



CHEMISTRY 4000

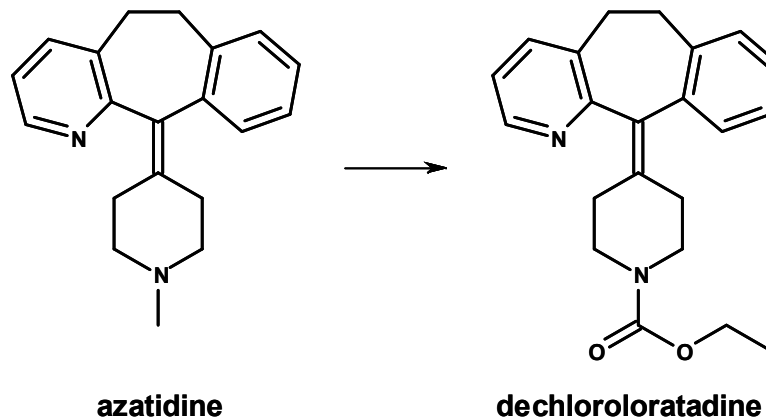
Problem Set #7: Loratadine (aka Claritin[®]) and Desloratadine (aka Clarinex[®])

Spring 2022

Dr. Susan Findlay

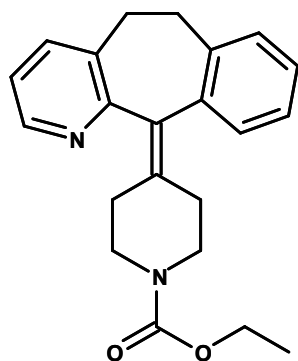
Loratadine & Desloratadine (aka Claritin® & Clarinex®)

- Azatidine (Optimine®) was an antihistamine launched by Schering-Plough in 1973. It was effective, but readily crossed the blood-brain barrier, causing drowsiness.
- Replacement of the *N*-methyl group with a carbamate group (C=O between N and O) increased the polarity enough that this was no longer an issue; however, this new drug was rapidly metabolized and therefore only effective for 6-8 hours.

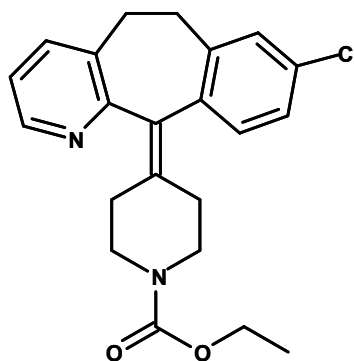


Loratadine & Desloratadine (aka Claritin® & Clarinex®)

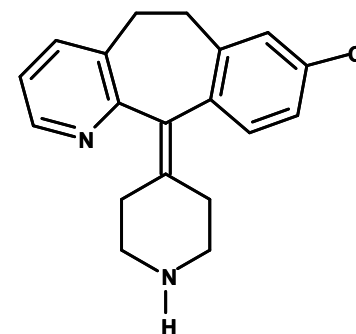
- Addition of a chloro substituent on one of the benzene rings slowed the metabolism of the drug, giving it a half-life of about 18 hours in the body and increasing the potency by a factor of four.



dechloroloratadine



loratadine
(Claritin)



desloratadine
(Clarinex)