CHEMISTRY 4000

Problem Set #5: Minoxidil (aka Rogaine®)

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Minoxidil (aka Rogaine®)

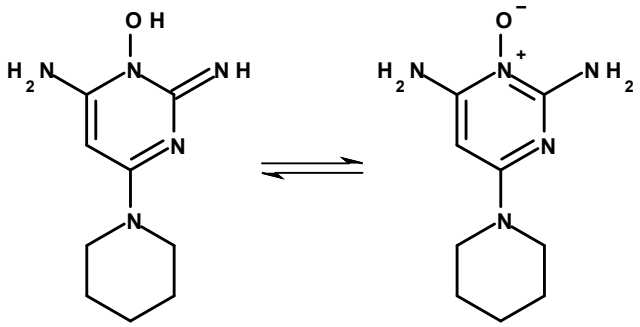
- Minoxidil (aka Rogaine®) was originally developed to treat hypertension. One of the side effects observed when testing it as such was excess hair growth in the majority of patients. This led to it being developed and marketed as a treatment for hair loss (starting in 1986).

- While originally given orally (as part of the hypertension tests), it was found that other side effects were minimized by topical application instead (i.e. direct application to the area where hair growth is desired). Because it is not absorbed well through the skin, higher doses are required, but this is considered acceptable in order to minimize nausea, fatigue, fluid retention, etc.

- Like our last few problem set targets, minoxidil is a prodrug. Once in the body, it is activated metabolically – in this case, by attachment of a sulfate group

Minoxidil (aka Rogaine®)

- The structure of minoxidil is:



- A number of different syntheses of minoxidil have been patented and/or published. We will begin by looking at the route published in 1975 - which was higher yielding and required fewer purification steps than previous routes. Time permitting, we will also look at the original route (published in 1972) for comparison.