

Drug of the Week: Reboxetine (Edronax™)

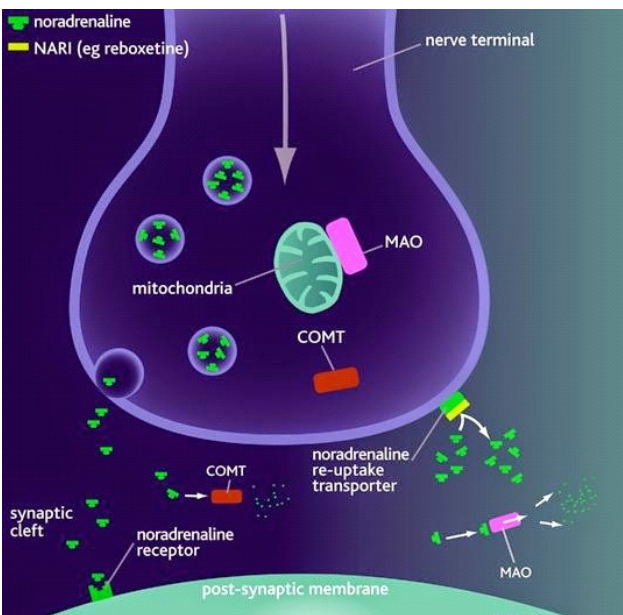
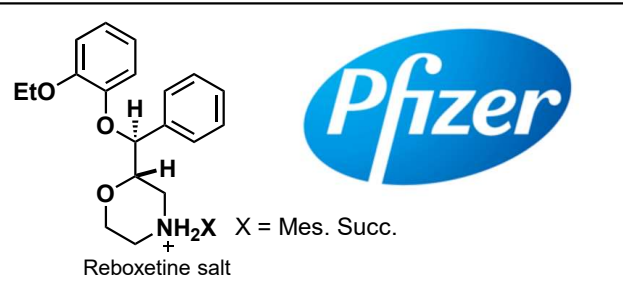
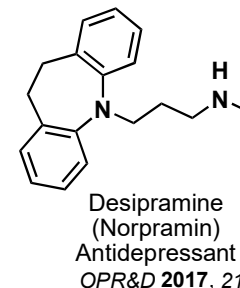
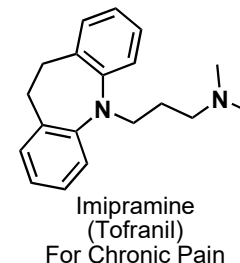
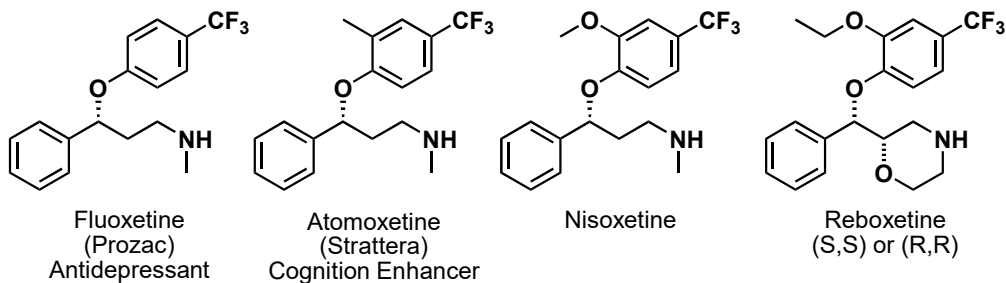


Diagram of Norepinephrine reuptake inhibitor

Source: drugs-bd.blogspot.com/2015/03/norepinephrine-reuptake-inhibitor.html

Common Central Nervous System (CNS) modulators:



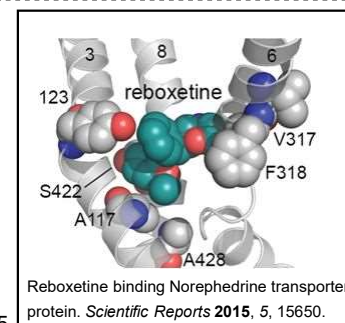
Common motif: phenylpropylamino group, aryloxy substituent at benzylic carbon.

Background:

- Trade names: Edronax, Norebox, Prolift, Vestra, and Integrex in Europe and Latin America
- Sold as a racemate, although the (S,S) enantiomer is 24x more potent than its enantiomer.
- Used to treat ADHD, depression, Fibromyalgia, and diabetic nerve pain.
- Milder side effects than fluoxetine, imipramine, and desipramine.

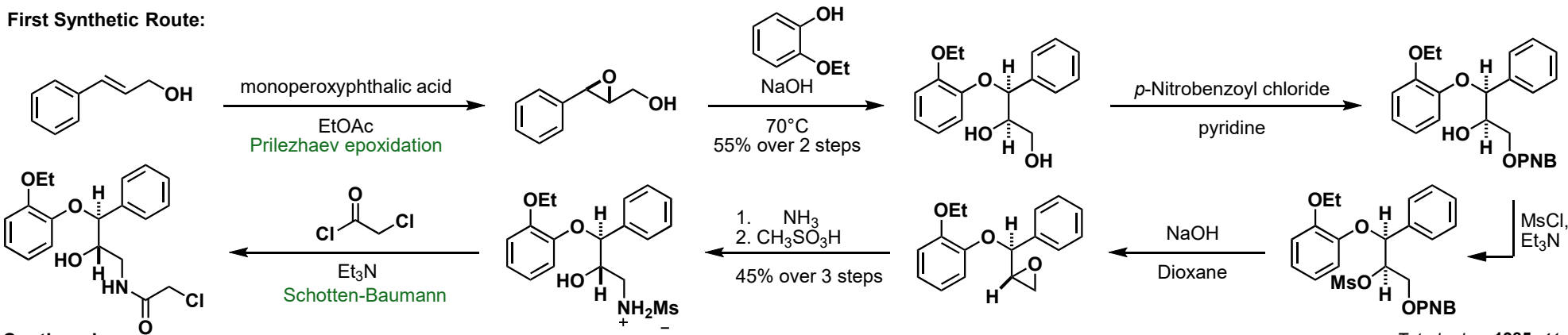
Mechanism of action:

- Reboxetine is a selective norepinephrine reuptake inhibitor. By preventing the reuptake of norepinephrine into the nerve terminal, the post-synaptic membrane is exposed to more persistent concentrations of norepinephrine.
 - Cocaine operates by a similar mechanism, but inhibits the reuptake of dopamine.
 - Side effects are mild due to weak interaction with adrenergic receptors (low blood pressure) and muscarinic acetylcholine receptors (dry mouth, tremors).
 - The efficacy of this drug as an antidepressant has been called into question.
- See: *BMJ (Clinical research ed.)* 2010, 341, c4737



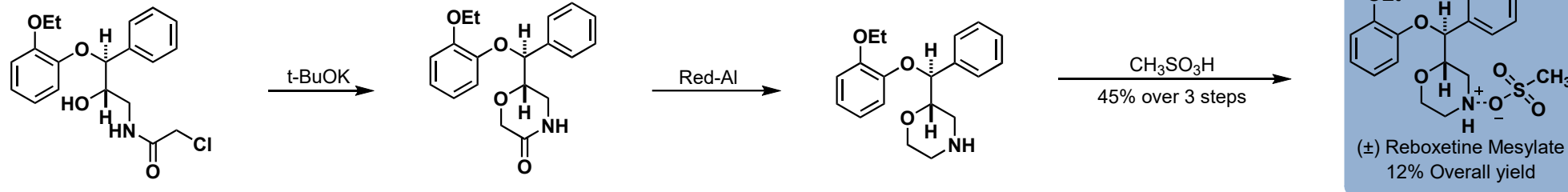
OPR&D 2017, 21, 1705

First Synthetic Route:



Tetrahedron 1985, 41, 1393

First Synthetic Route (Continued):



Commercial Synthesis:

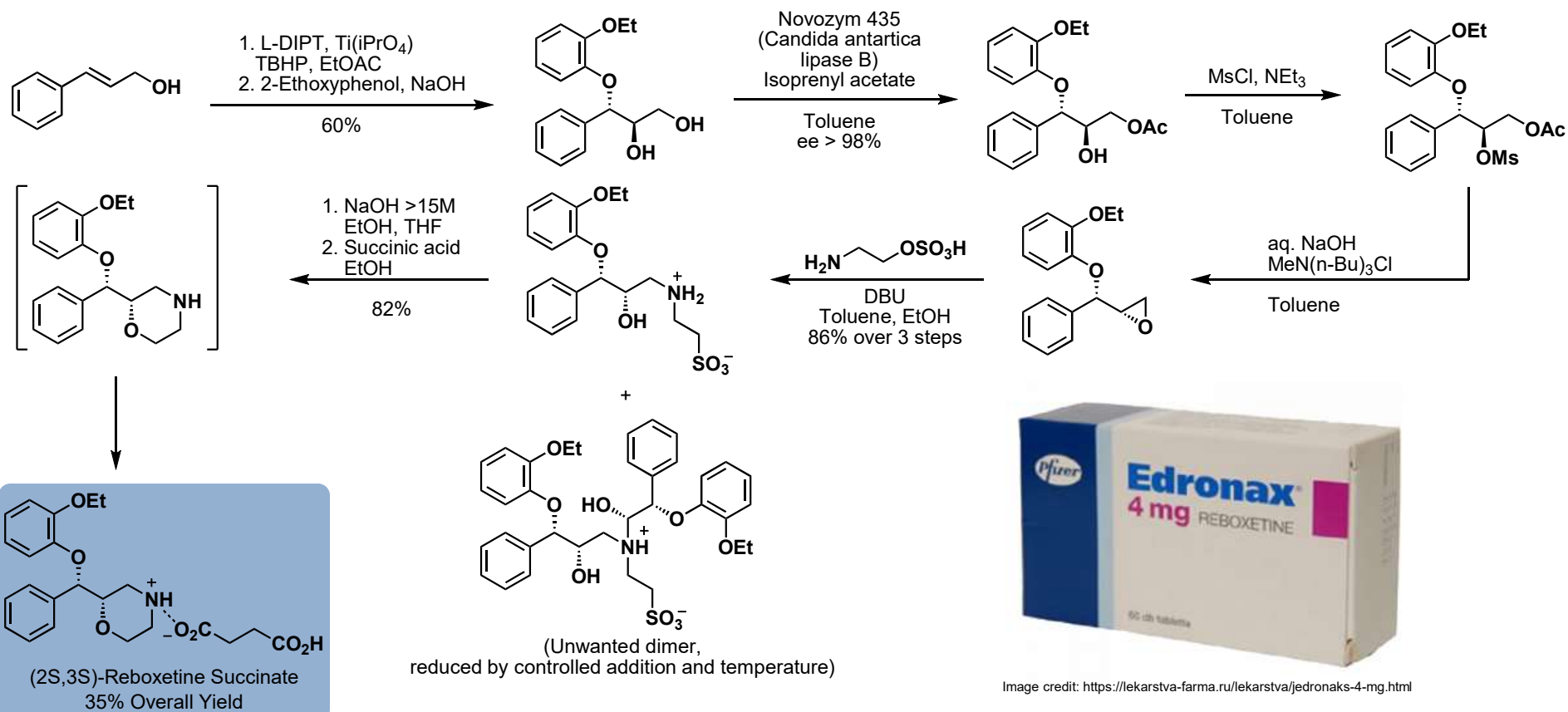


Image credit: <https://lekarstva-farma.ru/lekarstva/jedronaks-4-mg.html>