

Detection of tumours biomarker enzymes by using polydiacetylenic liposomes

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5-Fluorouracil (5-FU) is a strong chemotherapeutic drug, widely employed in the treatment of some of the most frequently solid tumours (breast, colon, and skin cancer[1]). Thymidylate synthase, thymidine phosphorylase (TP) and dihydropyrimidine dehydrogenase are the three target enzymes of 5-FU and take part to the metabolism of pyrimidines. Their presence or their absence in biological fluids is indeed related to a specific state of health because 5-FU has a very narrow therapeutic window[2]. Based on these premises, there is the need of a fast, precise and cheap method for dosing the activity of these enzymes before and during 5-FU treatment to reduce its severe side effects and increase its efficacy.

Polydiacetylene (PDA)-based materials are largely investigated for their sensing potentialities because the *ene-yne* moiety confers them peculiar optical properties and make them sensitive to external stimuli[3]. The ability of different specific PDA liposomes to give an optical response upon the interaction with TP, one of the target enzymes of 5-FU, was investigated. Liposomal formulations contain 10,12-pentacosadiynoic acid in the presence or in the absence of 1,2-dioleoyl-*sn*-glycero-3-phosphocholine or 1,2-dimirystoyl-*sn*-glycero-3-phosphocholine. To make them specific for TP, one of the three non-ionic surfactants **1**, **2** and **3** in which polyoxyethylene spacers of different length (Figure 1) link a 5-FU molecule to a diacetylenic hydrophobic chain. These formulation polymerize upon irradiation and, thanks to the presence of 5-FU, can show a colorimetric variation upon the specific interaction with TP.

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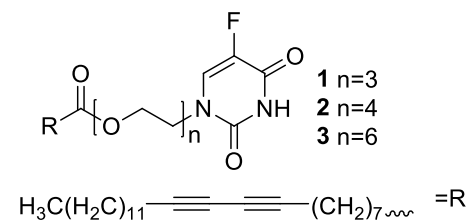


Figure 1. Molecular structure of non-ionic diacetylenic surfactant **1**, **2** and **3**.