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Pomper et al.(10) **Pub. No.: US 2012/0009121 A1**(43) **Pub. Date: Jan. 12, 2012**(54) **PSMA-TARGETING COMPOUNDS AND USES
THEREOF****Publication Classification**(75) Inventors: **Martin Pomper**, Baltimore, MD
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Timonium, MD (US)(51) **Int. Cl.**
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C07D 311/78 (2006.01)(73) Assignee: **The Johns Hopkins University**,
Baltimore, MD (US)(21) Appl. No.: **13/257,499**(22) PCT Filed: **Mar. 19, 2010**(86) PCT No.: **PCT/US2010/028020**§ 371 (c)(1),
(2), (4) Date: **Sep. 19, 2011**(52) **U.S. Cl. 424/1.11; 435/29; 424/9.1; 435/325;**
549/388; 548/455; 549/382; 546/331; 546/13;
556/1; 562/556; 540/474; 546/2; 548/255**Related U.S. Application Data**(60) Provisional application No. 61/161,485, filed on Mar.
19, 2009, provisional application No. 61/161,484,
filed on Mar. 19, 2009, provisional application No.
61/248,067, filed on Oct. 2, 2009, provisional applica-
tion No. 61/248,934, filed on Oct. 6, 2009.(57) **ABSTRACT**Prostate-specific membrane antigen (PSMA) targeting com-
pounds are described. Uses of the compounds for imaging,
therapy, cell sorting, and tumor mapping are also described.

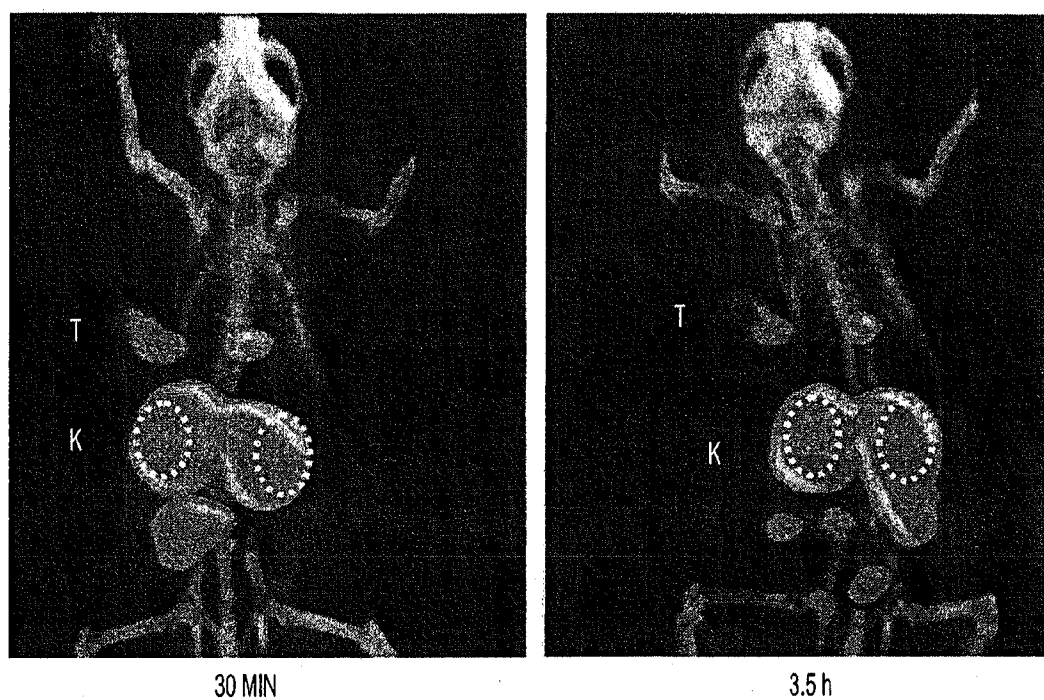


FIG. 1

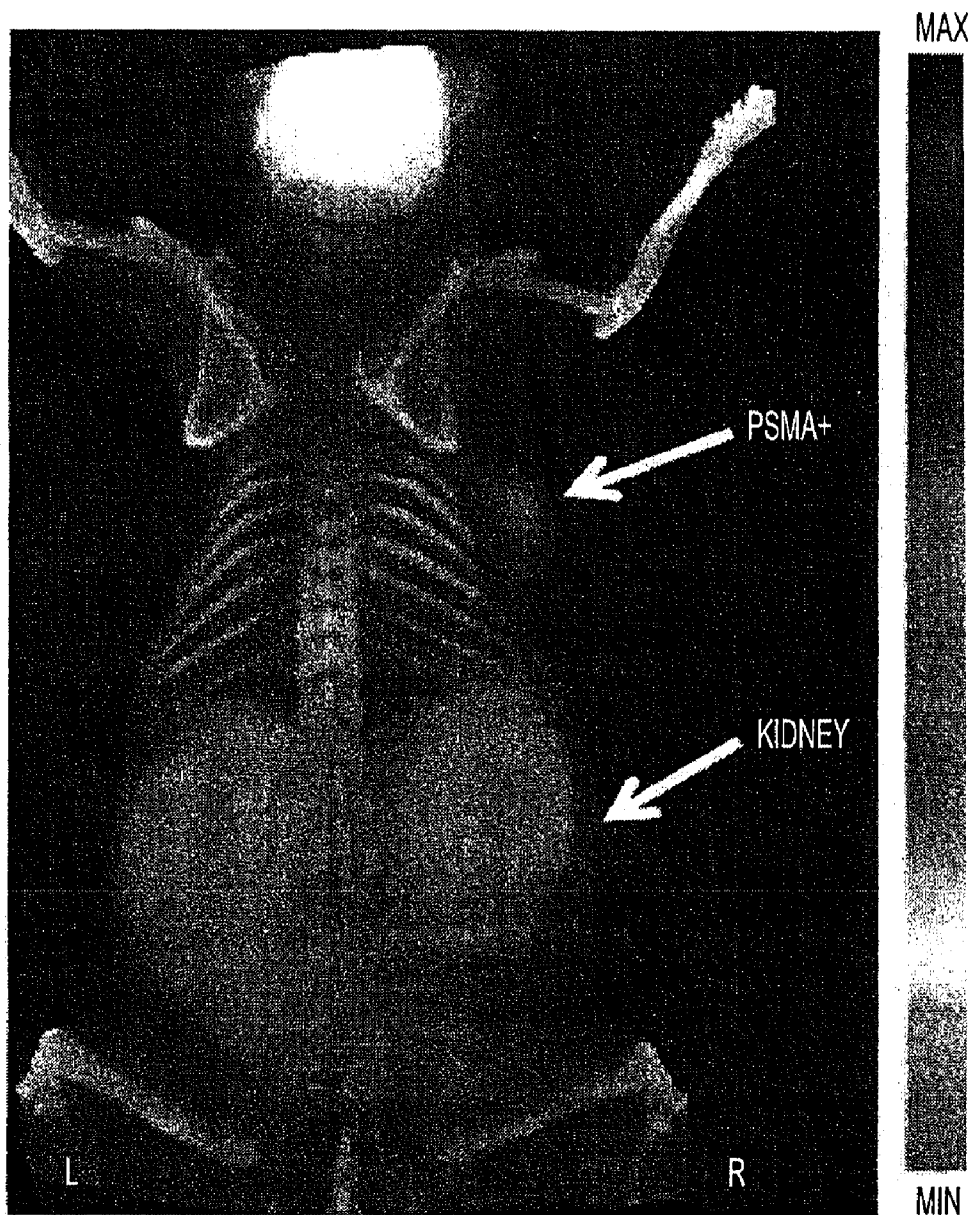


FIG. 2

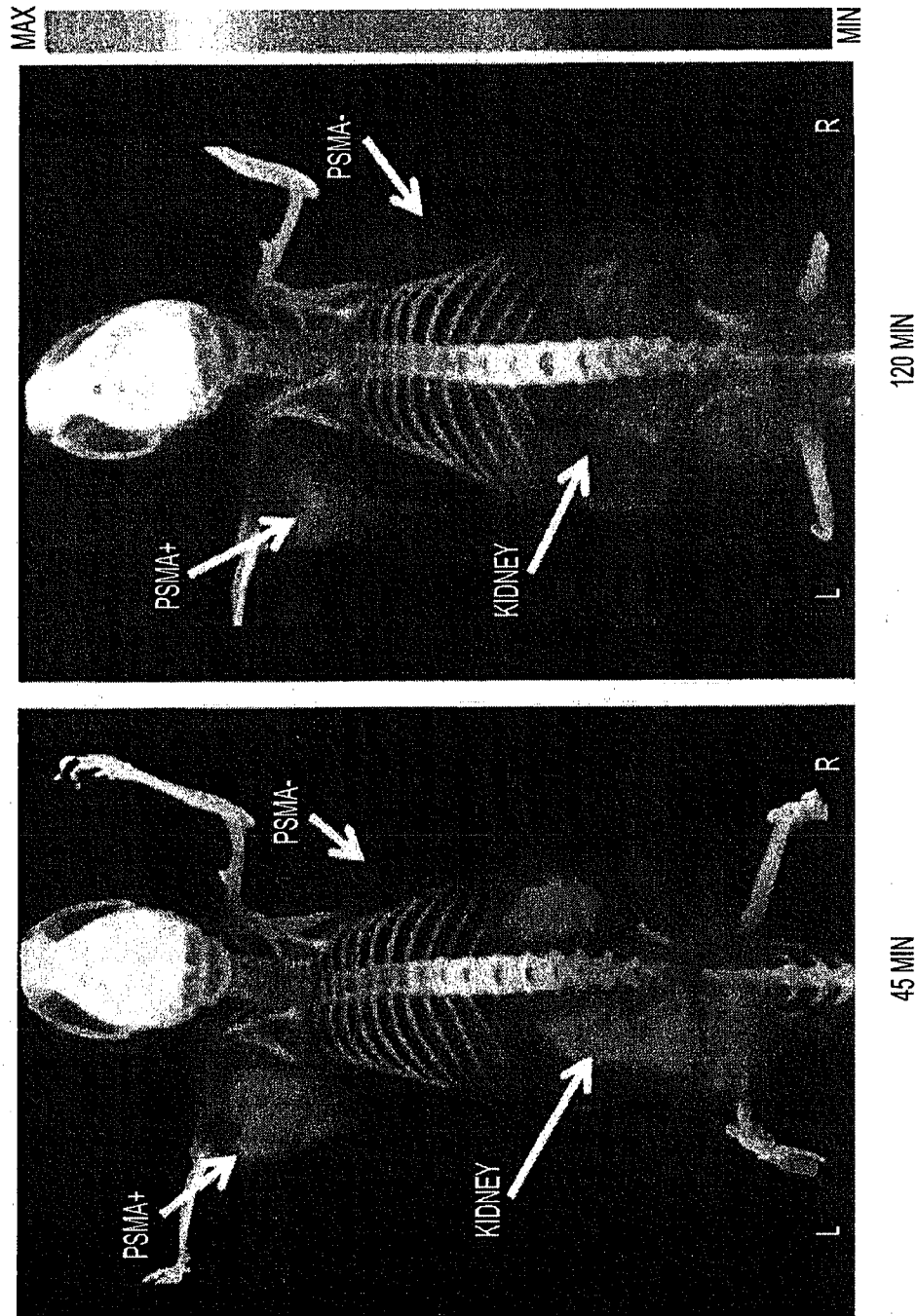


FIG. 3

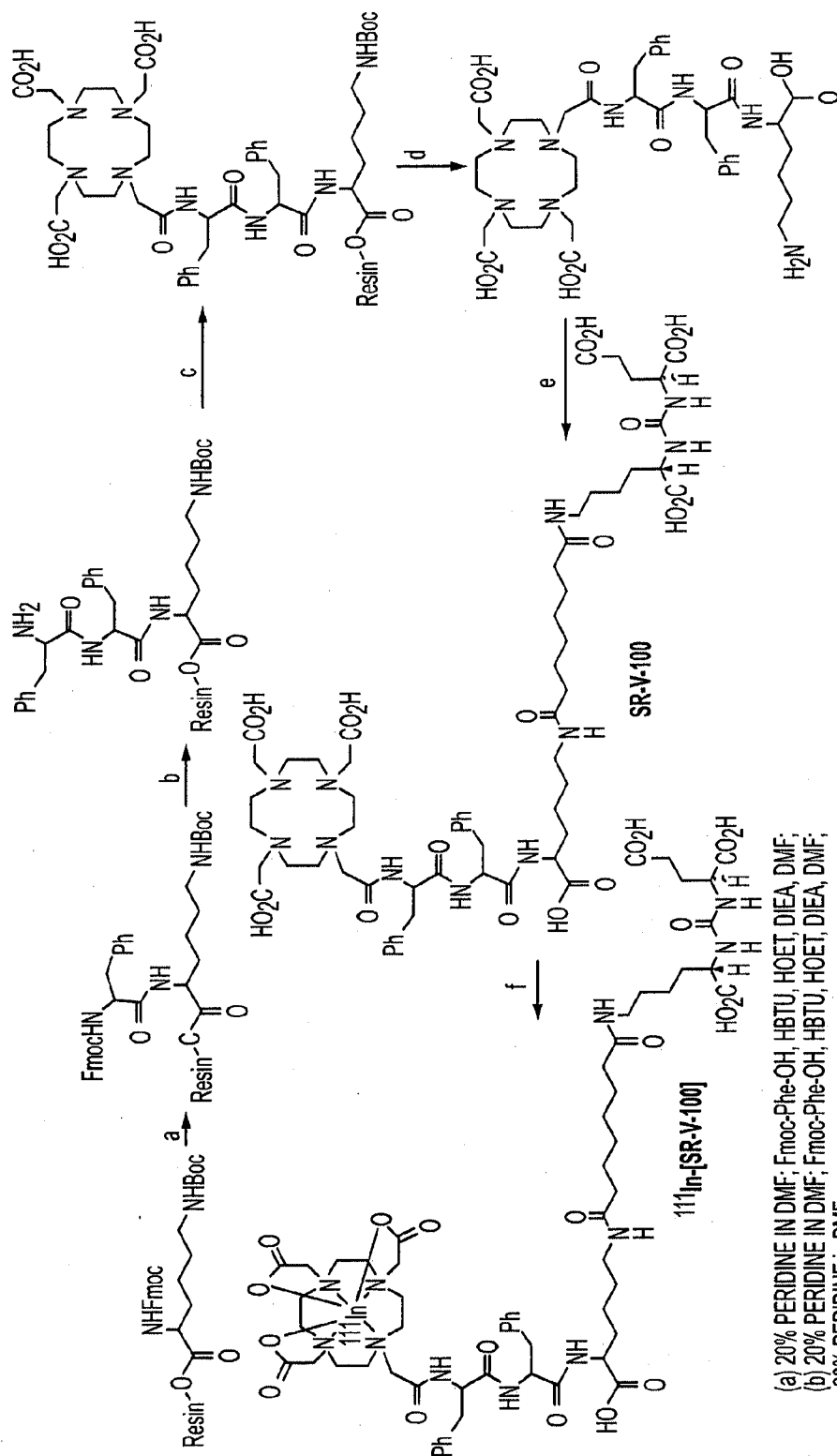


FIG. 4

(a) 20% PERIDINE in DMF; Fmoc-Phe-OH, HBTU, HOET, DIEA, DMF;
 (b) 20% PERIDINE in DMF; Fmoc-Phe-OH, HBTU, HOET, DIEA, DMF;
 20% PERIDINE in DMF
 (c) DOTA-tris(tert-butylester)-CO₂H, HBTU, HOBT, DIEA, DMF;
 (d) TFA, CH₂Cl₂, t, OVERNIGHT;
 (e) DSS-urea, TEA, DMF, rt, OVERNIGHT;
 (f) $^{111}\text{InCl}_3$ 200 mM NaOAc, 60°C, 30 min

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