COCAINE SYNTHESIS

Compiled by Brian Kendal

Please perpetuate this information as widely as possible. Not only is this text an informative account, but it teaches how to avoid addiction, and how to be more safe with the drug. It is better to teach people how to avoid addictive behavioral sequences while continuing to explore the realms of awareness in a mature and thoughtful manner.

Don't be the Establishment and preach about how drugs are bad while not understanding why. Instead, explain the potential harm of drugs, real life examples, but more importantly, how to enjoy drugs with safety as a capitol concern.



Introduction:

Although this drug is categorized as a local anesthetic, I have chosen to put it in with the hallucinogens because of the psycho- tomimetic effects that it produces. Cocaine is not a phenylethyl- amine, but it produces central nervous system arousal or stimulant effects which closely resemble those of the amphetamines, the methylenedioxyamphetamines in particular. This is due to the inhibition by cocaine of re-uptake of the norepinepherine released by the adrenergic nerve terminals, leading to an enhanced adrenergic stimulation of norepinephrine receptors. The increased sense of well being and intense, but short lived, euphoric state of invincibility feelings, produced by cocaine requires frequent administration.

Cocaine does not penetrate the intact skin, but is readily absorbed from the mucus membranes, creating the need to snort it. This accounts for the ulceration of the nasal septum after cocaine has been snorted for long periods.

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Cultivation

Two of the lesser understood aspects of the illicit cocaine traffic are the cultivation of the coca plant and the conversion of the coca leaf into cocaine hydrochloride (HCL). While the coca plant can be found throughout most of Latin America, varieties containing the cocaine alkaloid (the basis for cocaine HCL) are cultivated and converted primarily in Peru, Bolivia and Colombia. There are two different species and several different varieties of coca plants which contain the cocaine alkaloid and these varieties prosper under quite different climatic conditions. At the same time, the methods used to cultivate and harvest coca leaf differ depending on climate, tradition and other factors. The conversion of coca leaf into coca paste, cocaine base and cocaine hydrochloride are also varied processes with many steps and many chemicals necessary.

The Coca Plant

It has been estimated that there are over 200 Erythroxylum species growing in

the Western Hemisphere. Only 17 species can be utilised for producing cocaine. Fifteen of the 17 species contain relatively low levels of cocaine alkaloid and subsequently are not cultivated. In South America, two species and two varieties within each species are cultivated. They are:

E Coca Species - variety coca - variety ipadu

E. Novogranatense Species - variety novogranatense - variety truxillense

The most widely grown variety of coca is E.Coca, variety coca, which is cultivated on the eastern slopes of the Andes from Bolivia in the south to as

far north as Ecuador. This area of the Andes has a tropical climate and experiences high amounts of rainfall. Coca in this region is usually grown between 1,650 and 4,950 feet in elevation. E. Novogranatense, variety novogranatense, thrives in the drier regions of Colombia and to a lesser extent Venezuela. It is also grown at lower elevations where the climate is generally hotter. The main variety of E. Novogranatense, variety truxillense, is grown up to an elevation of 4,950 feet. The last variety, E. Coca, variety ipadu, is found in southern Colombia, northeastern Peru and western Brazil - in the Amazon basin. E. Coca, variety ipadu, is primarily cultivated by Indians for their own consumption and is not as high in cocaine alkaloids as the other three. It is not an easy task, even for an expert, to readily distinguish between the different varieties of coca plants. One of the

ways to identify the variety is to look at the leaves. Both varieties of E. Coca (E Coca, variety coca and E. Coca, variety ipadu) have broadly elliptical shaped leaves. The leaf of variety ipadu has a rounded apex whereas the apex of variety coca is more pointed. The leaf of variety coca is large, thick and dark green in colour. The leaf of E. Novogranatense, variety novogranatense is pale green with a rounded apex and is somewhat narrower and thinner than the leaf of variety ipadu and variety coca. E. Novogranatense, variety truxillense is very similar to variety novogranatense except that it does not possess the lines parallel to the central vein of the leaf that are characteristic to so many varieties of coca plant.

The cocaine alkaloid content of the E. Coca and E. Novogranatense species also serves to distinguish between these species and the many other species of wild coca that grow in Latin America. These other species contain much lower levels of cocaine alkaloid. The usual cocaine alkaloid content of a sample of coca leaf material is between 0.1 and 0.8 percent. The cocaine alkaloid content can go much higher, as was shown in one sample of coca leaf from the Chapare region in Bolivia that measured 1.2 percent. Coca grown on the upper slopes of the Andes also contain more cocaine alkaloids than coca grown in low-lying areas. It is therefore believed that the best quality coca is grown at higher altitudes.

Growing

While methods of cultivating the coca plant are similar in many ways throughout Peru, Bolivia and Colombia there are differences in techniques because of terrain, tradition and other factors. Some coca plantations have been in existence for years. In other areas, such as Peru's Upper Huallaga Valley, new plantations are being established daily. A typical farmer who is preparing a field for coca will usually pick an area that slopes slightly so that water will not drown his plants. He will then begin clearing his field, normally by cutting trees and stumps and setting fire to the debris, a clearing method known as slash and burn. The felled trees are left in the field and the coca is simply

planted around them. The farmer has no motivation to move logs since there is no market for the timber. Logs are absent from the older fields because of rot or because the farmer gradually cleared his field. Once the field is cleared for cultivation, the farmer can ready his crop for planting. The first step in cultivation generally begins with seed. Seeds are generally gathered from December through March from plants ranging from two to three years in age. They are often poured into water at the onset to identify bad seeds, which will usually float to the top and are discarded.

The good seeds are planted in small plots that are kept shaded from the sun. Seeds are sown about two inches apart at a depth of approximately one half an inch in humus enriched soil. These seedbeds are kept well watered and weeded frequently and the seeds will usually germinate within 20 to 30 days. Sometimes the seeds are presoaked in water to hasten germination which will then occur in about ten days. Seedlings usually reach transplanting size in about two months. At this age they are about 12 inches tall. While the measurements vary, seedlings generally are planted in holes about a foot deep and about one and one half feet from each other within rows about three feet apart. Another popular method of establishing new fields of E. ipadu involves taking a cutting from an established coca bush and planting it in the ground. This method (cloning) is becoming more popular as it gives the farmer a head start. There are two methods of planting a cutting. One involves sticking several branches a couple of feet long into the ground. The other method is to cut three or four inch cuttings from a branch, each one with protruding smaller branches. The cutting is soaked in water a day or so and then planted in loose, fertile soil. It is reported that coca leaf can be harvested from these plants within six months and seeds develop after one year but they lack embryos and aren't viable.

A coca plant cultivated from seed will generally reach full maturity and its leaves harvested between 12 and 24 months after being transplanted. Even though coca growing in the wild has been known to reach heights of up to 30 feet, that which is cultivated is almost always pruned back to facilitate harvesting the coca leaf. Cultivated fields are usually kept at a height of three to six feet. All picking of coca leaf is done by hand. Harvesters have to be very quick in their work yet careful not to damage the leaf buds on the bush while stripping off the leaves. Leaves are said to be ready for harvest when they take on a yellowish tint and have a tendency to crack when bent. Coca leaf can be harvested between two and six times per year depending on climatic conditions. Coca growing at lower altitudes will usually be harvested more often than coca growing at higher altitudes. The most abundant harvest, sometimes accounting for about half of the total yearly harvest, takes place after the March rains. Subsequent harvests take place in June/July and November, the normal dry season in South America. Some harvesting however, takes place all year round. When the leaves are harvested, they are put in sacks and taken to a closed room and spread on the floor. The next day, the leaves are taken to a flat area, where they are spread in thin layers on a tarpaulin in direct sunlight and left to dry. If there is a lot of sunshine and minimal cloud cover, the drying phase can be completed in six hours. During inclement weather, it takes much longer because at the first sign of rain the leaves are gathered up and taken into shelter. If a load of coca leaves is caught by a rainstorm during drying, it could ruin the whole load. This is because the leaves will ferment if the moisture goes over 14 percent. This tends to cause breakdown of the cocaine alkaloids. After the drying phase is completed, the coca leaves are swept into large piles and left for about three days before being taken to market and/or processing facilities. The weight of packaged leaves varies from the 100 pound "carga" in the Bolivian Chapare to the 25 pound "arroba" in Peru's Upper Huallaga Valley. In Colombia, coca leaves are not usually sold; however, when dried coca leaves are sold it is usually in 25 pound packages.

Growth in Peru

Peru, the world's largest source of coca, has both licit and illicit cultivation. Licit coca is cultivation by farmers registered with the National Coca Monopoly (ENACO). ENACO buys and sells it to retailers either for resale domestically, for chewing or for herbal tea, or for export to produce soft drink flavouring or pharmaceutical's. The 18,000 hectares that have been registered for licit coca cultivation are centered in the department of Cuzco. Illicit coca cultivation occurs primarily in the Upper Huallaga Valley region of northern Peru including the departments of San Martin, Huanuco and Ucayali. Other areas under cultivation include the valleys of La Convencion and Lares in the department of Cuzco and the provinces of Huanta and La Mar along the Rio Apurimac in the department of Ayachucho. There are also smaller growing areas located in the department of Puno and Pasco. The Upper Huallaga Valley is a tropical area with a mild

climate that receives an average of 12.44 feet of rain each year. June through September is the dry season when the region gets very little rainfall. The mean annual temperature is 55 deg. Fahrenheit. Coca is usually grown here between 1,980 to 2,640 feet above sea level but is sometimes planted up to 6,270 feet in altitude. The soil in the Upper Huallaga Valley is well drained and rich in iron, an important element for all plant life.

The area surrounding Cuzco has an average rainfall of between 1.32 and 5.94 feet annually which usually falls between the months of October and April. The average temperatures in this region are greater than 53 degrees Fahrenheit. Coca is generally grown between 2,409 and 4,257 feet above sea level. The soil is especially poor in iron content. A semi-tropical climate with warm days and abundant rain fall, between 6.6 and 7.26 feet per annum, characterises the Ayacuchco area. Coca is usually grown on steep slopes which require terracing at an elevation of between 1,650 and 4,290 feet. Soils in this area are red and high iron.

Growth in Bolivia

Bolivia is the world's second largest source of coca leaf. There is both licit and illicit coca cultivation occurring in this country as well. In mid-1988, a law became effective that made coca cultivation illegal in most of the country. The law also established legal, transitional and illegal zones of cultivation and declared that 12,000 hectares of coca would be the amount grown to meet the traditional demand for coca. There are roughly three main growing areas in Bolivia. The three areas are the Yungas de La Paz, the Chapare and the Apolo. Coca cultivation in the Yungas de La Paz is located between the cities of Guanay and Inquisivi, northeast of La Paz on the eastern slope of the Andes. Cultivation in the Chapare occurs in the provinces of Carrasco, Chapare and Arani in the department of Cochabamba, east of La Paz. The small area of Apolo is in the La Paz department north of the city of La Paz. Nearly all the illicit coca is grown in the traditional growing areas of the Yungas. The overwhelming amount of illicit coca is grown in Chapare where it is estimated that about 75 percent of the country's coca crop is cultivated.

The amount of coca grown in the Apolo is much less than in either of the other two areas. Parts of the Yungas have been cultivated for hundreds of years. Coca is planted at between 4,800 and 6,000 feet in altitude on terraced fields, generally on steep slopes. Some of these fields have a slope of more than 45 degrees. The Yungas is characterized by a five month rainy season that runs from December to April receiving about 4 feet of rainfall per year, followed by seven dry months. The soil content is poor, and this area has suffered severe erosion problems. In the Chapare, the weather is continually wet and warm with an annual rainfall averaging around 13 feet. The growing areas in this region are broad, low plains containing some hills. Coca is cultivated at between 660 and 1,650 feet above sea level where the temperature averages around 57 degrees Fahrenheit. The soil is clay based, rich alluvial (sediment deposited by flowing water). The Apolo, located in La Paz department, is often interplanted with coffee, a major crop in the area. Soil in the Apolo is not suited very well to coca; the longevity of a coca bush there is only from five to eight years. Coca plants in the Apolo are therefore constantly replaced.

Growth in Colombia

Colombia ranks third in worldwide coca leaf production with all cultivation being illicit. There is widespread cultivation in the eastern plains region of the Llanos which encompasses about one-half of Colombia. The heaviest areas of cultivation are located in the departments of Putumayo, Caqueta, Guaviare and Vuapes. There is substantial expansion of the coca crop in the Bolivar department in the north-central area of Colombia as well as areas of cultivation in the south and southwest part of the country. In the southern coca-growing region, the temperature averages between 49.5 and 52 degrees Fahrenheit and there is light rainfall. Coca is normally grown between 3,300 and 6,600 feet above sea level. The soil in this region is iron rich; however, there is some erosion.

Natural Enemies and Eradication Efforts

Although the coca bush is a very hardy plant and will live for many years and produce many harvests of leaf, it will do better if taken care of, fertilized and treated with herbicides and insecticides. Occasionally, a coca field will become infested with one of the plant's natural enemies. The main pests and the effect that they are known to have on the plant are discussed below.

The larvae of the moth Eloria Noyesi presents the most serious threat to the coca plant. This moth lives through out the coca-growing region of South America and seems to feed almost exclusively on E. Coca. It has also been recorded feeding on E. Novogranatense in Colombia and Northern Peru. The larva will develop in about a month and will eat up to 50 leaves in it's lifetime. The larva will also eat the shoots of the bush that grow out after harvest. If Eloria attacks a plant repeatedly, even a strong plant will die. The moth is usually only abundant from December to April. Coca growers are using insecticides to eradicate Eloria. The larvae of Eucleodora Coca, a fly, seems to cause harm only to Trujillo coca. (There have been major infestations of this insect in the past usually occurring

from April to August). The larvae spend their entire lives on the plant, feeding on the leaves and shoots. As with Eloria, Eucleodora is being controlled with insecticides. Very little is known about the effect of the leaf cutting ant, Acromyrmex, on coca. Growers in the Upper Huallaga Valley report that serious damage in the past has been done to their coca cultivation. The damage is often controlled with the use of insecticides and flooding. The beetle, Aegoidus Pacificus, also poses a threat to Trujillo coca. The adult beetle lays her eggs in the bark of the coca plant and the larva later burrows into the stem of the plant. This usually results in an infestation of pathogenic fungi which is ultimately responsible for the death of the coca plant. As with the other pests, the beetle can also be controlled with insecticides. Most of the other insects which attack coca only do so when there are shortages of their normal food supply. Some scientists believe that cocaine and other alkaloids may present some natural defence against most pests.

Nevertheless, sometimes insects such as spider mites, grasshoppers, leafhoppers and beetles find it necessary to eat coca. The coca plant is also susceptible to numerous species of pathogenic fungi. Fungi seems to present the greatest threat to a plant during the wet season. The most serious threat seems to come from a fungus called "witches broom". The exact effect of this fungus on the coca plant is not clear because of the limited amount of study which has been done on this fungus infesting coca plantations. Natural enemies hold hope for control of the coca plant in the future. At present, however, the most successful methods in controlling the growth of coca are herbicides and manual eradication.

As of early 1990, all eradication of the coca plant in Bolivia and Colombia was being done manually. The usual method has been for a team of eradication workers to go into a field and pull up the bushes plant by plant. In Peru, manual eradication of coca is often suspended because of security reasons. Prior to that time, a number of gasoline-powered cutters had been supplied to the workers making it easier and much quicker to cut the coca. The problem with this method is that sometimes the coca bush will resprout from the stump within 12 to 19 months. As such, the cutting must be made very close to the ground to insure that the plant does not sprout again. A number of herbicides have been tested for use in eradicating the coca plant including hexazinone and tebuthiuron (spike). Application of both has been deemed successful in killing the plant as long as they are applied in the correct manner and amount. Within ten to twenty days after application of hexazinone or tebuthiuron the coca bush sheds all its leaves and usually within 60 to 90 days the coca plant will be completely dead.

Cocaine Synthesis

Processing

The conversion of coca leaves to coca paste, cocaine base and cocaine hydrochloride occurs primarily in Bolivia, Colombia and Peru. Peru and Bolivia are the primary locations for the conversion of leaf to coca paste and cocaine base while Colombia is the primary location for the final conversion to cocaine hydrochloride (HCL). It's believed that both Peruvian and Bolivian traffickers have begun increasing their production of HCL in the last few years. There are a number of chemicals and solvents which play vital roles in the processing of coca leaves to paste, base and HCL. Many of these chemicals are quite common; all are theoretically substitutable and all have legitimate uses making them difficult to control. Coca processing can be broken down into three stages. The first is the conversion of the coca leaf into paste; this is almost always done very close to the coca field to cut down on the transport of the coca leaves. The second phase is the conversion of coca paste into cocaine base. This step is usually omitted in Colombia and the process is taken straight through from paste to cocaine HCL. The final stage is conversion of base to HCL.

Coca Leaves to Coca Paste

The conversion of coca leaf into coca paste is accomplished in a coca paste pit or "pozo". A typical coca paste pit is a very crude structure located near the harvesting site and consists of only a very few items. Some paste pits have even been reported in peasants' houses. The paste pit is usually a hole in the ground, lined with thick, heavy plastic, or may even be a 55 gallon drum with the top cut out. Paste pits are often located near streams so that the processors have a constant supply of fresh water, which is used in the first stage of processing. The process of converting leaves to paste usually takes a few days. Often, however, the leaves will be "worked" or "stomped" for only a few hours rendering less paste than if "worked" for several days. It's apparently sometimes more desirable to move the paste out than to get more paste per kilo of coca leaf. Depending on the size of the pit and the amount of leaf, the whole process will require the energy of two to five workers. The following recipe for coca paste is but one of many. Recipes will differ depending on where the laboratory is located. Some will opt not to use water in the first step and amounts and mixing times vary widely. However, this remains a good benchmark formula.

Step 1

The coca leaves are put into an aboveground container or in a plastic lined pit. An alkaline material (sodium carbonate) and water are added to the leaves. Here the alkaline material enables the cocaine alkaloid present in the leaf to be extracted into the kerosene.

Step 2

A water immiscible solvent (kerosene) is added to water, solution and leaves. The mixture is then agitated. Usually this is accomplished by having several people stomp on the leaves. The solvent acts to extract water insoluble cocaine alkaloids from the alkaline solution.

Step 3

Cocaine alkaloids and kerosene separate from the water and leaves. The water and leaves are then drained off.

Step 4

Cocaine alkaloids are extracted from the kerosene into a dilute acid solution (H2SO4). Alkaline material (sodium carbonate) is added to the remaining solution which causes a precipitate to form. The acid and the water are drained off and the precipitate is filtered and dried to produce coca paste, a chunky off-white to light brown, putty-like substance. As a guide to relative quantities of coca leaf and the resultant amount of

coca paste, 250 pounds of dried coca leaf should provide approx. 2.2 pounds of coca paste. This is assuming the leaf is "worked" for a couple of days. The paste will contain approx. 30 percent cocaine alkaloid and 10 percent ecgonine depending on the variety and quality of the coca leaf.

Coca Paste to Cocaine Base

The processing of coca paste into cocaine base is more complicated than paste production, requiring more sophisticated equipment and added skills. Cocaine base can be processed at the paste facility but base laboratories may be located away from the cultivation zones. Usually the base laboratories are located near rivers or have a clandestine airstrip located in the vicinity to facilitate both the movement of coca paste into the base lab. and also the movement of the base out to cocaine HCL labs. As with the paste recipes, the base recipes have many versions. This one is one of the more common and a continuation of the paste recipe.

Step 1

The coca paste is added to sulfuric acid or hydrochloric acid and water. The paste is dissolved into the acid solution.

Step 2

Potassium permanganate is combined with water. This mixture is added to the coca paste and acid solution. Potassium permanganate is used in this step to extract other alkaloids and material that are undesired in the final product. In particular, potassium permanganate is used to break down the alkaloid ciscinnamoylcocaine which is found in large concentrations in E. Novogranatense varieties. If the coca paste has a high concentration of this alkaloid and potassium permanganate is not used then crystallisation of cocaine HCL will be very difficult to achieve.

Step 3

This mixture is allowed to stand for about six hours.

Step 4

The solution is filtered and the precipitate is discarded. Ammonia water (ammonium hydroxide) is added to the filtered solution and another precipitate is formed

Step 5

The liquid is drained from the solution and the remaining precipitate is usually dried with heating lamps. The resulting powder is cocaine base with a roughly one to one conversion ratio from paste to base. ie. 2.2 pounds of paste results in roughly the same weight in base. It is common in Colombia to skip the base stage of cocaine processing by going from coca paste right to cocaine HCL. This can be accomplished by eliminating the last part of step four in coca paste processing and skipping to step two of the cocaine base phase where the coca paste is added to the potassium permanganate solution.

Cocaine Base to Cocaine Hydrochloride (HCL)

The final stage of cocaine processing requires even more skill and equipment and is much more dangerous than the previously mentioned steps. Unlike paste and base processing, cocaine HCL processing calls for expensive chemicals that are harder to find and often not manufactured in the processing country. The HCL lab. usually consists of several buildings including dormitories, eating facilities, office, storage and the laboratory itself. Also usually found at HCL labs. are communications equipment, generators, filtering and drying equipment and more recently; chemical recycling facilities. The HCL lab. will often have direct access to an airstrip. The following recipe is a continuation of the above two. At this point the methods of processing vary only slightly.

Step 1

Acetone or ether is added to dissolve the cocaine base and the solution is filtered to remove undesirable material.

Step 2

Hydrochloric acid diluted in acetone or ether is added to the cocaine solution. The addition of the hydrochloric acid causes the cocaine to precipitate (crystallise) out of the solution as cocaine hydrochloride. The HCL is then filtered out for drying.

Step 3

The remaining acetone/ether solvent can be discarded or reused.

Step 4

Cocaine HCL is dried under heat lamps, laid out to dry with the aid of fans, or dried in microwave ovens. The yield from 1 kg of base will vary widely, depending on quality of coca base and efficiency of processing, but should be approx. 1 pound.

An in depth view of Synthesis for precision chemistry

The basic formula for cocaine starts by purchasing or making tropinone, converting the tropinone into 2carbomethoxytropinone (also known as methyl-tropan-3-one-2-carboxylate), reducing this to ecgonine, and changing that to cocaine.

Succindialdehyde. This can be purchased, too. 23.2 g of succinaldoxime powder in 410 ml of 1 N sulfuric acid and add dropwise with stirring at 0; a solution of 27.6 g of sodium nitrite in 250 ml of water over 3 hours. After the addition, stir and let the mixture rise to

room temp for about 2 hours, taking care not to let outside air into the reaction. Stir in 5 g of Ba carbonate and filter. Extract the filtrate with ether and dry, evaporate in vacuo to get the succindialdehyde. This was taken from JOC, 22, 1390 (1957). To make succinaldoxime, see JOC, 21, 644 (1956).

Complete Synthesis of Succindialdehyde. JACS, 68, 1608 (1946). In a 2 liter 3 necked flask equipped with a stirrer, reflux condenser, and an addition funnel, is mixed 1 liter of ethanol, 67 g of freshly distilled pyrrole, and 141 g of hydroxylamine hydrochloride. Heat to reflux until dissolved, add 106 g of anhydrous sodium carbonate in small portions as fast as reaction will allow. Reflux for 24 hours and filter the mixture. Evaporate the filtrate to dryness under vacuo. Take up the residue in the minimum amount of boiling water, decolorize with carbon, filter and allow to recrystallize in refrigerator. Filter to get product and concentrate to get additional crop. Yield of succinaldoxime powder is a little over 40 g, mp is 171-172₁.

5.8 g of the above powder is placed in a beaker of 250 ml capacity and 54 ml of 10% sulfuric acid is added. Cool to 0; and add in small portions of 7 g of sodium nitrite (if you add the nitrite too fast, nitrogen dioxide fumes will evolve). After the dioxime is completely

dissolved, allow the solution to warm to 20_i and effervescence to go to completion. Neutralize the yellow solution to litmus by adding small portions of barium carbonate. Filter off the barium sulfate that precipitates. The filtrate is 90% pure succindial dehyde and is not purified further for the reaction to create tropinone. Do this procedure 3 more times to get the proper amount for the next step, or multiply the amounts given by four and proceed as described above.

Take the total amount of succinaldehyde (obtained from 4 of the above syntheses combined) and without further treatment or purification (this had better be 15.5 g of succindialdehyde) put into an Erlenmeyer flask of 4-5 liters capacity. Add 21.6 g of methylamine hydrochloride, 46.7 g of acetonedicarboxylic acid, and enough water to make a total volume of

2 liters. Adjust the pH to 8-10 by slowly adding a saturated solution of disodium phosphate. The condensate of this reaction (allow to set for about 6 days) is extracted with ether, the ethereal solution is dried over sodium sulphate and distilled, the product coming over at 113_1 at

25 mm of pressure is collected. Upon cooling, 14 g of tropinone crystallizes in the pure state. Tropinone can also be obtained by oxidation of tropine with potassium dichromate, but I could not find the specifics for this operation.

2-Carbomethoxytropinone. A mixture of 1.35 g of sodium methoxide (this is sodium in a minimum amount of methanol), 3.5 g of tropinone, 4 ml of dimethylcarbonate and 10 ml of toluene is refluxed for 30 min. Coo] to 0_i and add 15 ml of water that contains 2.5 g of ammonium chloride. Extract the solution after shaking with four 50 ml portions of chloroform, dry, evaporate the chloroform in vacuo. Dissolve the oil residue in 100 ml of ether, wash twice with a mixture of 6 ml of saturated potassium carbonate and three ml of 3 N KOH. Dry and evaporate in vacuo to recover the unreacted tropinone. Take up the oil in a solution of aqueous ammonium chloride and extract with chloroform, dry, and evaporate in vacuo to get an oil. The oil is dissolved in hot acetone, cool, and scratch inside of flask with glass rod to precipitate

2- carbomethoxytropinone. Recrystallize 16 g of this product in 30 ml of hot methyl acetate and add 4 ml of cold water and 4 ml of acetone. Put in freezer for 21/2 to 3 hours. Filter and wash the precipitate with cold methyl acetate to get pure product.

Methylecgonine. 0.4 mole of tropinone is suspended in 80 ml of ethanol in a Parr hydrogenation flask (or something that can take 100 psi and not react with the reaction, like stainless steel or glass). 10 g of Raney Nickle is added with good agitation (stirring or shaking) followed by 2- 3 ml of 20% NaOH solution. Seal vessel, introduce 50 psi of hydrogen atmosphere (after flushing vessel with hydrogen) and heat to $40-50_i$. After no more uptake of hydrogen (pressure gauge will hold steady after dropping to its lowest point) bleed off pressure and filter the nickle off, rinse out bottle with chloroform and use this rinse to rinse off the nickle while still on the filter paper. Make the filtrate basic with KOH after cooling to 10_i . Extract with chloroform dry, and evaporate the chloroform in vacuo to get an oil. Mix the oil plus any precipitate with an equal volume of dry ether and filter. Add more dry ether to the filtrate until no more precipitate forms, filter and add to the rest of the precipitate. Recrystallize from isopropanol to get pure methylecgonine. Test for activity. If active, skip down to the step for

cocaine. If not active, proceed as follows. Stir with activated carbon for 30 min, filter, evaporate in vacuo, dissolve the brown liquid in methanol, and neutralize with 10% HCI acid in dry ether. Evaporate the ether until the two layers disappear, and allow to stand for 2 hours at 0; to precipitate the title product. There are many ways to reduce 2-carbomethoxytropinone to methylecgonine. I chose to design a Raney Nickle reduction because it is cheap and not as suspicious as LAH and it is much easier than zinc or sodium amalgams.

Cocaine. 4.15 g of methylecgonine and 5.7 g of benzoic anhydride in 150 ml of dry benzene are gently refluxed for 4 hours taking precaution against H20 in the air (drying tube). Cool in an ice bath, acidify carefully with hydrochloric acid, dry, and evaporate in a vacuum to get a red oil which is treated with a little portion of isopropanoi to precipitate cocaine.

As you can see, this is quite a chore. The coca leaves give ecgonine, which as you can see, is only a Jump away from cocaine. If you can get egconine, then dissolve 8l/2 g of it in 100 ml of ethanol and pass (bubble) dry HC1 gas through this solution for 30 min. Let cool to room temperature and let stand for another 11/2 hours. Gently reflux for 30 min and evaporate in vacuo. Basify the residue oil with NaOH and filter to get 8.4 g of methylecgonine, which is converted to cocaine as in the cocaine step above.

Below is given a somewhat easier method of producing tropinone by the general methods of Willstatter, who was instrumental in the first synthetic production of cocaine and several other alkaloids. After reviewing this method, I found it to be simpler than the above in many respects.

Tropinone. 10 g of pyrrolidinediethyl diacetate are heated with 10 g of cymene and 2 g of sodium powder, the reaction taking place at about 160_i. During the reaction (which is complete in about 10 min) the temp should not exceed 172_i. The resulting reaction product is dissolved in

water, then saturated with potassium carbonate, and the oil, which separates, is boiled with dilute sulfuric acid. 2.9 g of tropinone picrate forms and is filtered.

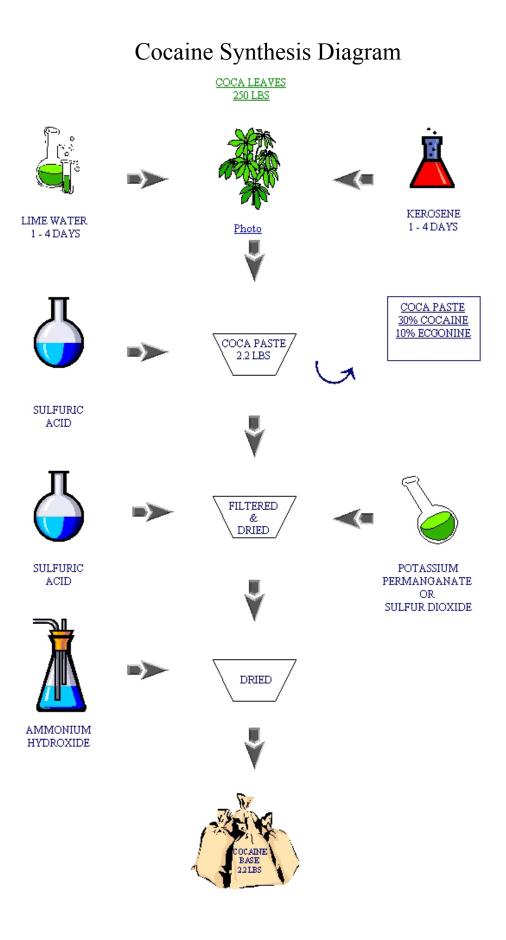
Here are two more formulas devised by Willstatter that produce tropinone from tropine. Take note of the yield differences.

Tropinone. To a solution of 25 g tropine, dissolved in 10 times its weight of 20% sulfuric acid are added 25 g of a 4% solution of potassium permanganate in 2 or 3 g portions over 45 min while keeping the temp at 10-12_i. The addition of permanganate will cause heat (keep the temp

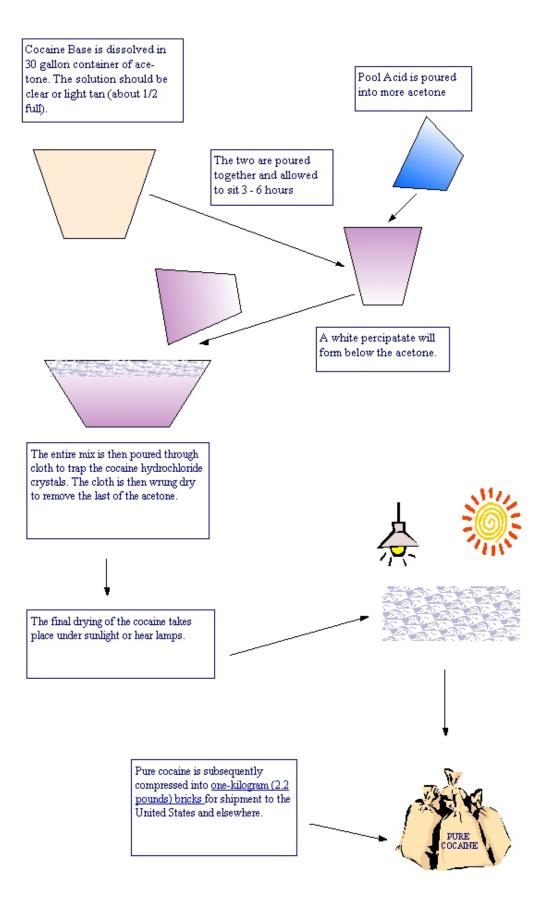
10-12_i) and precipitation of manganese dioxide. The reaction mixture is complete in I hour. A large excess of NaOH is added and the reaction is steam distilled until I liter of distillate has been collected. The tropinone is isolated as the dibenzal compound by mixing the distillate with 40 g of benzaldehyde in 500 cc of alcohol and 40 g of 10% sodium hydroxide solution. Let stand several days to get dibenzaltropinone as yellow needles. Yield: 15.5 g, 28%. Recrystallize from ethanol to purify.

Tropinone. A solution of 12 g of chromic acid in the same amount of water (12 g) and 60 g of glacial acetic acid is added dropwise with stirring over a period of 4 hours to a solution of 25 g of tropine in 500 cc of glacial acetic acid that has been warmed to 60-70; and is maintained at this temp during the addition. Heat the mixture for a short time on a steam bath until all the chromic acid has disappeared, cool and make strongly alkaline with NaOH. Extract with six 500 cc portions of ether and evaporate the ether in vacuo to get an oil that crystallizes readily. Purify by converting to the picrate or fractionally distill, collecting the fraction at 224-225; at 714 mm vacuo.

The tropinones can be used in the above formula (or in a formula that you have found elsewhere) to be converted to cocaine. Remember to recrystallize the 2-carbomethoxytropinone before converting to methylecgonine.



COCAINE BASE CONVERSION



Legalization Steps

"Experts push legalization of cocaine gum to wean addicts"

By DAN FREEDMAN Hearst News Service

WASHINGTON -- Quenn Victoria did it. Winston Churchill in his youth did it, and millions of peasant farmers in South America do it. So why not allow it in America?

Why not let people chew on low-potency cocaine lozenges or gum?

"Millions have used these products, and we have no evidence of harm associated with it," says Ethan Nadelmann, a professor at Princeton University's Woodrow Wilson School of International and Public Affairs.

"It may be less addictive than coffee."

Nadelmann and others who advocate changing the government's zero-tolerance approach to drugs want to create a weakened version of cocaine that could be sold over the counter as a substitute for the hard stuff.

Then potential consumers would have an alternative to crack cocaine, which is smoked, and high-purity regular cocaine, which is snorted, the way beer and wine are alternatives to high-proof vodka.

The idea of marketing cocaine-lite is not making much head-way at a time when the American public is fearful of crime and when the crime bill moving through Congress is promising more prisons and punishment for drug offenders.

But raising the possibility of such a product goes to the core of the debate over the best way to undercut criminal drug enterprises.

Nadelmann and others argue that low-potency cocaine might draw potential customers away from drug-trafficking organizations smuggling tons of cocaine from South America and violent street gangs peddling crack.

"If some people want to distill those products down to something more potent, let them," Nadelmann wrote in an editorial with <u>Rolling Stone</u> Publisher Jann Wenner in the May 5 issue of the magazine. "But most people won't want to buy it."

However, Herbert Kleber, a psychiatrist and a White House anti-drug official in the Bush administration, says low-potency cocaine would not undercut criminal drug gangs because no one would use it as an alternative.

Now a vice president of Columbia University's Center on Addiction and Substance Abuse, Kleber calls the idea of a cocaine substitute "scientifically naive," adding that it "totally misunderstands the reason why people use and misuse drugs."

Kleber compares the temptation of low-potency cocaine for the uninitiated or the recovering addict with his experience in quitting smoking.

"I smoked for 25 years and if i have just one, I'm back to two packs a day," he said. "It's the same with low-dose cocaine."

Dr. Andrew Weil of the University of Arizona medical school disagrees.

He says the widespread chewing of coca leaves among Andean peasants suggests that, in low dosages, cocaine is not addictive.

Weil also says that the product is good for treating stomach ailments and motion sickness.

"It's a shame that we've made disappear from our world a form of a drug that has a whole bunch of benefits," Weil says.

Watered-down cocaine was common in turn-of-the-century America and Europe. Recently uncovered records in Scotland suggest that Queen Victoria and her young house guest, Winston Churchill, consumed cocaine-filled lozenges for sore throats and other maladies contracted while staying at Balmoral Castle.

At the same time, cocaine was an ingredient of Coca-Cola and several varieties of patent medicines sold in America. All that changed in 1914 with the Harrison Act, which banned cocaine without a prescription.

Drug-law defenders say cocaine was banned because it is dangerously addictive.

"There are some genies you can't let out of teh bottle," Kleber says.

Low-potency cocaine differs from regular cocaine powder and crack in terms of its purity level, and how fast and thoroughly it alters brain chemistry.

According to Weil, the coca leaf chewed by peasant farmers in Bolivia and Peru is half of 1 percent pure cocaine. By contrast, cocaine smuggled in by traffickers is 50 percent to 60 percent pure.

The effect of crack is even more intense because it is smoked and its chemicals reach the brain in seconds. Cocaine inhaled through the nose takes 30 minutes to be fully effective. Orally ingested cocaine in lozenges or gum takes an hour, according to Kleber.

John Gregich of the White House Office of National Drug Control Policy argues that "the notion you can create a safe stimulant out of something as addictive as cocaine doesn't match our experience."

Still, the University of Arizona's Weil notes that decades of tough law enforcement measures against drug traffickers and dealers have "made worse what we want to make better, destroying the peasant society of South America and creating the crack culture in American cities."

A. Weil. "The Therapeutic Value of Coca in Contemporary Medicine." The Journal of Ethnopharmacology, 3 (1981) 367-376.

"I have lived among coca-using Indians of the Andes and the Amazon basin in Columbia and Peru and have not seen any signs of physical deterioration attributable to the leaf. I have never seen an instance of coca toxicity. Nor have I observed physiological or psychological dependence on coca. Even life-long chewers seem able to get the effect they want from the same dose over time; there is no development of tolerance and certainly no withdrawal syndrome upon sudden discontinuance of use."

Safe Procedure Recommendation

"Intranasal Cocaine Administration"

Insofar as cocaine use is concerned, there has been a very "nice" way to do coke. "Nice" refers to the anti self-destructive means usually employed to use coke.

This method makes use of an empty nasal decongestant sprayer bottle. Take a small amount of powdered cocaine - 1/4 to 1/2 a gram – and dissolve it in maybe a cubic inch of water. Add a drop or two of vodka or other ethanol. When stirred well, the cocaine dissolves into the water, leaving the cut(s) on the bottom (which is a side benefit). Pour the solution - a 7% solution, into the sprayer, and apply to your nasal cavities, judiciously. Do not over fill, or you will get a jet of solution.

Notes:

When done correctly, you get a nice mix of solution and air in a mist that dissolves easily into your nasal passages, with consequent bodily effects approximately equivalent to a cup of coffee. This is advantageous for many reasons...

- No waste. You get exactly what your body can absorb, and no crumbs clinging to your nasal passages and falling down your front. You don't get so much that the effect borders on toxicity, as you do when doing lines. And you can make a 1/2 gram last up to a week, in this fashion.
- No paraphernalia. This fits nicely into a night bag with toothbrush or toothpaste, and is bust-free, in the car, on one's person, at one's desk, or crossing international borders. No razors, no straws, no mirrors, no 'bullets' or little brown vials waiting to fall out of your pocket.
- No addictive sequence. It's much easier to forego tooting when using at this level, and put it aside for the night, instead of staying up 'til the wee hours. And it combines with being productive about the same way that coffee does.