

Supplementary data

Definitions for Abbreviations used:

A2780: human ovarian carcinoma cell line
A2780cisR: cisplatin-resistant human ovarian carcinoma cell line
BOP: benzotriazol-1-yloxytris(dimethylamino)phosphonium
DCM: dichloromethane
DIPEA: *N,N*-diisopropylethylamine
DMF: dimethylformamide
ESI-MS: electrospray ionisation mass spectroscopy
Fmoc: fluorenylmethoxycarbonyl protecting group
MBHA: 4-methylbenzhydrylamine
NMP: *N*-methyl-2-pyrrolidone
NMR: nuclear magnetic resonance spectroscopy
Rink amide linker: 4-(2',4'-Dimethoxyphenyl-Fmoc-aminomethyl)-phenoxy linker
Rink amide MBHA resin: 4-(2',4'-Dimethoxyphenyl-Fmoc-aminomethyl)-phenoxyacetamido-norleucyl-MBHA resin (H. Rink, *Tetrahedron Lett.* 1987, **28**, 3787)
SPPS: solid-phase peptide synthesis
TEA: triethyl amine
TFA: trifluoroacetic acid

Synthesis of **1a**

To preswollen 2-chlorotrityl resin (0.05 mmol) was added, *N*- α,ϵ -di-Fmoc-L-Lysine OH (0.1 mmol, 2 equiv) and DIPEA (0.2 mmol) in DCM. After 1.5 h the resin was washed (DCM/MeOH/DIPEA 17:2:1; DCM, DMF, DCM) to yield **1a**.

Synthesis of **1b**

Fmoc protected Rink Amide MBHA resin was treated with 20% piperidine in DMF (2 x 20 min) after which the resin was washed (DMF, DCM). *N*- α,ϵ -di-Fmoc-L-Lysine OH (0.2 mmol, 4 equiv), BOP (0.2 mmol) and DIPEA (0.4 mmol) in NMP (1 mL) was added and the reaction was shaken for 1 h. The resin was washed (NMP, DCM, NMP) to yield **1b**.

Synthesis of **4**

Fmoc protected Rink Amide MBHA resin was treated with 20% piperidine in DMF (2 x 20 min) after which the resin was washed (DMF, DCM). (0.2 mmol, 4 equiv), BOP (0.2 mmol) and DIPEA (0.4 mmol) in NMP (1 mL) was added and the reaction was shaken for 1 h. The resin was washed (NMP, DCM, NMP). Removal of the Fmoc group was accomplished by treatment with 20% piperidine in DMF (2 x 20 min) after which the resin was washed (DMF, DCM). The subsequent *N*- α Fmoc-L-Glycine OH and *N*- α,ϵ -di-Fmoc-L-Lysine OH were coupled under analogous conditions in a stepwise procedure to yield **4**.

Synthesis of **7**

Treatment of **4** with 95% TFA in water and subsequent precipitation in diethyl ether gave **7** as a white powder. All non exchangeable protons assigned by ¹H NMR.