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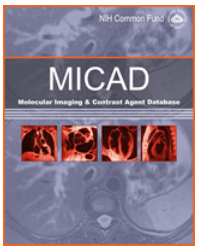


# $^{99m}$Tc-pGlu-Gln-Trp-Ala-Val-Gly-His-Phe-Met-NH2

## $^{99m}$Tc-Litorin

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| **Chemical name:** | $^{99m}$Tc-pGlu-Gln-Trp-Ala-Val-Gly-His-Phe-Met-NH2 | |
| **Abbreviated name:** | $^{99m}$Tc-Litorin | |
| **Synonym:** | | |
| **Agent Category:** | Peptide | |
| **Target:** | Gastrin-releasing peptide receptor (GRP-R) | |
| **Target Category:** | Receptor-ligand binding | |
| **Method of detection:** | SPECT, gamma planar imaging | |
| **Source of signal:** | $^{99m}$Tc | |
| **Activation:** | No | |
| **Studies:** | • *In vitro*<br>• Rodents | Click on protein for more information about litorin. |

# Background

[PubMed]

Bombesin (BBN or BN)-like peptide is an analog of human gastrin-releasing peptide (GRP) that binds to GRP receptors (GRP-R) (1). Both GRP and BN share an amidated C-terminus sequence homology of seven amino acids (Trp-Ala-Val-Gly-His-Leu-Met-$NH_2$). BN peptides induce such biological responses as secretion of adrenal, pituitary, and gastrointestinal hormones; gastric acid secretion; modulation of neuronal firing rate; and regulation of smooth muscle contraction (2, 3). BN-Related peptide receptors can be divided into four subtypes: GRP-R (BB2, BRS-2), neuromedin B receptor (NMB-R, BB1, BRS-1), the orphan receptor BB3-R (BRS-3), and the amphibian receptor BB4-R (1). Several human cancers, such as prostate, breast, lung, colon, and pancreatic cancers, overexpress receptors for BN-like peptides. The BN-like peptides have been radiolabeled with different radionuclides for *in vivo* imaging of various cancers (4-7).

Litorin (pGlu-Gln-Trp-Ala-Val-Gly-His-Phe-Met-$NH_2$), an amphibian BN peptide derivative, is found to stimulate the contraction of smooth muscle, to stimulate gastrin, gastric acid, and pancreatic secretion, and to

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suppress the nutriment in *in vivo* experiments (1). $^{99m}$Tc-Litorin was developed for non-invasive imaging of tumors with overexpressed GRP-R (8).

## Synthesis

[PubMed]

Durkan et al. (8) reported the synthesis of $^{99m}$Tc-litorin by incubation of 5 µg litorin, 25 µg $SnCl_2$, and 55.5 MBq (1.5 mCi ) Na[$^{99m}TcO_4$] (pH 3.0) for 25 min at room temperature. Radiochemical yield was >95%.

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

[PubMed]

The *in vitro* solution stability of $^{99m}$Tc-litorin was tested by incubating the radiolabeled peptide in buffer solution with 500 or 1,000 molar excess of cysteine at 37°C for 1 h (8). No significant degradation of $^{99}$Tc-litorin was observed at 500 molar excess of cysteine; 1,000 molar excess of cysteine displaced 16.8 ± 2.7% of radioactivity from litorin, which suggests that the bond strength of $^{99m}$Tc to litorin is high. Serum stability was 87.4 ± 1.1% at 37°C for 4 h.

## Animal Studies

### Rodents

[PubMed]

Durkan et al. (8) performed biodistribution studies of $^{99m}$Tc-litorin in normal male rats at 30, 90, 180, and 300 min after injection. The organ with the highest accumulation of $^{99m}$Tc-litorin at 30 min after injection was the pancreas (23.56 ± 0.01% injected dose per gram (% ID/g)), followed by the kidney (11.93 ± 0.8% ID/g), spleen (4.73 ± 0.83% ID/g), prostate (0.41 ± 0.01% ID/g), and liver (0.36 ± 0.08% ID/g). The uptake in the pancreas remained the same at 90 min, whereas the uptake in the kidney, small intestine, and liver increased. $^{99m}$Tc-Litorin exhibited rapid clearance, as low radioactivity remained in all tissues by 180 and 300 min. $^{99m}$Tc-Litorin exhibited low hepatobiliary clearance and predominantly renal excretion. Litorin pretreatment resulted in a reduction of radioactivity in the pancreas (98%), spleen (95%), prostate (54%), and small intestine (27%) at 30 min.

### 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.

# References


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