Bacteriostatic effect of C_{60}-(*N,N*-dimethylpyrrolidinium iodide) derivatives

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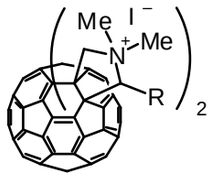
The biological effects of fullerene and its derivatives are interesting. And some biological activities based on their unique physical properties and chemical reactivities have been reported.

We previously have reported the bacteriostatic effect of cationic fullerene derivative, C_{60}-bis(*N,N*-dimethylpyrrolidinium iodide) (**1**, Fig. 1).[1, 2] We have also shown that the cationic fullerene derivative inhibited *E. coli* respiratory chain activity which is thought to be a mechanism of the bacteriostatic effect.

In this report, we synthesized many derivatives of C_{60}-bis(*N,N*-dimethylpyrrolidinium iodide) and studied a bacteriostatic effect and a respiratory chain inhibition activity.

Fullerene derivatives, C_{60}-bis(2-alkyl-*N*-methyl-pyrrolidine), were synthesized from C_{60}, *N*-methylglycine, and the corresponding aldehyde. Bis-adduct, a mixture of regio isomer, was purified by silica gel column chromatography. Then C_{60}-bis(2-alkyl-*N*-methylpyrrolidine) was treated by methyl iodine to give C_{60}-bis(2-alkyl-*N,N*-dimethyl-pyrrolidinium iodide). (**2**-**4**, Fig. 1)



R = H (**1**)
C_4H_9 (**2**)
C_6H_{13} (**3**)
C_9H_{19} (**4**)

Fig. 1 Fullerene derivatives

E. coli growth was monitored in terms of changes in turbidity at 630 nm by a photoelectric colorimeter.

Fullerene derivatives **1**, **2**, and **3** suppressed *E. coli* growth effectively. **2** and **3** were effective in *E. coli* growth inhibition than **1**. But **4** had no effect on the growth (up to 20 μM).

Then, a respiratory chain inhibition activity of the fullerene derivatives was studied. The respiratory chain activity was examined in term of dioxygen uptake rate caused by *E. coli* innermenbrane fraction in the presence of NADH. The dioxygen concentration was monitored polarographically with a Clark-type electrode.

In the case of respiratory chain inhibition, fullerene derivatives **2** and **3** were also effective than **1** and **4** had no effect (up to 20 μM).

Respiratory chain is located in membrane fraction, so, lipophilic compounds are thought to interact with it efficiently. But too much lipophilicity might prevent the interaction.

Our results indicated that appropriate lipophilicity of the derivatives was suitable for incorporation into membrane.

References

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