

Electronic Supplementary information (ESI)

Evaluation of surfactant active properties

The preliminary assessment of the surfactant active properties of products **3a** and **6** has been carried out by measuring the surface tension modification induced and the HLB (hydrophile-lipophile balance) value.

The HLB value has been estimated by H-NMR spectrum through integration of the proton signals from the lipophilic and hydrophilic parts of the molecule.¹ Using the term I_{gph} to denote the integration amplitude of the hydrophilic groups (gathered in the 3.5-5.5 ppm band) and I_{tot} to refer to the total integration amplitude of the protons of the molecule, the ratio H describes the relative hydrophilic part of the molecule and can be used to estimate HLB value applying the equation of Berguerio et al.²

$$H = I_{\text{gph}} / I_{\text{tot}}$$

$$\text{HLB} = 60H / (H + 2)$$

In the case of compound **3a**, a HLB value of 15.6 resulted, which corresponds to an hydrophilic oil in water emulsifier; in the case of compound **6**, we obtained a HLB value of 5.9 which indicates a lipophilic water in oil emulsifier.

The surface tension modification has been measured using the pendant drop method.³ In the case of compound **3a**, which is water soluble, the surface tension has been measured in water solutions at different concentrations (figure 1, plot a). In the case of compound **6**, which is water insoluble, water drops of 11-18 mm³ were formed at the edge of a capillary of 2 mm external diameter and a solution of **6** in CHCl₃ was added on the preformed drops. The surface tension has been measured after CHCl₃ evaporation, the experiment was repeated with five different concentrations and the surface tension expressed in function of surface concentration (figure 1, plot b).

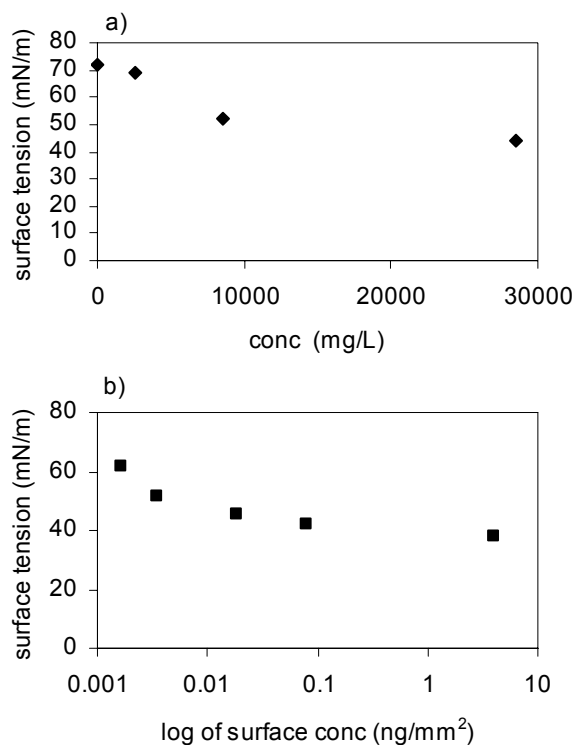


Figure 1. a) surface tension of compound **3a** in water solutions measured at different concentrations; b) surface tension of compound **6** measured at different surface concentrations.

While compound **3a** is able to significantly lower surface tension of water only at high concentration, compound **6** shows good surfactant properties at very low surface concentration (51 mN/m at 0.003 ng/mm² and 45 mN/m at 0.019 ng/mm²).²

¹ A. Rabaron, G. Cavè, F. Puisieux, M. Seiller, *Int. J. Pharm.* 1993, **99**, 29-36; G. Ben-Et, D. Tatarsky, *J. Am. Oil Chem. Soc.* 1972, **49**, 499-500.

² J. R. Berguerio, M. Bao, J. J. Casares, *Anal. Quim.* 1978, **74**, 529-530; 1941-1942.

³ A. W Adamson in *Physical Chemistry of Surface*, Wiley Interscience publication, USA, 1990, USA. chapters III and IV.