

## A Small Dose of Aspirin

Aspirin is the most widely prescribed medication in the world.<sup>1</sup> It is commonly used to relieve pain, stifle inflammation, control fever, and inhibit blood coagulation. Recent research suggests that Aspirin may be used to prevent heart disease, stroke, pregnancy complications, colon cancer, diabetes, and dementia. Aspirin performs these salutary functions by inhibiting the production of hormones that effect the transmission of pain information to the brain, modulation of the hypothalamic thermostat, inflammation of tissue, and blood clotting.

The effects of Aspirin and its forebears have been lauded for thousands of years. In 400 B.C., the Greek physician Hippocrates wrote about prescribing willow leaf tea to relieve the pain of childbirth.<sup>2</sup> In 1823, Henri Leroux, a French pharmacist, and Raffaele Piria, an Italian chemist, isolated the active ingredient from willow bark, and named it salicin after the Latin name for the White willow (*Salix alba*).<sup>3</sup> Thirty years later, French scientists made salicylic acid from salicin, but found it undesirable for pharmaceutical use since it irritated patients' digestive systems.<sup>4</sup>

The first, and perhaps most stunning, innovation in synthetic pharmaceuticals occurred in 1897 when Felix Hoffman, a research assistant at Freidrich Bayer & Co. in Germany, along with Arthur Eichengrun, found a way to reduce the negative affects of salicylic acid by replacing its hydroxyl group with an acetyl group.<sup>5</sup> Bayer & Co. marketed the new drug as Aspirin, alongside another pain relief drug that Hoffman invented only 11 days later by similarly adding an acetyl group to morphine. This drug, called Heroin, was initially more successful than Aspirin, but eventually lagged as its addictive properties became apparent.<sup>6</sup> Aspirin was the first synthetic drug ever produced, as it was not a copy of anything existing in nature, and its discovery marks the genesis of the pharmaceutical industry.<sup>7</sup>

Aspirin works by acetylizing the active site of the enzyme cyclo-oxygenase (COX), thereby inhibiting the formation of its products.<sup>8</sup> COX produces prostoglandins, thromboxanes, and prostacyclin. Prostoglandins are local hormones that regulate the transfer of pain information to the brain, hypothalamus functions, and inflammation processes all throughout the human body (Note: Aspirin can also be taken by felines and canines in adjusted doses)<sup>9</sup>. By decreasing the interaction of prostoglandins with the central nervous system, Aspirin acts as an analgesic. By decreasing prostaglandin interaction with the hypothalamus, which regulates body temperature, Aspirin acts as an antipyretic (fever reducer). Thromboxanes are responsible for the aggregation of blood

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<sup>1</sup> The European Aspirin Foundation Homepage. The Chemistry of Aspirin. <http://www.aspirin-foundation.com/what/chemistry.html> (Accessed September 2006).

<sup>2</sup> Wikipedia Online Encyclopedia. Aspirin. [www.wikipedia.com](http://www.wikipedia.com) (Accessed September, 2006).

<sup>3</sup> Ibid.

<sup>4</sup> The European Aspirin Foundation Homepage. Aspirin Timeline. <http://www.aspirin-foundation.com/what/timeline.html> (Accessed September 2006).

<sup>5</sup> Wikipedia Online Encyclopedia. Aspirin. [www.wikipedia.com](http://www.wikipedia.com) (Accessed September, 2006).

<sup>6</sup> Ibid.

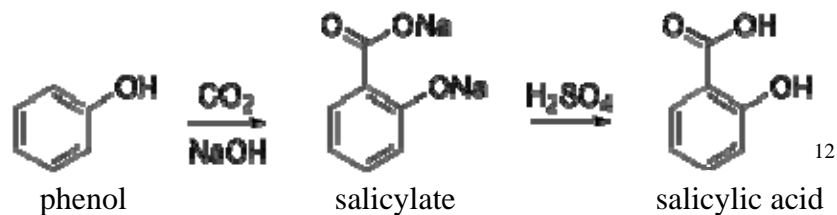
<sup>7</sup> Ibid.

<sup>8</sup> The European Aspirin Foundation Homepage. The Chemistry of Aspirin. <http://www.aspirin-foundation.com/what/chemistry.html> (Accessed September 2006).

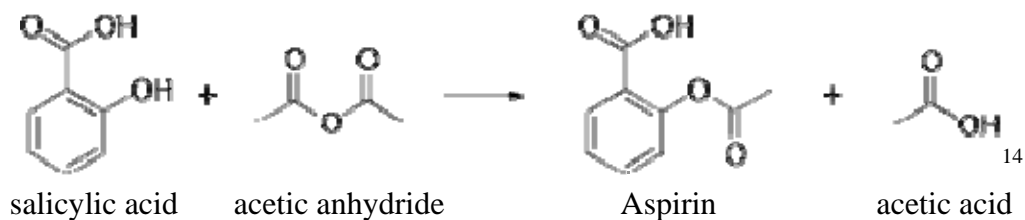
<sup>9</sup> Wikipedia Online Encyclopedia. Aspirin. [www.wikipedia.com](http://www.wikipedia.com) (Accessed September, 2006).

platelets that cause blood clotting. By decreasing thromboxane content throughout the body, Aspirin acts as a blood thinner, which is important for preventing heart attacks, most of which are caused by blood clots.<sup>10</sup>

Commercial Aspirin synthesis is a two-step process. The first step, called the Kolbe-Schmitt reaction, involves the transformation of phenol (generally extracted from coal tar) into sodium phenoxide by treating it with a sodium base. Sodium phenoxide is then reacted with carbon dioxide under high temperature to yield salicylate, which is acidified, yielding salicylic acid.<sup>11</sup>



The second step in commercial Aspirin synthesis involves the acetylation of salicylic acid by using acetic anhydride, yielding Aspirin and acetic acid as a byproduct.<sup>13</sup>



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<sup>10</sup> Ibid.

<sup>11</sup> Ibid.

<sup>12</sup> Ibid.

<sup>13</sup> Ibid.

<sup>14</sup> Ibid.