Iodinated radio labelable ChT ligands for diagnostics and therapy

Introduction:
Choline transporter (ChT) is strongly overexpressed in certain types of cancer cells, e.g. prostate carcinoma, glioma, and small-cell carcinoma. Moreover, alterations in ChT function have been linked to various neurological and psychiatric disorders. Thus, ChT represents a valuable target for diagnostic and therapeutic radiopharmaceuticals.

Technology description:
Current medicine and the field of cancer management in particular greatly and increasingly profits from radionuclide imaging methods such as positron emission tomography (PET), single photon emission computed tomography (SPECT), and planar scintigraphy (PS). We have developed a novel series of radioiodinated small-molecule ligands, which are applicable in diagnostics and therapy of diseases related to pathological expression/function of choline transporter. Labeling of these compounds with different radioactive isotopes of iodine enables their use not only in all of the aforementioned imaging modalities - PET (124-I), SPECT (123-I, 131-I), PS (123-I, 131-I) - but also in therapy (131-I). Our labeling procedure optimized for mild conditions would thus allow for manufacture of radiopharmaceutical kits.

Advantages over existing solutions:
The two radiopharmaceuticals [11-C]choline and [18-F]fluorocholine presently used in clinical practice suffer from serious drawbacks. These drawbacks are stemming mainly from the short half-lives of applied nuclides (20 min and 110 min, respectively). The short nuclide half-lives in combination with rapid renal excretion and high organ uptake of the mentioned drugs results in a high image background and unfavorable lesion detection sensitivity. Iodine radioisotopes possess more favorable half-lives and unlike [11-C]Ch and [18-F]FCh allow combining diagnostics with therapy. Moreover, the use of iodinated radiopharmaceuticals does not require an on-site cyclotron, which significantly reduces the cost of examination.

Development status:
Data on cancer cell lines and ADME/Tox, *in vivo* biodistribution data, SPECT/CT data.

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