

## CHEMISTRY

# Near Synthetic Penicillin

Chemically created substance nearer composition of penicillin than heretofore attained, bringing synthetic production one step closer.

► **CHEMICAL CREATION** of substances nearer the composition of penicillin than any heretofore made in the laboratory, announced to the American Chemical Society, Boston, by Dr. John C. Sheehan of the Massachusetts Institute of Technology, brings one step nearer the chemist's goal of synthetic penicillin production on a large scale.

Present methods of producing this important antibiotic drug are dependent on growth of a living mold. The mold culture must be grown in batches, and is subject to variation due to many causes difficult to control. If the exact chemical produced by the mold can be duplicated by the chemist, synthetic production in quantity should be possible. Many researches have been carried on during the past eight years in the hope of finding the right compound with penicillin's germ-killing ability.

The remarkable properties of penicillin

are believed due to an unusual combination of carbon, nitrogen and sulfur in the form known as a "beta-lactam ring." This combination, Dr. Sheehan finds, is easily destroyed by heat and acids. His method overcomes this difficulty by devising low temperature methods of making beta-lactam chemicals in neutral solutions. Three new reactions are announced by Dr. Sheehan and his group of researchers which fulfill these conditions for making penicillin-like substances.

Earlier reported methods of synthesis of penicillin yielded small amounts of material which had penicillin's antibiotic power. The products reported by the research groups at the present meeting still lack this essential quality. It is, however, Dr. Sheehan's belief that, once the structure of penicillin is well understood, the specific modification which kills germs can be added to the beta-lactam molecule.

Science News Letter, April 14, 1951

## MEDICINE

# Powerful Antimalarial Drug

► **DEVELOPMENT** of an antimalarial drug so powerful that a single ounce would constitute a five- to ten-year supply for the average patient was announced at the American Chemical Society meeting in Boston.

Although the drug is now being tested on malaria victims in Africa, it is still only in the experimental stage, and its true value will not be known until adequate clinical evidence has been compiled, Dr. George H. Hitchings and associates from the Wellcome Research Laboratories, Tuckahoe, N. Y., reported.

The drug, which is synthesized from readily available raw materials, is of the "suppressive" type, which means it would not provide a cure but would be used to control the disease.

Technically known as "5-parachlorophenyl-2, 4-diamino-o-ethylpyrimidine," the new drug is one of a series developed as the result of an investigation of the fundamental chemistry of cell division, Dr. Hitchings reported.

Collaboration by workers on both sides of the Atlantic was involved in the development of the antimalarials. The synthesis and preliminary studies were carried out by the group at Tuckahoe, including Dr. Peter B. Russell and two women chemists, Elvira A. Falco and Shirley DuBreuil,

while testing against malarial infections in mice, chicks, and monkeys was done in London, England, by Dr. L. G. Goodwin and I. M. Rollo at the Wellcome Laboratories of Tropical Medicine. Dr. Goodwin is now conducting the experimental work with human subjects in Nigeria, Africa.

"The first observation, two years ago, of a substance with a potency about the same as that of quinine was the starting point from which drugs of higher and higher potency have been developed," Dr. Hitchings said. "New substances were discovered with five, then twenty-five, one hundred, five hundred, and finally one thousand times the original potency.

"All of these substances belong to a group of 2,4-diaminopyrimidines previously found to inhibit milk-souring bacteria, but fine details of chemical structure greatly affect their action on malarial organisms. The most potent group is the 2,4-diamino-5-phenyl pyrimidines, and the most potent substance is 5-parachlorophenyl-2,4-diamino-o-ethylpyrimidine.

"High potency in an antimalarial drug is very important in its use. A drug like the chlorophenyl diaminopyrimidine need be taken in very small amount. The amount necessary is so small that unpleasant side effects and toxic reactions are avoided, and the cost of treatment is very low.

"It has been estimated that 500 million people in the world suffer from malaria. Many of these, living in tropical countries with a low standard of living, receive no treatment whatever. Because they are continually being reinfected, almost constant treatment is necessary to keep them free of the disease. In terms of quinine or atabrine, this is too costly. It is hoped that the new drugs will bring relief to many of these people."

Science News Letter, April 14, 1951

A pound of lawn seed may contain 3,000,000 seeds.

Airplanes have been experimentally tried during the past winter to distribute salt along main highways to clear them of ice and snow.



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