

## CAFFEINE AND THEOBROMINE: CHEMISTRY, HISTORY, FACTS AND FALLACIES

### I. CHEMISTRY AND PHYSIOLOGY

Caffeine is in coffee, tea and cocoa. Theobromine is in cocoa at levels about 10 times the caffeine content.<sup>1</sup> Coffee and cocoa grow in the tropics as beans on trees.

Caffeine and theobromine are aromatic heterocyclic fused ring compounds. Caffeine (C<sub>8</sub>H<sub>10</sub>O<sub>2</sub>N<sub>4</sub>) is a purine (fused pyrimidine and imidazole rings) derivative with a CH<sub>3</sub> group at positions 1, 3, and 7, and a doubly-bonded oxygen at positions 2 and 6. Unlike caffeine, theobromine (C<sub>7</sub>H<sub>8</sub>O<sub>2</sub>N<sub>4</sub>) has no CH<sub>3</sub> group at position 1.<sup>2</sup> These compounds are alkaloids – alkaline, nitrogen-containing psychoactive complexes. Caffeine reduces fatigue and increases alertness. Theobromine's effects are "very similar to caffeine's."<sup>3</sup>

"All psychoactive drugs, including caffeine [imitate or alter] the release or uptake of neurotransmitters ... Caffeine achieves many of its effects by blocking the activity of adenosine, a neurotransmitter that affects almost every bodily system. Because one of the primary actions of adenosine is to make us tired or sleepy, caffeine, by blocking the uptake of adenosine, keeps us from feeling the effects of fatigue. ... By affecting [other] neurotransmitters, it is able to offer a major boost to our capacities even when we are well rested ..."<sup>4</sup>

### II. HISTORY OF COFFEE AND CHOCOLATE

**Coffee.** The coffee tree is native to Africa. Arabs in Ethiopia c. 1000 AD made a coffee beverage by grinding roasted beans in water. The drink had a consistency similar to modern hot chocolate. Arab coffee got very popular and coffee houses were soon all over the Middle East.<sup>6</sup>

Coffee spread to Europe through Venice via the spice trade in the 1500s, and reached England around 1600. "The new drink was a sensation across Europe." By the late 1600s coffee houses were the rage. In France, coffee houses were "cafes." But the Arabs monopolized the coffee trade, so the Europeans smuggled coffee beans to their African and Asian colonies where they founded coffee plantations. South America became a major coffee producer in the 1800s when a fungus killed many Old World plantings.<sup>7</sup>

The two major coffee varieties are *Coffea arabica* and *Coffea robusta*. *Robusta* grows faster, and better resists frost, disease and heat, but *arabica* is less bitter.<sup>8</sup> "Campfire style" coffee -- the grounds mixed with water to drink -- was standard till the mid 1800s; then people began filtering the grounds for a clear drink.<sup>9</sup> Percolated coffee dates from the early 1900s, and modern drip coffee from the 60s.

**Chocolate.** Chocolate use began in the New World; the cocoa tree grew in the "river valleys of South America,"<sup>10</sup> was carried north into Mexico by the Mayas before the seventh century AD, and cultivated by the Mayas, Aztecs, and Toltecs. Cocoa beans have fat, some starch and protein, so they were at times a staple food for the Indians. **Europeans first encountered cocoa during Columbus' fourth voyage in 1502** and took some cocoa beans back to Spain. In 1519 one of Cortez'

lieutenants saw the Aztec emperor Montezuma at dinner drinking "a liquor made from cocoa." *Cocoa* (a word modified from the original *cacao*) and *chocolate* are Aztec words. *Cacao* meant the tree, and *chocolate* either "cocoa water" or "bitter water."<sup>11</sup> *Theobromine* is from the Linnaean name of the cocoa tree, *Theobroma cacao*, theobroma meaning "food of the gods" in Greek<sup>12</sup> in remembrance of Aztec myth.<sup>13</sup>

Chocolate in the early 1600s was not like it is today.

**Mexican chocolate of 1648 was a mixture of cocoa, maize flour and chili pepper.** Europeans began adding sugar, nuts and spices like cinnamon. The mixture was blended, ground, dried to a paste, and sold as a cake.<sup>14</sup> Our familiar milk chocolate was the invention of Sir Hans Sloane, an Englishman living in Jamaica from 1687-1689. He believed that a mixture of milk and chocolate was a health food since Jamaican mothers fed it to their babies.<sup>15</sup> The first use of cocoa and coffee mixed as a beverage (*mocha*) was in 1750 in France.<sup>16</sup>

**Chocolate has been in candy for less than 200 years.** "The ... confections ... so popular today were made possible by a single innovation in 1828." Dutchman Conrad van Houten's family ran a chocolate business. He sought to remove the fat from the cocoa bean. The fat, or *cocoa butter*, is half the weight of the bean, and runs oily when the cocoa is hot. By removing the fat, the chocolate drink would be "less heavy and filling." Van Houten invented a screw press to take out the cocoa butter. Thus "hot chocolate" after 1828 was "a very different drink from the 'chocolate' of 1600 or 1800, which was something like chunks of unsweetened baker's chocolate dissolved in hot water or milk."

**There would be no chocolate candy without van Houten's invention.** "Van Houten's screw press made modern chocolate candy possible by accumulating excess butter from the production of cocoa powder: butter which could be *added* to ordinary ground cocoa beans to make the paste more malleable, smoother, and more tolerant of added sugar. The first 'eating chocolate' was introduced by the English firm of Fry and Sons in 1847,"<sup>17</sup> and by the 1870s chocolate candy "had caught on in a big way."<sup>18</sup>

Cocoa is made by roasting cocoa beans for about an hour at 250 F, then removing the pods and grinding the kernels or "nibs."<sup>19</sup> *Dutch chocolate*, another of van Houten's inventions, is the product of mixing the whole nibs, or a chocolate liquor, with an alkali, usually K<sub>2</sub>CO<sub>3</sub>. This raises the pH from 5.5 to about 8, darkens the color, makes the flavor milder, and helps the particles of cocoa powder to clump together less easily so they make a more uniform drink or candy.<sup>20</sup> Most chocolate today is dutch chocolate.

### III. CAFFEINE FACTS AND FALLACIES

#### DRINKING ESPRESSO IS A NEW FASHION

It is not an ancient custom, but "seems to have been developed in Italy just before World War II." Espresso is made by forcing steam through "twice the normal quantity of grounds" used for a serving of regular coffee," so has more caffeine.<sup>21</sup>

#### TEA HAS MORE CAFFEINE THAN COFFEE

Tea leaves are 2% caffeine, coffee beans half that, but a typical cup of coffee has 100 mg of caffeine and tea only 50,

because coffee is usually "more completely extracted." A cup of cocoa has about 15 mg of caffeine.<sup>22</sup>

### CAFFEINE IS BENEFICIAL

In moderate amounts caffeine "can improve attention, concentration, and coordination ... [It] increases the contracting power of skeletal muscles and makes them less susceptible to fatigue. A remarkable range of influences!"<sup>23</sup>

### THERE ARE MISCONCEPTIONS ABOUT CAFFEINE

There are "three great myths about caffeine: that it is ... bad for your heart, that moderate consumption increases anxiety and sours mood, and that caffeine causes dehydration."<sup>24</sup>

### CAFFEINE HAS BEEN A BLESSING TO THE WEST

"In his 2001 article, 'Java Man: How Caffeine Created the Modern World,' Malcom Gladwell, leading social commentator and critic for the *New Yorker* magazine, explains that caffeine is more than simply an alertness aid or recreational construct. ... [C]affeine is responsible in part for the movement to sobriety in Europe. In medieval times, peasants and tradesmen drank alcohol morning, noon, and night, and chronic alcohol intoxication was the rule, not the exception. The introduction of coffee, tea, and chocolate, the great 'temperance drinks,' each of which brought with it the benefits of caffeine, helped to replace incapacity with efficient self-regulation of time and energy. **These benefits helped bring about the industrial revolution ...**"<sup>25</sup> (emphasis added).

### CAFFEINE IS NOT LIKE DANGEROUS DRUGS

"Users of dangerous drugs like heroin, barbiturates, and amphetamines develop extremely large tolerances, a process that forces them to take ever increasing amounts in order to maintain the same ... effect. In contrast ... only limited tolerance develops to many of caffeine's effects, and no tolerance at all seems to develop to others. A person who uses heroin every day for a year may require ten times his initial dose at the end of that year to achieve the same high as he did at the beginning. A person who uses caffeine every day will develop some resistance to some of caffeine's effects -- for example, he will require a bit more caffeine to help him keep awake when he is sleepy -- but not to others."<sup>26</sup>

### CAFFEINE CAUSES NO TOLERANCE FOR INCREASING INTAKE

There was "no relationship between caffeine habits and degree of performance response in 1500 m runners."<sup>27</sup> This means that **the runners developed no tolerance for caffeine.**

### CAFFEINE DOES NOT CAUSE ADDICTION

"[T]olerance does not develop to caffeine's ergogenic, or energy-producing, actions. In other words, all other things being equal, 300 mg of caffeine will give the same boost to an athlete who has never tried caffeine and to one who drinks a pot of coffee every day, and what's more, it will continue to deliver the same boost indefinitely. ... Other areas in which tolerance does not seem to develop include benefits to memory, reasoning, reaction time, attention span, and mood."<sup>28</sup>

### CAFFEINE WITHDRAWAL IS LARGELY A MYTH

"[F]ew withdrawal effects are seen in people who don't know they are being withdrawn from caffeine."<sup>29</sup>

### CAFFEINE DEPENDANCE IS NOT ADDICTION

"Because caffeine can support a physical and psychological dependence, it is fair to ask if it is addictive. Almost all psychiatrists and pharmacologists today agree that it is not. A drug is addictive only if it causes serious ... disruption of people's lives. Drugs like heroin, cocaine, and amphetamines clearly fall into this category. However, no one has ever lost a job, spouse, or house from using too much caffeine.

Some researchers have crusaded against caffeine by advancing the theory that caffeine's benefits are illusory. ... Study after study has conclusively demonstrated that this theory is not true. The beneficial effects of caffeine ... have been observed in people who do not regularly use caffeine, and therefore cannot be withdrawing from it, and in people who regularly use caffeine but have not been deprived of it prior to initiation of testing. And although caffeine abstinence can make you tired or depressed, it does not cause any detrimental effects on athletic, mental, or psychomotor performance, so there are no 'withdrawal-related deficits' to reduce."<sup>30</sup>

### CAFFEINE USE IS SELF-REGULATING

"Drug addiction" means that an ever increasing dose is needed to produce the same effect. In other words, **"addiction" means an increasing dependence. Unlike dangerous drugs, caffeine does not cause increasing dependence.** Studies have shown that, "Whenever the amount of caffeine you are consuming makes you feel uncomfortable, you know you have taken too much. One of the interesting things about caffeine ingestion is that it tends to be self-regulating. That is, unlike dangerous psychoactive drugs such as heroin and cocaine, the usage level of which tends to increase without bound, the amount of caffeine people are inclined to consume is limited by the onset of unwanted side effects."<sup>31</sup>

### CONCLUSIONS

Caffeine used in moderation is beneficial for most people. **Comparing caffeine with dangerous drugs, or even socially tolerated substances such as alcohol, is a fallacy.**

### References

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