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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Wolfgang Guggemos

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Table of Contents Experiment 8 Synthesis Of Aspirin Lab Report

- 1. Understanding the eBook Experiment 8 Synthesis Of Aspirin Lab Report
 - The Rise of Digital Reading Experiment 8 Synthesis Of Aspirin Lab Report
 - Advantages of eBooks Over Traditional Books
- 2. Identifying Experiment 8 Synthesis Of Aspirin Lab Report
 - Exploring Different Genres
 - Considering Fiction vs. Non-Fiction
 - Determining Your Reading Goals
- 3. Choosing the Right eBook Platform
 - Popular eBook Platforms
 - Features to Look for in an Experiment 8 Synthesis Of Aspirin Lab Report
 - User-Friendly Interface
- 4. Exploring eBook Recommendations from Experiment 8 Synthesis Of Aspirin Lab Report
 - Personalized Recommendations
 - Experiment 8 Synthesis Of Aspirin Lab Report User Reviews and Ratings
 - Experiment 8 Synthesis Of Aspirin Lab Report and Bestseller Lists
- 5. Accessing Experiment 8 Synthesis Of Aspirin Lab Report Free and Paid eBooks
 - Experiment 8 Synthesis Of Aspirin Lab Report Public Domain eBooks
 - Experiment 8 Synthesis Of Aspirin Lab Report eBook Subscription Services
 - Experiment 8 Synthesis Of Aspirin Lab Report Budget-Friendly Options

- 6. Navigating Experiment 8 Synthesis Of Aspirin Lab Report eBook Formats
 - o ePub, PDF, MOBI, and More
 - Experiment 8 Synthesis Of Aspirin Lab Report Compatibility with Devices
 - Experiment 8 Synthesis Of Aspirin Lab Report Enhanced eBook Features
- 7. Enhancing Your Reading Experience
 - Adjustable Fonts and Text Sizes of Experiment 8 Synthesis Of Aspirin Lab Report
 - Highlighting and Note-Taking Experiment 8 Synthesis Of Aspirin Lab Report
 - o Interactive Elements Experiment 8 Synthesis Of Aspirin Lab Report
- 8. Staying Engaged with Experiment 8 Synthesis Of Aspirin Lab Report
 - Joining Online Reading Communities
 - Participating in Virtual Book Clubs
 - o Following Authors and Publishers Experiment 8 Synthesis Of Aspirin Lab Report
- 9. Balancing eBooks and Physical Books Experiment 8 Synthesis Of Aspirin Lab Report
 - Benefits of a Digital Library
 - Creating a Diverse Reading Collection Experiment 8 Synthesis Of Aspirin Lab Report
- 10. Overcoming Reading Challenges
 - Dealing with Digital Eye Strain
 - Minimizing Distractions
 - Managing Screen Time
- 11. Cultivating a Reading Routine Experiment 8 Synthesis Of Aspirin Lab Report
 - Setting Reading Goals Experiment 8 Synthesis Of Aspirin Lab Report
 - Carving Out Dedicated Reading Time
- 12. Sourcing Reliable Information of Experiment 8 Synthesis Of Aspirin Lab Report
 - Fact-Checking eBook Content of Experiment 8 Synthesis Of Aspirin Lab Report
 - Distinguishing Credible Sources
- 13. Promoting Lifelong Learning
 - Utilizing eBooks for Skill Development
 - Exploring Educational eBooks
- 14. Embracing eBook Trends
 - Integration of Multimedia Elements

• Interactive and Gamified eBooks

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