Experiment 8 – Synthesis of Aspirin

Aspirin is an effective analgesic (pain reliever), antipyretic (fever reducer) and anti-inflammatory agent and is one of the most widely used non-prescription drugs. The use of aspirin had its origin in the 18th century, when it was found that an extract from the bark of willow trees was useful in reducing pain and fever. The active ingredient in willow bark was later found to be salicylic acid. The structure of salicylic acid is shown below. Although salicylic acid was effective at reducing pain and fever, it also had some unpleasant side effects. It is irritating to the lining of the mouth, esophagus, and stomach, and can cause hemorrhaging of the stomach lining. In 1899, the Bayer Company in Germany patented a drug they called aspirin, which was a modification of salicylic acid.

Salicylic Acid Acetylsalicylic acid (aspirin) $C_7H_6O_3$ $C_9H_8O_4$

Salicylic acid contains a phenol group, and phenols are known to be irritating. The Bayer Company replaced the phenol group with an ester group. This esterified compound (acetylsalicylic acid, also known as aspirin) was shown to be much less irritating than salicylic acid. Unfortunately, it is still irritating to the stomach and can cause hemorrhaging of the stomach walls.

An aspirin tablet contains a small amount of aspirin (usually 300-400 mg) in a starch "binder" and sometimes contains other ingredients like caffeine and buffers. When aspirin is ingested, it is broken down to salicylic acid by the basic conditions in the small intestine. It is then absorbed into the bloodstream.

Aspirin can be made by reacting salicylic acid with acetic acid in the presence of an acid catalyst. The phenol group on the salicylic acid forms an ester with the earboxyl group on the acetic acid. However, this reaction is slow and has a relatively low yield. If acetic anhydride is used instead of acetic acid, the reaction is much faster and has a higher yield (since acetic anhydride is much more reactive than acetic acid). The reaction is shown on the following page.

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