6-*O*-[3'-(PERFLUOROOCTYL)PROPANOYL]-TREHALOSE: A REMARKABLE EMULSIFIER FOR FLUOROCARBONS.

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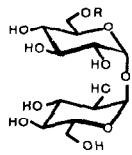
Progress in the field of fluorocarbon emulsions for biomedical uses is dependent on the development of new biocompatible surfactants or co-surfactants. These should have versatile structures so as to allow the adaptation of the emulsion's characteristics to specific therapeutic applications.
We will present the design and one-step synthesis (in *ca.* 45% yield), as well as some physico-chemical and biological data for a family of perfluoroalkylated esters of α,α-trehalose:



$R = R_F(CH_2)_nC(O)$

Compound	**a**	**b**	**c**	**d**	**e**	*f*
n	2	4	4	10	10	*10*
R_F	C_8F_{17}	C_6F_{13}	C_8F_{17}	C_4F_9	C_6F_{13}	*H*

These water-soluble compounds display strong surface activity. When tested as sole surfactants for the preparation of *F*-decalin (FDC) emulsions (50% w/v with 5% w/v of surfactant) it was found that 6-*O*-[(perfluorooctyl)propanoyl]-α,α-trehalose, **a**, showed remarkable emulsifying and stabilizing properties while none of the other members of the family allowed the obtention of an emulsion. This is particularly striking in view of the close structural relationships that exist within the series of surfactants tested. The amount of surfactant **a** in the formulation could be reduced to 3% w/v, which was sufficient to stabilize a 50% w/v FDC emulsion significantly with respect to a reference emulsion prepared with the same amount of a poloxamer (Pluronic F-68®).
As co-surfactants in FDC/Pluronic F-68 type emulsions these surfactants also significantly increase the stability of the emulsions. For a given length of the hydrophobic chain, the stabilization effect increases with the weight of the fluorinated tail in the acyl chain (**a**,**b**,**f**).
Preliminary biocompatibility tests indicated no hemolytic effect of **a** on human red-blood cells (suspended in an isotonic 0.9% NaCl solution), even at a concentration of 30 g/L (dispersed in 8 g/L of Pluronic F-68 in water); by contrast, the hydrocarbon analogue is hemolytic at 1 g/L. An LD_{50} of 250-375 mg/kg of body weight *i.v.* in mice was found for **a**.

1 J. G. RIESS, in *Blood Compatible Materials and Devices: Perspectives towards the 21st Century*, Technomics Publ. Co., Lancaster, Pa, USA, Chap. 14 (1991).