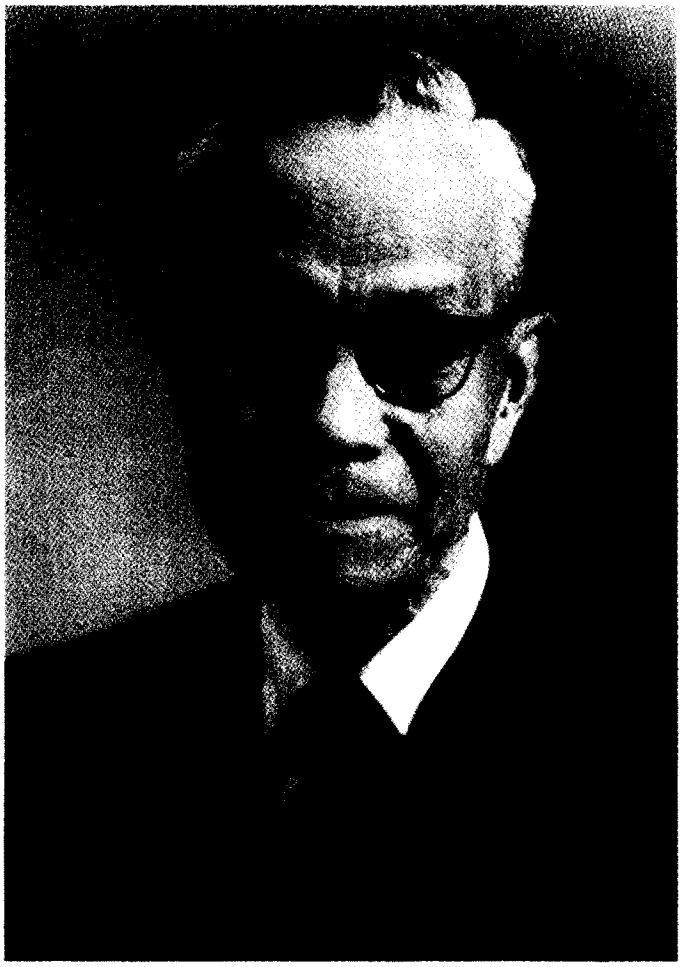

PROFESSOR SHIGEHIKO SUGASAWA 1898-1991

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IN MEMORIAM

Professor Shigehiko Sugasawa

(April 2, 1898 - March 1, 1991)

It is with great regret that we have to announce to our readers the death of Professor Emeritus Shigehiko Sugasawa, University of Tokyo, one of the first members of the Consulting Board of Editors of Tetrahedron as a representative from Japan. He passed away on March 1, 1991, at the age of 92. To his last years, Professor Sugasawa's deep love of organic chemistry and experimental work never faded. His great devotion to scientific research and his intense and challenging presence in the laboratory will be remembered by all his co-workers.

Professor Shigehiko Sugasawa was born in Osaka on April 2, 1898, as the second son of Takesaburo Sugasawa, MD, a prominent ophthalmologist. He entered primary school one year earlier than the regular school age, and graduated from Kitano Junior High School in Osaka in 1915. He proceeded to the Third Senior High School in Kyoto, where he used to play baseball as a tough slogger in the school team. Although he once entered Medical School to follow in his father's foot steps, he found medical study uninteresting. He decided to enter another field, and Organic Chemistry fascinated him. Thus, he entered the Pharmaceutical Institute, Medical School, Tokyo Imperial University, in 1919, since this Institute had great fame in the field of organic chemistry in Japan at that time. After graduation from the University, he entered the graduate course at the same University, in 1922, working under the guidance of the late Professor Katsuzaemon Keimatsu. His first paper published with Professor Keimatsu, was on the synthesis of dl-glutamic acid. A key intermediate of this synthesis, β-formylpropionic acid was at first prepared from acrolein. However, as acrolein was not a good starting material, Professor Sugasawa modified the method to effect formylation of ethyl succinate, followed by rather drastic hydrolysis, which efficiently furnished ethyl β-formyl- propionate. This method was never utilized on a large scale for industrial production. However, after the "oxo process", which was invented by Smith in 1930 and developed in Germany during the World War II years enabled β-formylpropionic acid equivalents to be prepared in large amounts, these compounds started to attract attention as potentially useful for the industrial synthesis of glutamic acid. Several methods were developed in the following years, all of which follow in

principle the method developed by Professor Sugasawa some 30 years before. This work constituted a part of his dissertation for his Ph.D. degree (1928), and were predictive of Professor Sugasawa's ingenious and productive activities in organic chemistry thereafter.

In Europe in the nineteen-twenties, Sir Robert Robinson was presenting his fascinating idea for alkaloid biogenesis, which impressed young Professor Sugasawa. He went to England in 1929 to work under the direction of Sir Robert at University College in London (1929-1930) and later at Oxford University (1930-1932). During his stay in England, he published five papers with Sir Robert. In particular, the brilliant work on dehydrogenation of laudanosoline to provide the pyrrocoline derivative contrary to Sir Robert's expectation that this reaction would give a compound with a morphinan skeleton, was memorable. Many years later this new type of quaternary alkaloid was in fact found to occur in nature in an Australian source demonstrating an example of "inversion of order", that is, synthesis prior to detection of a natural product.

On his return to Japan in 1932, he was appointed as Assistant Professor, and later promoted to be Full Professor at Tokyo Imperial University in 1937. Sir Robert's marvellous ideas on the structural relations of natural products profoundly influenced the young Professor Sugasawa ever thereafter. He attempted the syntheses of all seven types of theoretically possible isomers of the so far unknown dibenzoquinolizine derivatives. Six of these types were synthesized unbelievably rapidly, though the fundamental skeleton of berberine had been synthesized before his work. And at the same time, a series of dibenzoindolizines were also synthesized. For this outstanding research, the Award of the Imperial Academy was conferred on him in 1943. This is one of the highest awards in science in Japan. At that time the environment for scientific research in Tokyo, was becoming worse and worse, as the war situation became more critical. However, even under the furious air raids of 1944-45, he remained in Tokyo to continue his research.

Professor Sugasawa accomplished numerous brilliant syntheses of isoquinoline derivatives (1935-1966), diisoquinoline derivatives, curare-like substances (1954), rubremetine homologs (1955-1959) and morphinan derivatives (1956-1960), and so on. The syntheses of indole derivatives including esermethole, homoesermethole (1958) and folicanthine (1963) were also achieved. It is noteworthy that this synthetic work was mostly carried out using his own created or modified new reactions. For example, acetylation of the unstable formyl derivatives (1927, 1949), esterification by the "melange azeotropique" method (1927), the Friedel Crafts'

reactions with thionyl chloride and sulfuryl chloride (1940), the formylation of amines with formamide (1942), modified Curtius degradation (1944-1952), a new method for the preparation of secondary amines [saccharine method] (1952), acid azides as acylating agents (1955), debenzylation of N-benzylacylamide (1958), a modified Emde degradation [reductive cleavage of quaternary ammonium salts by Raney Nickel](1958), reduction of aliphatic unsaturated nitriles with Raney Cobalt (1961), extension of the Bischler-Napieralski reaction (1958-1965), and preparation of nitriles from primary amides (1970), etc. Along with his activities in chemistry, he also served as the President, Pharmaceutical Society of Japan (1949-1951).

After his retirement under the age-limit system of 60 from the University of Tokyo in 1959, whose former name was the Tokyo Imperial University, Professor Sugasawa went to work in the Organic Chemistry Research Laboratory, Tanabe Seiyaku Co., Ltd., where he enjoyed chemistry with young collaborators as the scientific consultant. He was elected a member of the Japan Academy since November, 1975, until he left this world in 1991. Based upon prominent experiences for many years, he and his co-workers synthesized about sixty 1-substituted 6,7-dihydroxy-1,2,3,4-tetrahydroisoquinolines for pharmacological evaluation, of which the levo isomer of the 1-(3',4',5'-trimethoxybenzyl) derivative under the brand name "inolin" was used clinically as an excellent bronchodilator. For these outstanding contributions to the progress of science, the Second Order of the Sacred Treasure with the Name of His Imperial Majesty was conferred on him in 1978, He also received the Special-Award of the Society of Synthetic Organic Chemistry, Japan, in 1988.

He had no taste for either of smoking or drinking, but he loved sports, both watching and playing them himself. Particularly, he used to be a player of golf, and won the amateur championship of Koganei Golf Club twice, and was awarded the "Trophies of Prince Higashikuninomiya" before World War II.

Mrs. Hamako Sugasawa passed away in 1958, and since then, Professor Sugasawa was single till the end. His two sons, Mr. Kiyoshi Sugasawa, Chairman, Daikin Industries, Ltd., and Mr. Takehiko Sugasawa, General Manager, Copolymer Division, Monsanto Kasei Co., are active with distinguished reputations in their respective fields. The death of Professor Sugasawa is a great loss to the field of synthetic organic chemistry in general. We express deepest condolences on the passing of this huge star in chemistry.

Takayuki Shioiri
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