Figures

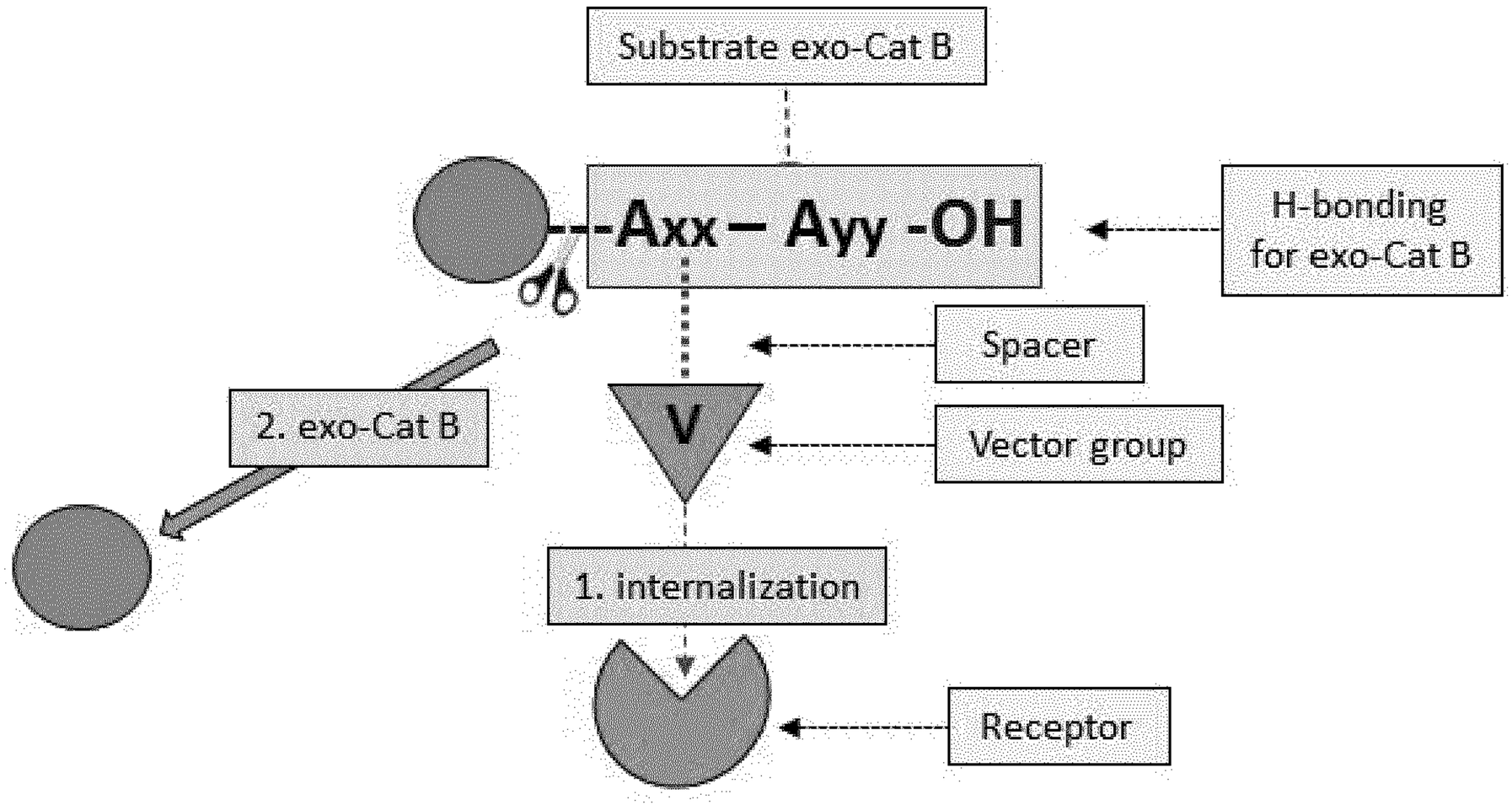


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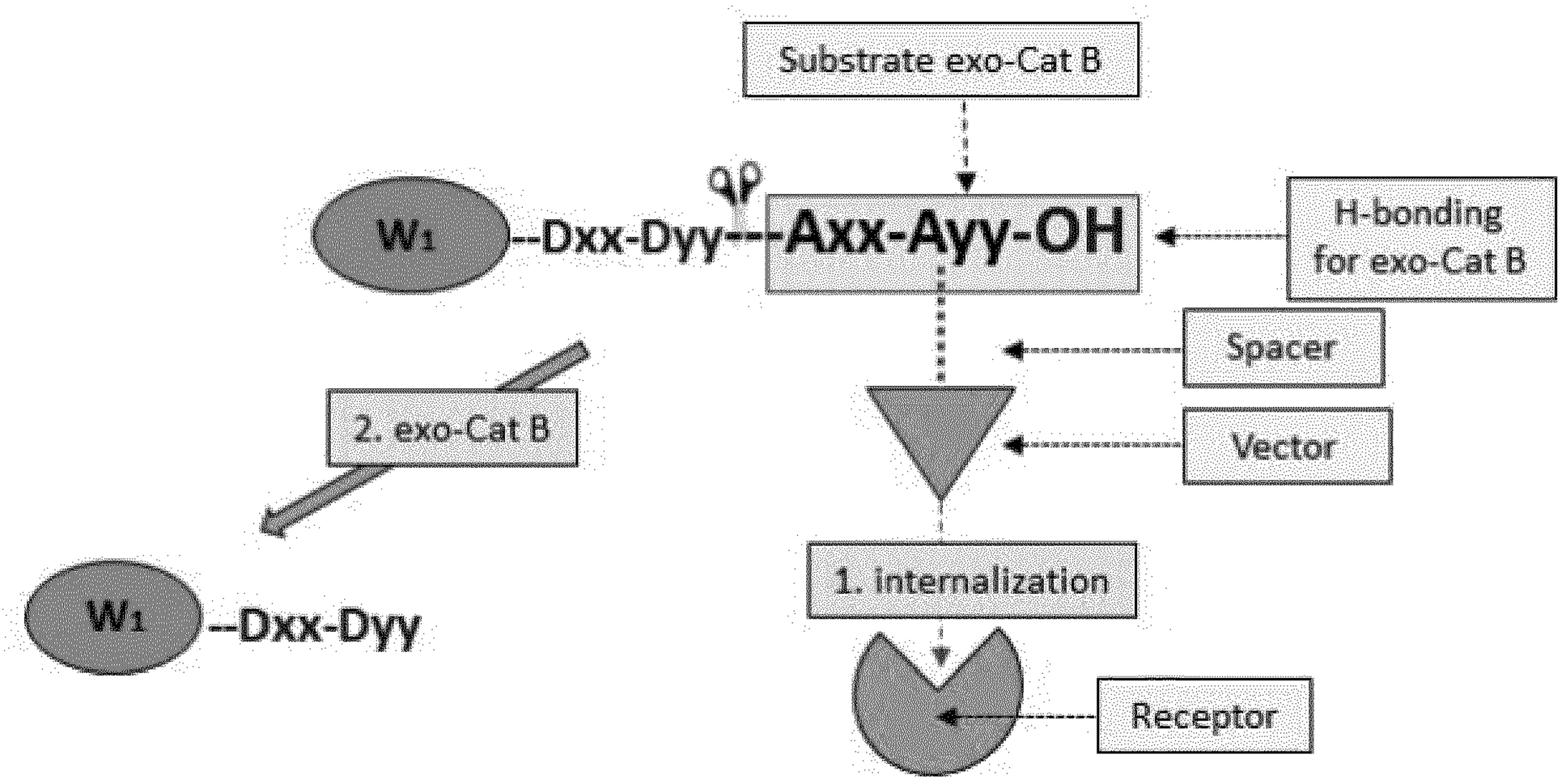


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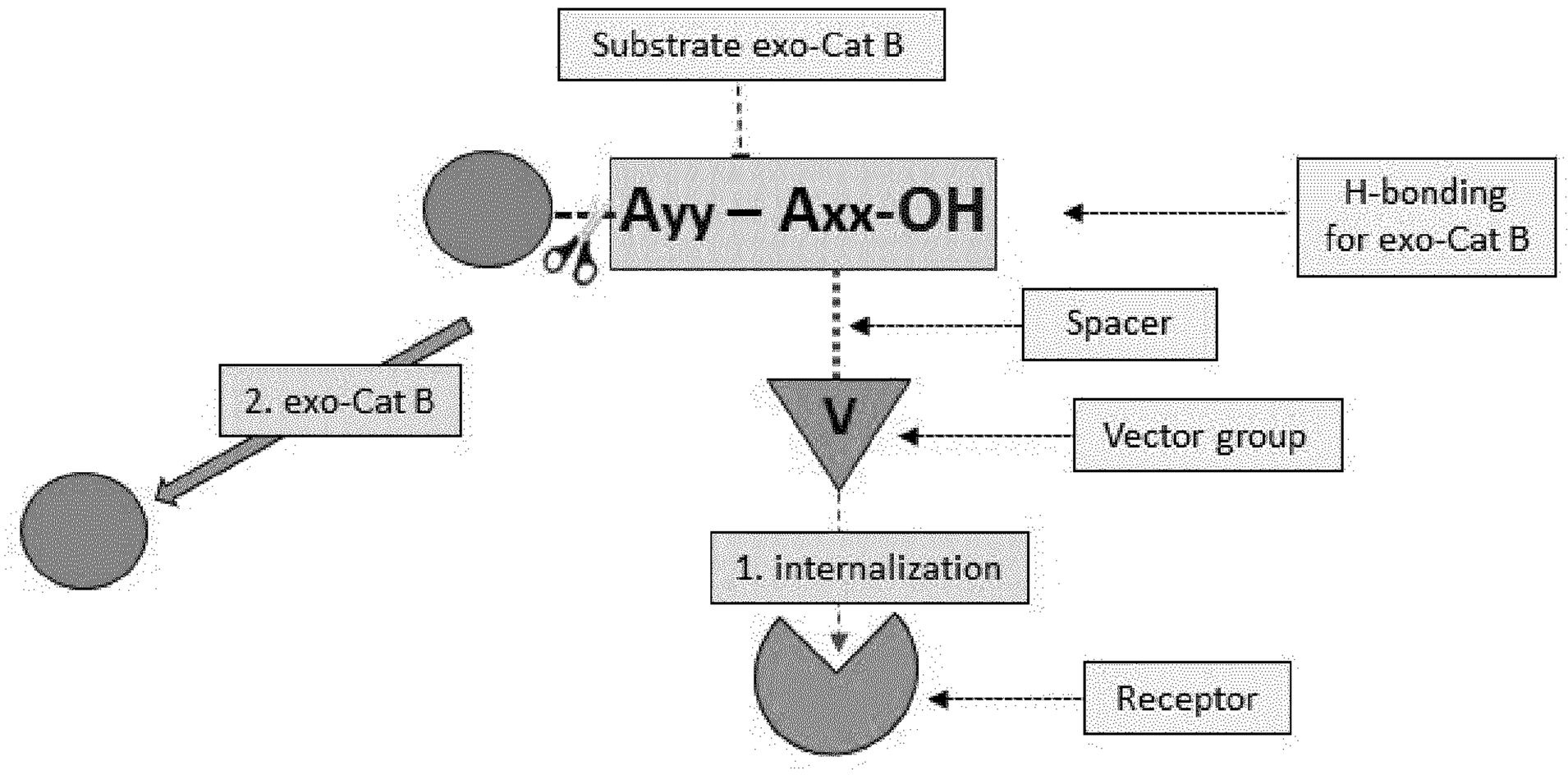


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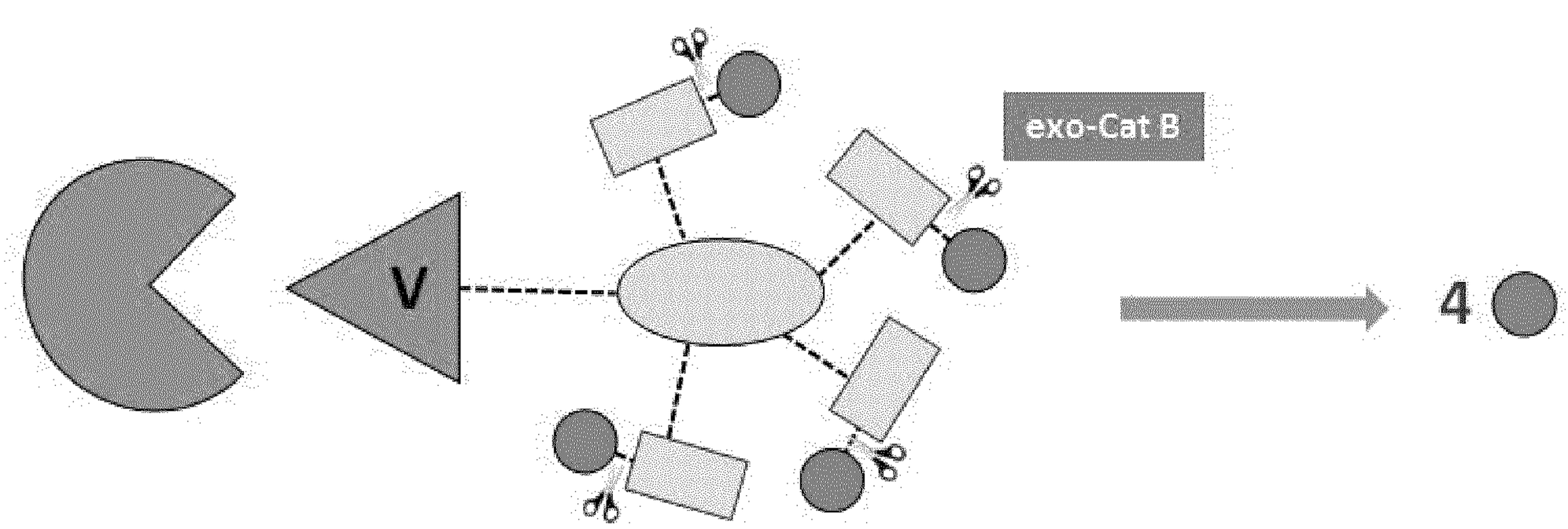


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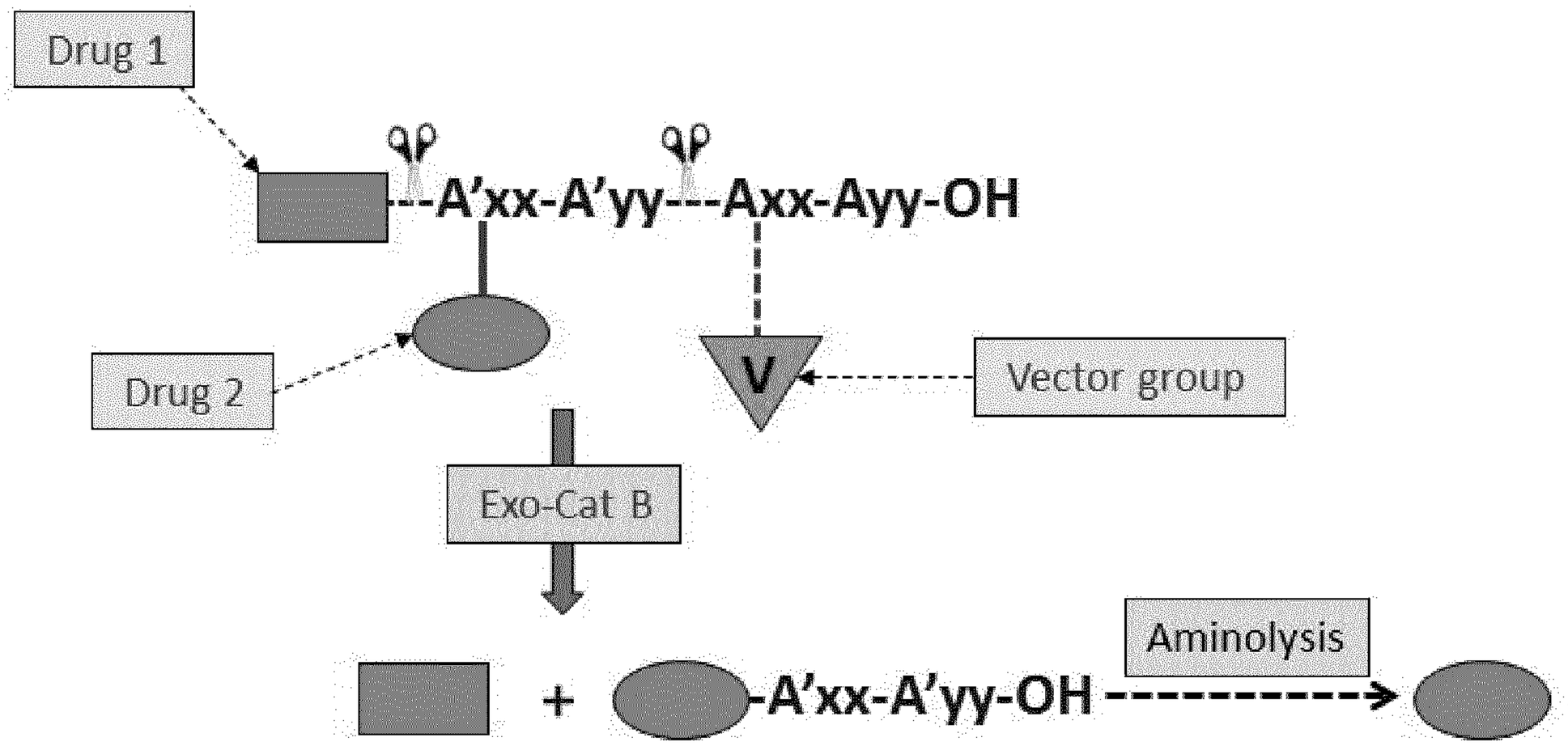


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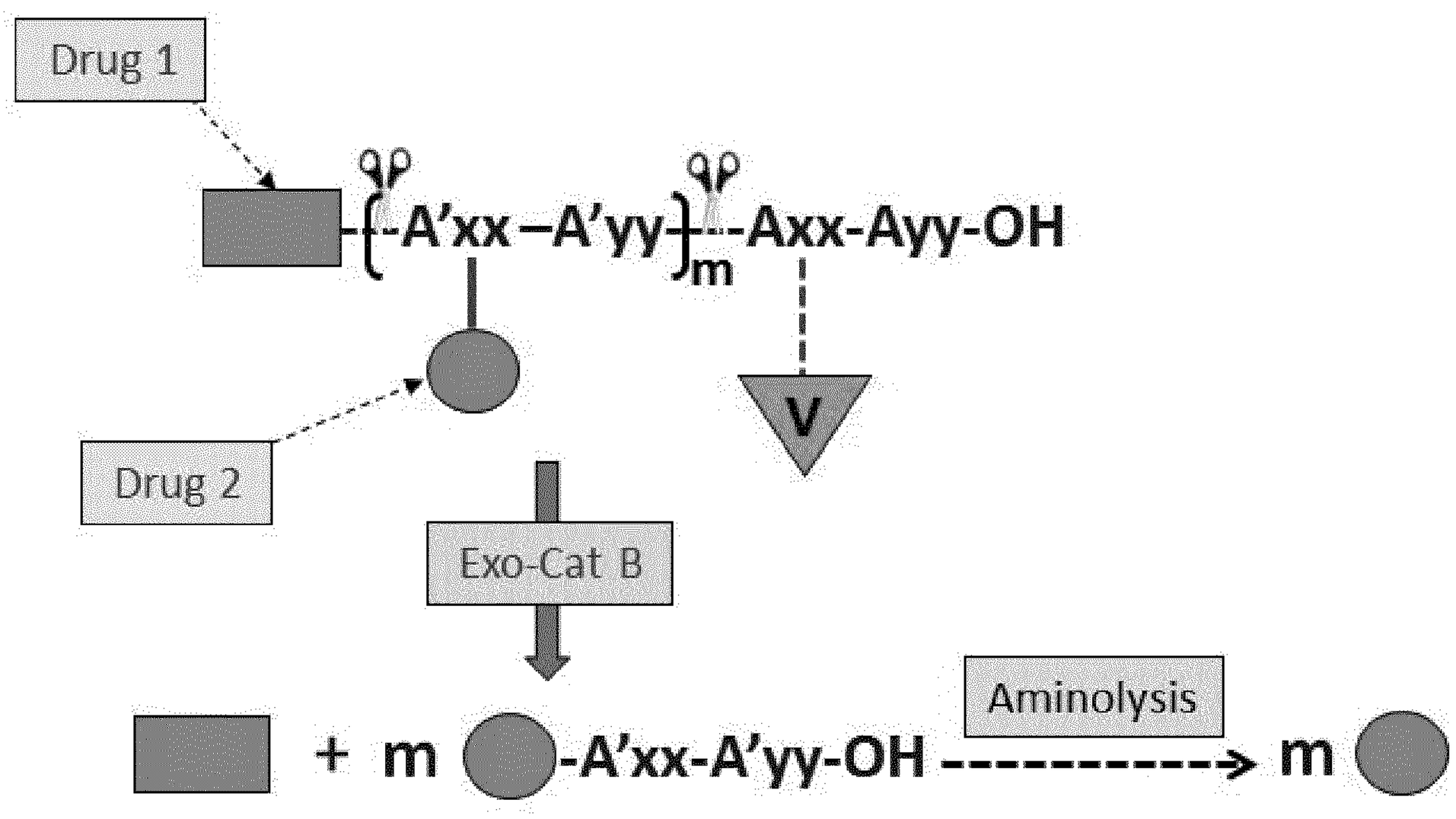


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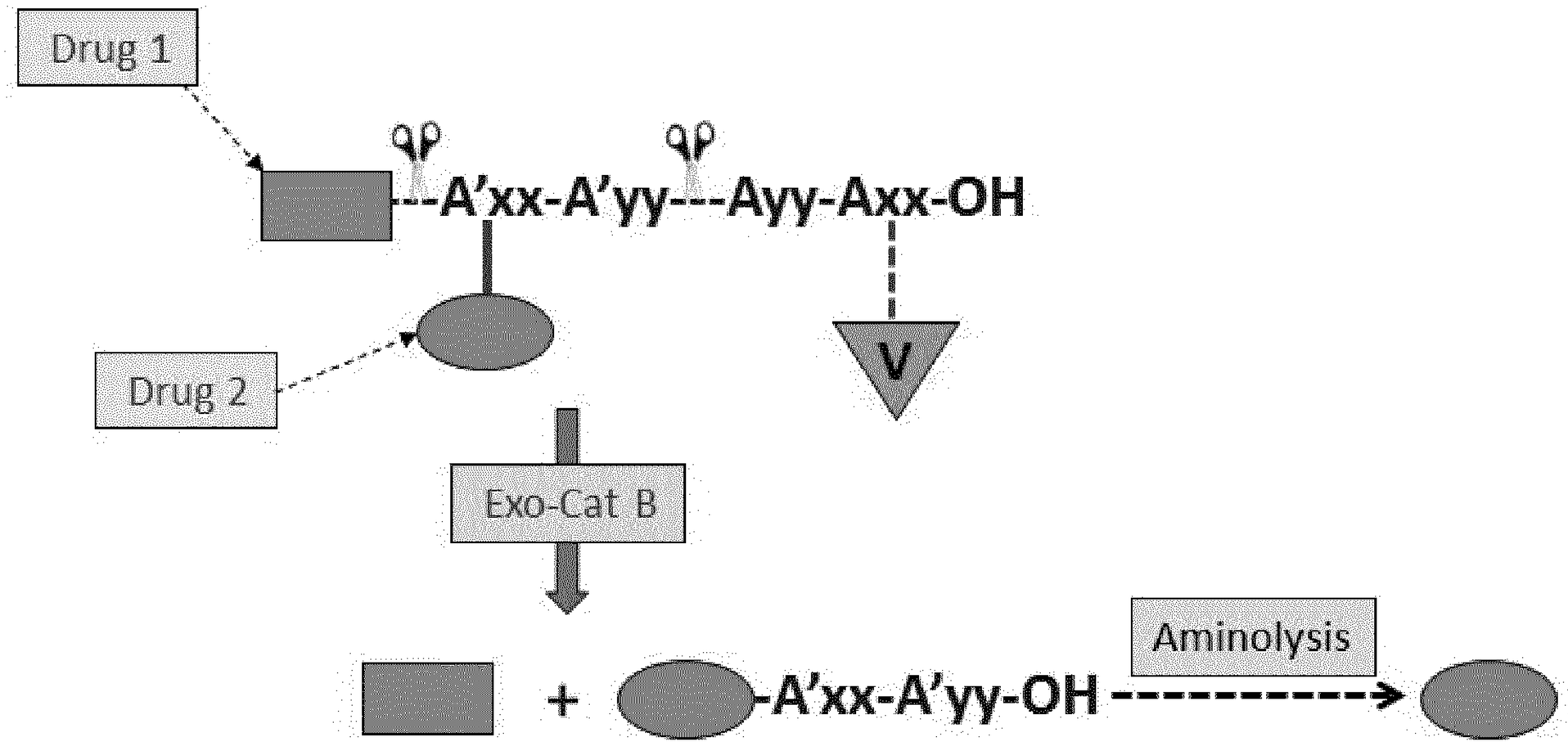


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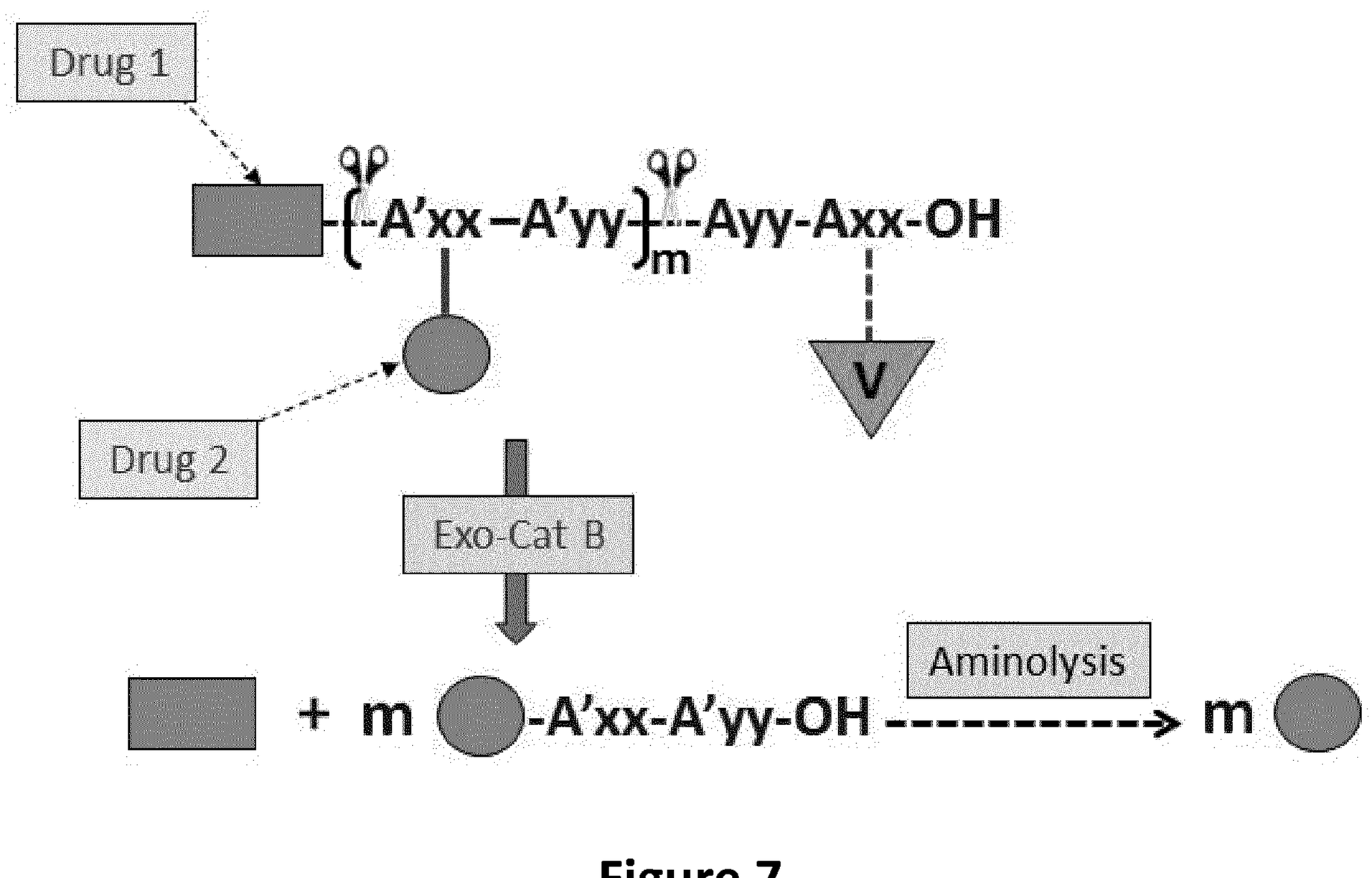


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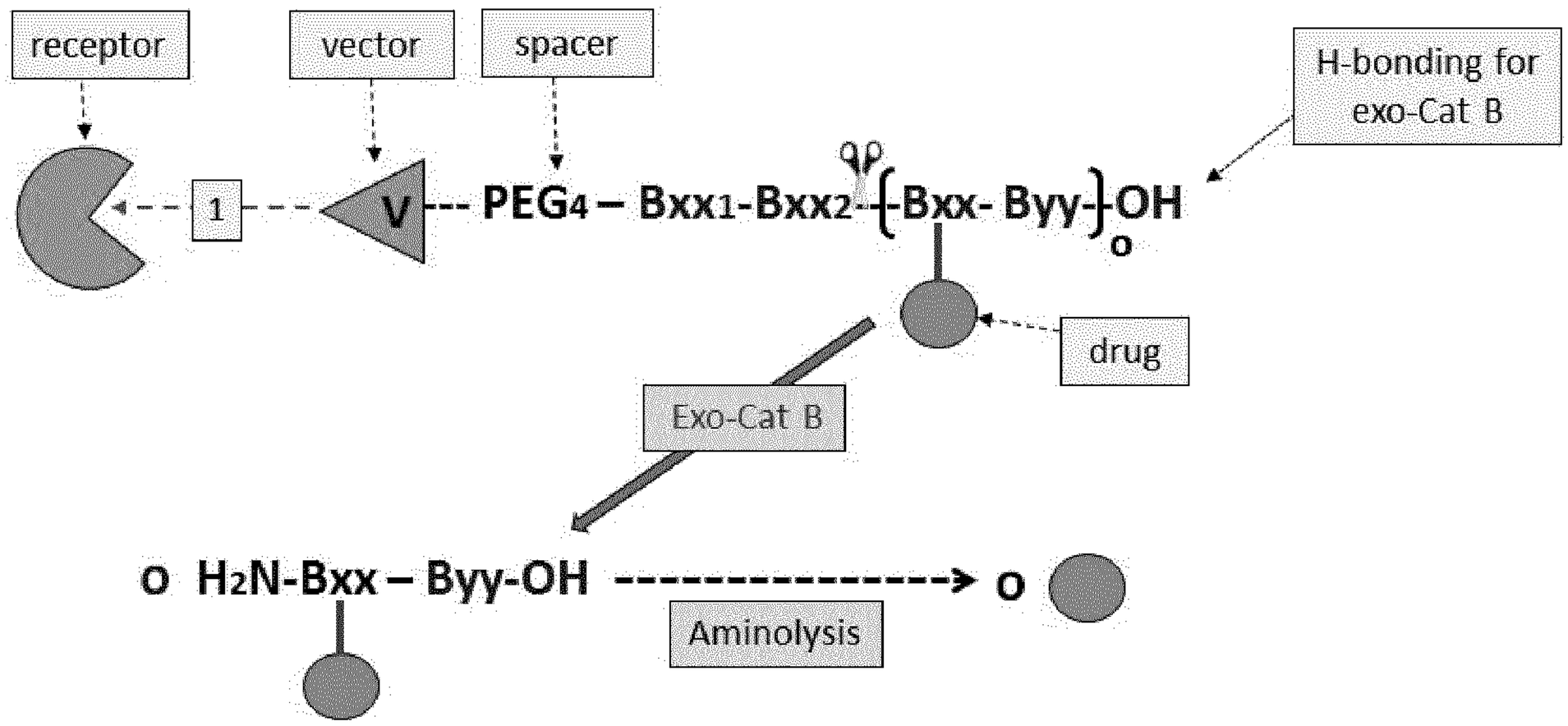


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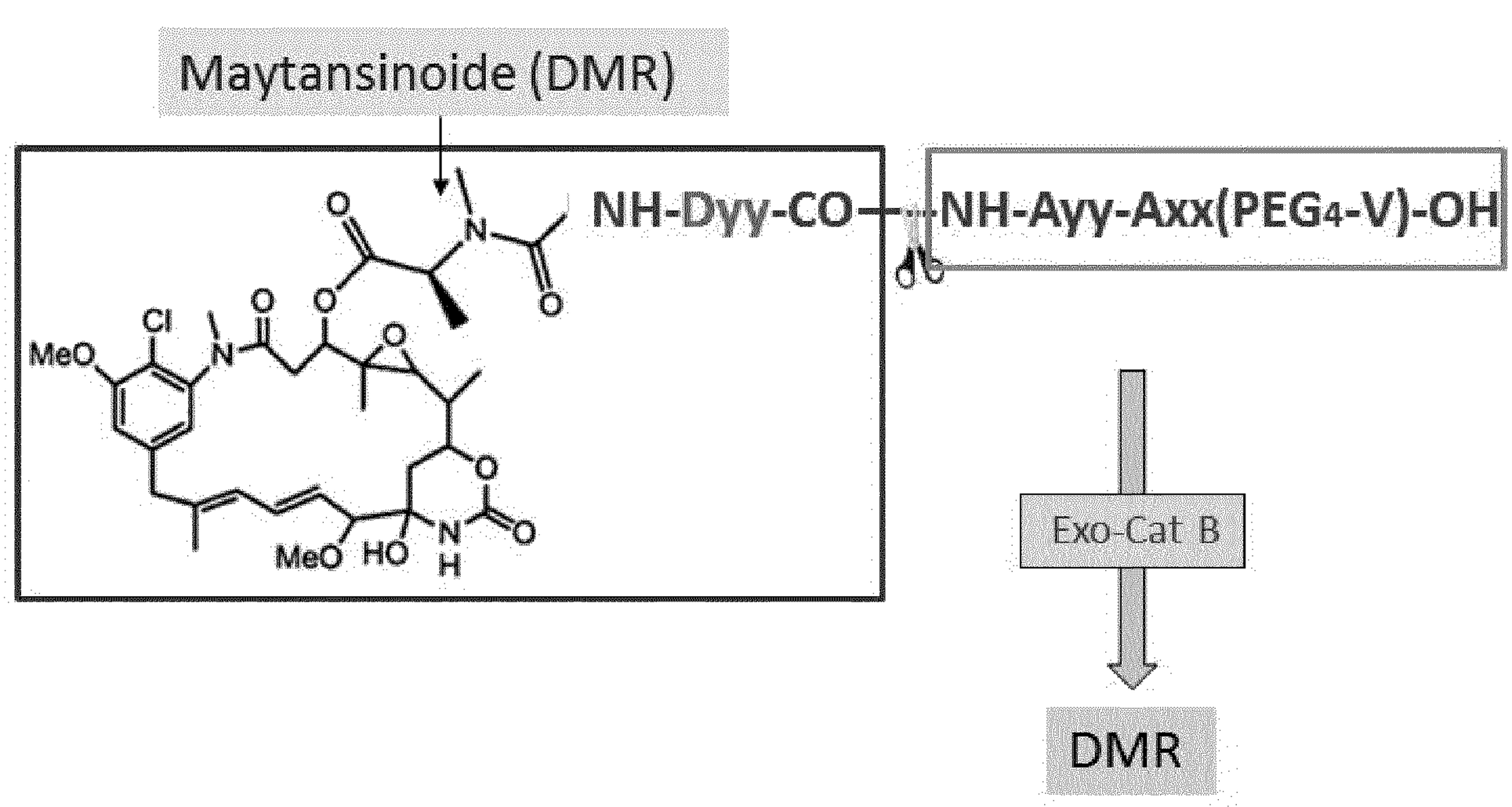


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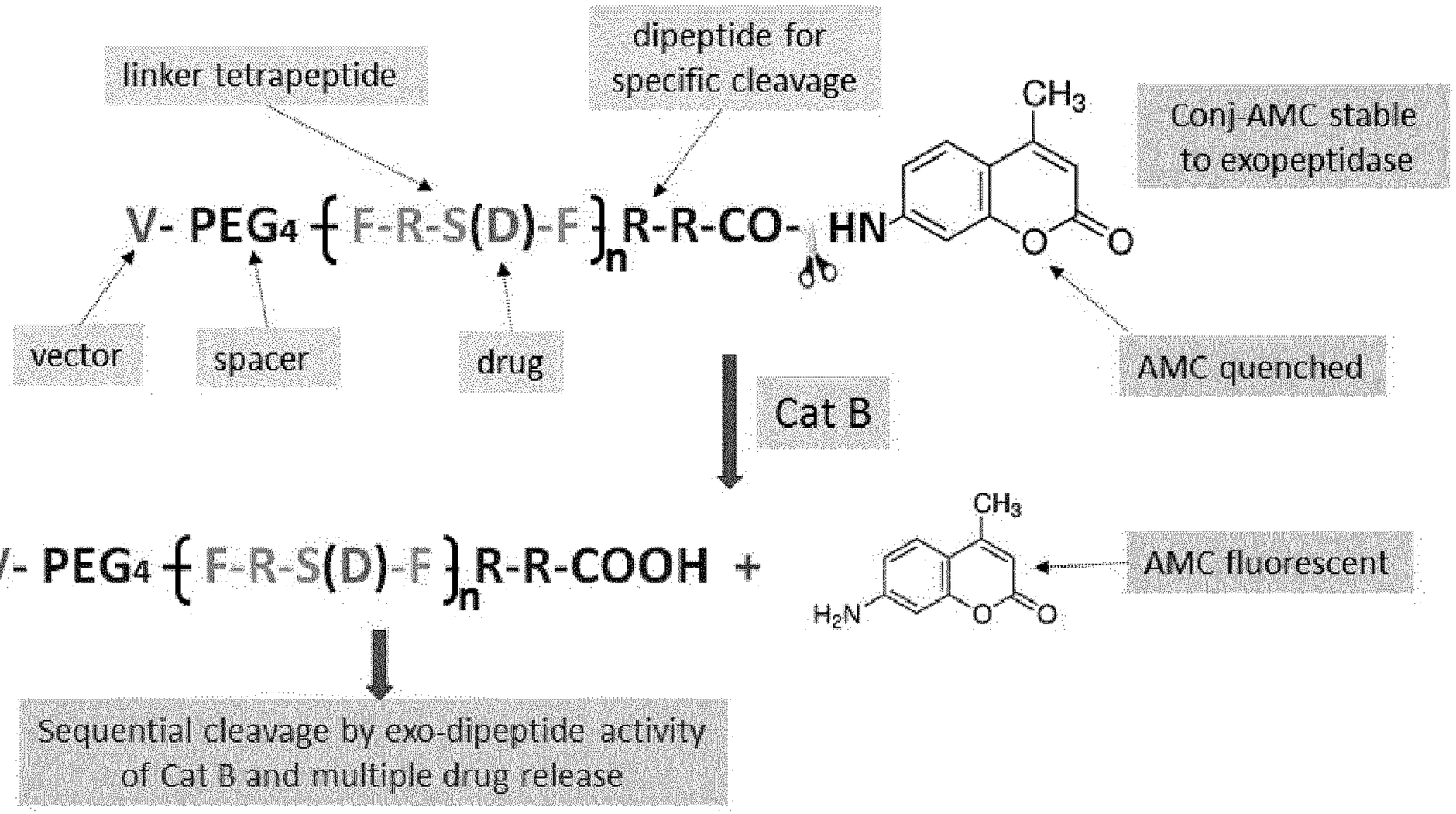


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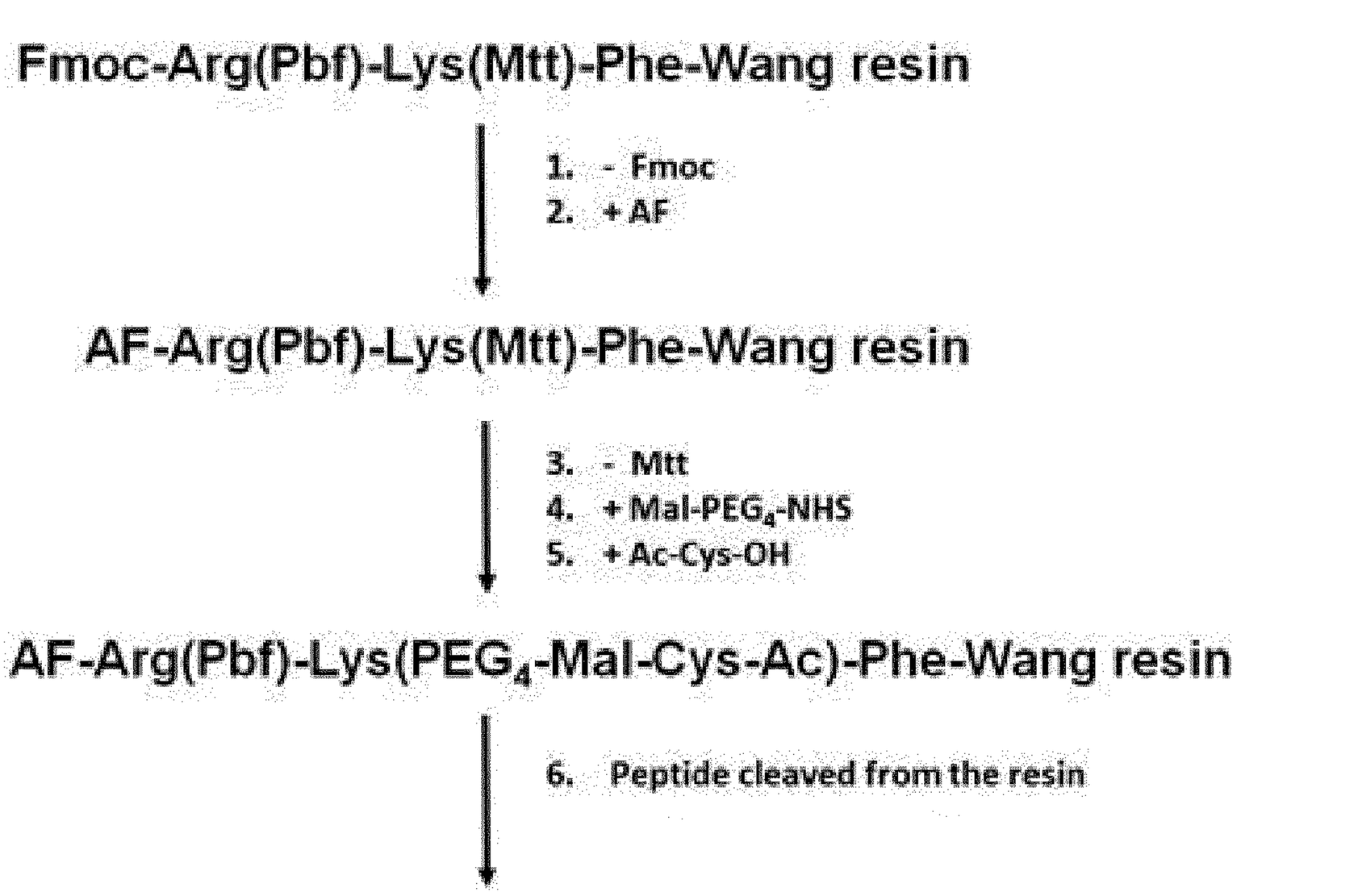


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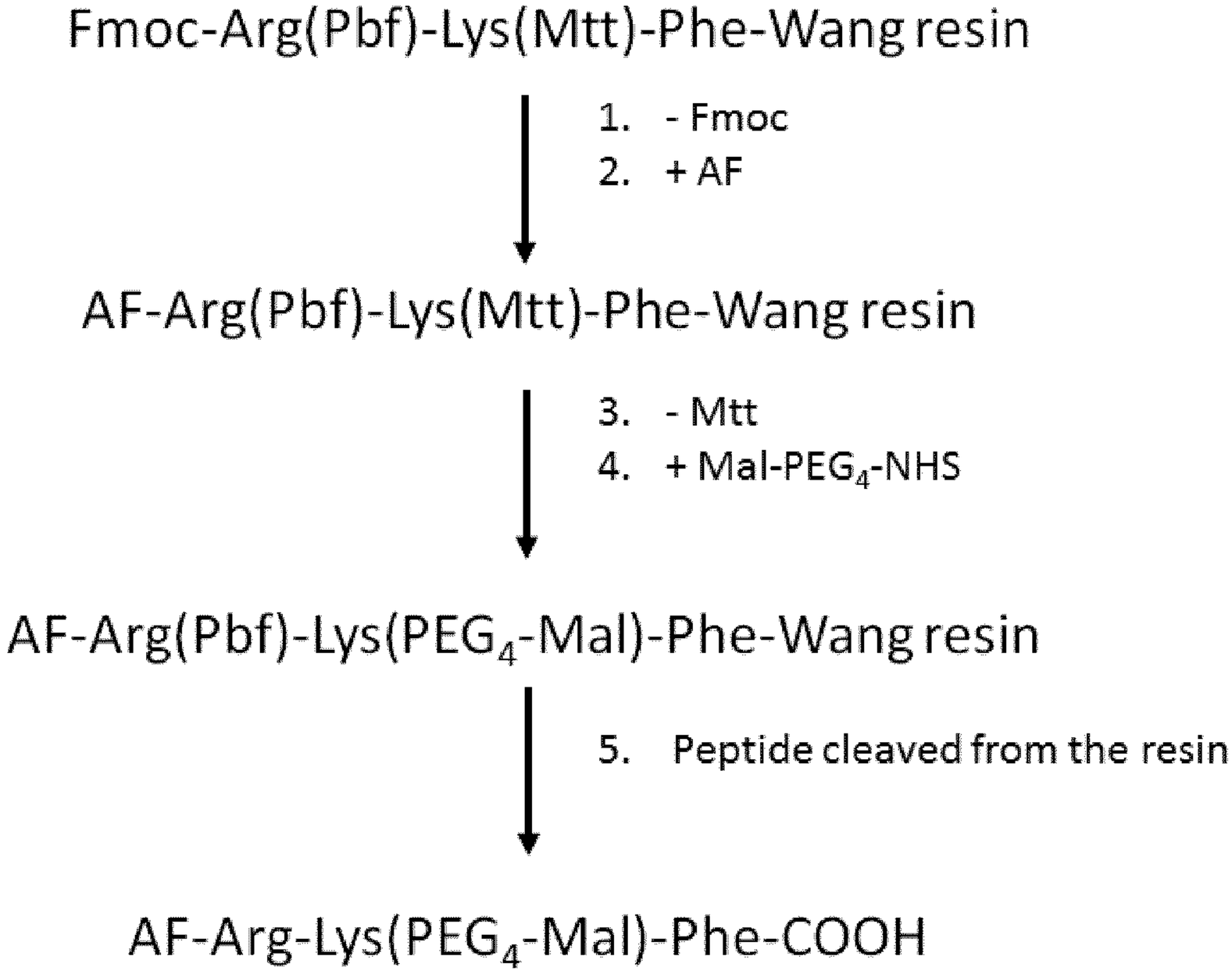


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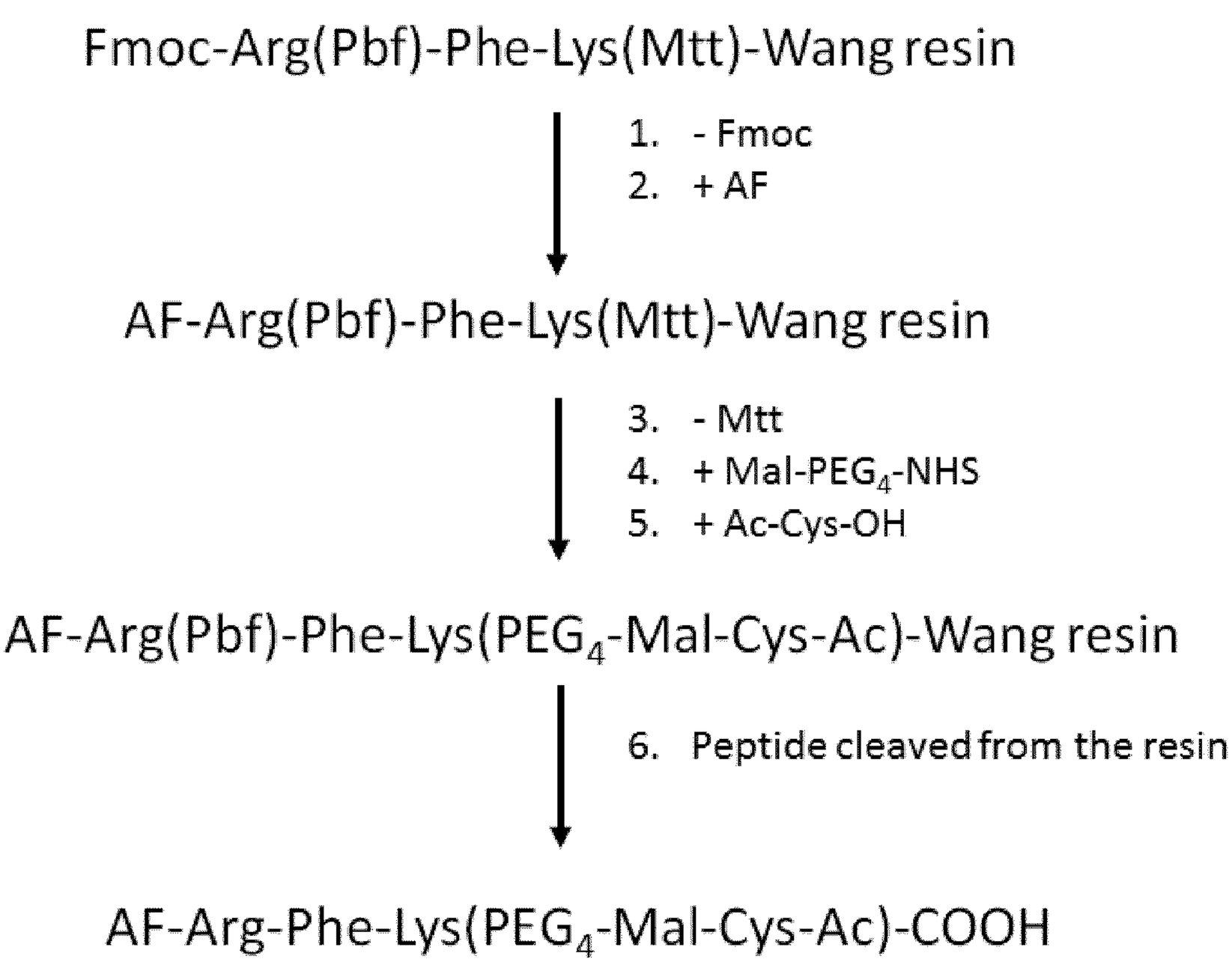


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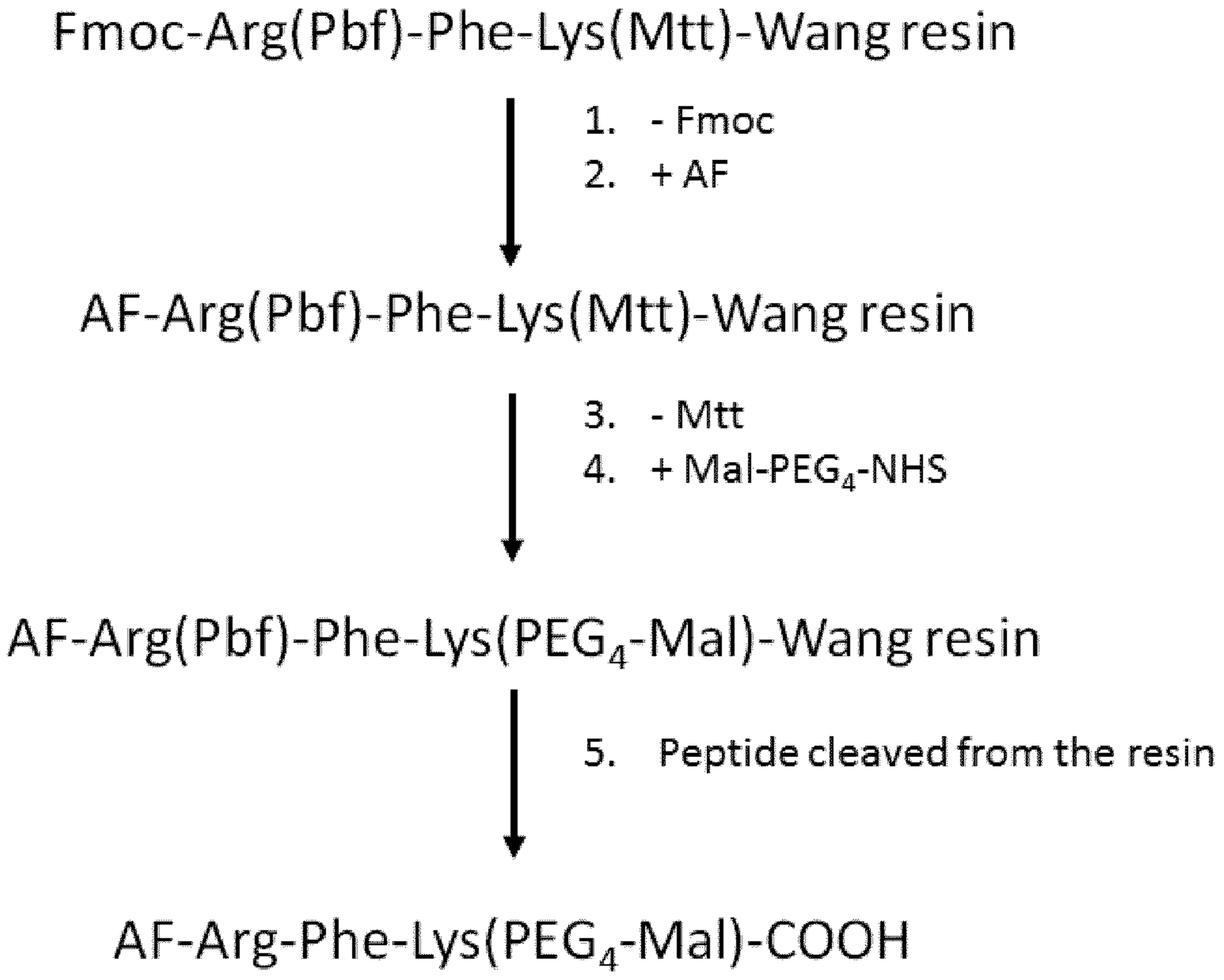


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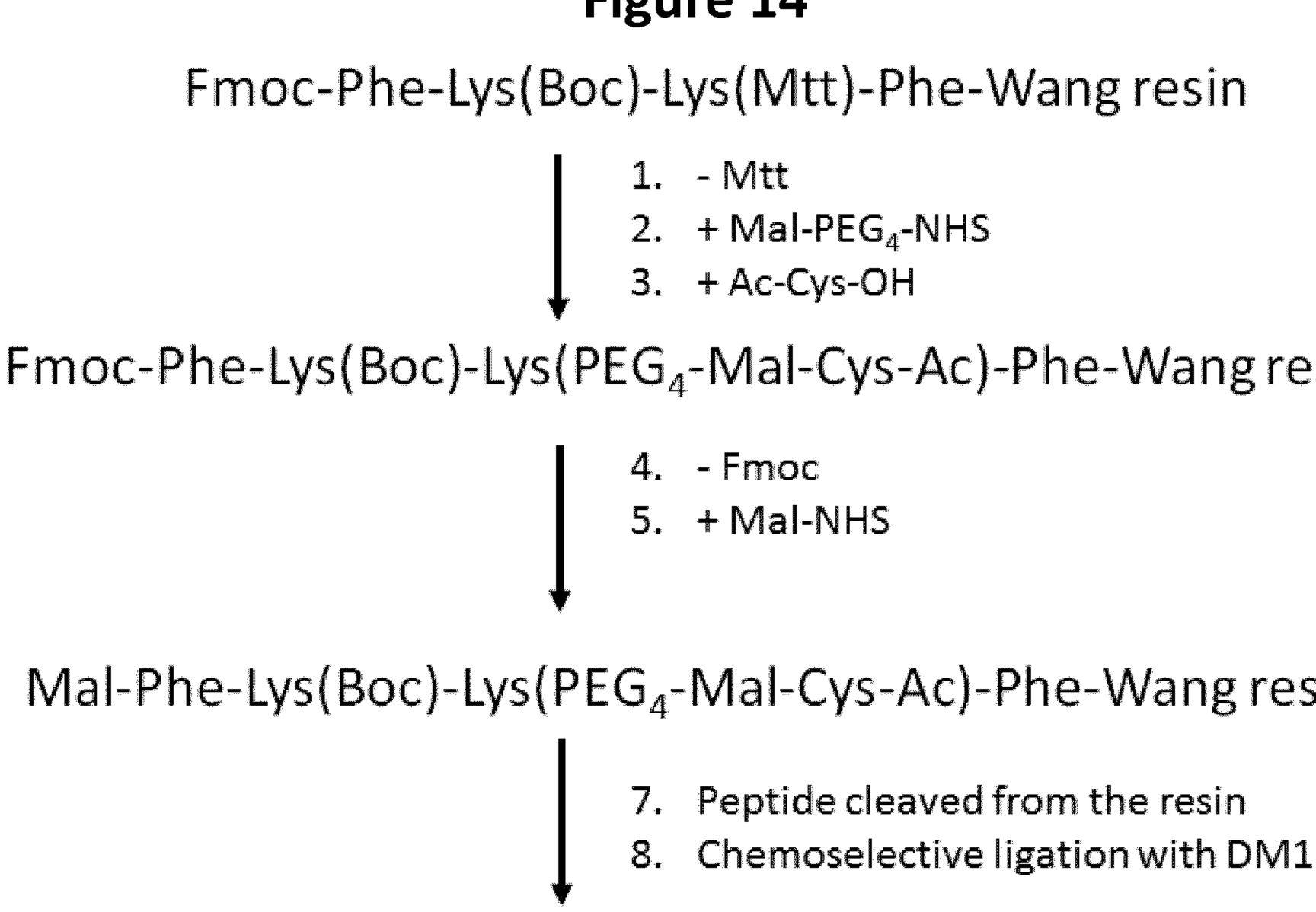


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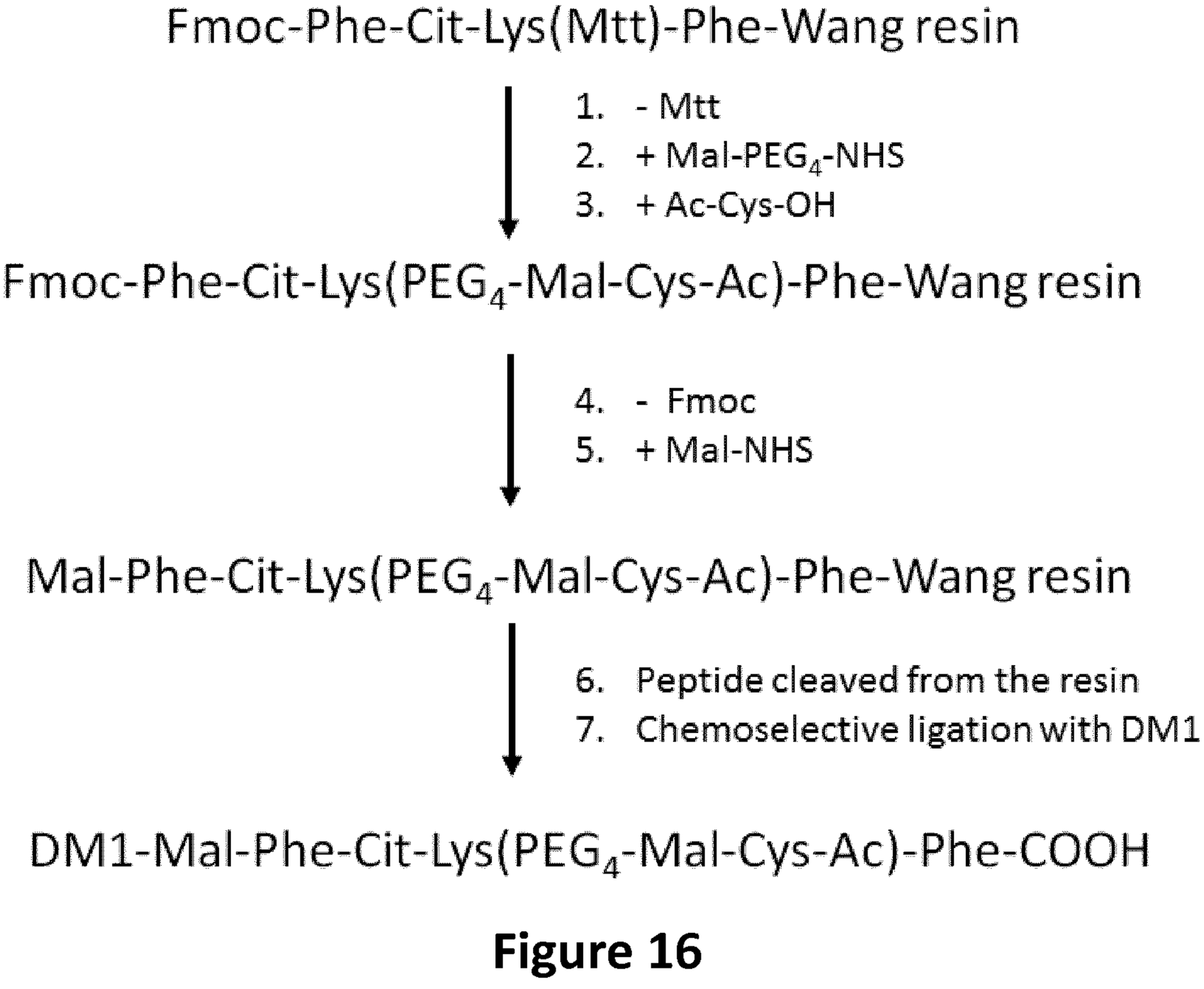


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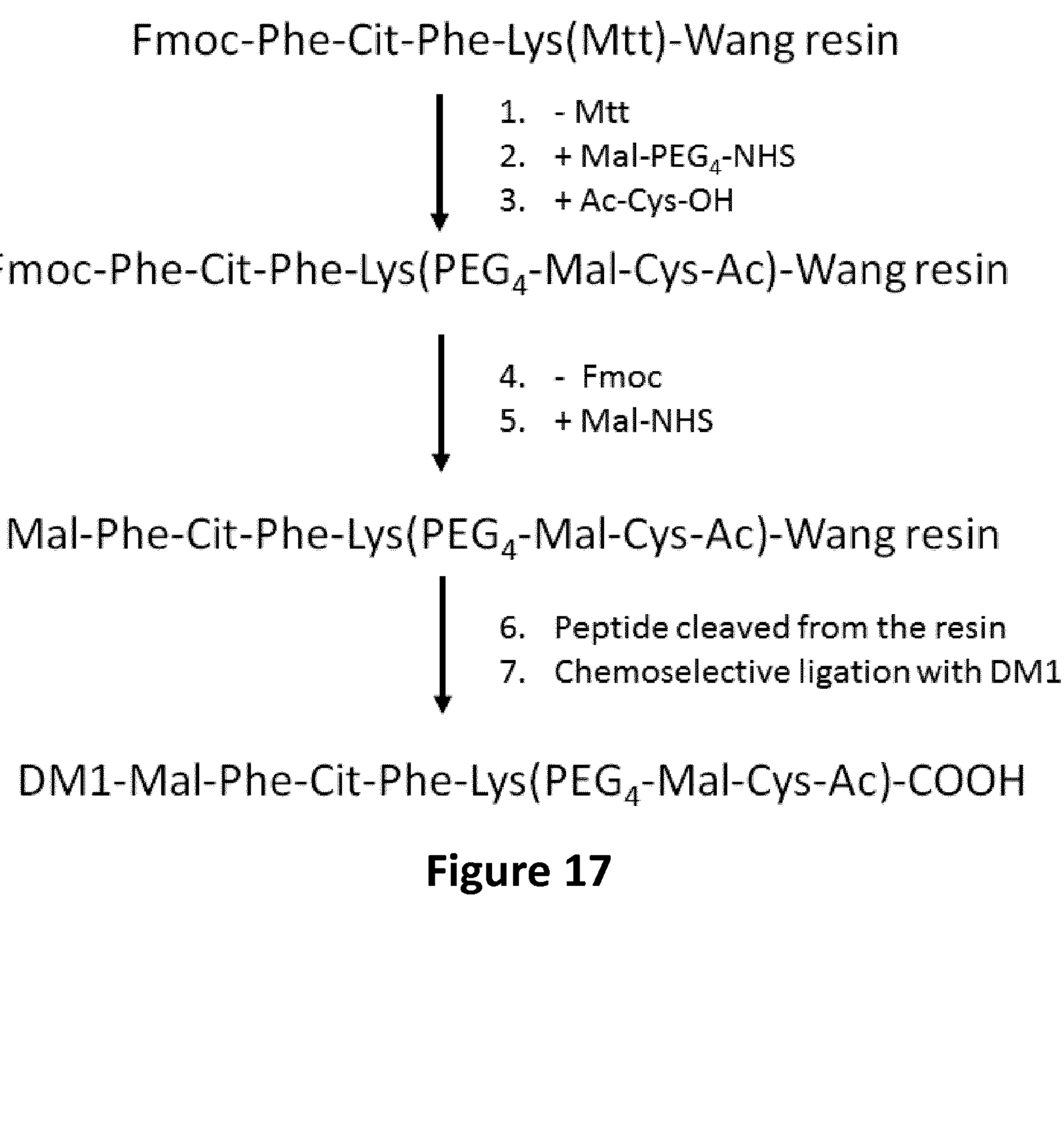


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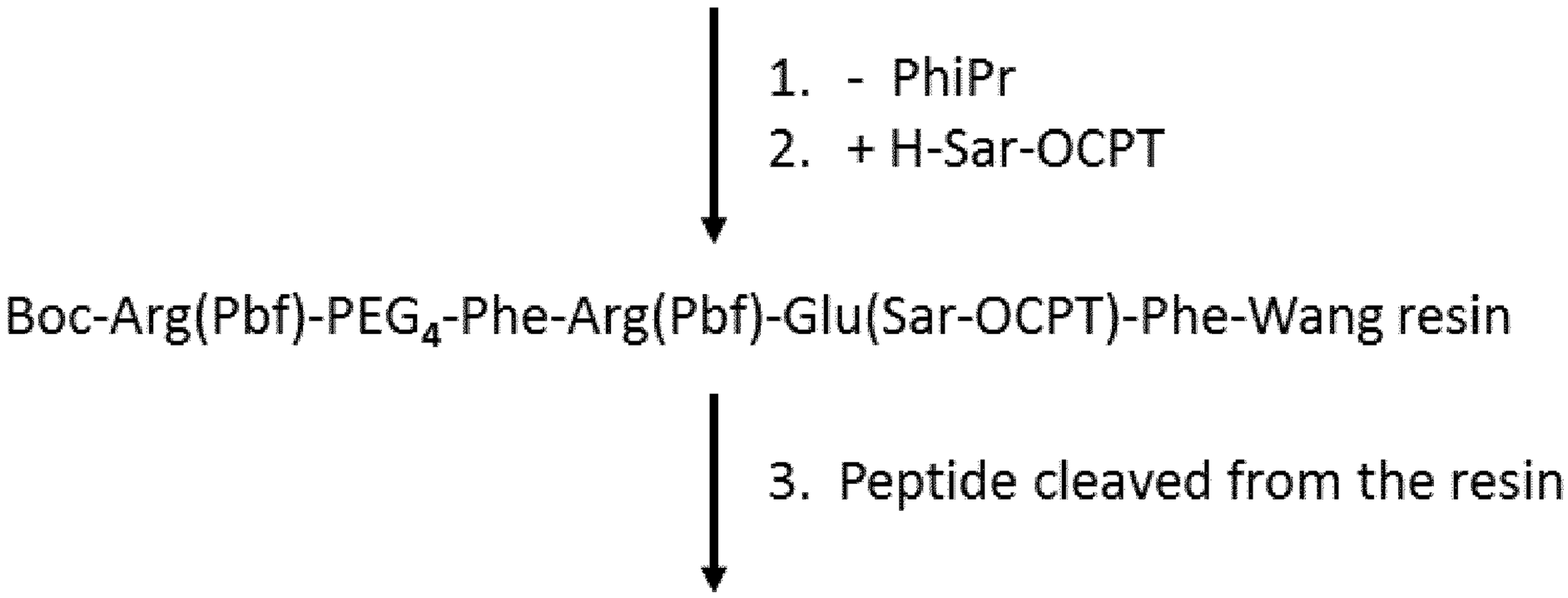


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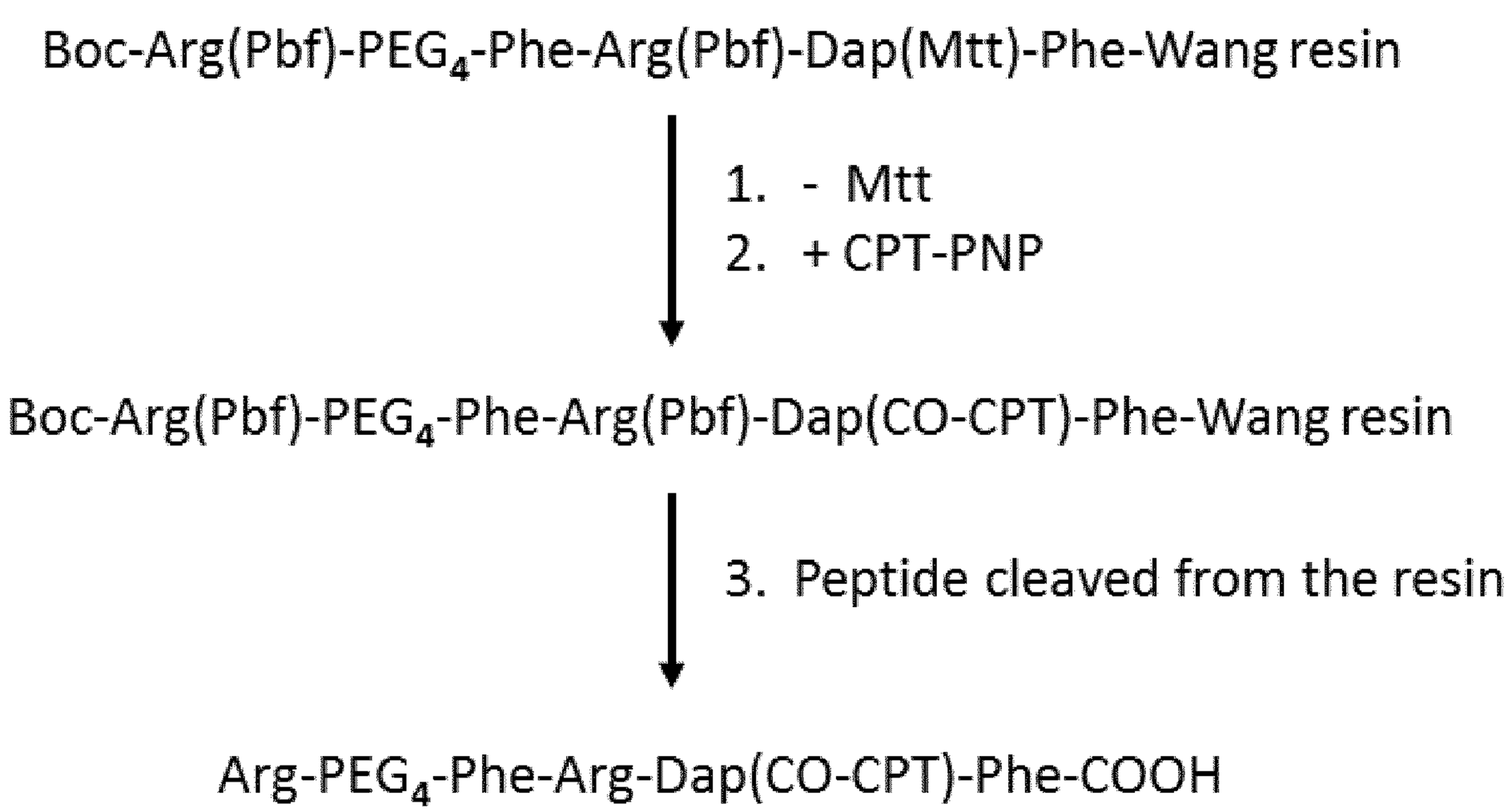


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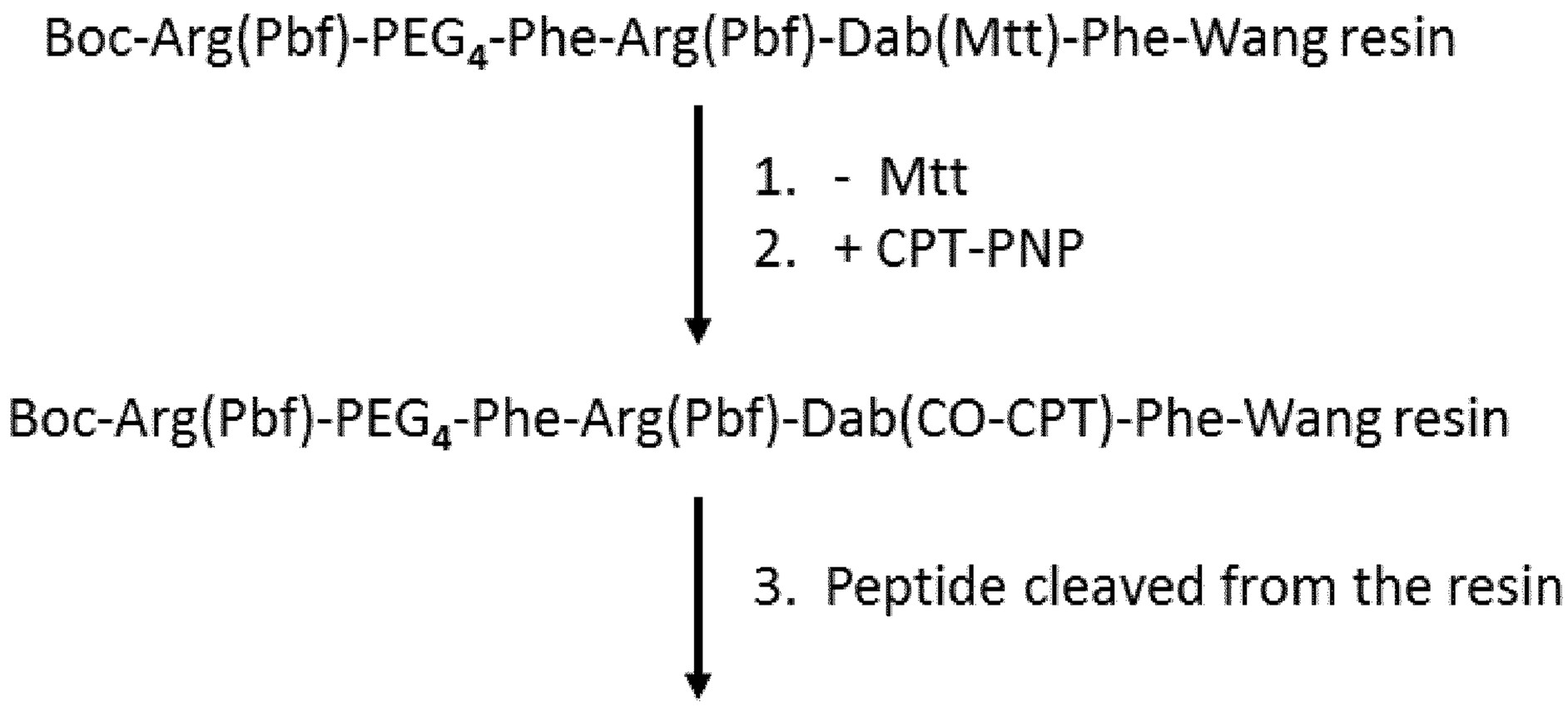


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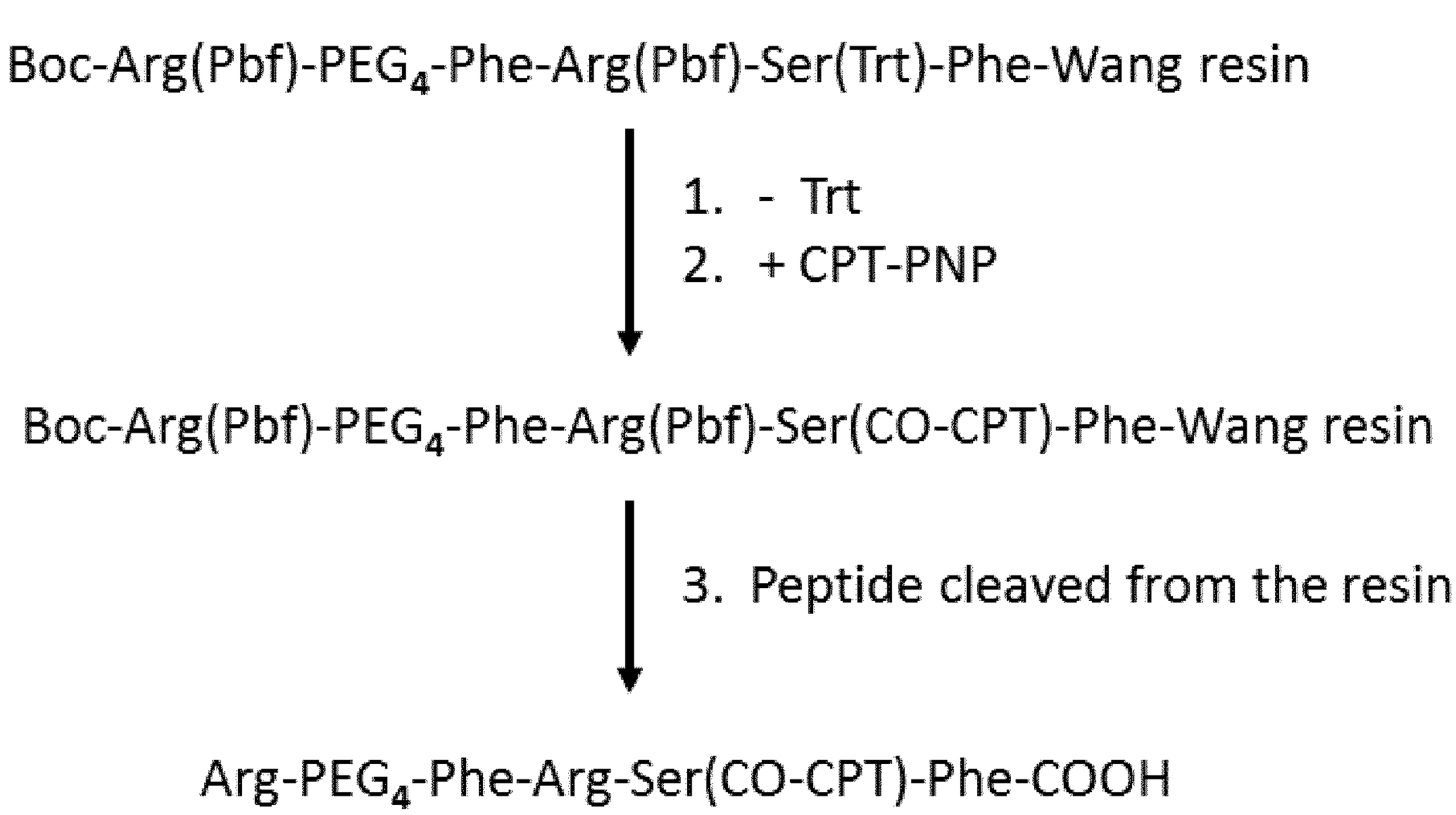


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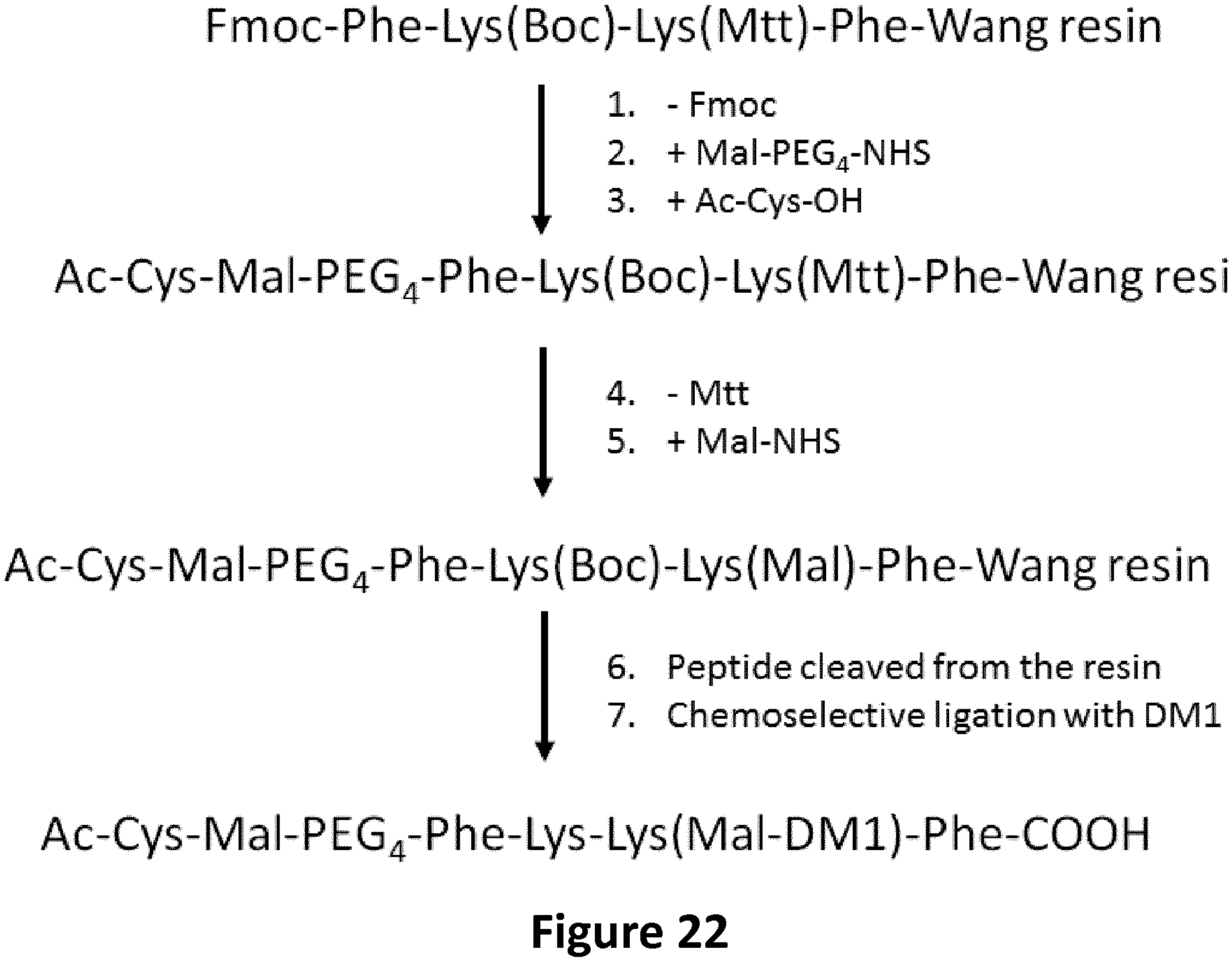


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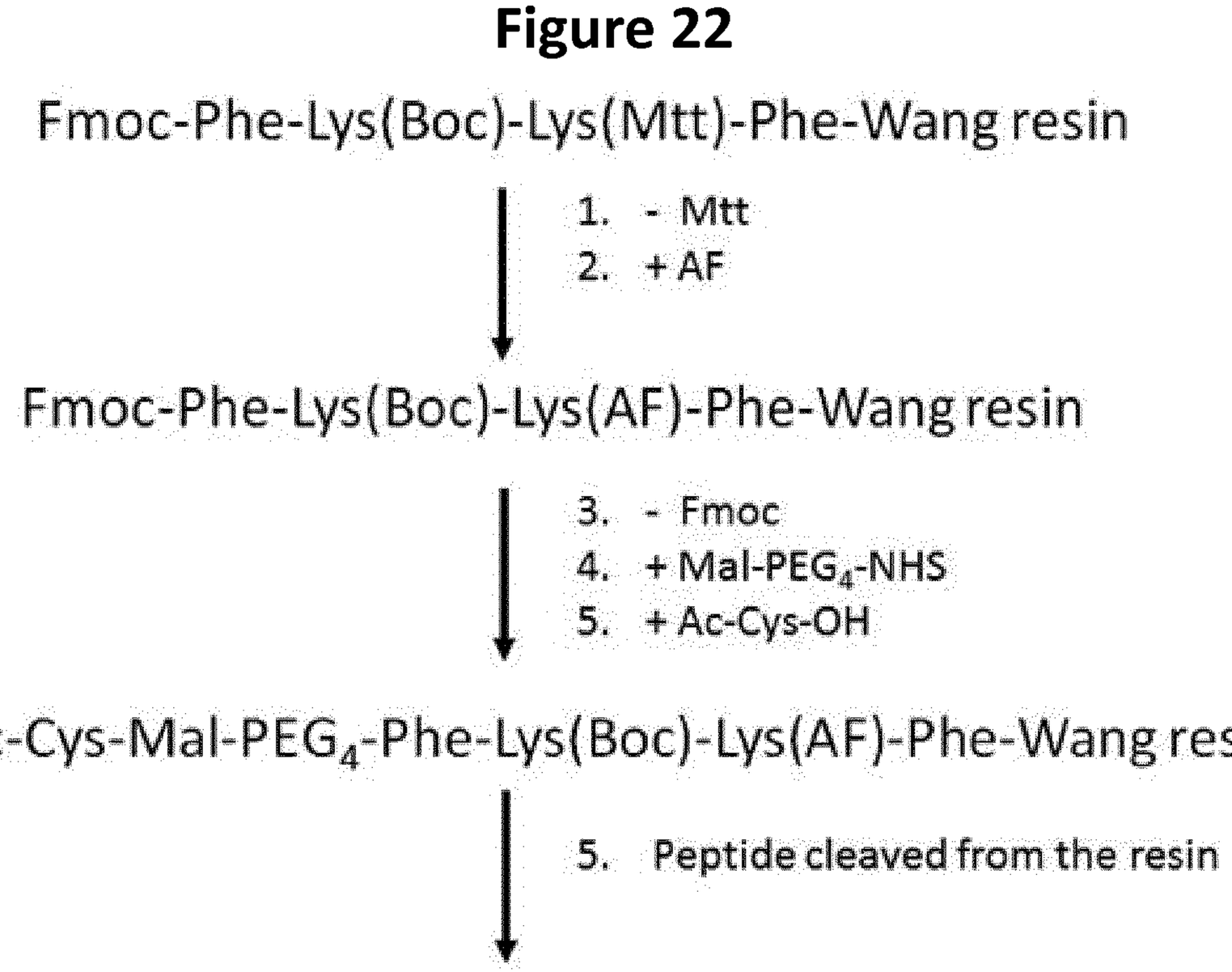


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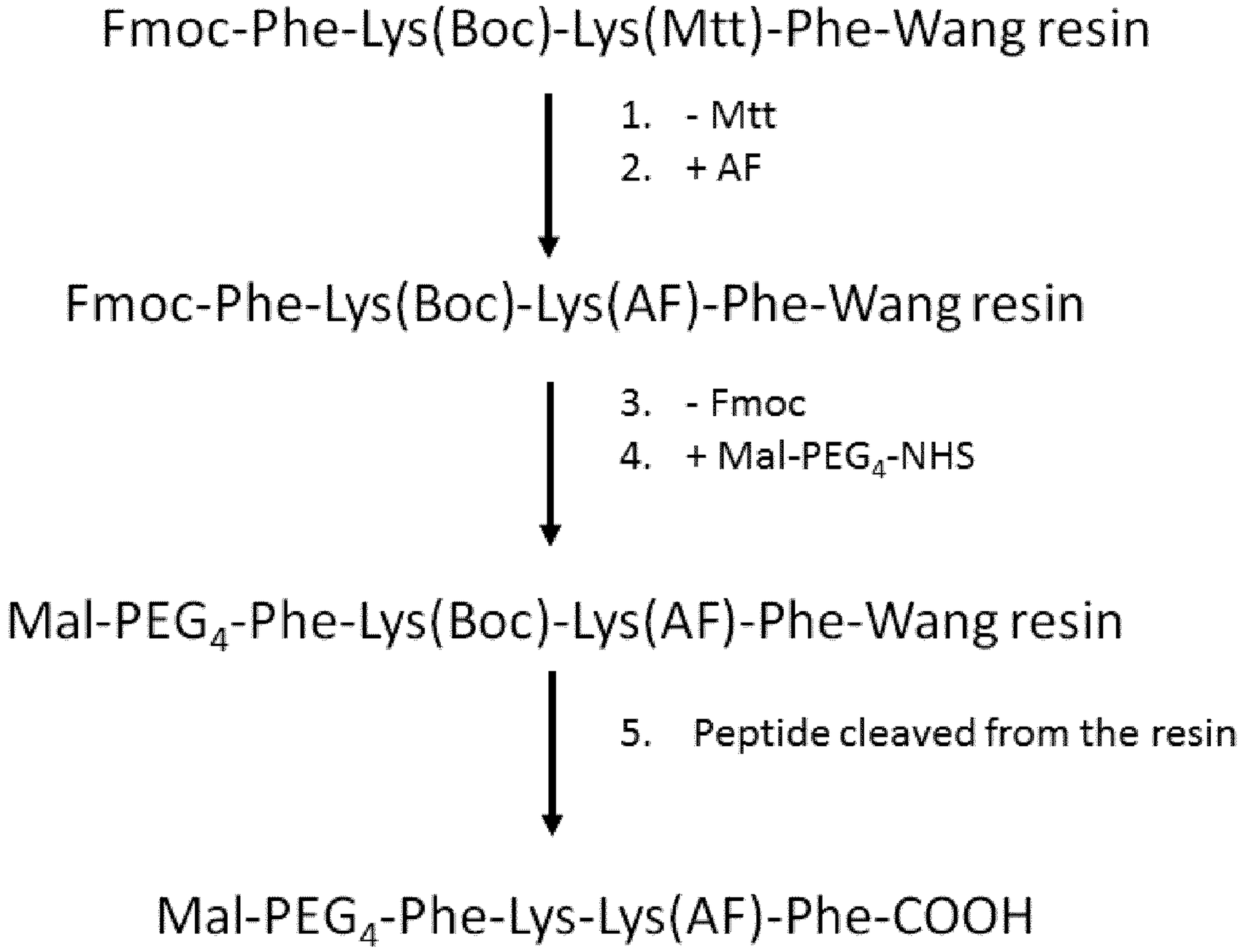


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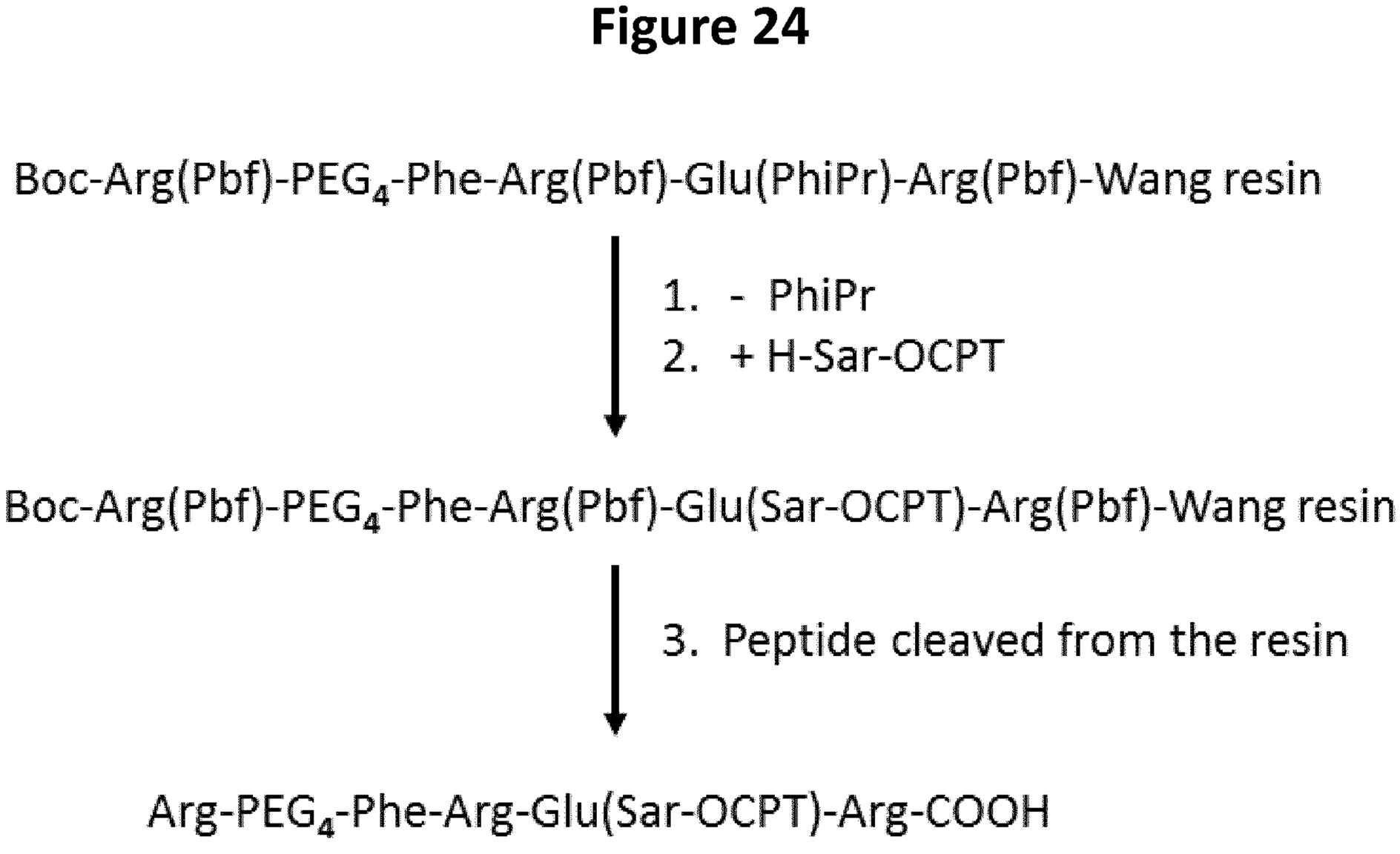


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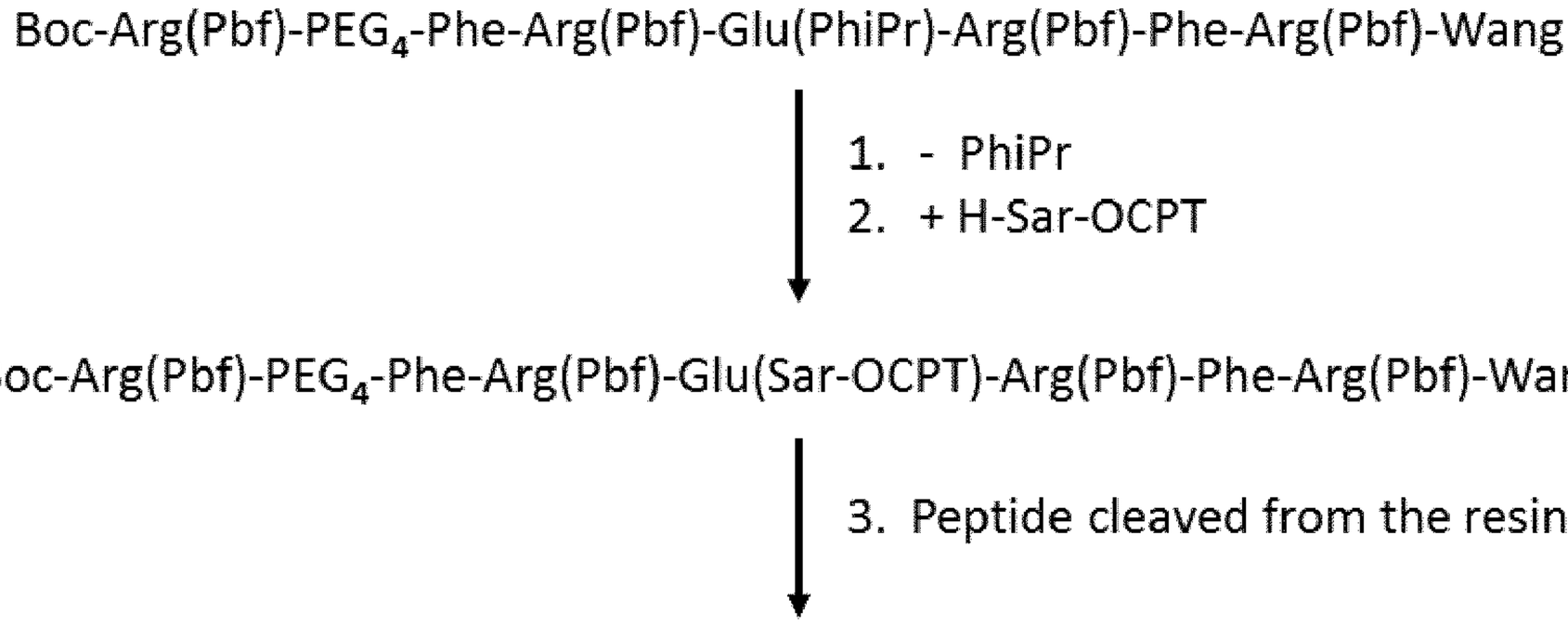


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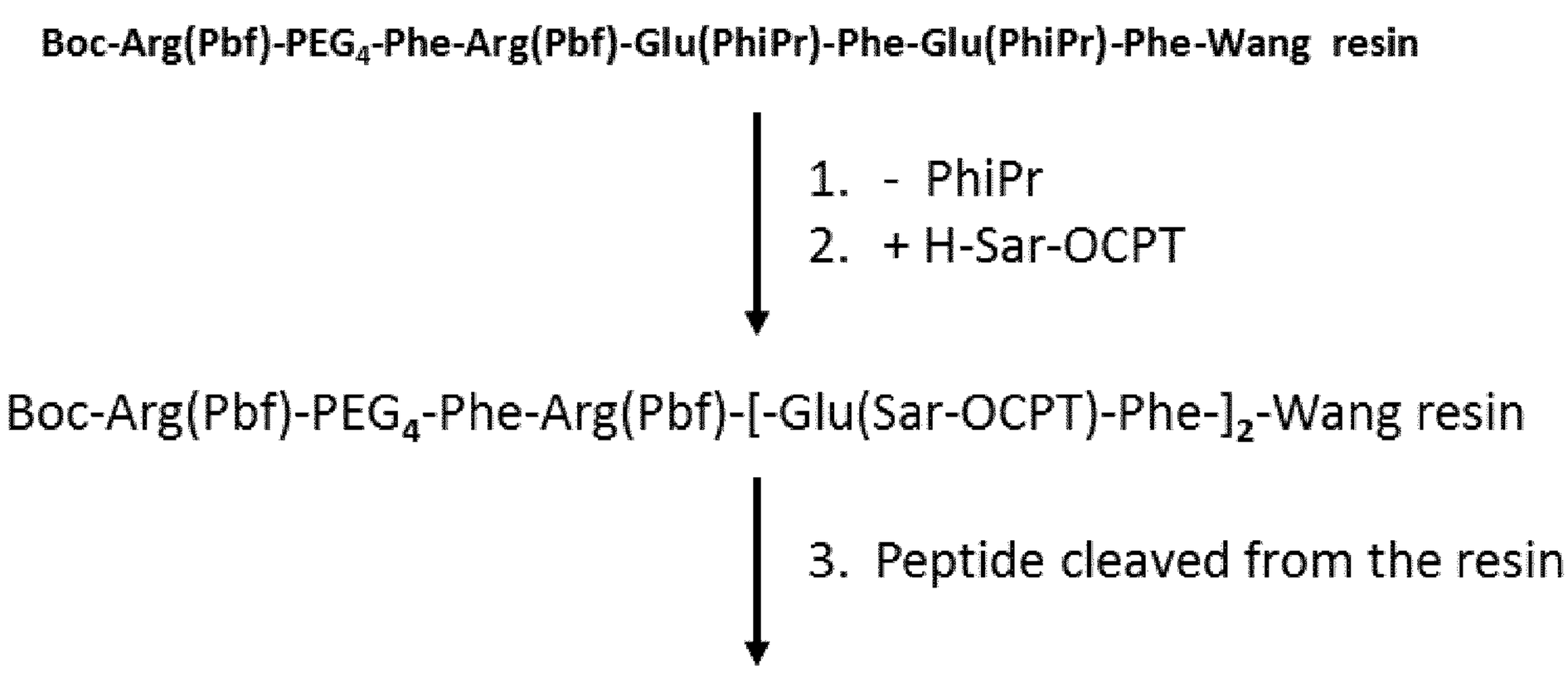


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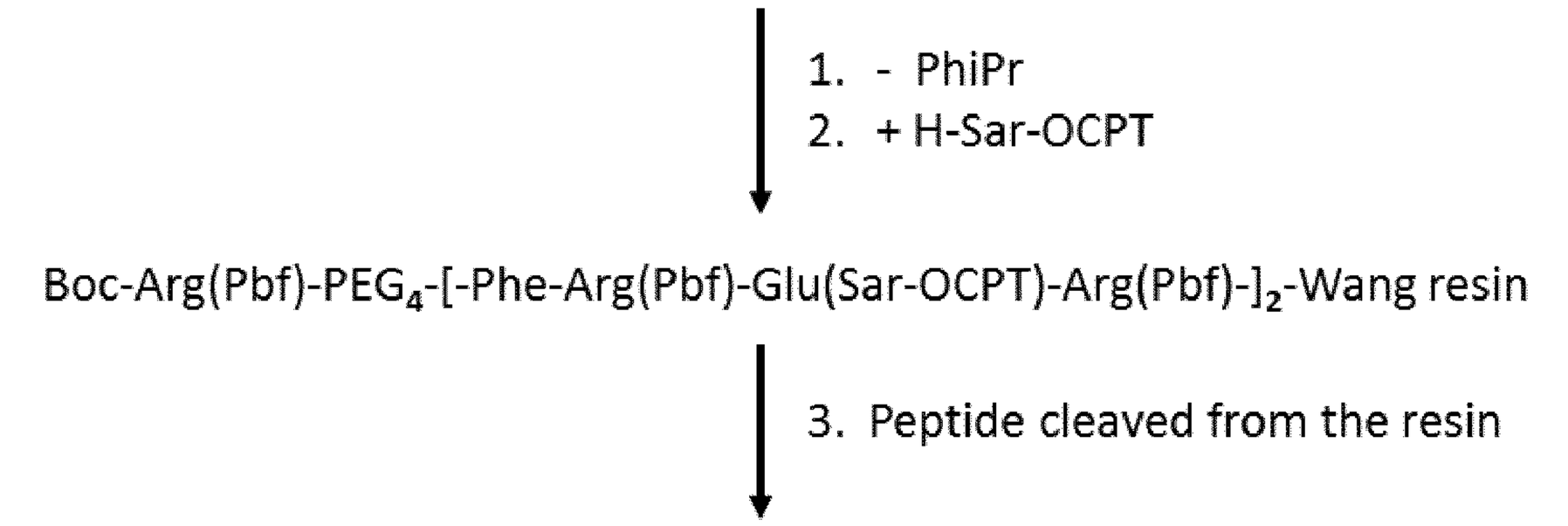


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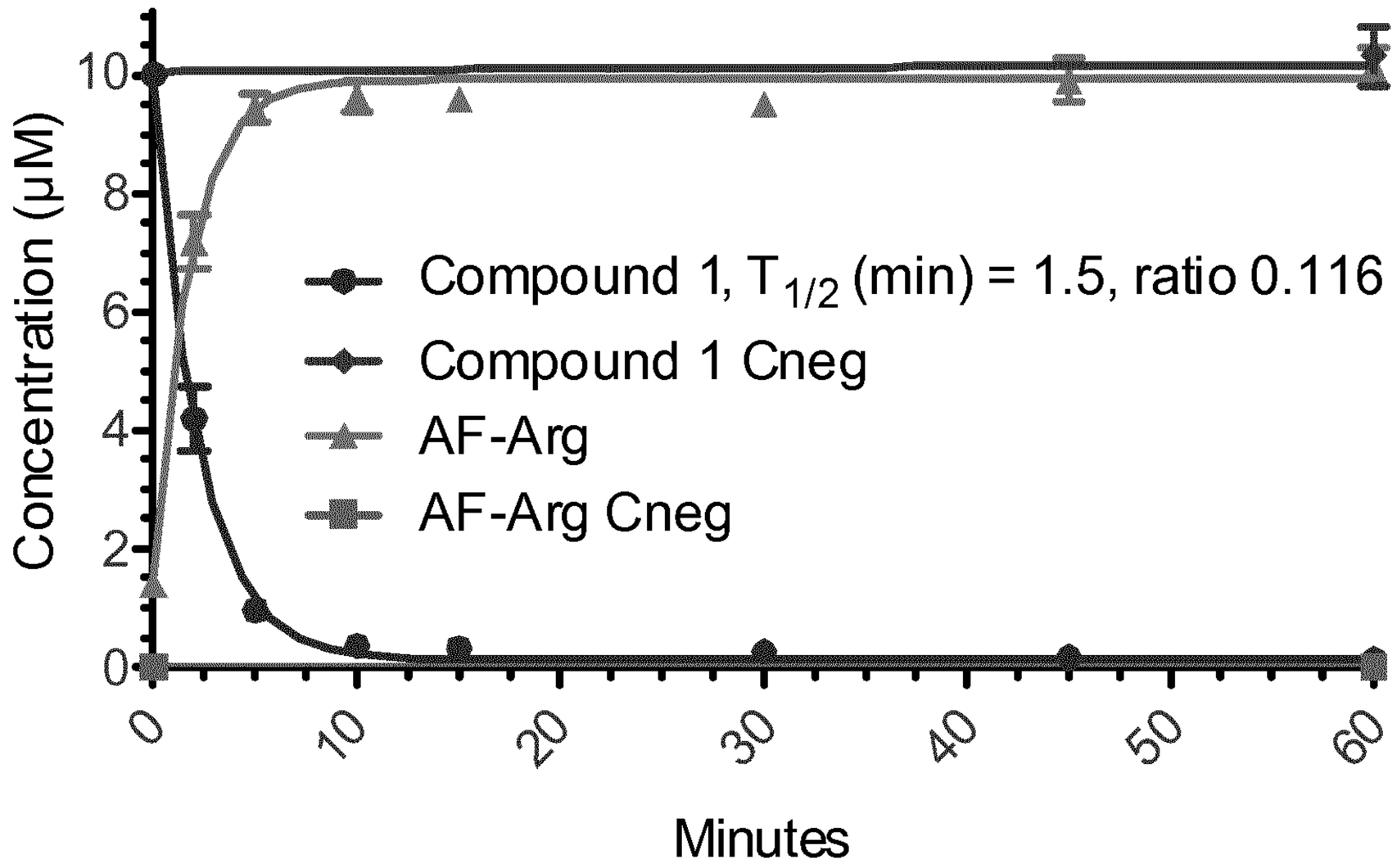


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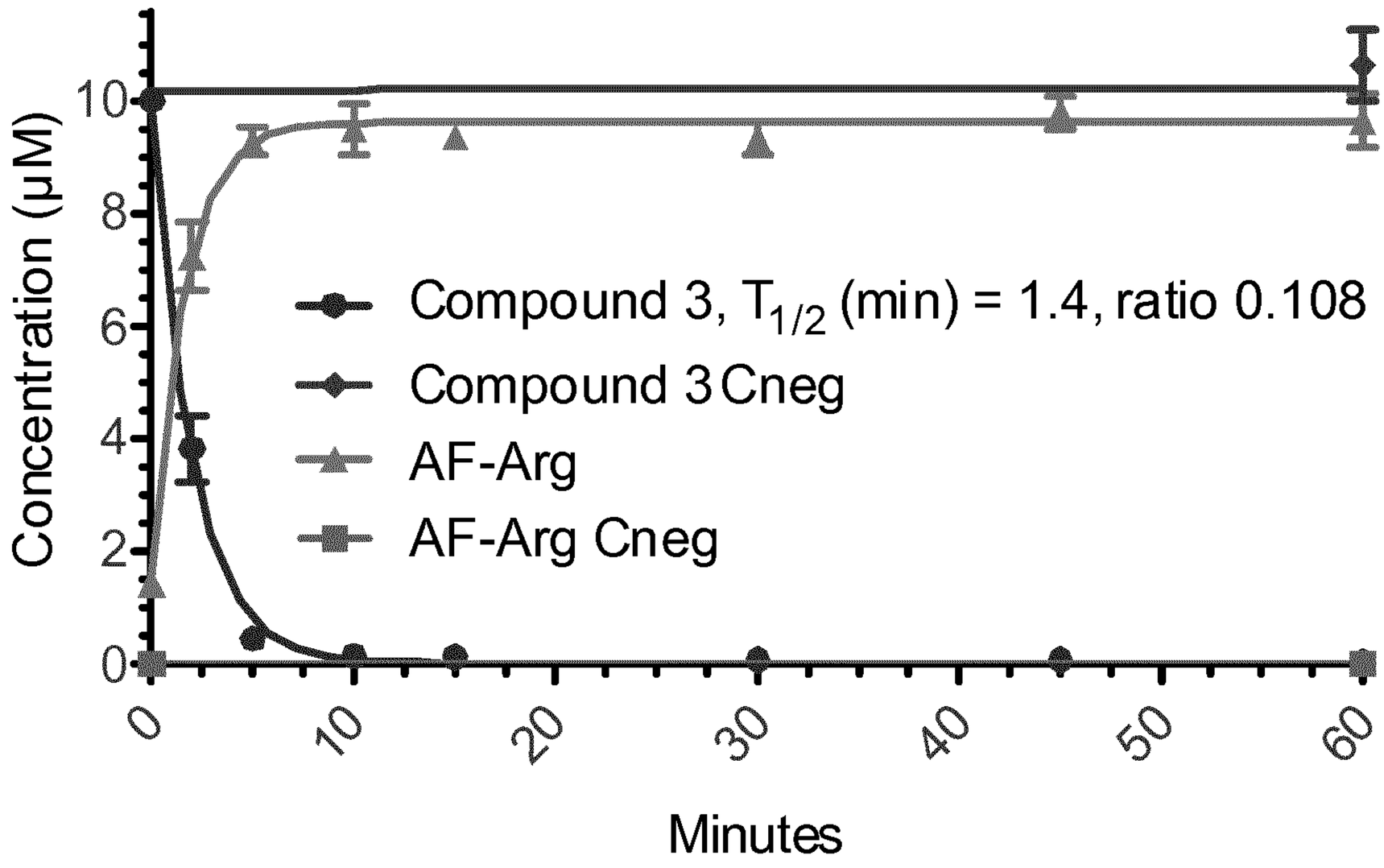


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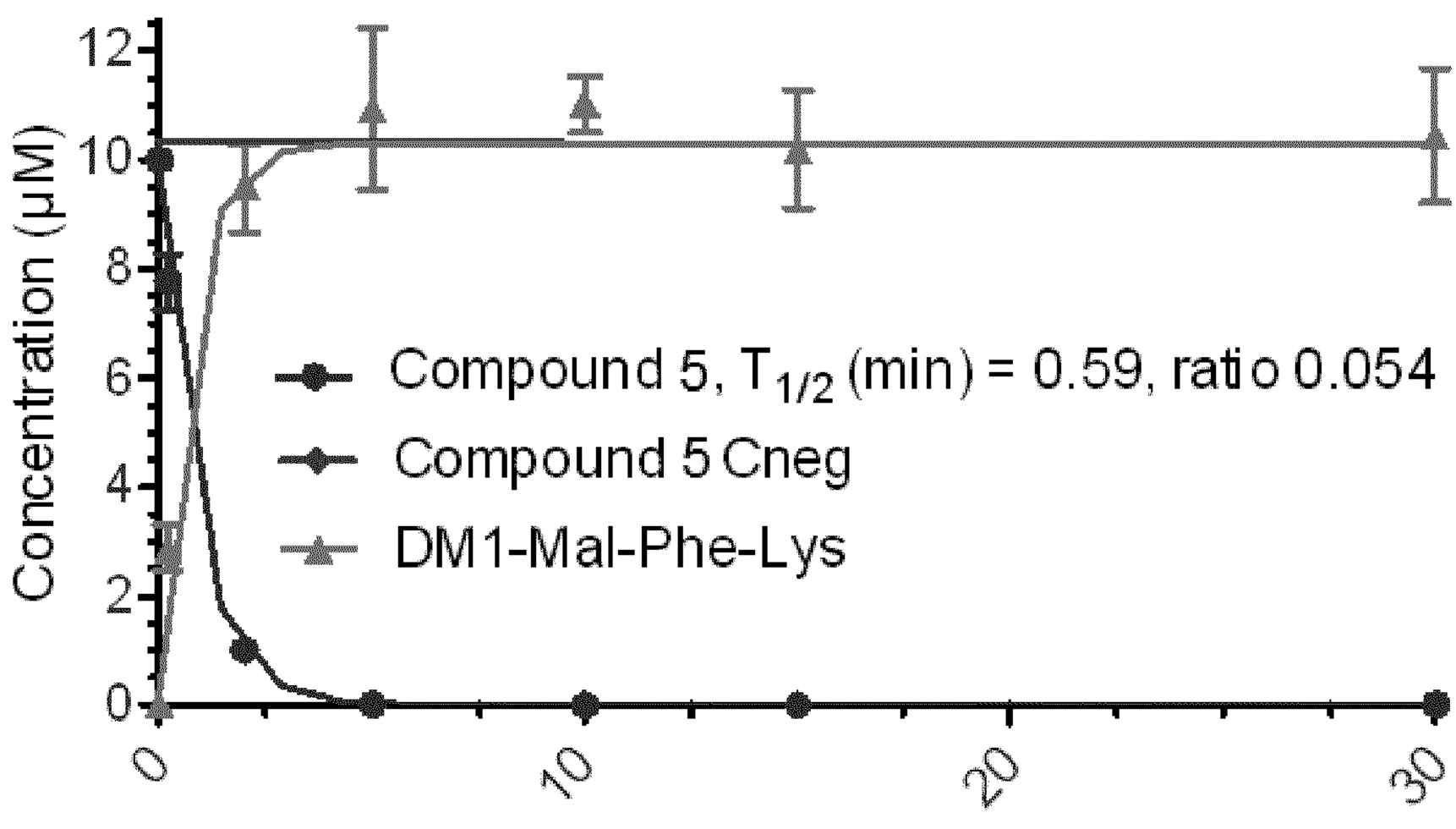


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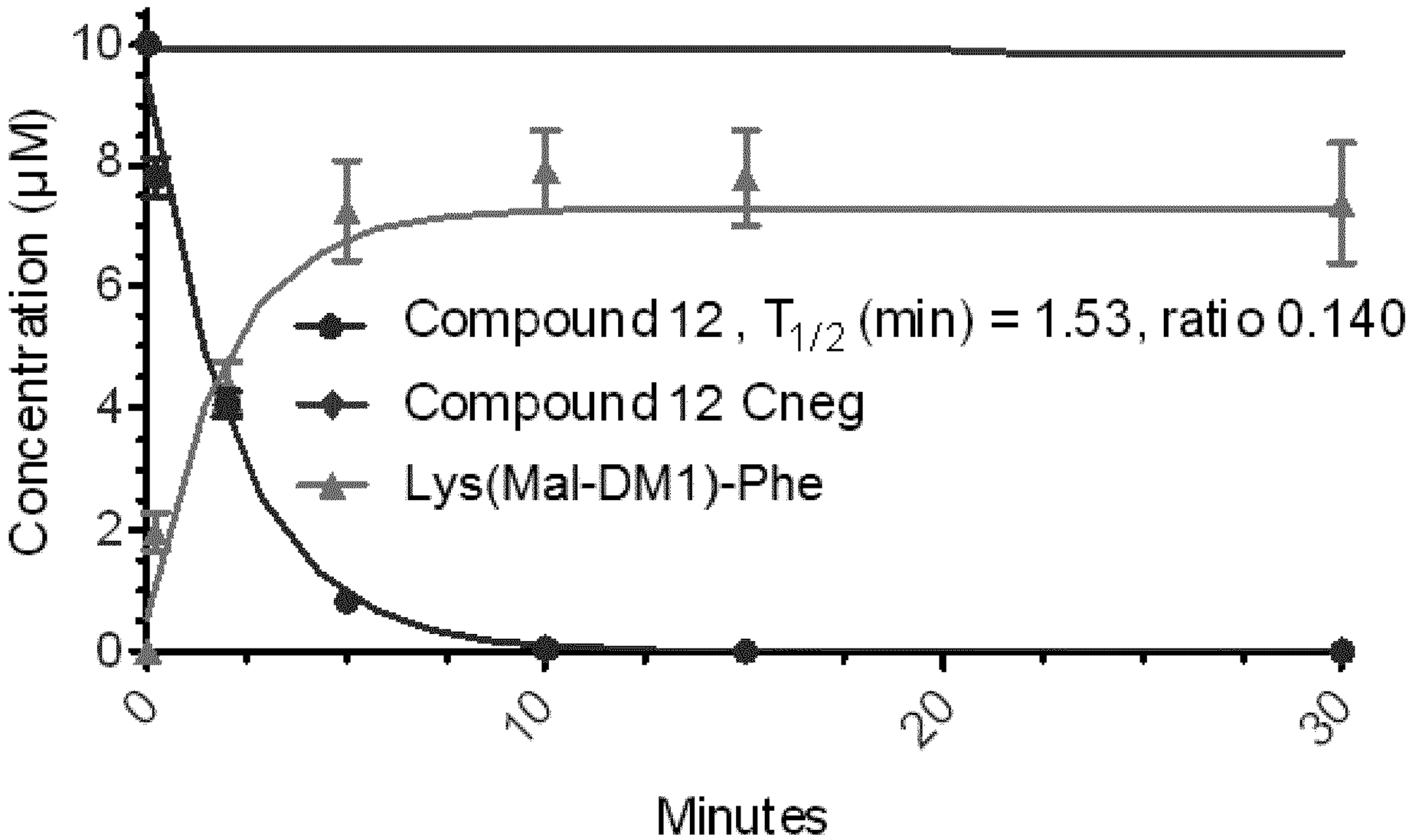


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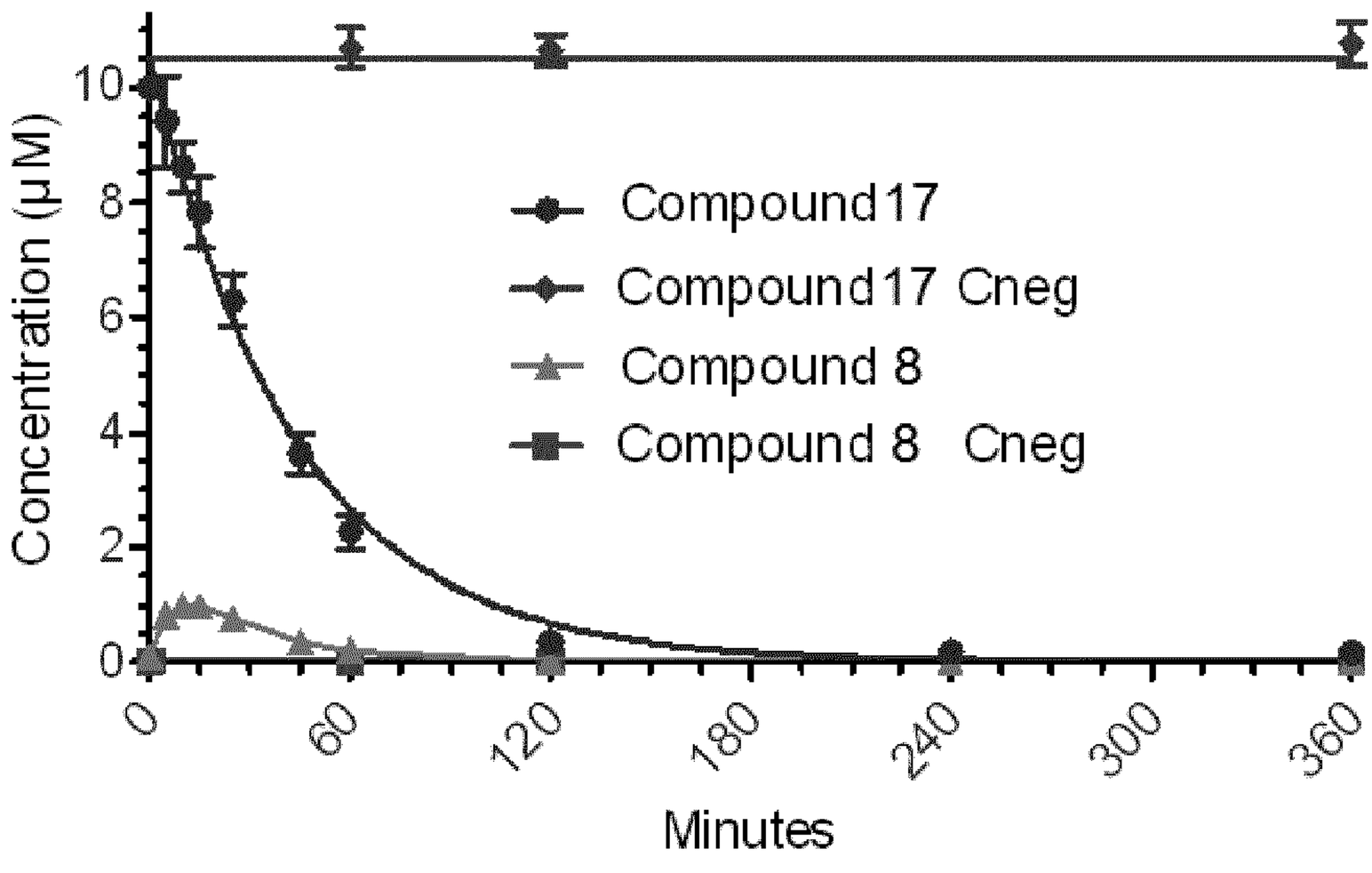


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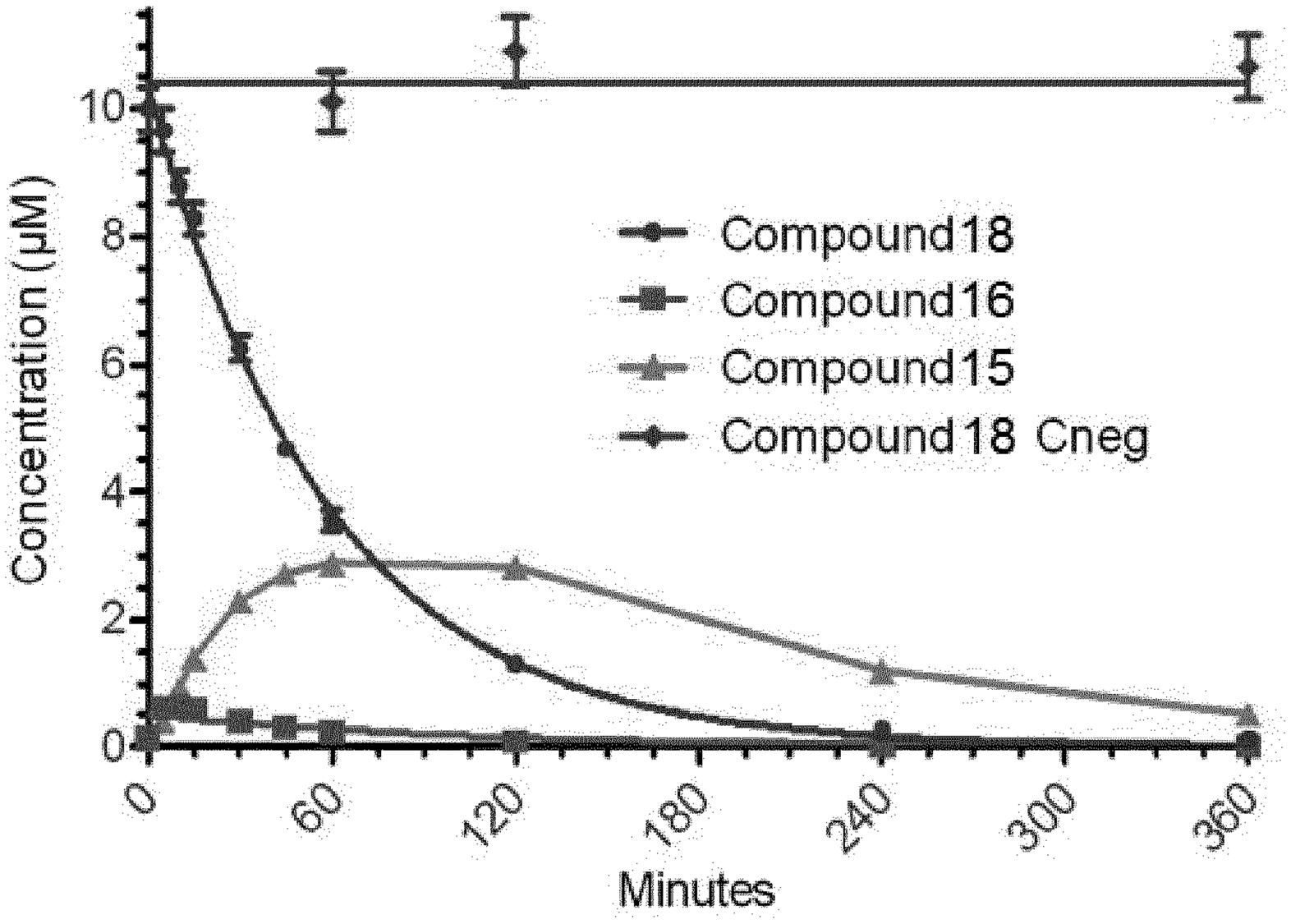

Concentration (µM)
0
2
4
6
8
10
0
60
120
180
240
300
360
Minutes
Compound18
Compound16
Compound15
Compound18 Cneg

Figure 34

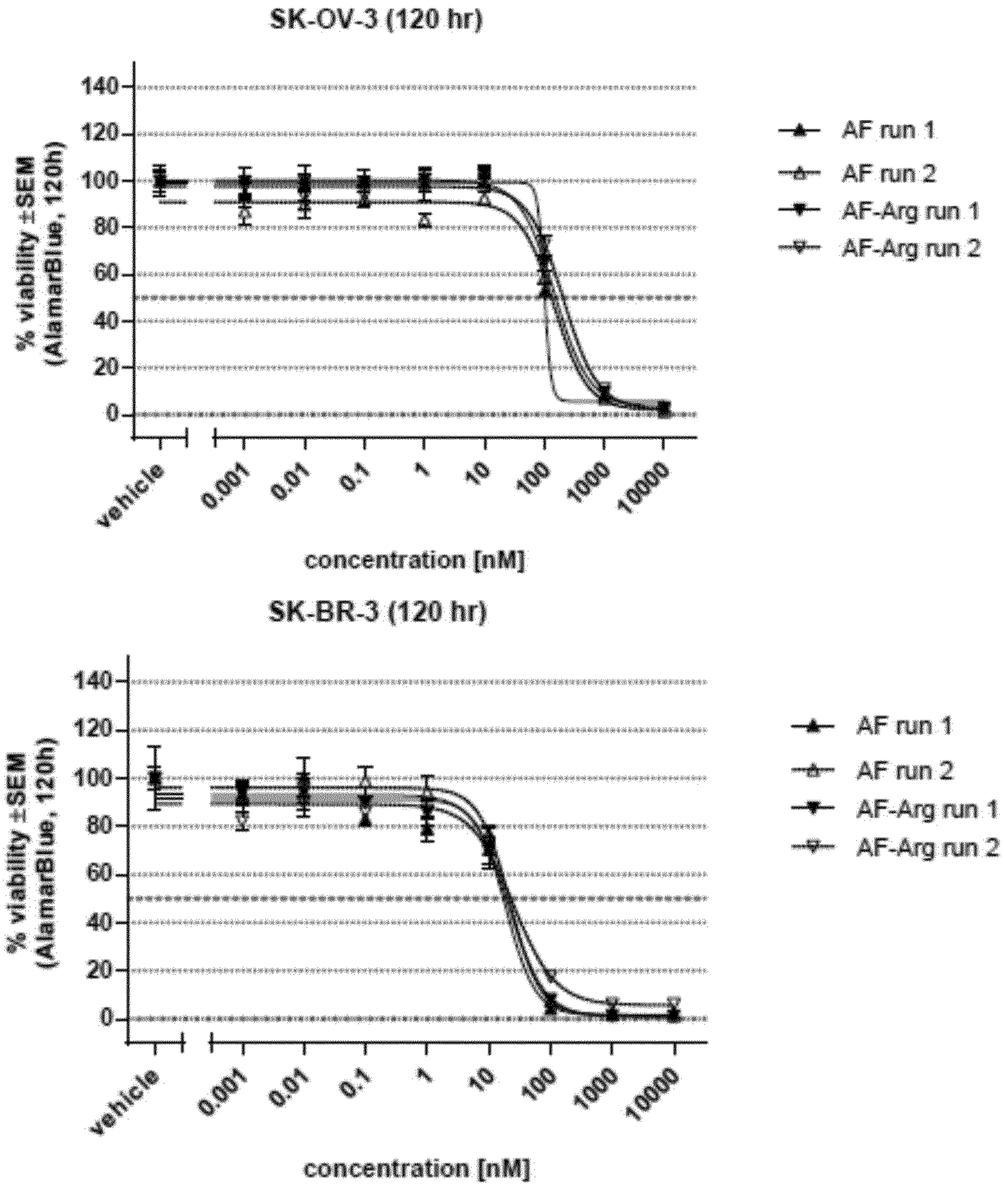

SK-OV-3 (120 hr)
% viability ±SEM (AlamarBlue, 120h)
140
120
100
80
60
40
20
0
vehicle
0.001
0.01
0.1
1
10
100
1000
10000
concentration [nM]
AF run 1
AF run 2
AF-Arg run 1
AF-Arg run 2
SK-BR-3 (120 hr)
% viability ±SEM (AlamarBlue, 120h)
140
120
100
80
60
40
20
0
vehicle
0.001
0.01
0.1
1
10
100
1000
10000
concentration [nM]
AF run 1
AF run 2
AF-Arg run 1
AF-Arg run 2

Figure 35

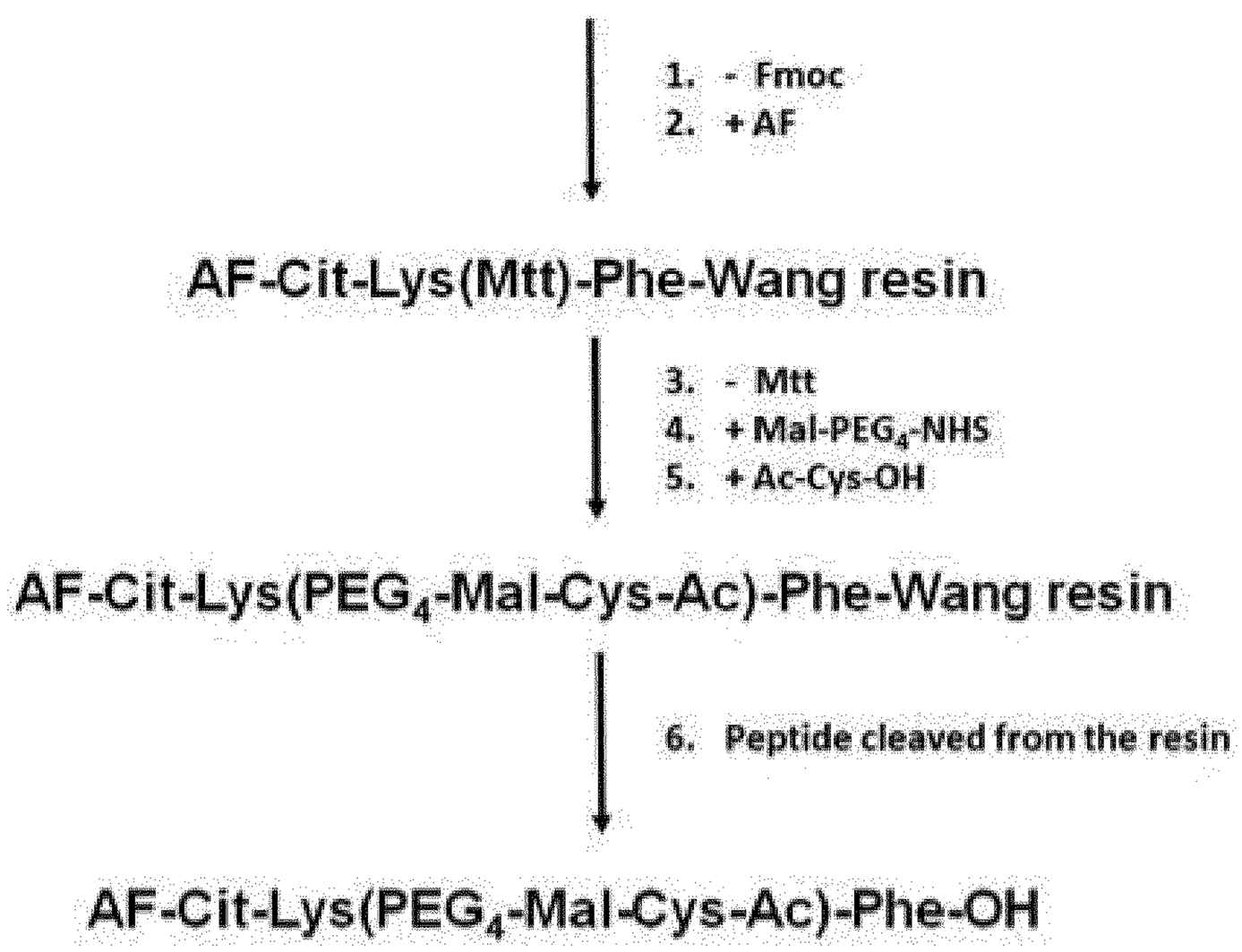


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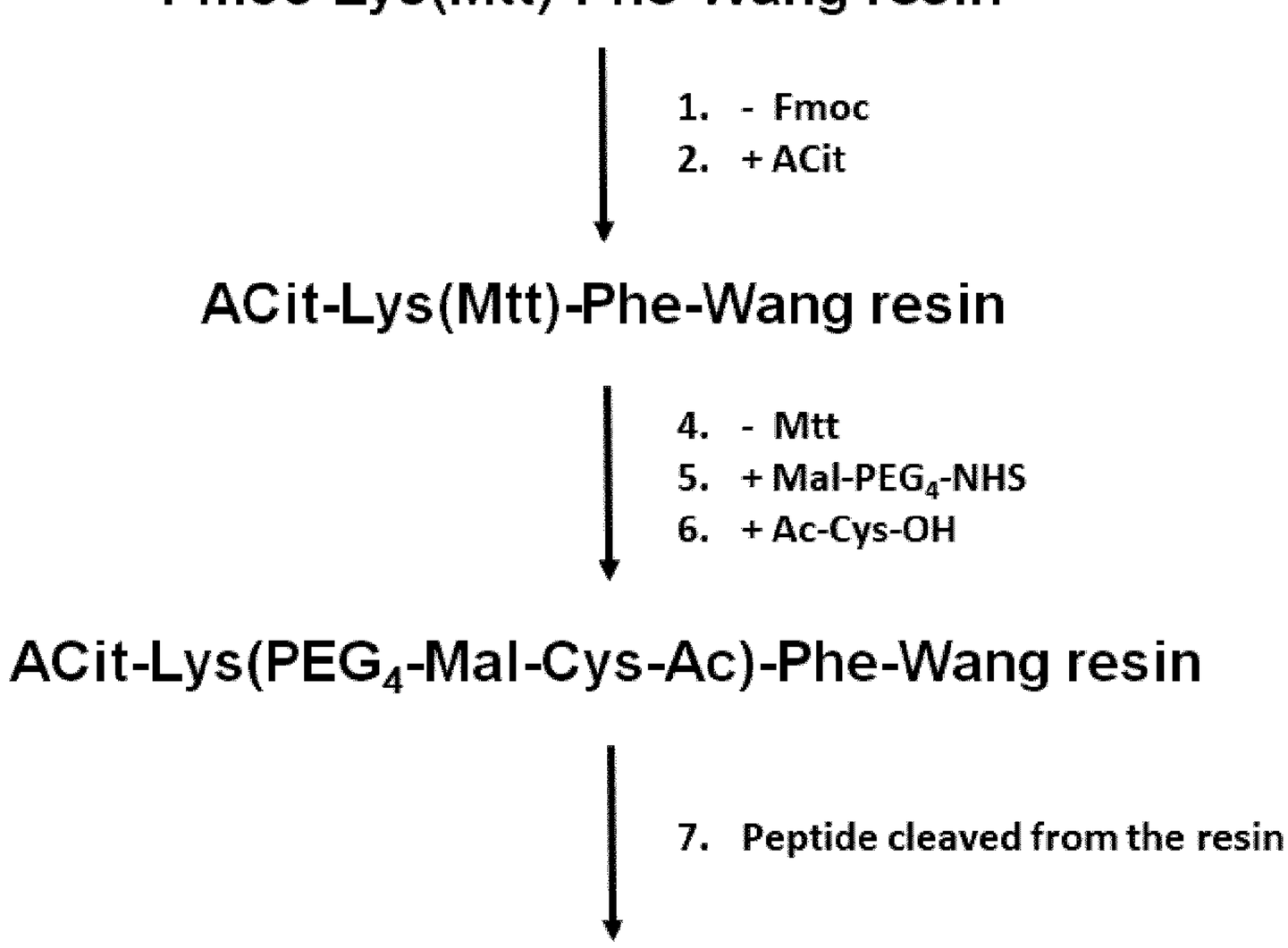


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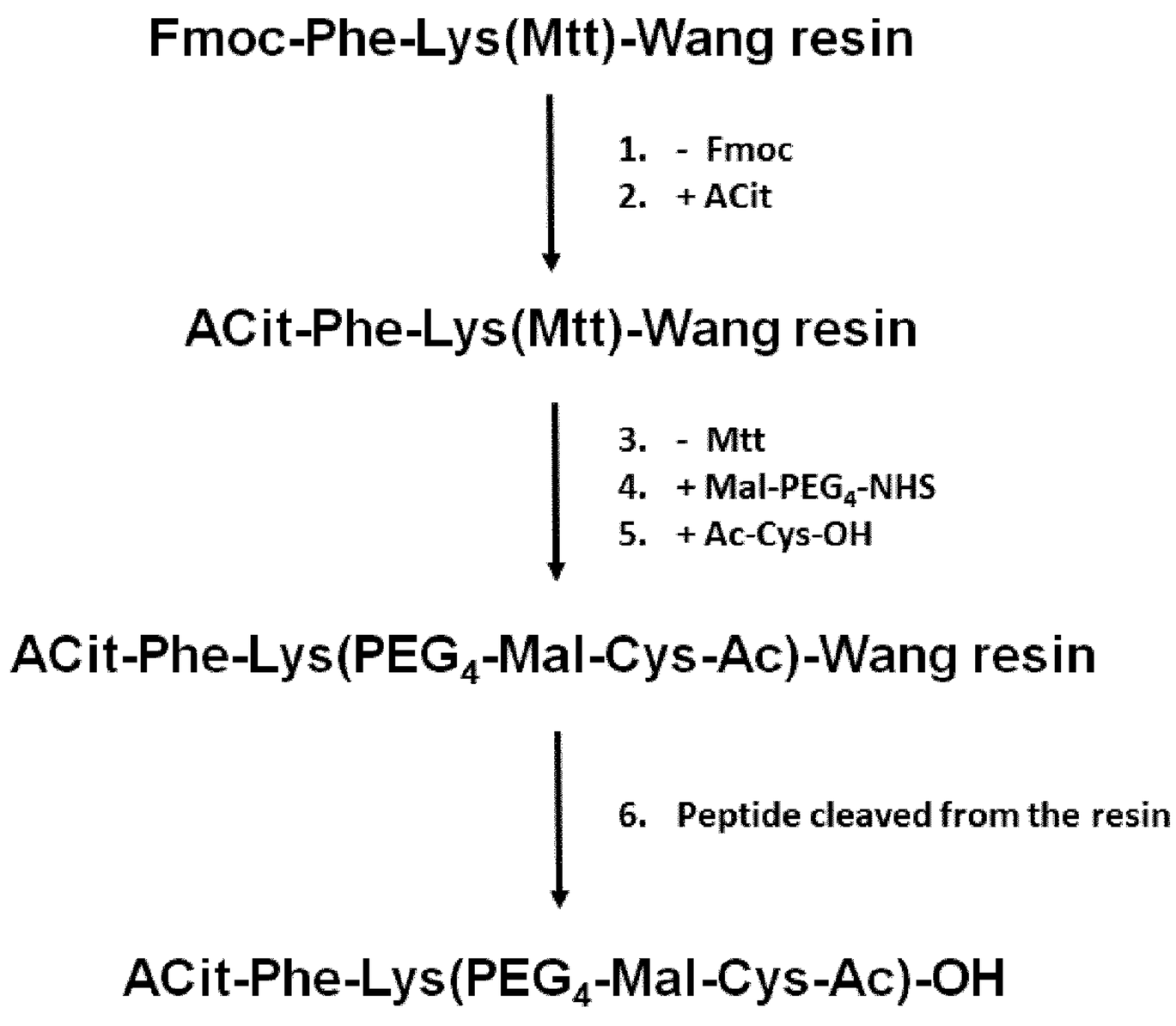


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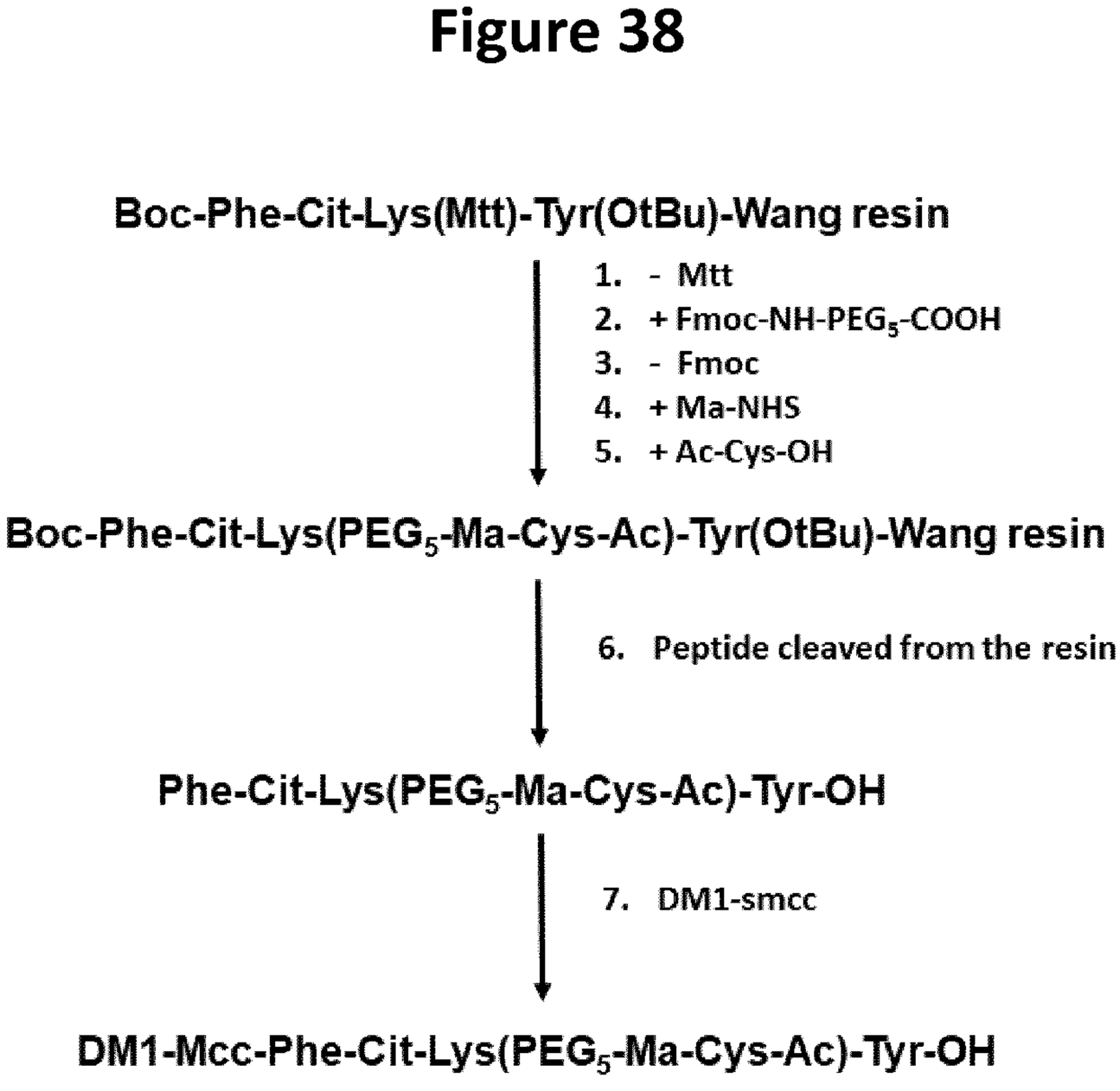


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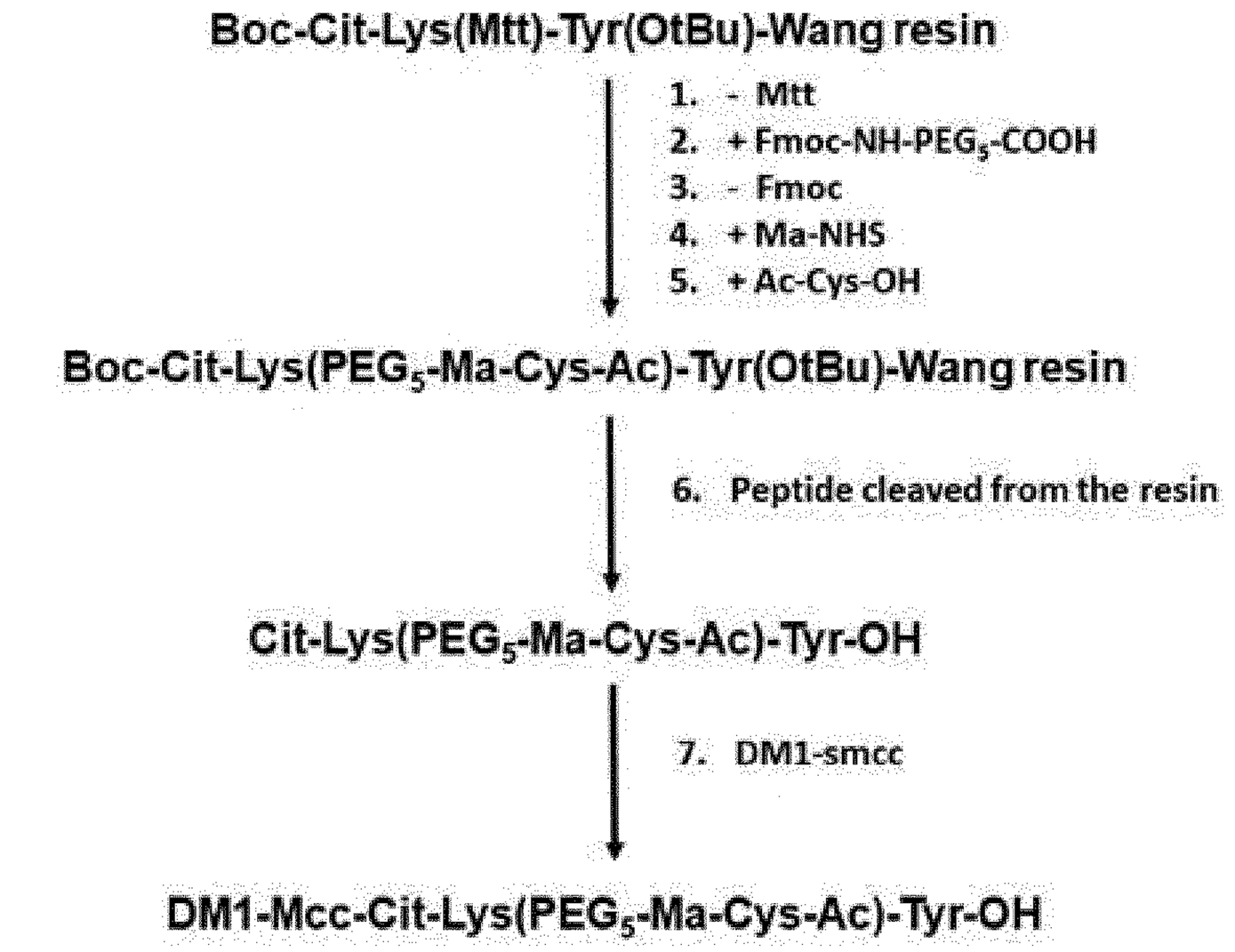


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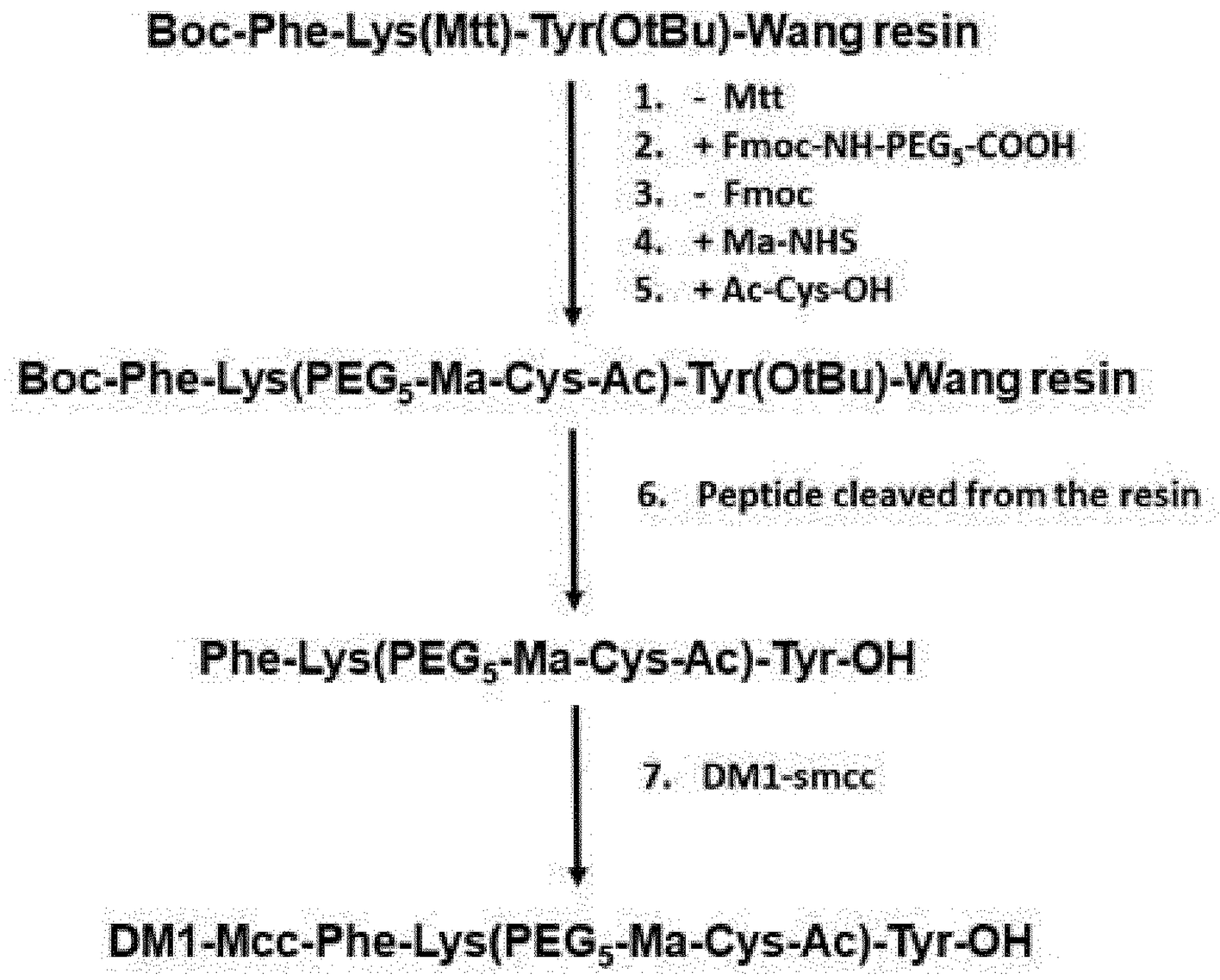


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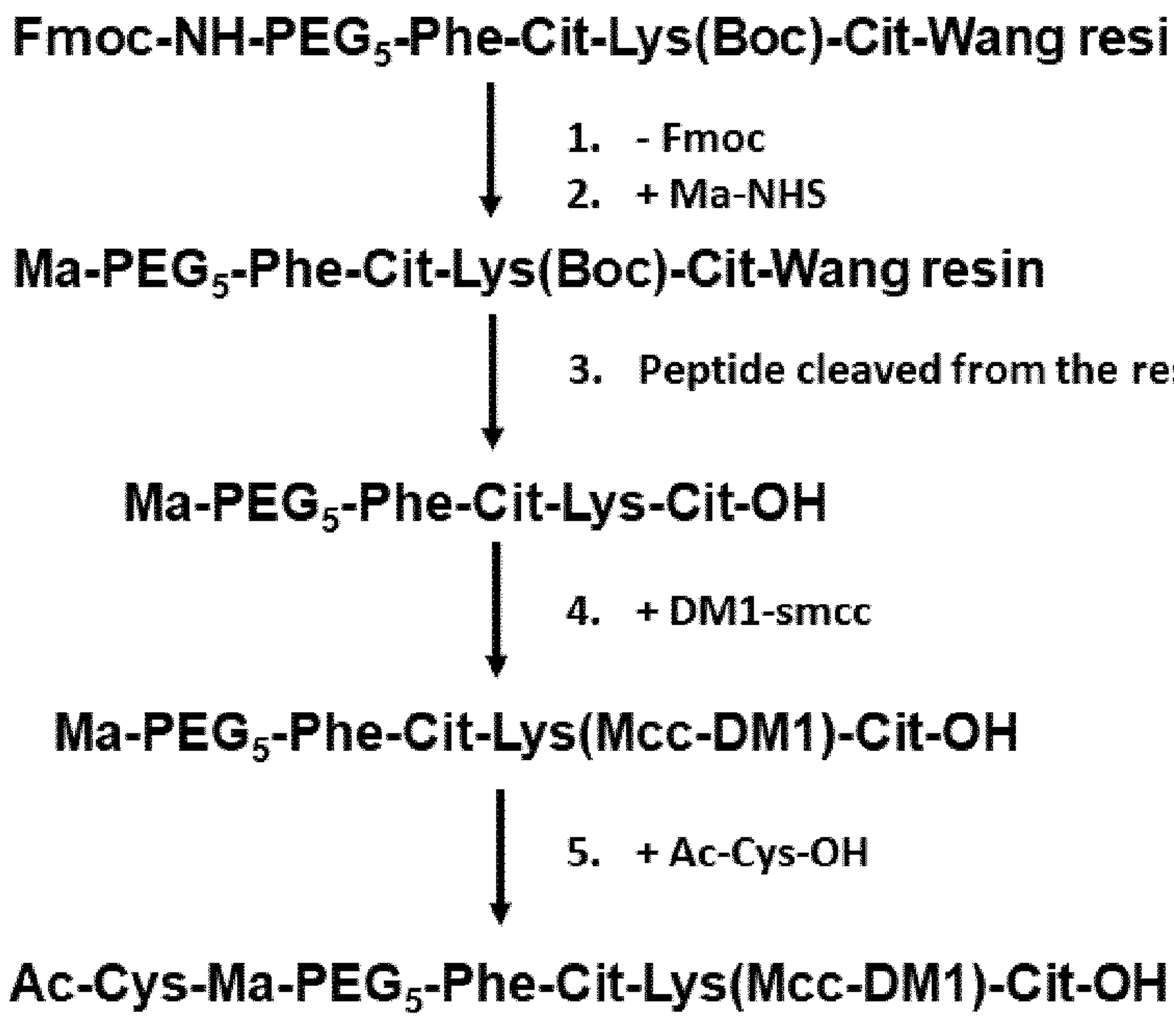


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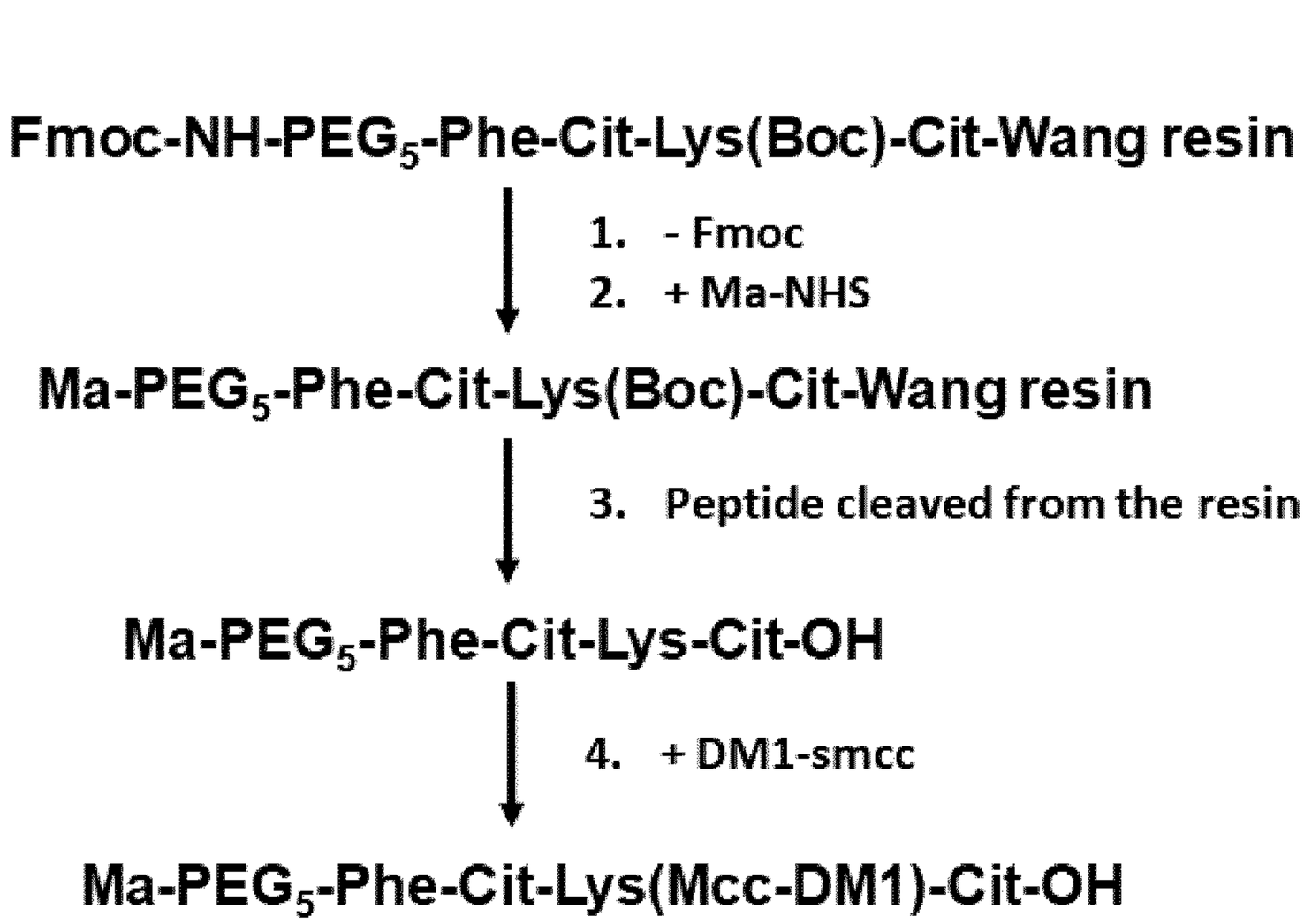


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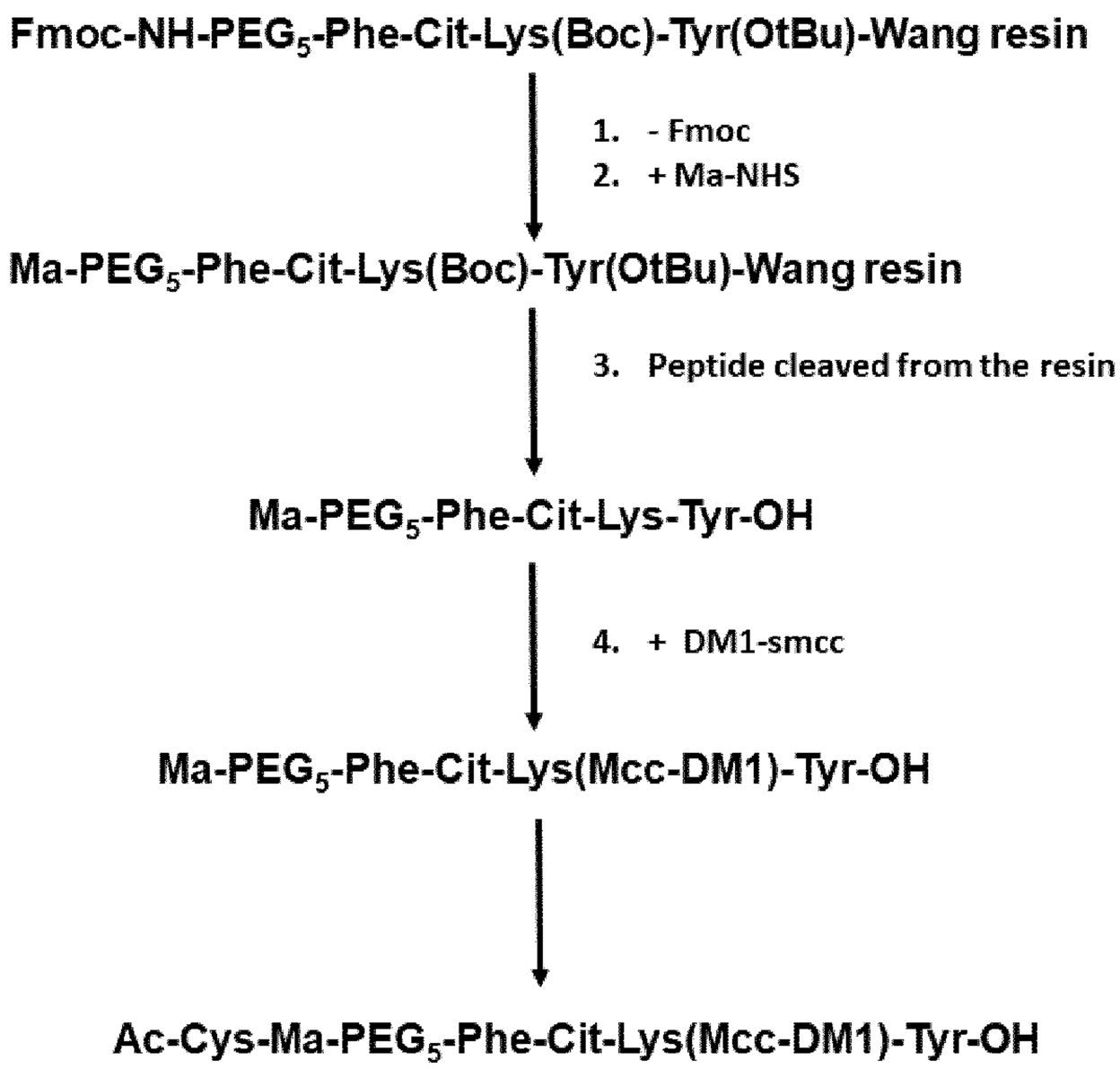


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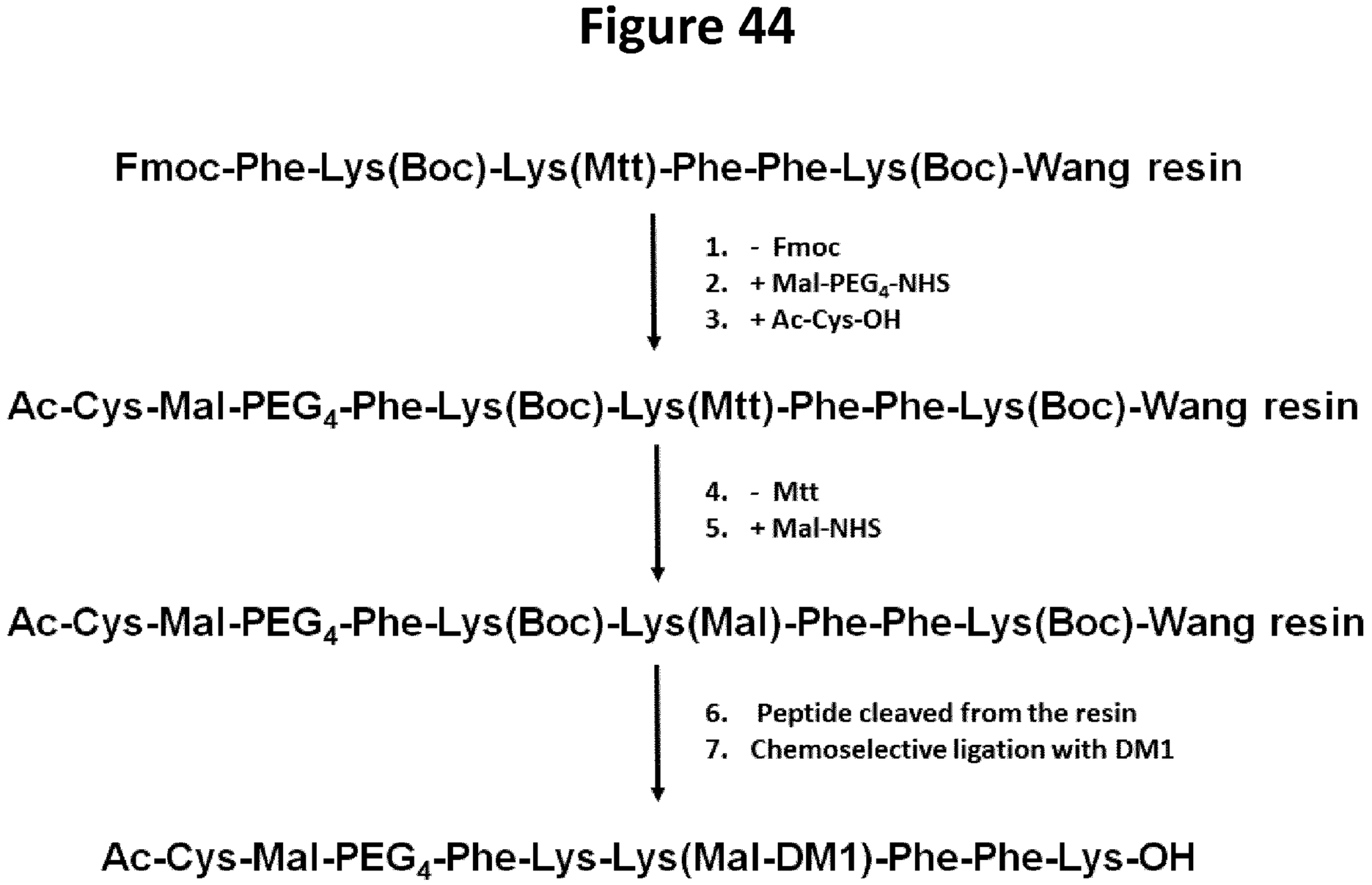


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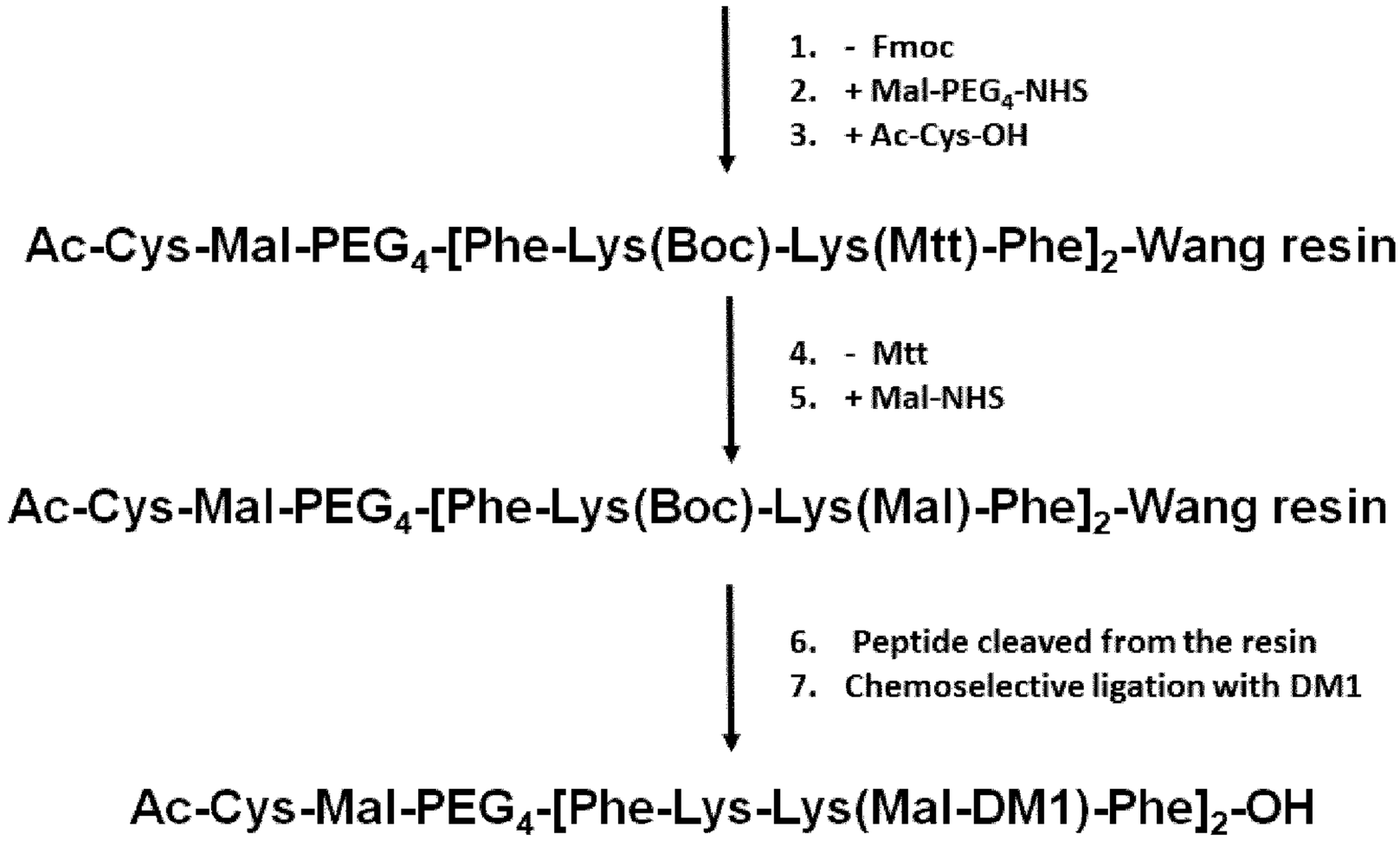


Figure 46

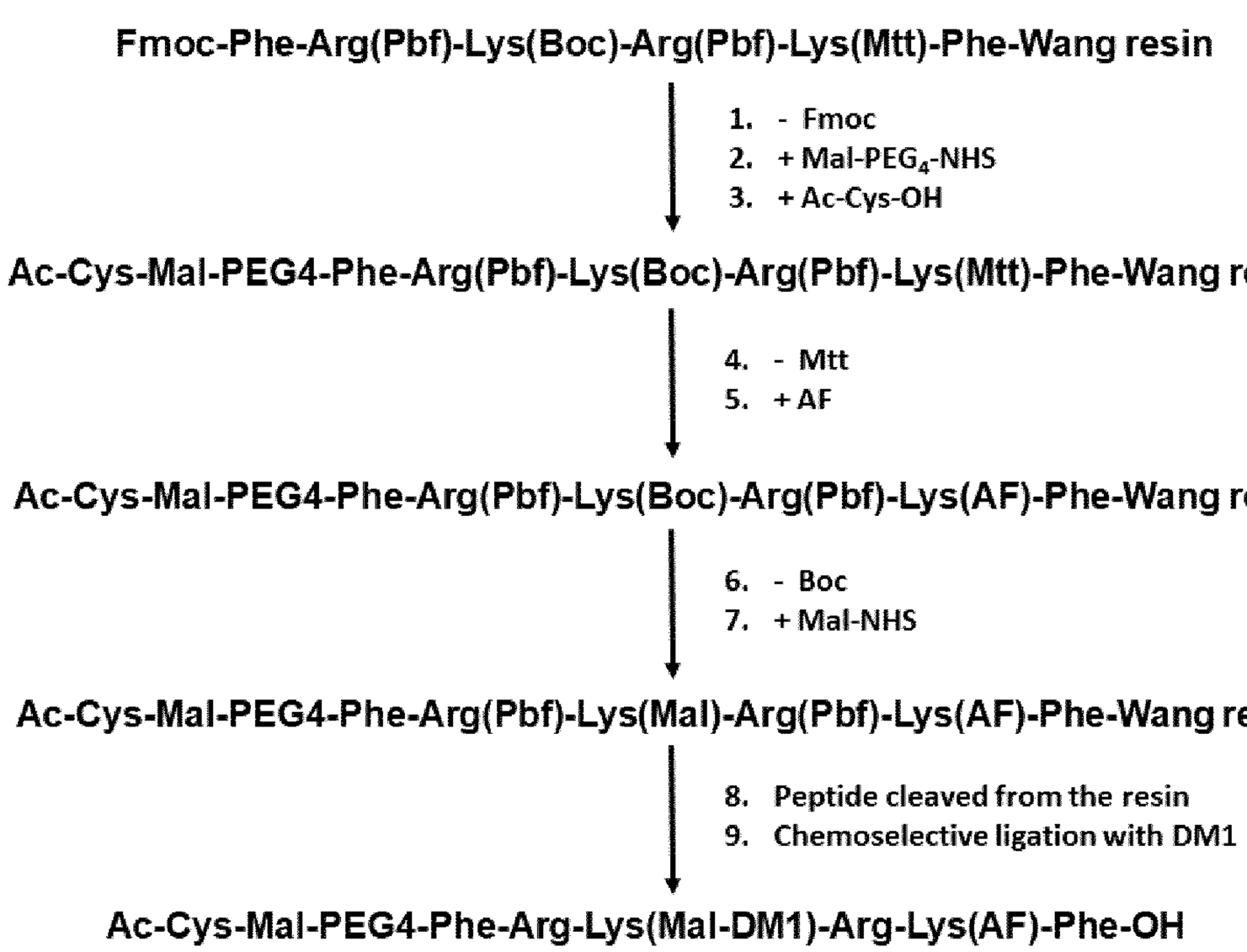


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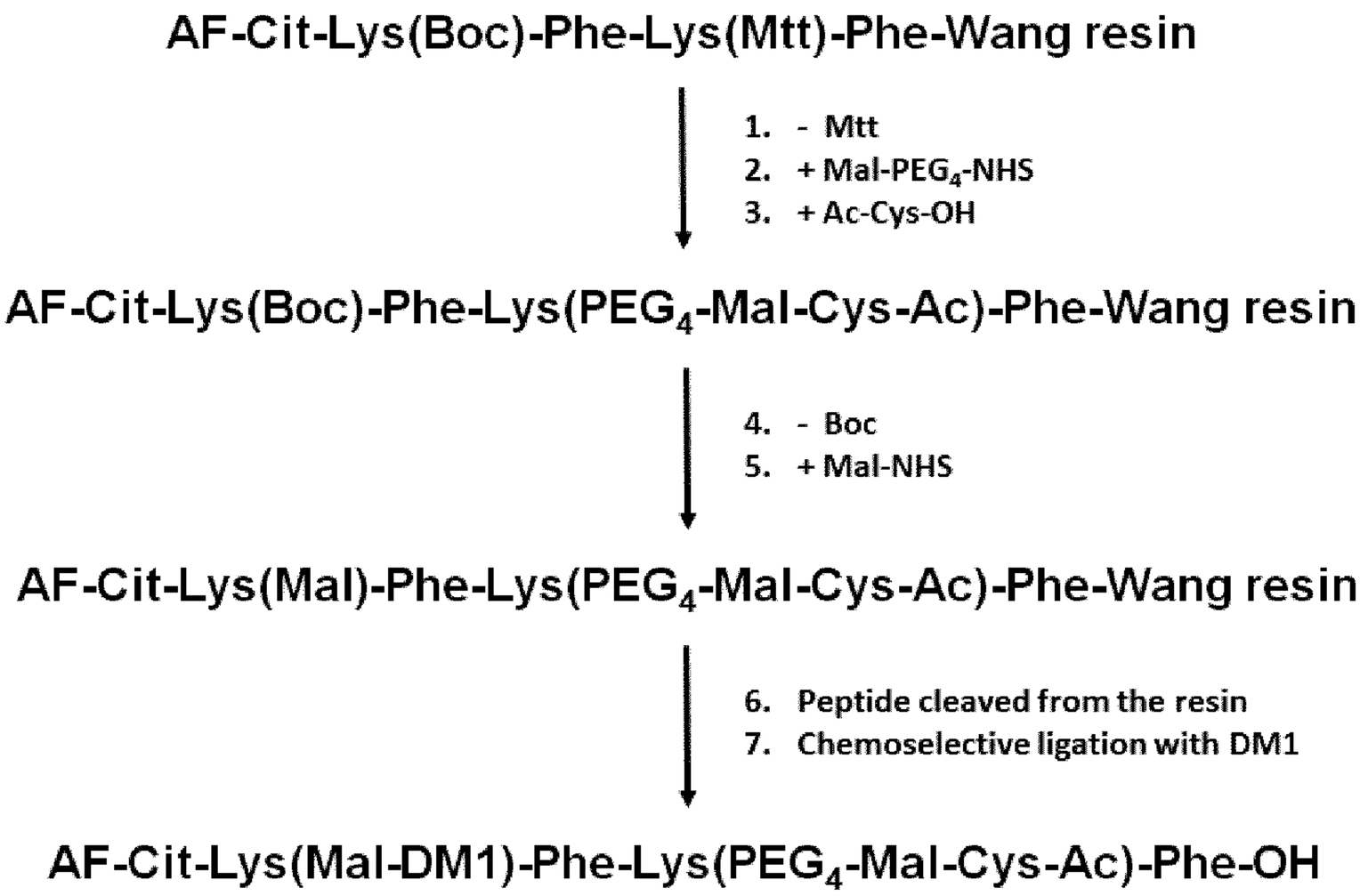


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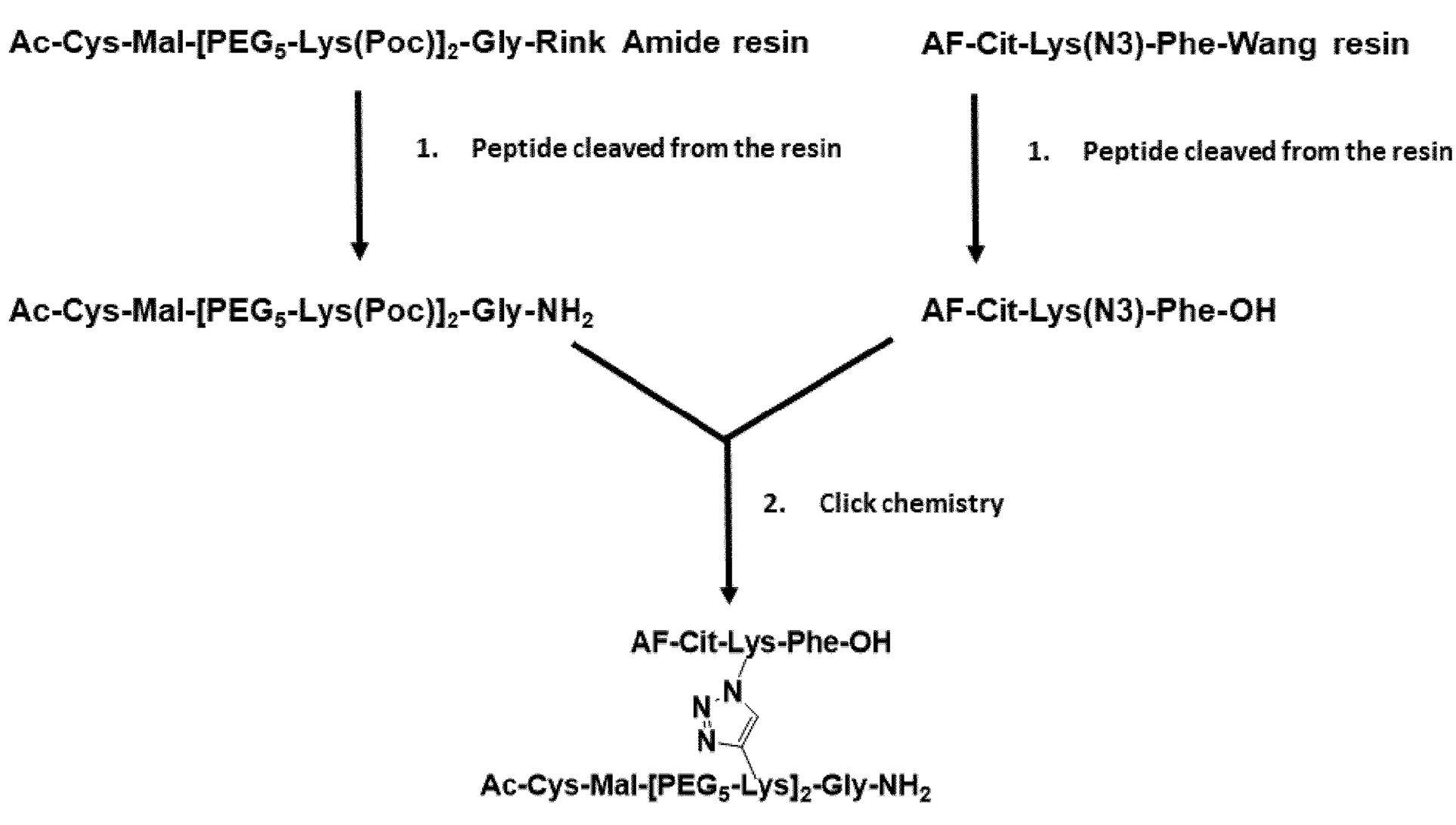


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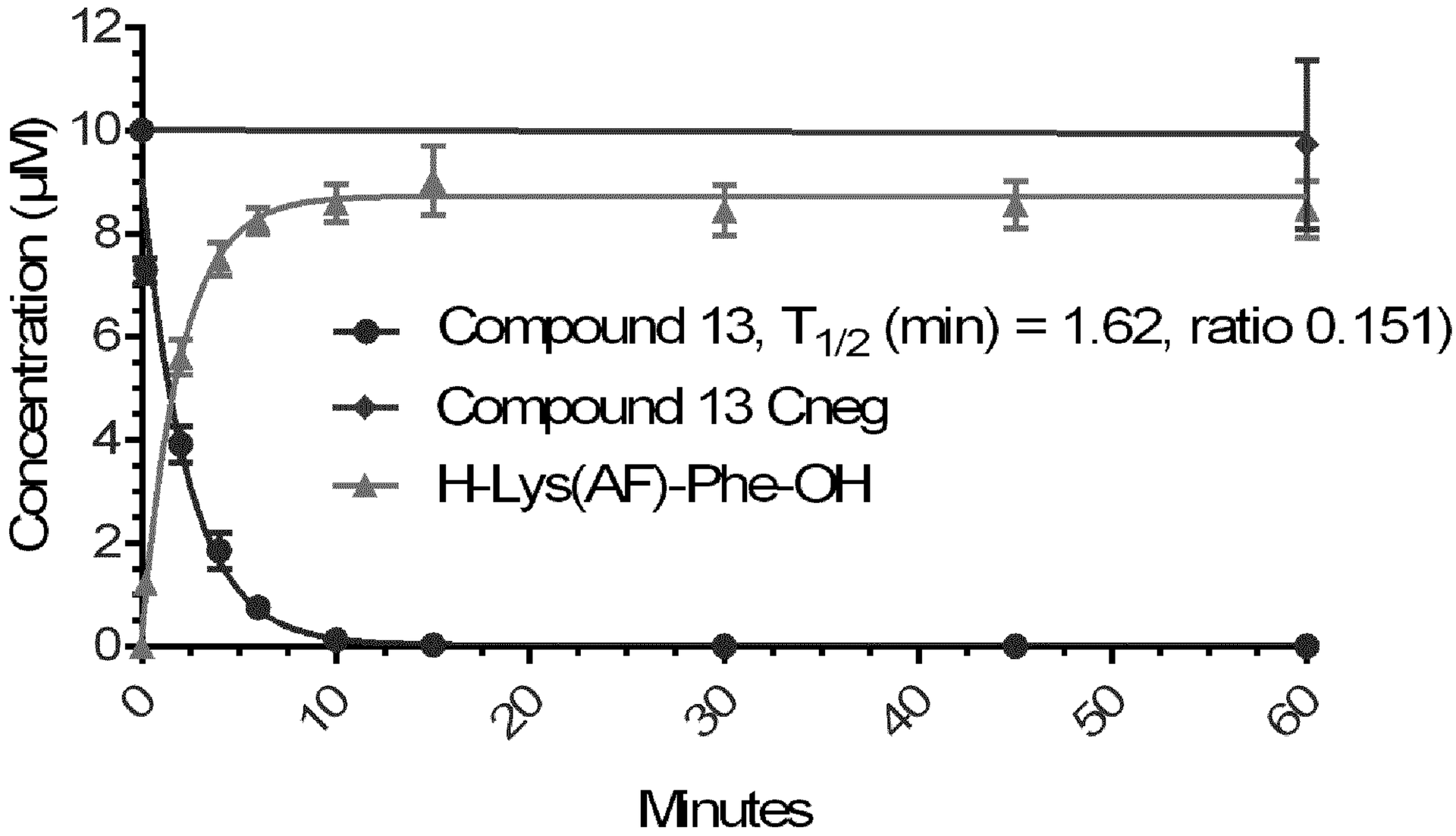


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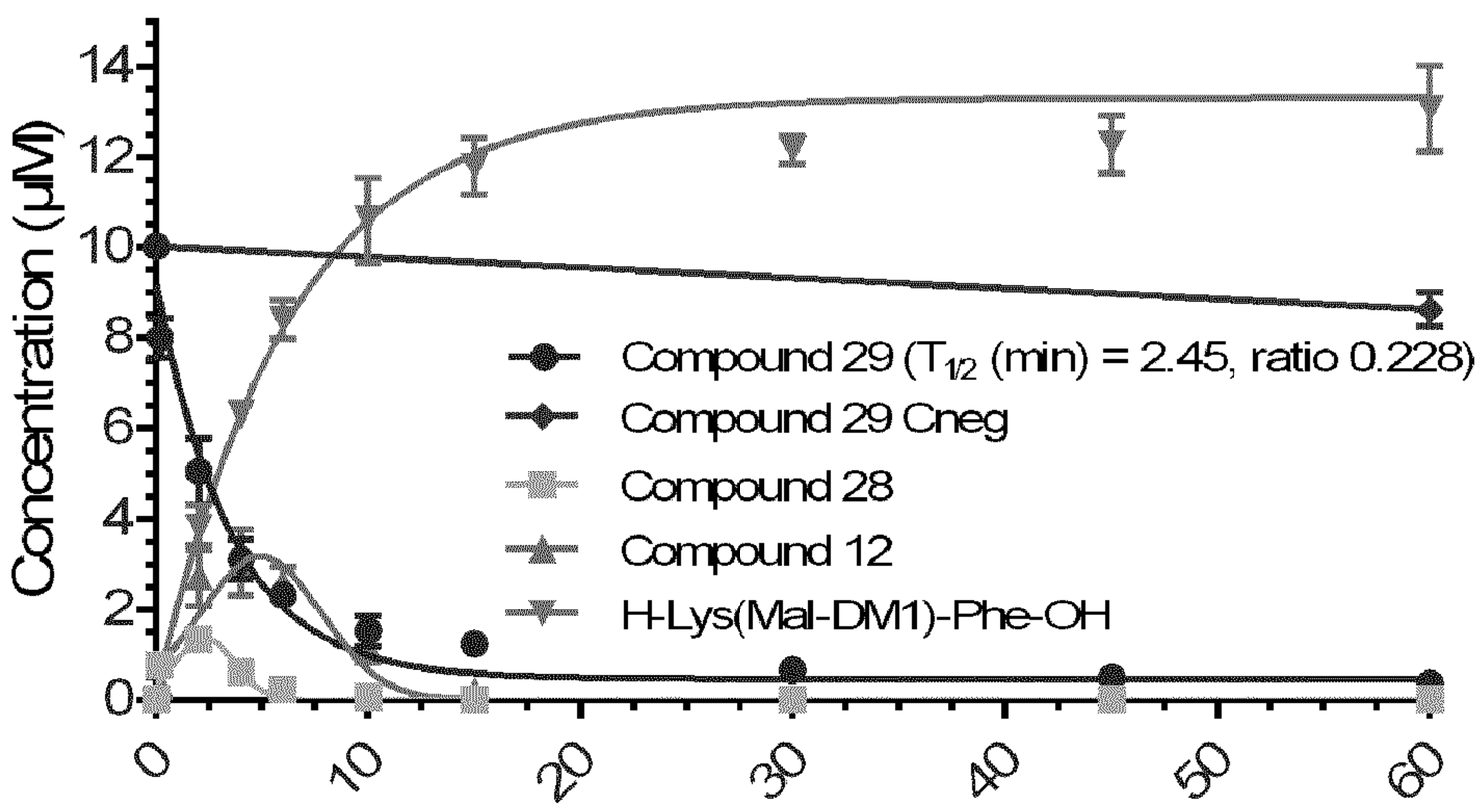


Figure 51

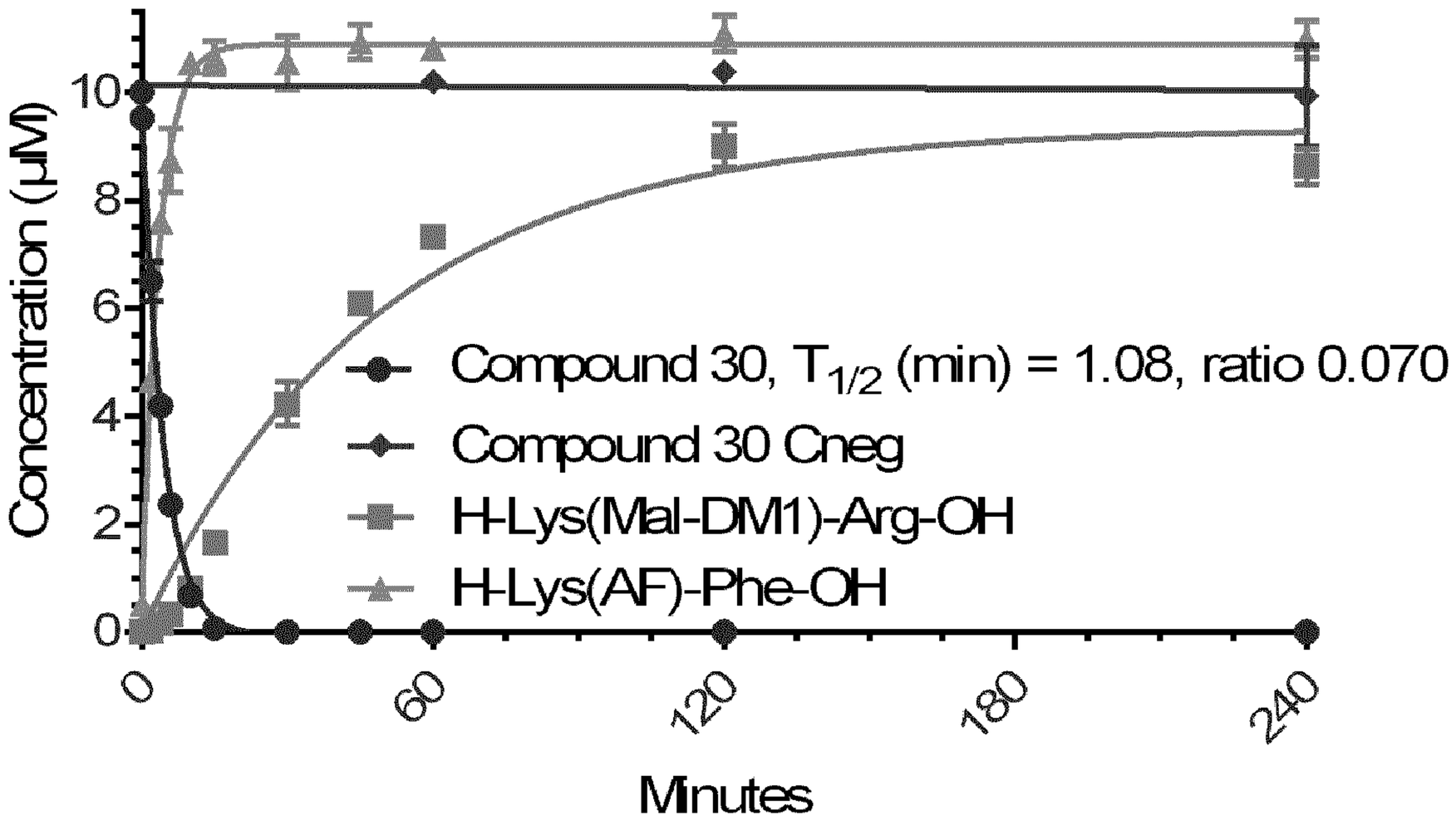


Figure 52

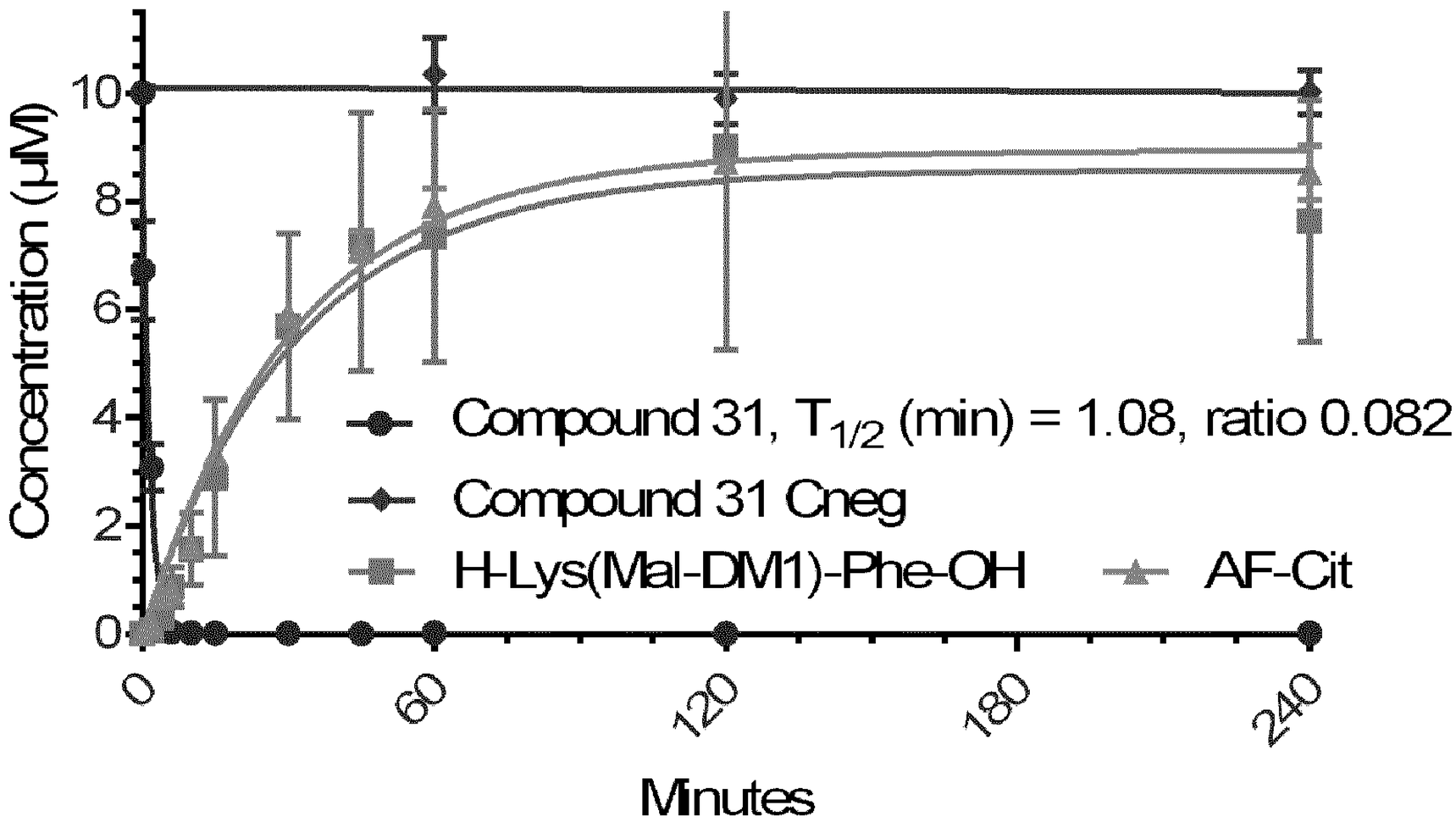


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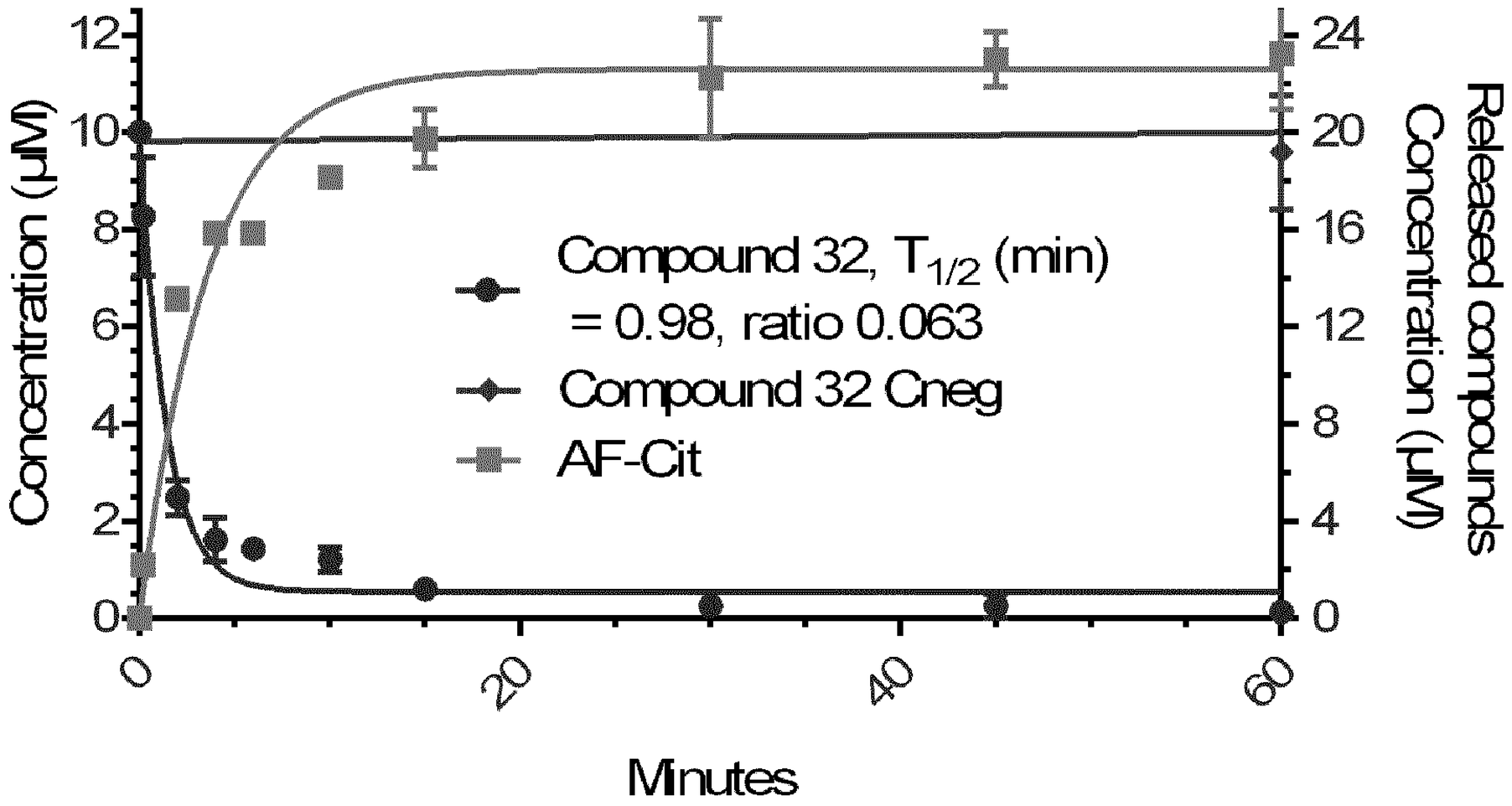


Figure 54

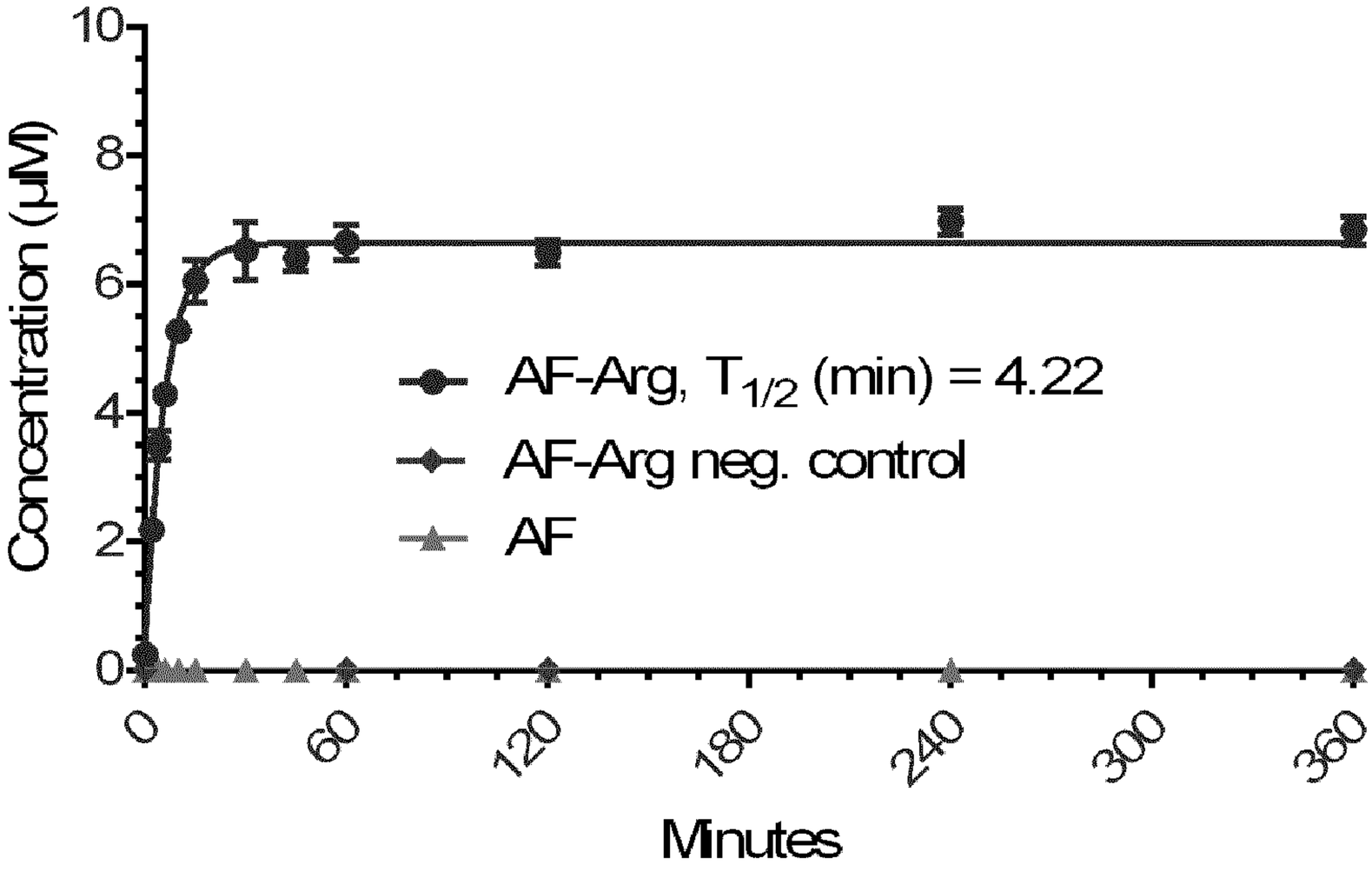


Figure 55

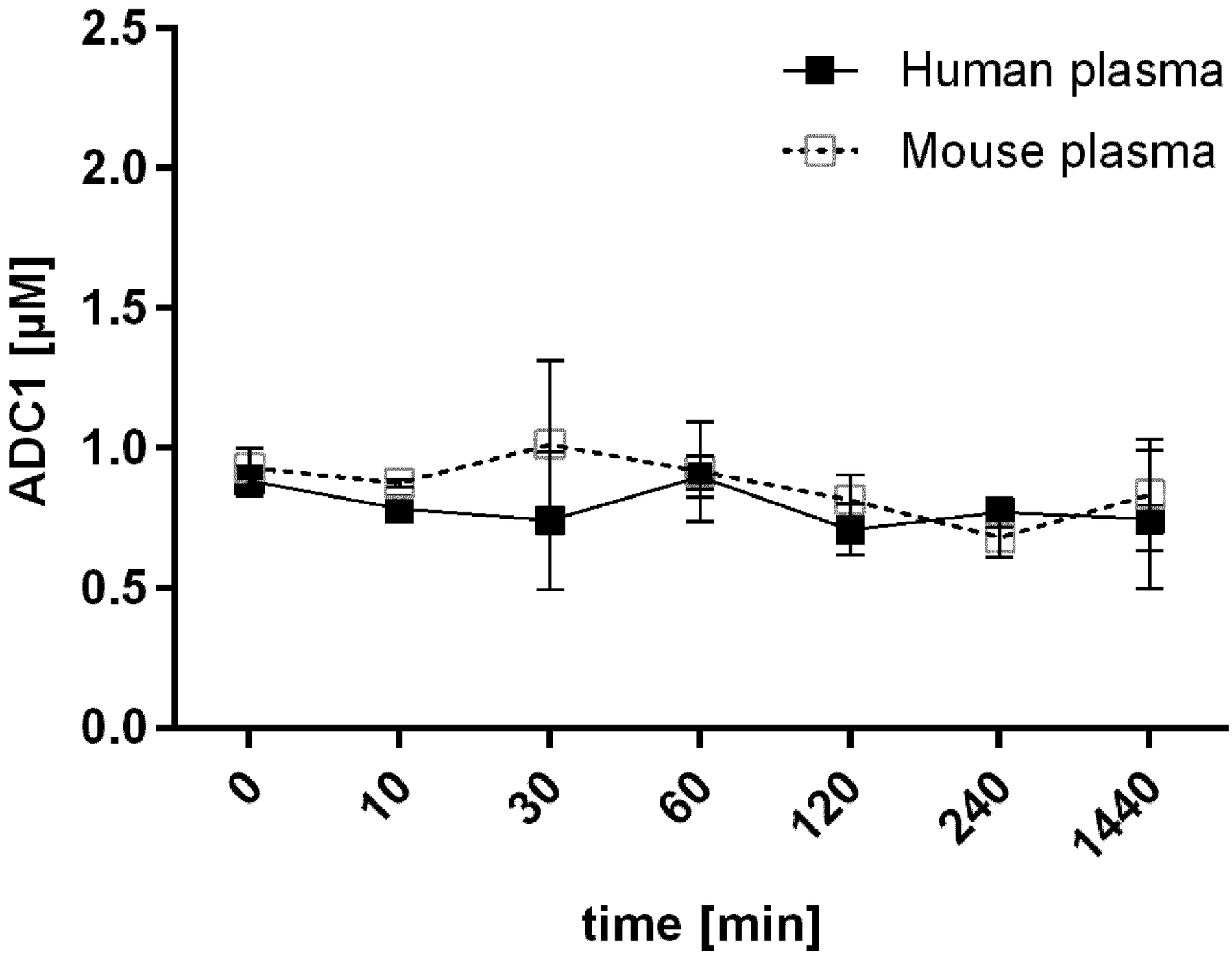


Figure 56: Human and Mouse plasma stability of ADC1

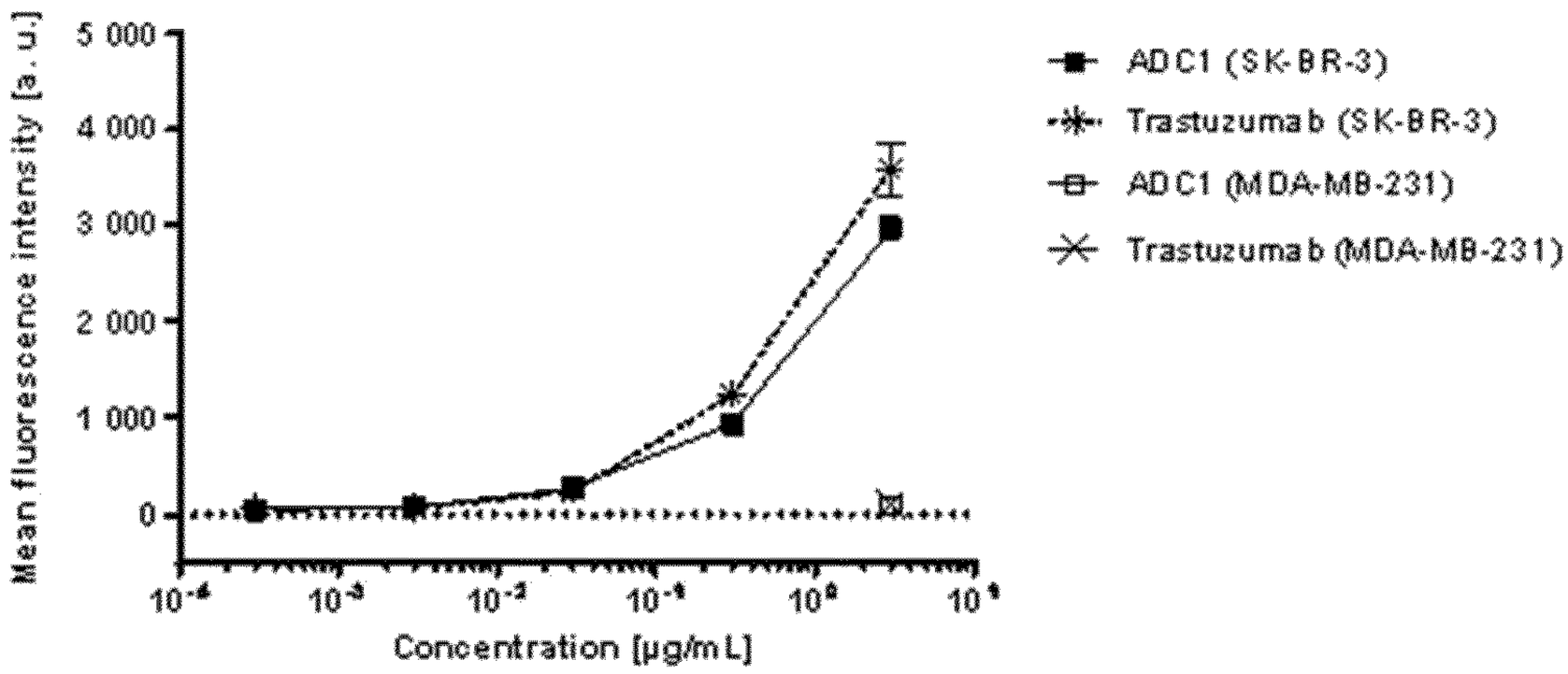


Figure 57: Binding affinity of ADC1

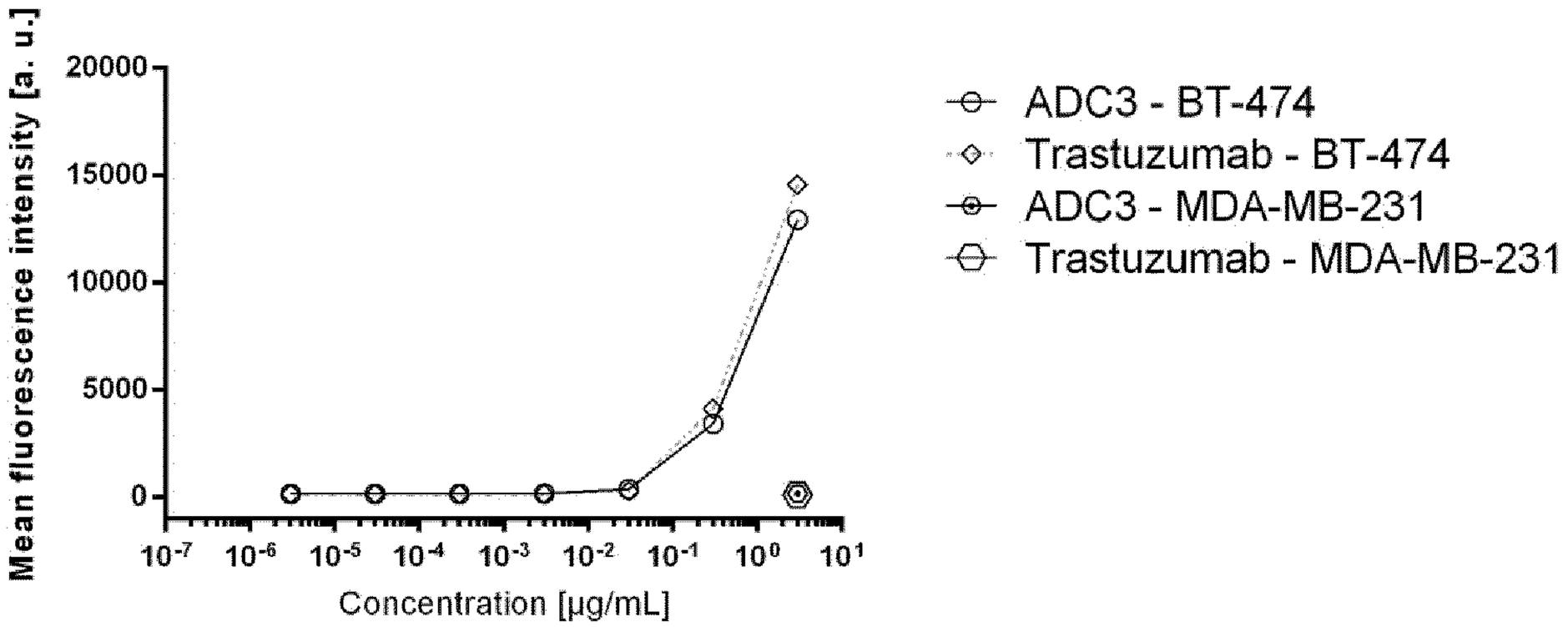
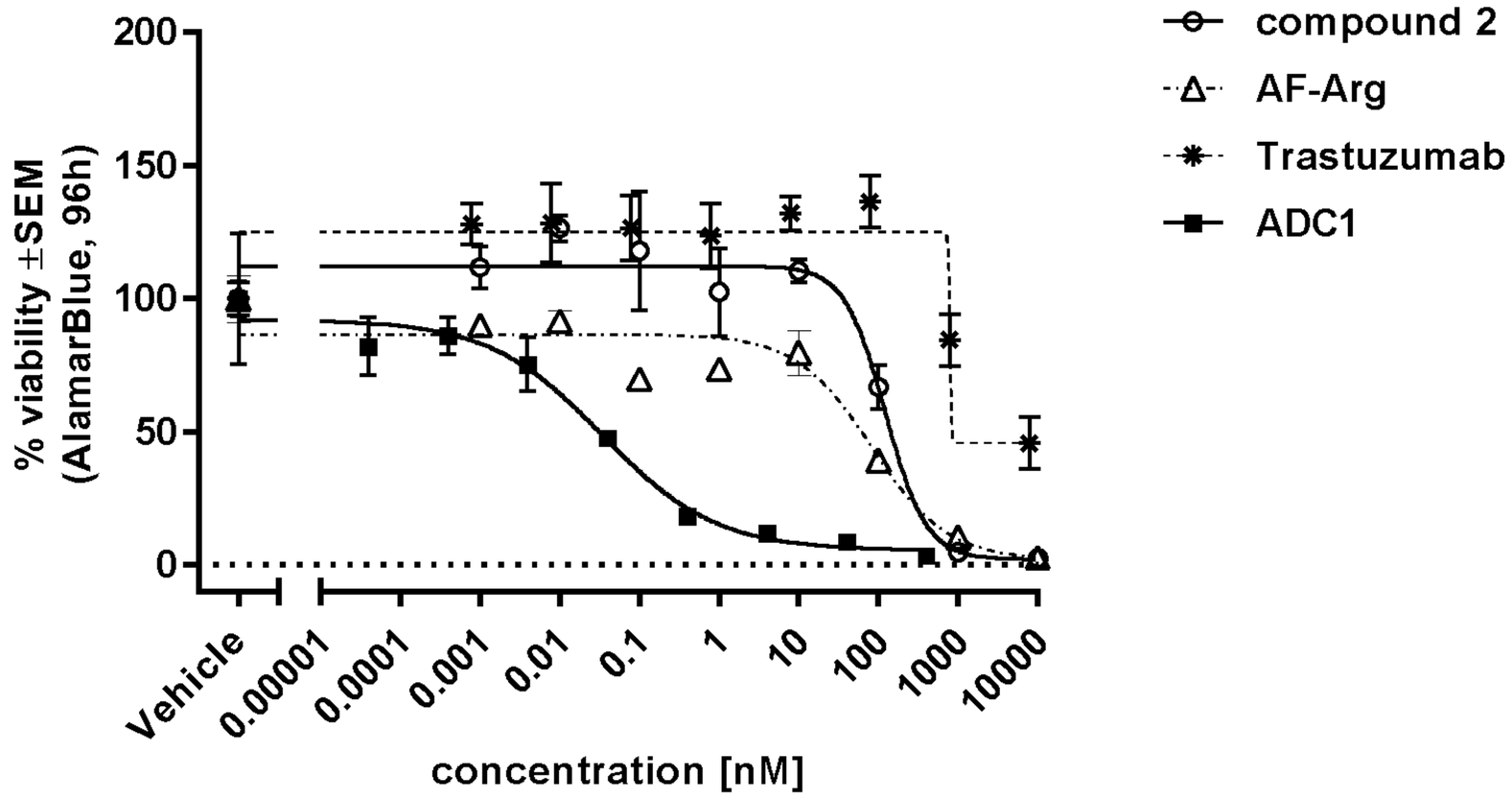


Figure 58: Binding affinity of ADC3


SK-OV-3 run1
% viability ±SEM (AlamarBlue, 96h)
200
150
100
50
0
Vehicle
0.00001
0.0001
0.001
0.01
0.1
1
10
100
1000
10000
concentration [nM]
compound 2
AF-Arg
Trastuzumab
ADC1

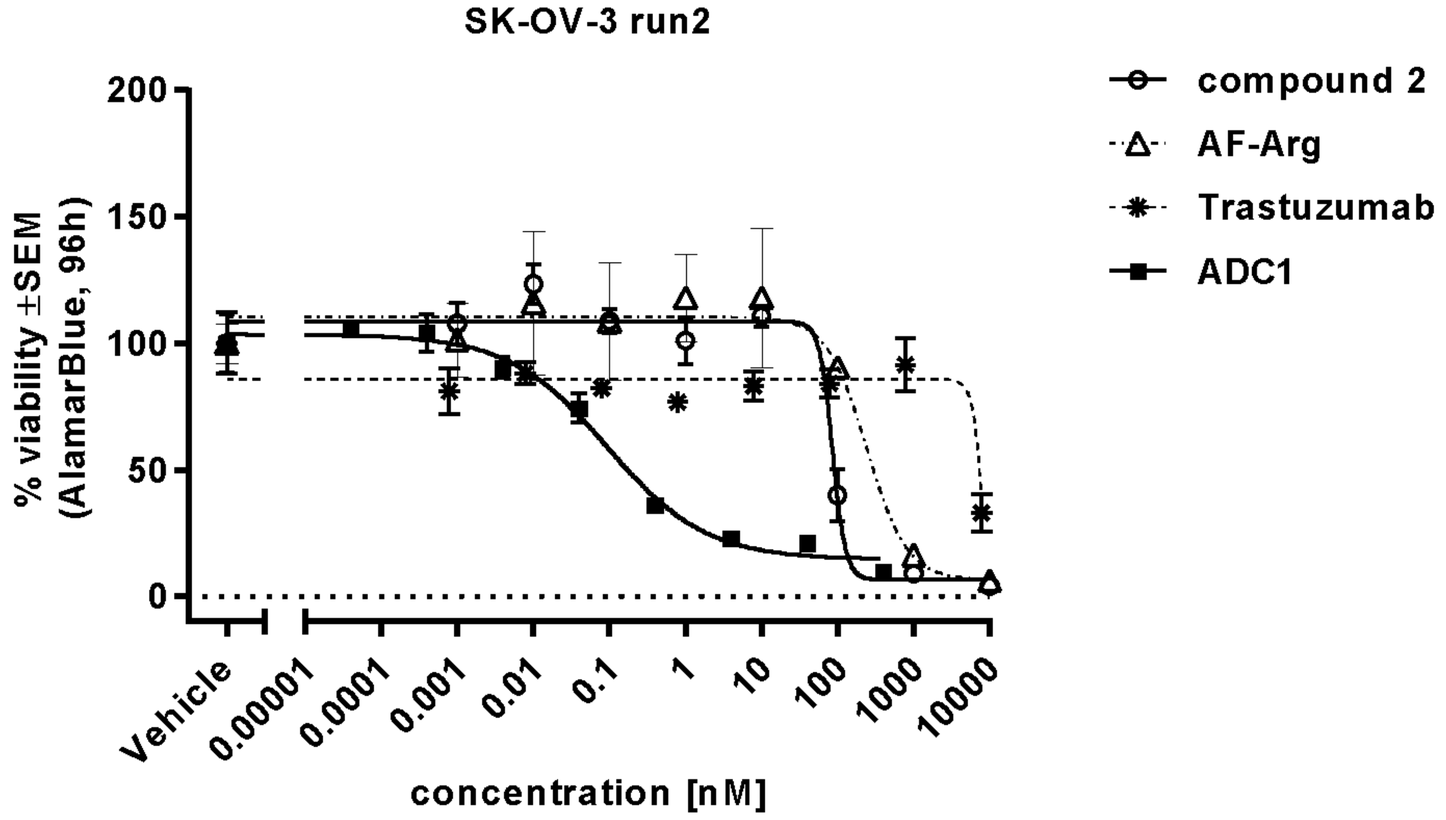
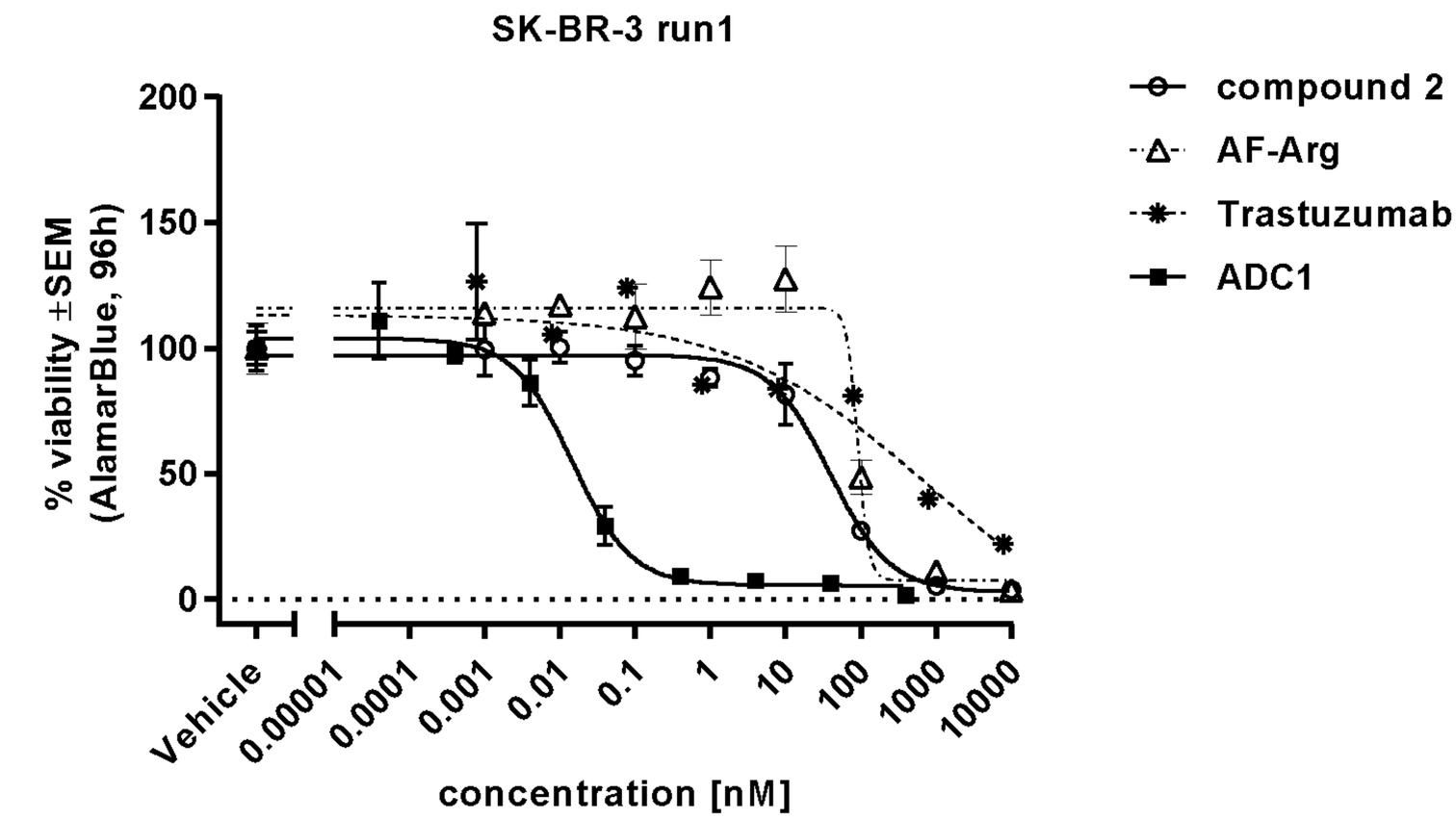

SK-OV-3 run2
% viability ±SEM (AlamarBlue, 96h)
200
150
100
50
0
Vehicle
0.00001
0.0001
0.001
0.01
0.1
1
10
100
1000
10000
concentration [nM]
compound 2
AF-Arg
Trastuzumab
ADC1

Figure 59a


SK-BR-3 run1
% viability ±SEM (AlamarBlue, 96h)
200
150
100
50
0
Vehicle
0.00001
0.0001
0.001
0.01
0.1
1
10
100
1000
10000
concentration [nM]
compound 2
AF-Arg
Trastuzumab
ADC1

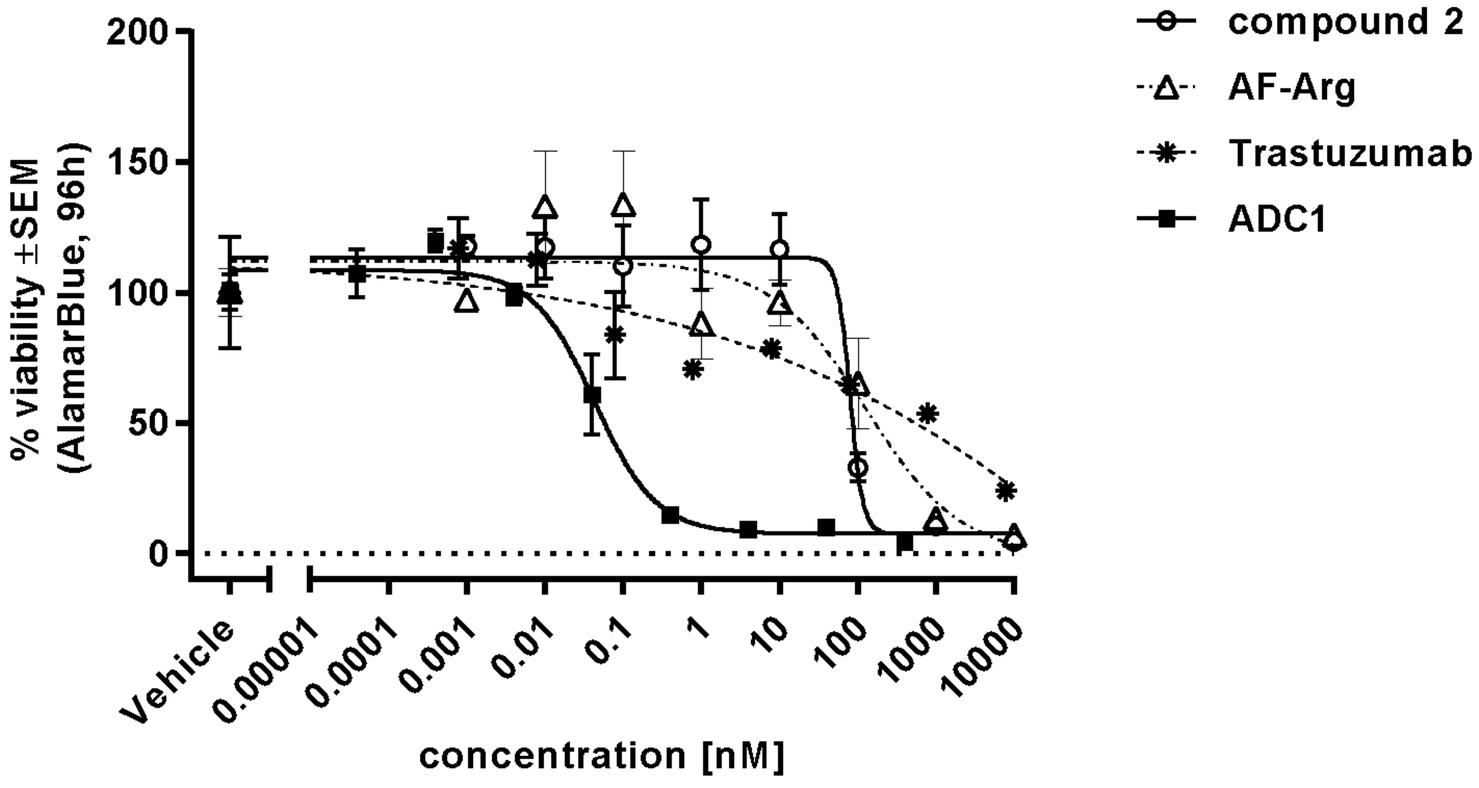
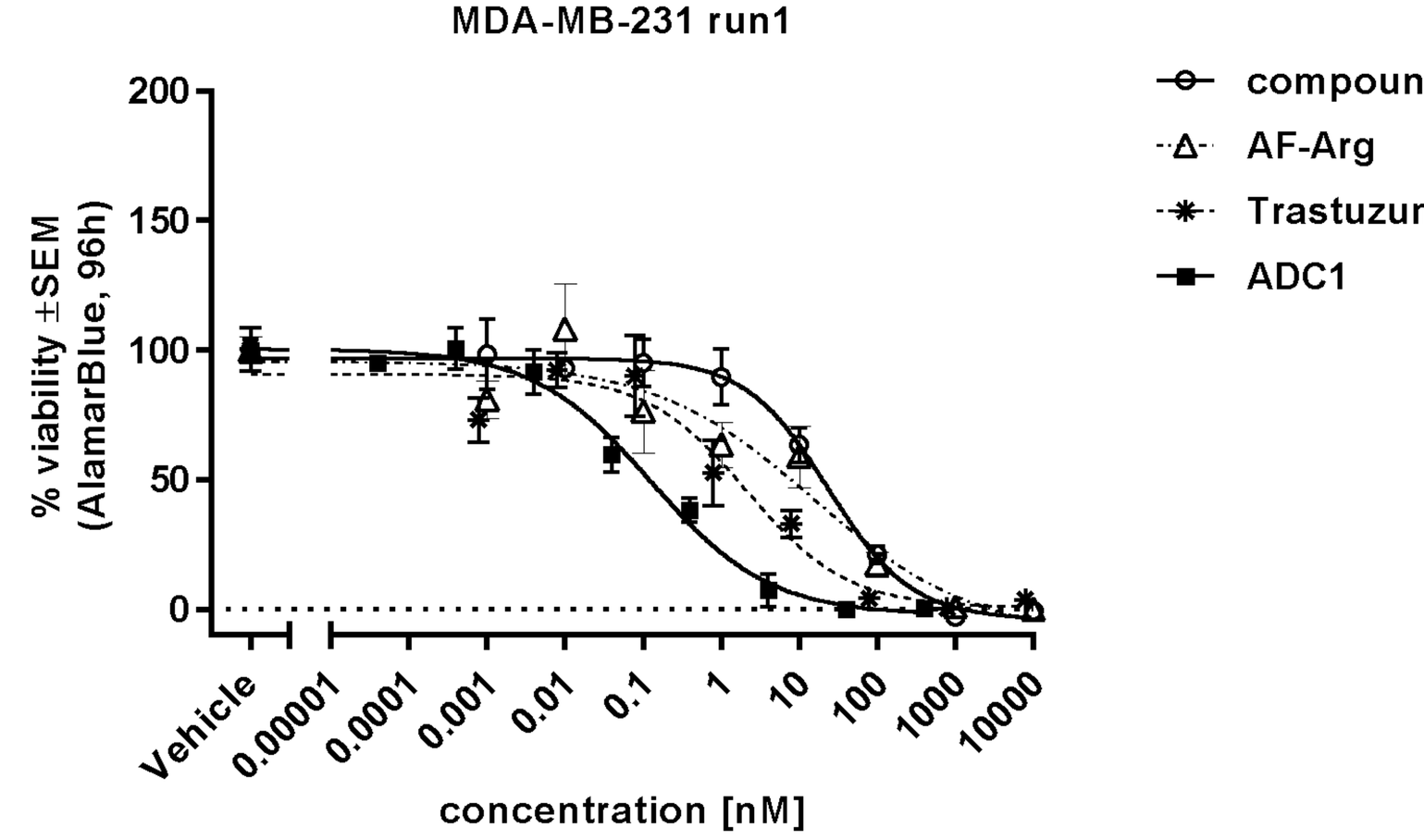

SK-BR-3 run2
% viability ±SEM (AlamarBlue, 96h)
200
150
100
50
0
Vehicle
0.00001
0.0001
0.001
0.01
0.1
1
10
100
1000
10000
concentration [nM]
compound 2
AF-Arg
Trastuzumab
ADC1

Figure 59b



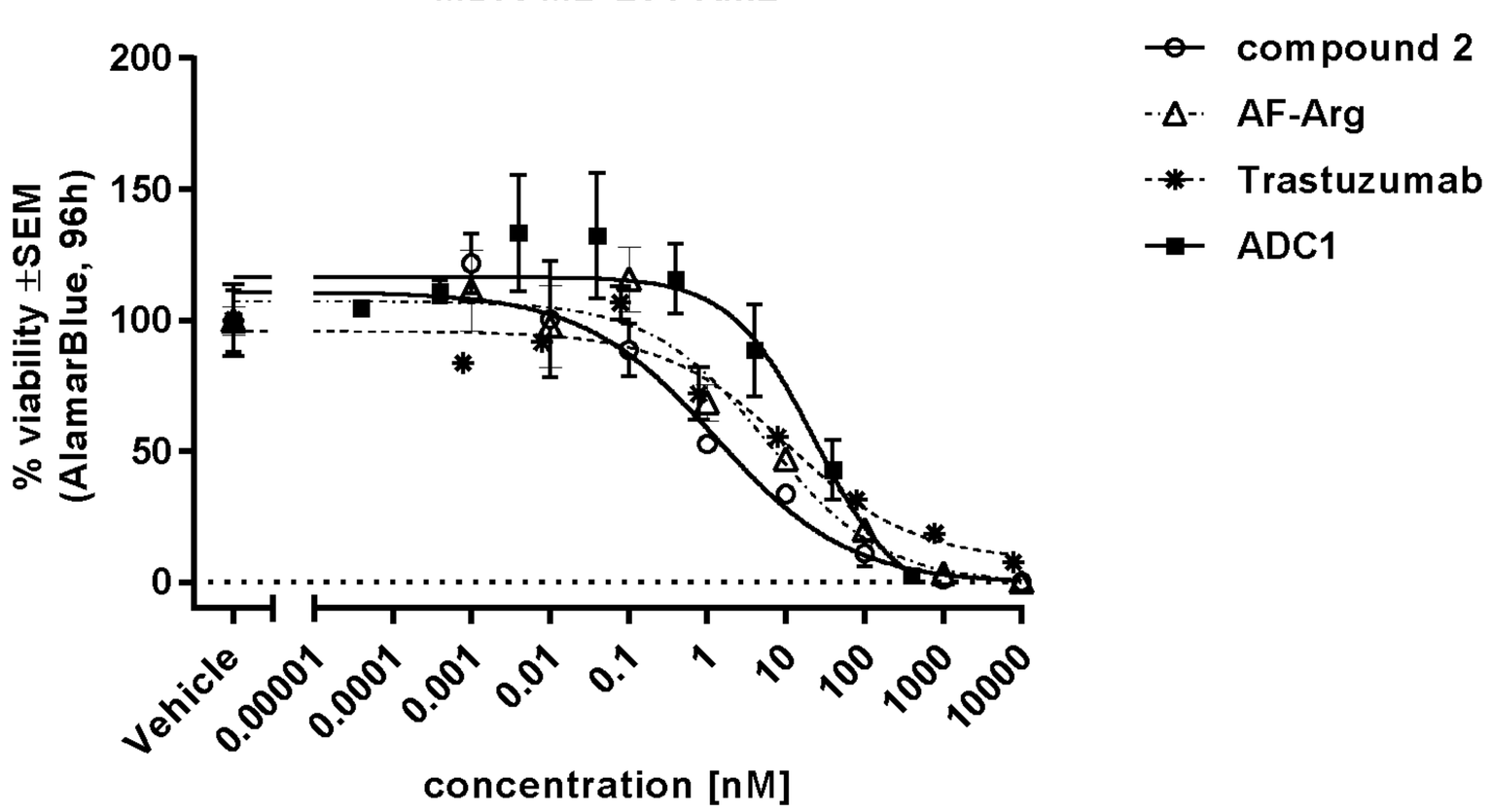


Figure 59c: Cytotoxic activity of ADC1

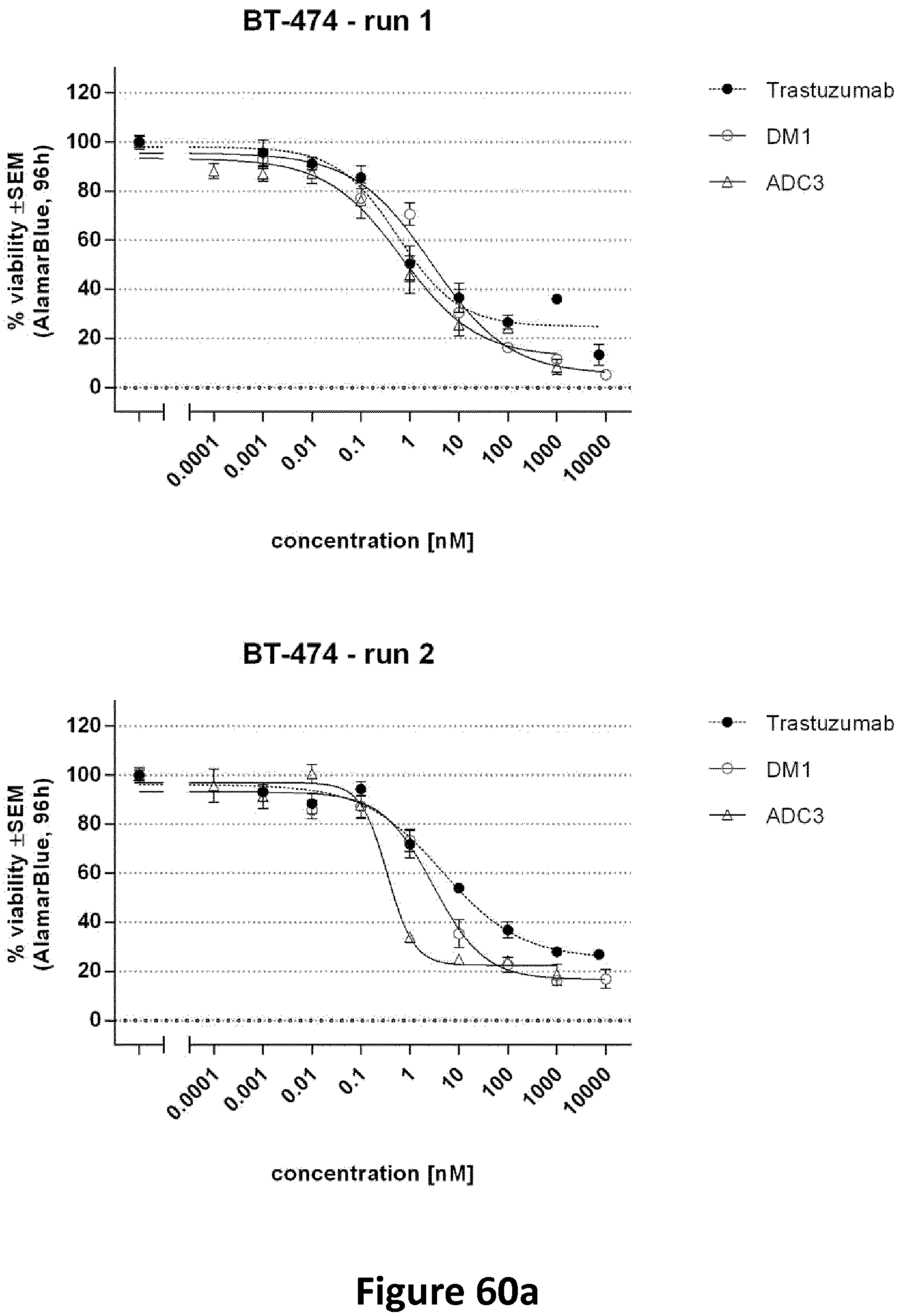

BT-474 - run 1
% viability ±SEM (AlamarBlue, 96h)
120
100
80
60
40
20
0
0.0001
0.001
0.01
0.1
1
10
100
1000
10000
concentration [nM]
Trastuzumab
DM1
ADC3
BT-474 - run 2
% viability ±SEM (AlamarBlue, 96h)
120
100
80
60
40
20
0
0.0001
0.001
0.01
0.1
1
10
100
1000
10000
concentration [nM]
Trastuzumab
DM1
ADC3

Figure 60a

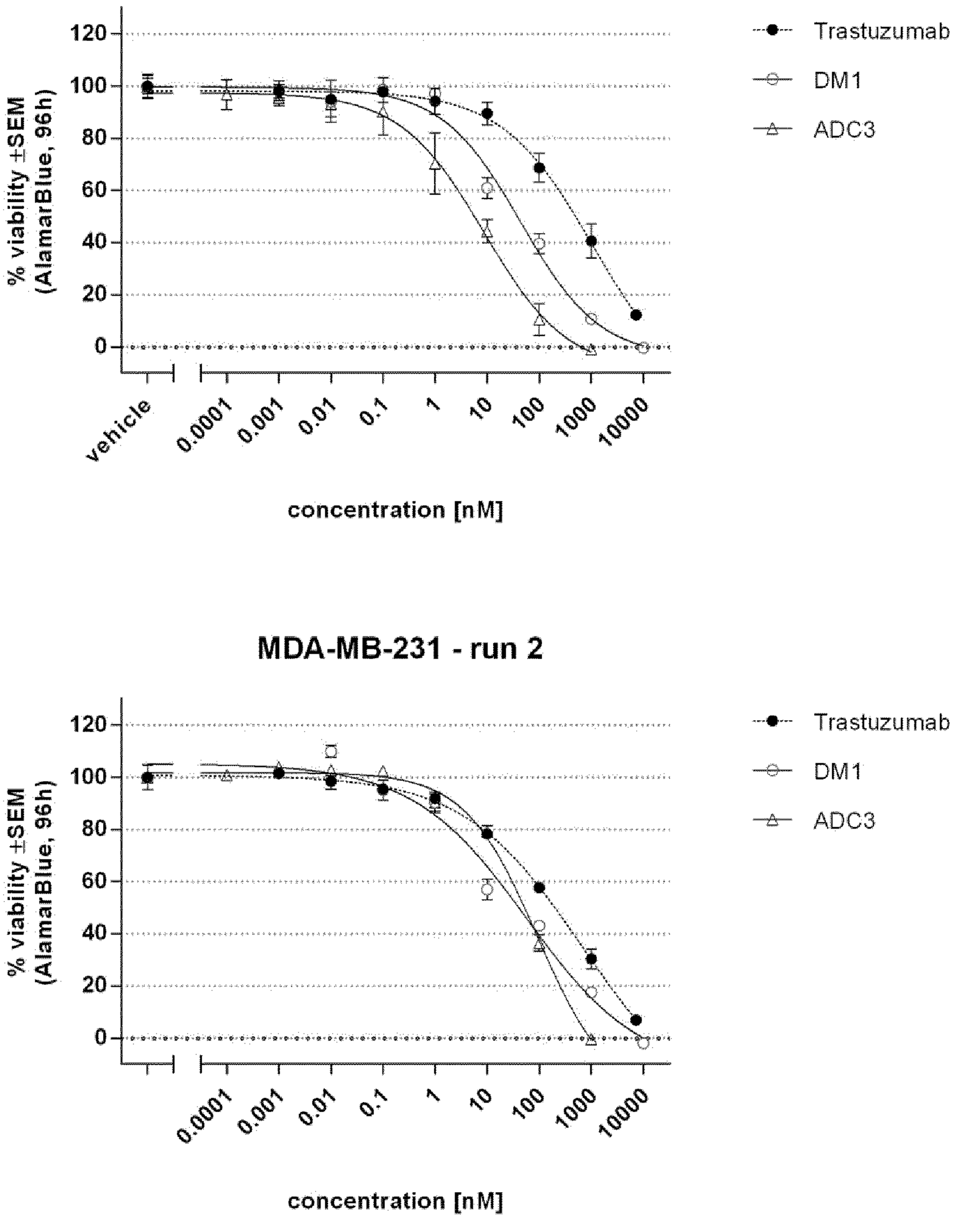


Figure 60b: Cytotoxic activity of ADC3