

Product Data Sheet

Product Name: Macropa-NH₂ TFA

Cat. No.: GC61019

Chemical Properties

Cas. No. 2705054-08-4

SMILES O=C(O)C1=NC(CN2CCOCCOCCN(CC3=NC(C(O)=O)=CC=C3)CCOCCOCC2)=CC(N)=C1.O=C(O)C(F)(F)F

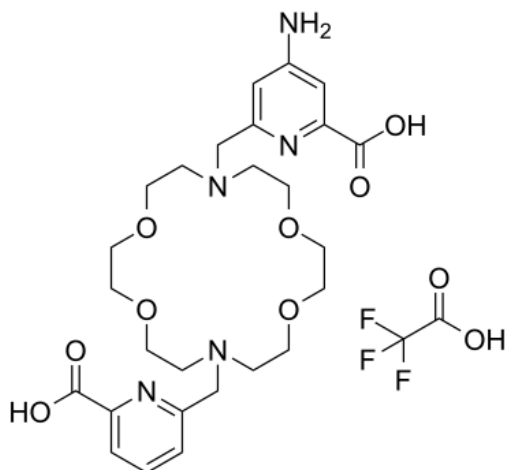
Formula C₂₈H₃₈F₃N₅O₁₀ M.Wt 661.62

Solubility DMSO: 200 mg/mL (302.29 mM) Storage Store at -20°C

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



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

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Address: 10292 Central Ave. #205, Montclair, CA, USA

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Background

Macropa-NH₂ TFA is the precursor of Macropa-NCS. Macropa-NCS is conjugated to trastuzumab as well as to the prostate-specific membrane antigen-targeting compound RPS-070 and is a promising therapeutic radionuclide applied in the treatment of soft-tissue metastases[1].

A white suspension of 11•4TFA (0.1598 g, 0.16 mmol) and Na₂CO₃ (0.2540 g, 2.4 mmol) was heated at reflux in acetone (10 mL) for 30 min before the slow addition of CSCI₂ (305 µL of CSCI₂, 85%, Acros Organics). The resulting orange suspension was heated at reflux for 3 h and then concentrated at 30 °C under reduced pressure to a pale-orange solid. The solid was dissolved portionwise in 10% ACN/H₂O containing 0.2% TFA (8 mL total), filtered, and immediately purified by preparative HPLC using Method C. Pure fractions were combined, concentrated at RT under reduced pressure to remove the organic solvent, and then lyophilized. Fractions that were not able to be concentrated immediately were frozen at -80 °C. Isothiocyanate 12 was obtained as a mixture of white and pale-yellow solid (0.0547 g) and was stored at -80 °C in a jar of Drierite. A stock solution containing 4.4 mg/mL of macropa-NCS was prepared in 0.1 M pH 9.1 NaHCO₃ buffer containing 0.154 M NaCl and was stored at -80 °C. To a portion of Tmab in saline (74 µL) were added macropa-NCS (52 µL) and NaHCO₃ buffer (266 µL), so that the final concentrations of Tmab and macropa-NCS were 5.1 mg/mL and 0.59 mg/mL, respectively. Macropa-NCS was estimated to be in 16-fold molar excess to Tmab based on a molecular weight of 1045.76 g/mol for macropa-NCS (tetra-TFA salt)[1].

[1]. Thiele NA, et al. An Eighteen-Membered Macrocyclic Ligand for Actinium-225 Targeted Alpha Therapy. Angew Chem Int Ed Engl. 2017;56(46):14712-14717.

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