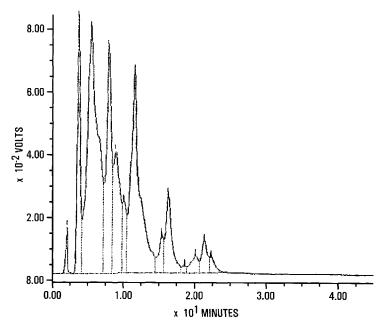
#### (12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization International Bureau (10) International Publication Number (43) International Publication Date 13 February 2003 (13.02.2003) WO 03/011873 A2 PCT C07F 9/02 Agents: SABET, Sohrab et al.; Smart & Biggar, 1000 de (51) International Patent Classification<sup>7</sup>: (74) la Gauchetière Ouest, Suite 3400, Montréal, Quebec H3B 4W5 (CA). (21) International Application Number: PCT/CA02/01185 (81) Designated States (national): AE, AG, AL, AM, AT, AU, 29 July 2002 (29.07.2002) (22) International Filing Date: AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, (25) Filing Language: English GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, (26) Publication Language: English SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW. (30) Priority Data: 60/307,842 27 July 2001 (27.07.2001) US (84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), (71) Applicant (for all designated States except US): NEP-Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), **TUNE TECHNOLOGIES & BIORESSOURCES INC.** European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, [CA/CA]; 500, St-Martin Boulevard West, Suite 550, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, Laval, Québec H7M 3Y2 (CA). TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG). (72) Inventor; and (75) Inventor/Applicant (for US only): SAMPALIS, Fotini **Published:** [CA/CA]; 1348 Elizabeth Boulvard, Laval, Quebec H7W without international search report and to be republished 3J8 (CA). upon receipt of that report

[Continued on next page]

(54) Title: NATURAL MARINE SOURCE PHOSPHOLIPIDS COMPRISING FLAVONOIDS, POLYUNSATURATED FATTY ACIDS AND THEIR APPLICATIONS



O 03/011873 A2 (57) Abstract: A phospholipid extract from a marine or aquatic biomass possesses therapeutic properties. The phospholipid extract comprises a variety of phospholipids, fatty acid, metals and a novel flavonoid.

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NATURAL MARINE SOURCE PHOSPHOLIPIDS COMPRISING FLAVONOIDS, POLYUNSATURATED FATTY ACIDS AND THEIR APPLICATIONS

#### Cross-Reference to Related Application

This application claims the benefit of United States 5 Provisional Patent Application Serial No. 60/307,842, filed July 27, 2001, which is incorporated herein by reference in its entirety.

### Field of the Invention

The present invention is directed to nutraceutical, 10 pharmaceutical or cosmetic compositions, particularly to phospholipid compositions derived from natural marine or aquatic sources.

#### Background of the Invention

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- United States Patent No. 5,434,183 issued on July 18, 15 1995 describes a phospholipid emulsion derived from marine and/or synthetic origin comprising polyunsaturated fatty acids and having anti-inflammatory and immunosuppressive effects and which promotes normal brain or retinal development and function. U.S. 5,434,183 does not disclose the presence of 20 flavonoids or nervonic acid (a mono-unsaturated fatty acid) in
- 20 flavonoids or nervonic acid (a mono-unsaturated fatty acid) in the composition.

JP 2215351, published on August 28, 1990, discloses a method for extracting and purifying phospholipids from fresh krill. Krill is lyophilized and then extracted with ethanol to 25 produce an extract which is fractionated by absorption column chromatography to produce high purity phosphatidyl choline and phosphatidyl ethanolamine. There is no disclosure of a phospholipid extract comprising a flavonoid or nervonic acid.

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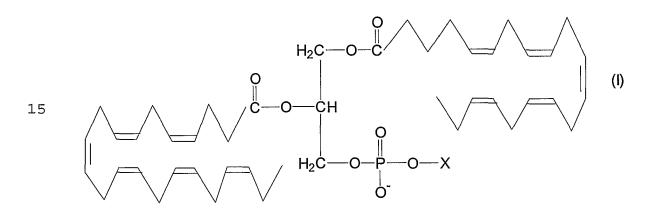
WO 00/23546, published on April 27, 2000, discloses methods for extracting lipid fractions from marine and aquatic animal material by acetone extractions. The resulting nonsoluble and particulate fraction is further solvent extracted with ethanol or ethylacetate to achieve further lipid

#### Summary of the Invention

extractions.

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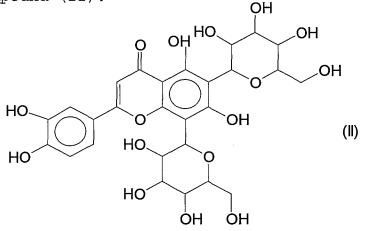
In one aspect, the invention provides novel phospholipids, wherein the two fatty acids chains of the 10 phospholipid are occupied by eicosapentanoic acid (EPA) and docosahexanoic acid (DHA) simultaneously, within the same molecule, i.e.: a phospholipid of the general formula (I):



wherein X represents a moiety normally found in a phospholipid.

In a further aspect, the invention provides a novel

20 flavonoid compound (II):



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The novel phospholipids and the novel flavonoid compound are derived from an extract from a marine or aquatic biomass.

There is also provided a phospholipid extract 5 comprising the above noted phospholipids and flavonoid compound derived from a marine or aquatic biomass. The extract and the components are useful in the prevention or treatment of a variety of disease states and for the aesthetic enhancement of an animal, including human, body. Pharmaceutical,

10 nutraceutical and cosmetic compositions containing the extract and uses thereof are also within the invention, as are commercial packages contain the compositions of the invention.

## Detailed Description of the Invention

1. Phospholipids

DOCKE.

15 Phospholipids are complex lipids containing phosphorus. The phosphatides, known as phospholipids, are usually divided into groups on the basis of compounds from which they are derived. In addition to two chains of fatty acids they contain phosphoric acid, glycerol and nitrogenous

- 20 bases such as choline. Important phospholipids are phosphatidylcholine (PC), phosphatidylethanolamine (PE) and phosphatidylinositol (PI). Their nature as amphophilic molecules provides them with unique physicochemical properties. Their function as the principle components of cell membranes
- 25 makes phospholipids essential for all vital cell processes. They are widespread as secretory and structural components of the body and can mimic or enhance natural physiological processes.

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