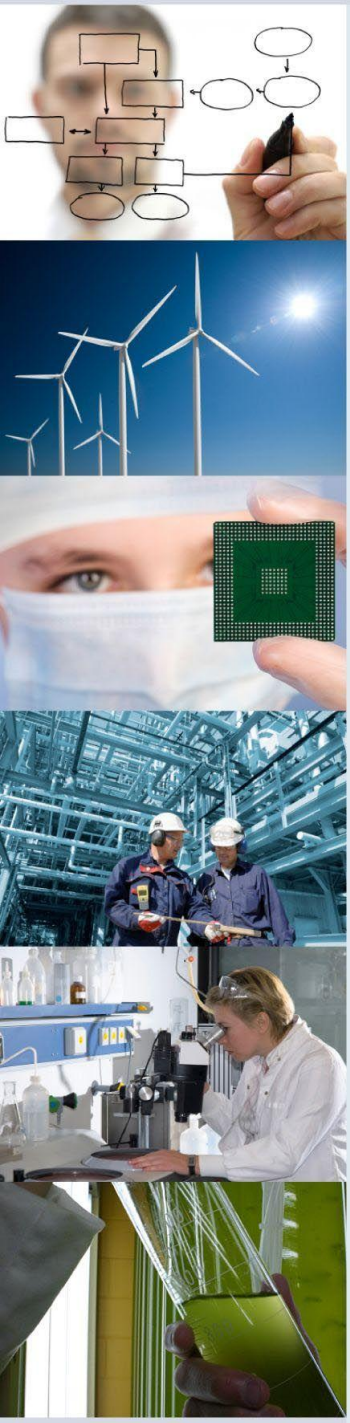
PLATFORM FOR PRODUCING CHITOSAN DERIVATIVES

UQAR 017 – Université du Québec à Rimouski

Chitosan derivatives, bile acid sequestrant, nutraceuticals, cosmeceuticals



BACKGROUND

Chitosan is a biocompatible, biodegradable and non-toxic linear polysaccharide obtained mainly from chitin *N*-deacetylation or directly from some microorganisms and fungi. The formation of *N*,*N*,*N*-trimethylchitosan (TMC) permits the introduction of positive charges and the achievement of a water-soluble polymer independently of pH. The synthesis of TMC can be carried out by successive methylation reactions of chitosan with methyl iodide and a base under various experimental conditions. However, alkylation of chitosan under these conditions leads to the formation of a mixture of unmethylated, mono, di and trimethylated amines. Furthermore, to obtain a high degree of quaternization (DQ) on chitosan (above 90%), three methylation steps are needed, but these conditions also lead to high degrees of *O*-methylation that decrease the solubility in water.

TECHNOLOGY

The invention relates to the manufacture of a platform of chitosan derivatives with a high degree of quaternization and a low degree of *O*-substitution. These derivatives have numerous applications in different fields ranging from pharmaceutical (bile acid sequestrant - BAS), nutraceutical and cosmeceuticals.

COMPETITIVE ADVANTAGES

- Natural product (based on chitosan - already accepted widely as active ingredient)
- Simple, cheap procedure, no toxic solvents (green chemistry)
- Should not be absorbed by the body (due to its high MW)
- High DQ (H_2O soluble at all pH)
- Hydrophilic hence BAS with less secondary effects (constipation and/or diarrhea)

APPLICATIONS

- BAS – used alone or with statins (27-60% patients do not attain targeted LDL level with statins alone)
- Dietary supplement/food additive/ pet food
- Cosmeceutics (replaces polyquaternium and emollient properties)
- Wound healing (antibacterial)
- Drug and gene delivery (enhances adsorption due to positive charge)

TECHNOLOGY DEVELOPMENTAL STAGE

Proof of principle has been completed successfully for all applications mentioned above. For the BAS properties, *in vivo* and benchmarking studies have been completed and proven better efficacy of these new derivatives compared to chlolestyramine. Cosmeceutical formulation currently being performed.

PATENT STATUS

PCT/CA2012/050399 filed in June 2012 - protects the structure of the derivatives, their method of preparation as well as their uses in the different fields.

BUSINESS OPPORTUNITY

Licensing opportunity for any field – maybe licensed on an exclusive basis related to a specific field of use.

CONTACT

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