

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
7 April 2005 (07.04.2005)

PCT

(10) International Publication Number
WO 2005/030676 A1

(51) International Patent Classification⁷: **C07B 59/00**,
C07D 279/20, A61K 31/5415, A61P 35/00

(21) International Application Number:
PCT/GB2004/004153

(22) International Filing Date:
27 September 2004 (27.09.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
0322756.8 29 September 2003 (29.09.2003) GB

(71) Applicant (for all designated States except US): **THE UNIVERSITY COURT OF THE UNIVERSITY OF ABERDEEN** [GB/GB]; Regent Walk, Aberdeen, Aberdeenshire AB24 3FX (GB).

(72) Inventors; and

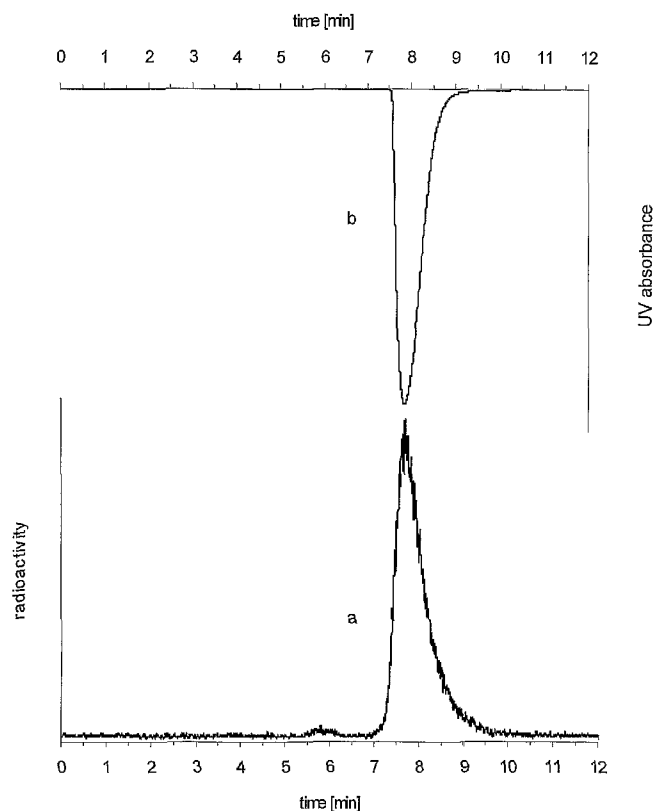
(75) Inventors/Applicants (for US only): **SCHWEIGER, Lutz, F.** [DE/GB]; University of Aberdeen, Department of Bio-Medical Physics & Bio-Engineering, Foresterhill, Aberdeen Aberdeenshire AB25 2ZD (GB). **CRAIB, Stuart, A.** [GB/GB]; University of Aberdeen, Department of Bio-Medical Physics & Bio-Engineering, Foresterhill, Aberdeen Aberdeenshire AB25 2ZD (GB). **WELCH, Andrew, E.** [GB/GB]; University of Aberdeen, Department of Bio-Medical Physics & Bio-Engineering, Foresterhill, Aberdeen Aberdeenshire AB25 2ZD (GB). **SHARP, Peter, F.** [GB/GB]; University of Aberdeen, Department of Bio-Medical Physics & Bio-Engineering, Foresterhill, Aberdeen Aberdeenshire AB25 2ZD (GB).

(74) Agents: **WYTENBURG, Wilhelmus** et al.; Mewburn Ellis LLP, York House, 23 Kingsway, London Greater London WC2B 6HP (GB).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM,

[Continued on next page]

(54) Title: METHODS OF [¹¹C]-RADIOLABELLING PHENOTHIAZINE AND PHENOTHIAZINE-LIKE COMPOUNDS



(57) Abstract: This invention pertains to methods of [¹¹C]-radiolabelling "phenothiazine" and "phenothiazine-like" compounds, which have a pendant group (which is a primary amino group; a cationic primary imino group; a secondary amino group; a cationic secondary imino group; a primary imino group; or a secondary imino group), by reaction with [¹¹C]methyl trifluoromethanesulfonate (CF₃SO₂O¹¹CH₃), also known as [¹¹C]methyl triflate. This reaction converts the pendant group into a [¹¹C]methyl-labelled pendant group. The resulting [¹¹C]-radiolabelling product is useful, for example, as an in vivo positron emission tomography (PET) tracer, for example, for patients suffering from melanoma, the most serious form of skin cancer, and tauopathy (e.g., Alzheimer's disease). The present invention also pertains to the resulting [¹¹C]-radiolabelling products, compositions comprising them, their use in methods of (e.g., PET) imaging, their use in methods of medical treatment and diagnosis, etc.



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.

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, IT, 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

(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,

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.