

Preparative synthesis of (1,2-methanofullerene C₆₀)-61-carboxylic acid

Nikolaev D.N. *¹, Davidovich P.B.², Piotrovskiy L.B.¹

¹*Institute of Experimental Medicine NWB RAMS, 197376, St.-Petersburg, Russia*

²*St.-Petersburg State Institute of Technology, 190013, St.-Petersburg, Russia*

*e-mail: pp225@yandex.ru

The modification of biological molecules (proteins, polynucleotides and polysaccharides) by C₆₀ fullerene residue leads to an increase in their lipophilicity and thus may enhance their ability to penetrate through biological membranes. The most suitable for modification are fullerene monocarboxylic acid.

In order to find the best precursor for the synthesis of fullerene monocarboxylic acid in preparative scale the reaction of cyclopropanation of C₆₀ by alkyl (dimethylsulfuranylidene)acetates was chosen [1]. For the determination of the influence of the radicals on the reaction yield and the conditions for the separation ether (1,2-methanofullerene C₆₀)-61-carboxylic acid from the mixture of mono- and polysubstituted derivatives, the cyclopropanation of C₆₀ was carried out by alkyl (dimethylsulfuranylidene)acetates, containing various ester radicals (ethyl, tert-butyl, benzyl and benzhydryl).

The obtained data showed that the structure of the alkyl radical in the molecule of ylide does not significantly affect the reaction. In all cases, a mixture of mono- and polysubstituted derivatives with roughly the same yield were obtained. However, chromatographic separation from the reaction mixture of monosubstituted derivatives, containing benzyl and benzhydryl radicals, it was much easier. Further transformation of the ester to the free (1,2-methanofullerene C₆₀)-61-carboxylic acid proceeded readily in the case of tert-butyl and benzhydryl radicals.

The structure of all obtained compounds was unambiguously confirmed by ¹³C-NMR, ¹H-NMR, IR and UV spectra and mass spectrometry.

Thus, it can be concluded that for preparative synthesis of (1,2-methanofullerene C₆₀)-61-carboxylic acid most suitable precursor is benzhydryl (dimethylsulfuranylidene)acetate.

- [1] Wang, Y., Cao, J., Schuster, D.I., Wilson, S.R. *Tetrahedron Letters* **36**(38), 6843 (1995).