**Preparation of Alkyne-NHOTHP**

To an anhydrous, degased solution of Bürli’s ethyl 2-(4-bromophenyl)-3-phenylcyclopropane-1-carboxylate (250 mg, 0.73 mmol, 1 eq) in dioxane (2.5 mL) were added bis(triphenylphosphine)palladium(II) dichloride (25 mg, 36 μmol, 0.05 eq), copper(I) iodide (14 mg, 72 μmol, 0.1 eq ), and ethynyltrimethylsilane (303 μL, 2.19 mmol, 3 eq) and the reaction mixture was irradiated with microwave at 50 °C for 16 h. The reaction mixture was extracted with water / EtOAc, the combined organic phase dried on MgSO4 and evaporated under vacuum. First purification by MPLC on silica (12 g, gradient from neat hexanes to 40% EtOAc) secured ethyl 2-phenyl-3-(4-((trimethylsilyl)ethynyl)phenyl)cyclopropane-1-carboxylate (221 mg) contaminated with starting material (~10%). Second purification (25 g silica, gradient from neat hexanes to 50% EtOAc) allowed to obtain pure fractions that were collected and evaporated to yield ethyl 2-phenyl-3-(4-((trimethylsilyl)ethynyl)phenyl)cyclopropane-1-carboxylate (**TMS-alkyne-ester**) as an orange oil (166 mg, 0.46 mmol, 63% yield). TMS-alkyne-ester (156 mg, 0.43 mmol, 1 eq) was reacted with KOH (72 mg, 1.29 mmol, 3 eq) in iPrOH (4 mL) at 100 °C under microwave irradiation for 3 h. The reaction mixture was then poured in 1 M aqueous HCl (25 mL) and extracted with EtOAc (2 x 25 mL). The combined organic phase was dried on MgSO4 evaporated under vacuum and purified by MPLC on silica (25 g, gradient from neat hexanes to neat EtOAc). The relevant fractions were collected and evaporated to yield 2-(4-ethynylphenyl)-3-phenylcyclopropane-1-carboxylic acid (**alkyne-acid**), as a white solid (105 mg, 0.40 mmol, 93 % yield). NMR indicated a 1:0.7:0.7 mixture when considering the signals for the most upfield proton, assigned to the carboxylic acid substituted CH of the cyclopropane moiety. The triplet at 2.48 ppm corresponds to the pair of enantiomers where the COOH is *trans* to both the aryls. The partially overlapping dd at 2.41 and 2.37 ppm correspond to the 2 sets of *cis*/*trans* diasteromers.

1H NMR (400 MHz, Chloroform-d), δ 7.46 (dd, *J* = 8.4, 2.7 Hz, 2x2x0.7=2.8H), 7.36-7.08 (m, 7+5x2x0.7+14H), 6.96-6.88 (m, 2x2x0.7=2.8H), 6.78 (d, J = 8.1 Hz, 2H), 3.23-2.90 (m, 3+3x2x0.7=7.2H), 2.48 (t, J = 5.2 Hz, 1H), 2.41 (dd, J = 9.5, 5.1 Hz, 0.7 H), 2.37 (dd, J = 9.6, 5.2 Hz, 0.7 H).

Alkyne-acid (96 mg, 0.37 mmol, 1 eq), HATU (139 mg, 0.37 mmol, 1 eq), O-(tetrahydro-2H-pyran-2-yl) hydroxylamine (43 mg, 0.37 mmol, 1 eq) and Hünig’s base (94 µL, 0.55 mmol, 1.5 eq) were stirred at RT in THF (3.5 mL) for 1.5 h. The reaction mixture was then poured in water (25 mL) and extracted with EtOAc (3 x 25 mL). The combined organic phase was dried on MgSO4 evaporated under vacuum and purified by MPLC on silica (12 g, gradient from neat hexanes to 50% EtOAc). The relevant clean fractions were collected and evaporated to yield 2-(4-ethynylphenyl)-3-phenyl-N-((tetrahydro-2H-pyran-2-yl)oxy)cyclopropane-1-carboxamide (**alkyne-NHOTHP**) as a white solid (100 mg, 0.28 mmol, 76 % yield).

