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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
23 June 2005 (23.06.2005)

PCT

(10) International Publication Number
WO 2005/055946 A3

(51) International Patent Classification:
*A01N 43/04* (2006.01)

(21) International Application Number:
PCT/US2004/041004

(22) International Filing Date:
3 December 2004 (03.12.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:

| | | |
|---|---|---|
| 60/526,796 | 3 December 2003 (03.12.2003) | US |
| 60/539,387 | 26 January 2004 (26.01.2004) | US |
| 60/555,813 | 23 March 2004 (23.03.2004) | US |
| 60/570,282 | 11 May 2004 (11.05.2004) | US |
| 60/592,744 | 29 July 2004 (29.07.2004) | US |
| 60/614,518 | 29 September 2004 (29.09.2004) | US |
| 60/623,387 | 29 October 2004 (29.10.2004) | US |

(71) Applicant *(for all designated States except US)*: **NEOSE TECHNOLOGIES, INC.** [US/US]; 102 Witmer Road, Horsham, PA 19044 (US).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **DEFREES, Shawn** [US/US]; 126 Filly Drive, North Wales, PA 19454 (US). **CLAUSEN, Henrik** [DK/DK]; Soebrinken 6, DK-2840 Holte (DK). **ZOPF, David, A.** [US/US]; 560 Beechtree Lane, Wayne, PA 19087 (US). **WANG, Zhi-Guang** [US/US]; 1473 Golden Drive, Dresher, PA 19015 (US). **BOWE, Caryn** [US/US]; 276 Cherry Lane, Doylestown, PA 19044 (US).

(74) Agents: **MANN, Jeffry, S.** et al.; Morgan Lewis & Bockius LLP, 2 Palo Alto Square, Suite 700, 3000 El Camino Real, Palo Alto, CA 94304 (US).

(81) Designated States *(unless otherwise indicated, for every kind of national protection available)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, 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, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States *(unless otherwise indicated, for every kind of regional protection available)*: ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

**Published:**
— *with international search report*

(88) Date of publication of the international search report:
30 March 2006

*For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.*

(54) Title: GLYCOPEGYLATED GRANULOCYTE COLONY STIMULATING FACTOR

(57) Abstract: The present invention provides conjugates between Granulocyte Colony Stimulating Factor and PEG moieties. The conjugates are linked via an intact glycosyl linking group that is interposed between and covalently attached to the peptide and the modifying group. The conjugates are formed from both glycosylated and unglycosylated peptides by the action of a glycosyltransferase. The glycosyltransferase ligates a modified sugar moiety onto either an amino acid or glycosyl residue on the peptide. Also provided are pharmaceutical formulations including the conjugates. Methods for preparing the conjugates are also within the scope of the invention.

WO 2005/055946 A3