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Recent Advances in Prostate-Specific Membrane Antigen-Based Radiopharmaceuticals.

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BACKGROUND: Prostate cancer (PCa) is the most common sex-related malignancy with high mortality in men worldwide. Prostate-specific membrane antigen (PSMA) is overexpressed on the surface of most prostate tumor cells and considered a valuable target for both the diagnosis and therapy of prostate cancer. A series of radiolabeled agents have been developed based on the featured PSMA ligands in the previous decade and have demonstrated promising outcomes in clinical research of primary and recurrent PCa. Furthermore, the inspiring response and safety of lutetium-177-PSMA (177Lu-PSMA) radiotherapy represent the potential for expanded therapeutic options for metastatic castration-resistant PCa. Retrospective cohort studies have revealed that radiolabeled PSMA agents are the mainstays of the current success, especially in detecting prostate cancer with metastasis and biochemical recurrence.

OBJECTIVE: This review is intended to present a comprehensive overview of the current literature on PSMA ligand-based agents for both radionuclide imaging and therapeutic approaches, with a focus on those that have been clinically adopted.

CONCLUSION: PSMA-based diagnosis and therapy hold great promise for improving the clinical management of prostate cancer.

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KEYWORDS: prostate cancer; prostate specific membrane antigen; radionuclide imaging; radionuclide therapy

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