which can be further transformed by synthetic means to the following classes of compounds: tropine and its esters (tropeines), scopine and nortropine derivatives, particularly in view of their prospective industrial applications as therapeutics.

Key words:

tropane alkaloids, tropinone, tropines, tropeines, antiemetic drugs, antispasmodics, mydriatics, cholinergic muscarinic antagonists, tropane quaternary ammonium salts, tropane chemical syntheses, stereochemistry of tropane derivatives