# $^{64}$Cu-Polyethylenimine

$^{64}$Cu-PEI

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| **Chemical name:** | $^{64}$Cu-Polyethylenimine | |
| **Abbreviated name:** | $^{64}$Cu-PEI | |
| **Synonym:** | | |
| **Agent category:** | Compound | |
| **Target:** | Heparin sulfate proteoglycans | |
| **Target category:** | Other | |
| **Method of detection:** | Positron emission tomography (PET) | |
| **Source of signal\contrast:** | $^{64}$Cu | |
| **Activation:** | No | |
| **Studies:** | • *In vitro*<br>• Rodents | Structure not available in PubChem. |

## Background

[PubMed]

Polyethylenimine (PEI) is an organic polymer with a high density of amino groups that can be protonated. At physiological pH, the positively charged PEI binds to DNA as a gene carrier (1). PEI binds to negatively charged heparin sulfate proteoglycans on the cell surface, thus facilitating the transfection of eukaryotic cells (2). Currently, [$^{18}$F]fluoro-2-deoxy-D-glucose ([$^{18}$F]FDG) (3) and $^{64}$Cu-pyruvaldehyde-bis($N^4$-methylthiosemicarbazone) ($^{64}$Cu-PTSM) (4) have been studied as positron emission tomography (PET) cell-trafficking agents. However, studies of FDG-labeled cells were limited to ~6 h because of the short physical half-life of $^{18}$F (110 min). Li et al. (5) labeled PEI with $^{64}$Cu without using a metal chelator. $^{64}$Cu-PEI was evaluated as a tumor-

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imaging probe and as a cell-labeling agent for cell trafficking in comparison to $^{64}$Cu-PTSM.

### Related Resource Links:

- Chapters in MICAD (FDG, PTSM)
- Clinical trials (Polyethylenimine)
- FDA Drug information (Polyethylenimine)

## Synthesis

[PubMed]

PEI (0.8 nmol) and $^{64}CuCl_2$ (74 MBq (2 mCi)) were mixed in sodium acetate buffer (pH, 6.5) for 1 h at 40°C (5). $^{64}$Cu-PEI was purified on a PD-10 column. The labeling yield was >90%, and the specific activity was >80 GBq/μmol (2.2 Ci/μmol). In comparison, the specific activity for $^{64}$Cu-PTSM was 1.8 GBq/μmol (48.6 mCi/μmol).

## *In Vitro* Studies: Testing in Cells and Tissues

[PubMed]

Li et al. (5) performed *in vitro* uptake and efflux studies of $^{64}$Cu-PEI and $^{64}$Cu-PTSM in cultured U87MG human glioblastoma cells. $^{64}$Cu-PEI and $^{64}$Cu-PTSM exhibited 20% and 60% uptake of incubation dose within 90 min of incubation at 37°C, respectively. $^{64}$Cu-PTSM exhibited a lower efflux (36% at 27 h) than $^{64}$Cu-PEI (61% at 27 h). Therefore, $^{64}$Cu-PTSM would be a better cell-labeling agent for cell trafficking than $^{64}$Cu-PEI.

## Animal Studies

### Rodents

[PubMed]

Li et al. (5) performed *ex vivo* biodistribution studies in mice ($n$ = 3/group) bearing U87MG tumors at 48 h after injection of $^{64}$Cu-PEI. The liver, tumor, and kidney accumulations were 15%, 13%, and 10% injected dose per gram (ID/g), respectively. The intestine, lung, heart, spleen, muscle, pancreas, blood, and brain had lower accumulation than the kidneys. PET imaging was performed in mice ($n$ = 3/group) bearing U87MG tumors at 48 h after injection of 7.2 MBq (0.2 mCi) $^{64}$Cu-PEI or $^{64}$Cu-PTSM. For $^{64}$Cu-PEI, the tumor accumulation was 11.0 ± 3.8, 17.4 ± 3.3, and 18.7 ± 2.2% ID/g at 1, 4, and 24 h after injection, respectively. For $^{64}$Cu-PTSM, the tumor accumulation was 12.5 ± 1.7, 13.6 ± 0.8, and 12.4 ± 1.7% ID/g at 1, 4, and 24 h after injection, respectively. Both tracers exhibited similar high liver radioactivity, whereas $^{64}$Cu-PTSM exhibited a higher kidney accumulation than $^{64}$Cu-PEI. The accumulation of $^{64}$Cu-PTSM in the brain was as high as that in the tumors, whereas little radioactivity was observed with $^{64}$Cu-PEI in the

brain. In another PET experiment, U87MG tumor cells labeled with $^{64}$Cu-PEI or $^{64}$Cu-PTSM were injected intravenously in mice. Both $^{64}$Cu-PEI- and $^{64}$Cu-PTSM-labeled cells were found in the lungs at 5 min after injection and were redistributed in similar proportions to the kidneys and liver at later time points (up to 4 h).

## Other Non-Primate Mammals

[PubMed]

No publication is currently available.

## Non-Human Primates

[PubMed]

No publication is currently available.

# Human Studies

[PubMed]

No publication is currently available.

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# References

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