

## Product Data Sheet

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Product Name: pH-Low Insertion Peptide TFA

Cat. No.: GC74376

### Chemical Properties

Cas. No.

Formula  $C_{189}H_{282}N_{42}O_{55}S \cdot xC_2HF_3O_2$  M.Wt 4054.57 (free base)

Solubility Storage Sealed storage, away from moisture and light

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure

Ala-Cys-Glu-Gln-Asn-Pro-Ile-Tyr-Trp-  
 Ala-Arg-Tyr-Ala-Asp-Trp-Leu-Phe-Thr-  
 Thr-Pro-Leu-Leu-Leu-Leu-Asp-Leu-Ala-  
 Leu-Leu-Val-Asp-Ala-Asp-Glu-Thr (TFA  
 salt)

### Background

pH-Low Insertion Peptide TFA (pHLIP TFA) is a short, pH-responsive peptide capable of inserting across a cell membrane to form a transmembrane helix at acidic pH. pH-Low Insertion Peptide TFA targets the acidic tumor microenvironment for tumors at early and metastatic stages with high specificity, used as a specific ligand. pH-Low Insertion Peptide TFA successfully modifies polylysine polymers to have the pH-responsive capability. pH-Low Insertion Peptide TFA-based targeting of cancer presents an opportunity to monitor metabolic changes and to selectively deliver imaging and therapeutic agents to tumors.

**Caution: Product has not been fully validated for medical applications. For research use only.**

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pH-Low Insertion Peptide TFA (5  $\mu$ M, 2 h) combined with peptide nucleic acid (peptide nucleic acid, PNA) significantly increases PNA delivery at pH 6.2 in A549 cells[3].

pH-Low Insertion Peptide TFA (50  $\mu$ M, a single tail vein injection, 4, 24, and 48 h) variants shows the pH-dependent tumor targeting and different blood clearance profiles, the overall tumor spatial distributions are identical in murine 4T1 xenograft mode[2]. pH-Low Insertion Peptide TFA (10  $\mu$ M, i.v., a single dose for 24 h) can clearly differentiate between regions of primarily tumor cells and nonmalignant stromal tissues, also accumulates the poxia marker Pimonidazole and relates to the production of acidic glucose metabolites in MMTV-Py MT mice[2]. pH-Low Insertion Peptide TFA (0.2  $\mu$ mol/kg, i.v., a single dose for 24 h) demonstrates excellent tumor targeting combined with PNA in mice seeded melanoma tumors[3].

### References:

- [1]. Yushuang Wei, et al. pH-responsive pHLIP (pH low insertion peptide) nanoclusters of superparamagnetic iron oxide nanoparticles as a tumor-selective MRI contrast agent. Acta Biomater. 2017 Jun;55:194-203.
- [2]. Adochite RC, et al. Targeting breast tumors with pH (low) insertion peptides[J]. Mol Pharm. 2014 Aug 4;11(8):2896-905.
- [3]. Svoronos AA, et al. Tumor-Targeted, Cytoplasmic Delivery of Large, Polar Molecules Using a pH-Low Insertion Peptide TFA [J]. Mol Pharm. 2020 Feb 3;17(2):461-471.

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