SYNTHESIS OF PERYLENE-3-CARBALDEHYDE AND PERYLEN-3-YLMETHANOL AND SPECTRAL-FLUORESCENT STUDIES OF THE LIPOSOME LOADING

Mukherjee A.[1*], Kozhikhova K.V.[1], Kovalev I.S.[1], Kopchuk D.S.[1], Zyryanov G.V.[1,2], Mironov M.A.[1]

[1)] Ural Federal University, Yekaterinburg, Russian Federation

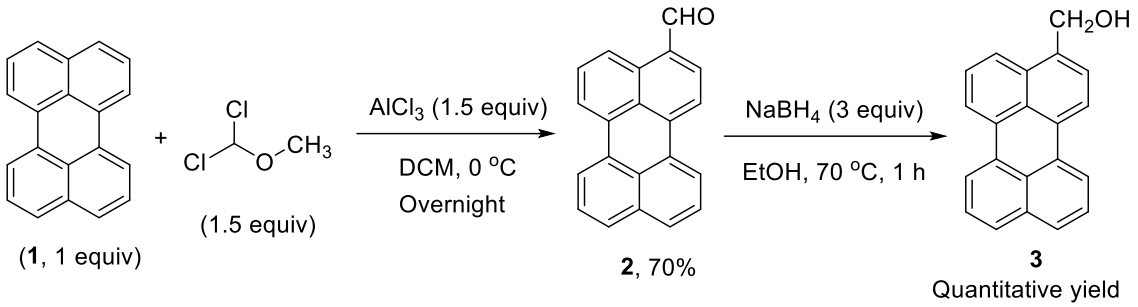
[2)] I. Ya. Postovskiy Institute of Organic Synthesis, Ural Division of the Russian Academy of Sciences, Yekaterinburg, Russian Federation

[*]E-mail: anindita0423@gmail.com

Abstract. A convenient method has been developed for the synthesis of perylene-3-carbaldehyde in satisfactory yield. The main advantage of our protocol is that no perylene-2-carbaldehyde was formed in the reaction mixture. In the next step, perylen-3-ylmethanol was prepared from perylene-3-carbaldehyde by subsequent reduction with quantitative yield. Moreover we studied the spectral-fluorescent properties of liposomes loaded with these compounds for future biological application.

Fluorescence imaging has emerged as a very powerful technique to monitor biomolecules and biological processes in complex biosystems [1]. Among the fluorescent dyes, pyrene is widely investigated because of its characteristic fluorescent behavior [2]: microenvironment around pyrene greatly affects the fluorescence intensity. Furthermore, pyrene exhibits monomer emission at around 390 nm. Such short wavelength emission is often disturbed by the background fluorescence from other natural molecules [3].

Perylene is a promising candidate that can overcome these demerits, because its fluorescence exhibits in visible region (ca. 460 and 490 nm) with relatively high quantum yield. By using perylene as a fluorophore instead of pyrene, improvement of sensitivity is expected. [4] Furthermore, perylene is also known to form excimer as pyrene does: [5] its emission maximum appears at ca. 530 nm. Herein, we are pleased to report a convenient method for the synthesis of perylene-3-carbaldehyde (**2**) followed by perylen-3-ylmethanol (**3**) (Scheme 1). In a typical experimental procedure a mixture of dry perylene **1**, 1.5 equiv. of dichloromethyl methyl ether and 1.5 equiv. of $AlCl_3$ were stirred in dry DCM (dichloromethane) for overnight at 0 °C. The reaction mixture was extracted with DCM and purified by column chromatography on silica gel using petroleum ether and DCM as the eluent to afford pure perylene-3-carbaldehyde as orange solid in 70% yield. Compound **2** was dissolved in ethanol and 3 equiv. of sodium borohydride was added to that solution. The reaction mixture was stirred at 70 °C for 1 h. After evaporation of solvent the crude residue was filtered off by thoroughly washing with distilled water and dried under air to get the pure product as brown solid in quantitative yield.



Scheme 1. Synthetic route towards perylene-3-carbaldehyde and perylen-3-yl-methanol

In addition, the important aspect of biological applications is a preparation of stable water-soluble dye form. For that reason we obtained a number of liposomal compositions loaded with the synthesized compounds and studied their fluorescent properties. Future work will include biological assays such as cytotoxic studies and cell imaging by the promising perylene derivative dyes.

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1. Qian X., Xiao Y., Xu Y., Guo X., Qian J., Zhu W., Chem. Commun., 46, 6418 (2010).
2. Winnik F.M., Chem. Rev., 93, 587 (1993).
3. Martí A. A.; Li, X. et al., Nucleic Acids Res., 34, 3161 (2006).
4. Wagner C.; Wagenknecht H.A., Org. Lett., 8, 4191 (2006).
5. Tanaka J., Bull. Chem. Soc. Jpn., 36, 1237 (1963).

МАТЕМАТИЧЕСКОЕ МОДЕЛИРОВАНИЕ РАДИАЦИОННО-ИНДУЦИРОВАННОЙ АДАПТАЦИИ КЛЕТОК В КУЛЬТУРЕ

Курзюков А.Ю.*, Вазиров Р.А., Агданцева Е.Н., Баранова А.А.

Уральский федеральный университет имени первого Президента России Б.Н. Ельцина, г. Екатеринбург, Россия

*E-mail: Kurzyukovandrew@mail.ru

MATHEMATICAL MODELLING OF RADIATION INDUCED ADAPTATION OF CELLS IN CULTURES

Kurzyukov A.Y.*, Vazirov R.A., Agdanzeva E.N., Baranova A.A.

Ural Federal University, Yekaterinburg, Russia

The main idea of this work is to create a mathematical model which helps to describe the radiation induced adaptation. At the moment, there is a lot of scientific studies confirming this theory [1-2], but a mathematical model doesn’t exist.