CHEMISTRY 4000

Problem Set #2: Fexofenadine (aka Allegra®)

Spring 2022

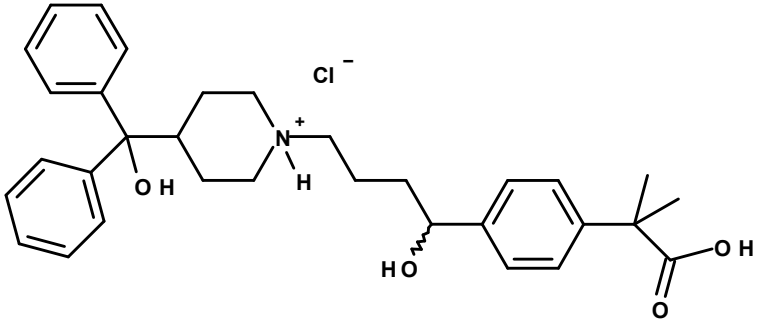
Dr. Susan Findlay

Fexofenadine (aka Allegra®)

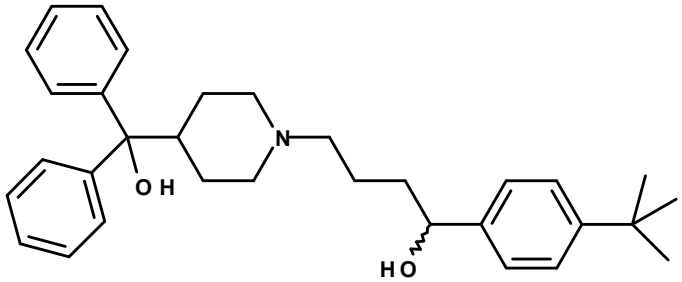
- Fexofenadine is an antihistamine launched by Aventis in 1996.
- Antihistamines were first introduced in the 1940s; however, early antihistamines tended to cause side effects such as drowsiness and memory loss. Newer antihistamines have fewer side effects of this nature.
- In 1994, the leading non-sedating antihistamine was terfenadine (Seldane®); however, this was found to have cause dangerous side effects when taken in combination with certain other drugs including some common antibiotics (e.g. erythromycin). The problem was that terfenadine was metabolized by the same enzyme as these other drugs.
- Fexofenadine is the product obtained when terfenadine is metabolized by this enzyme. As such, it has the same antihistamine activity but does not cause the same negative drug-drug interactions.
- Antihistamines function by binding to histamine receptors (thereby blocking histamine from doing so).

Fexofenadine (aka Allegra®)

- The structure of fexofenadine is:



- This is extremely similar to that of terfenadine:



Since terfenadine was converted into fexofenadine once inside the body, it was functioning as a pro-drug (drug that is taken in an inactive form then biologically converted into its active form).