

Photoschaltbare Azopeptidkatalysatoren zur regioselektiven Acylierung

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Bisherige Ergebnisse:

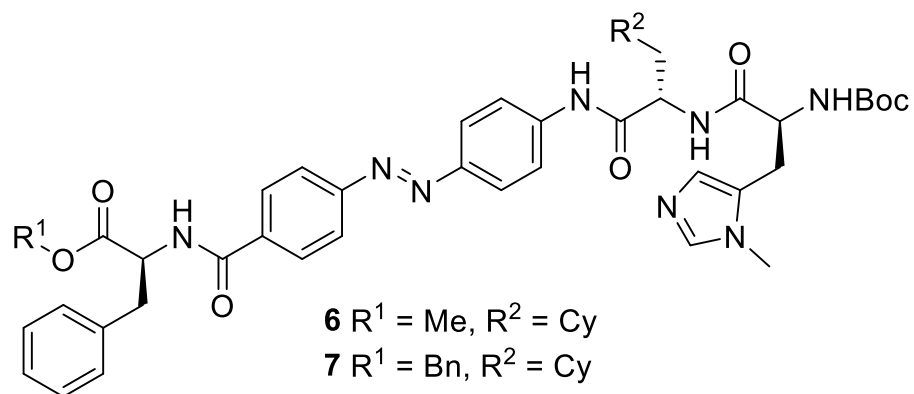
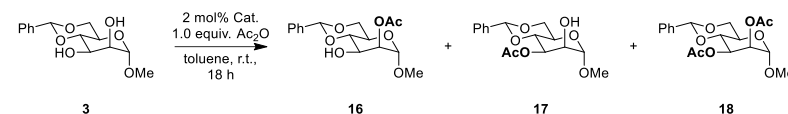


Table 2. Acetylation of (4,6-*O*-benzylidene)methyl- α -D-mannopyranoside **3**.

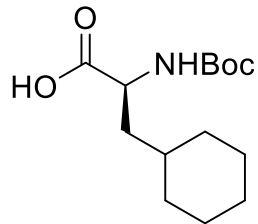


Catalyst	16 ^[a]	17 ^[a]	18 ^[a]	Yield / % ^[a]
-	-	-	-	0
6	2.3	1.1	1.0	62
6 (448 nm) ^[b]	1.8	1.0	1.0	66
6 (365 nm)	1.0	4.7	3.4	61
6 (365 nm) ^[c]	1.0	19.8	10.1	74
6 (365 nm) ^[b]	1.0	7.4	3.2	66
7	1.7	1.0	1.1	68
7 (365 nm) ^[c]	1.0	11.9	7.0	77

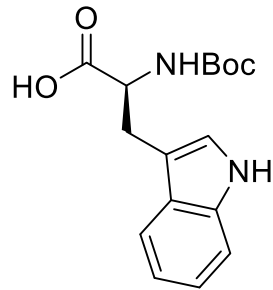
[a] Yield and ratio determined via NMR. [b] 10 min irradiation before addition of Ac₂O; reaction itself was carried out in the dark. [c] Reaction was carried out at 0°C.



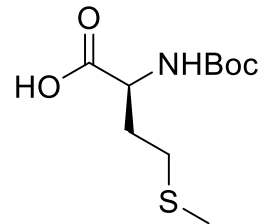
- Synthese und Tests neuartiger Katalysatoren, durch Einbau anderer Aminosäuren.
- Erweiterung des Substratpools, sowie Darstellung derer Standards.



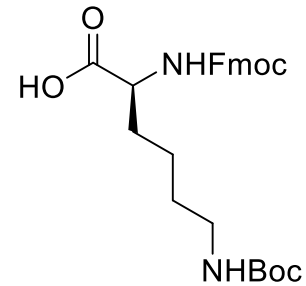
Cha



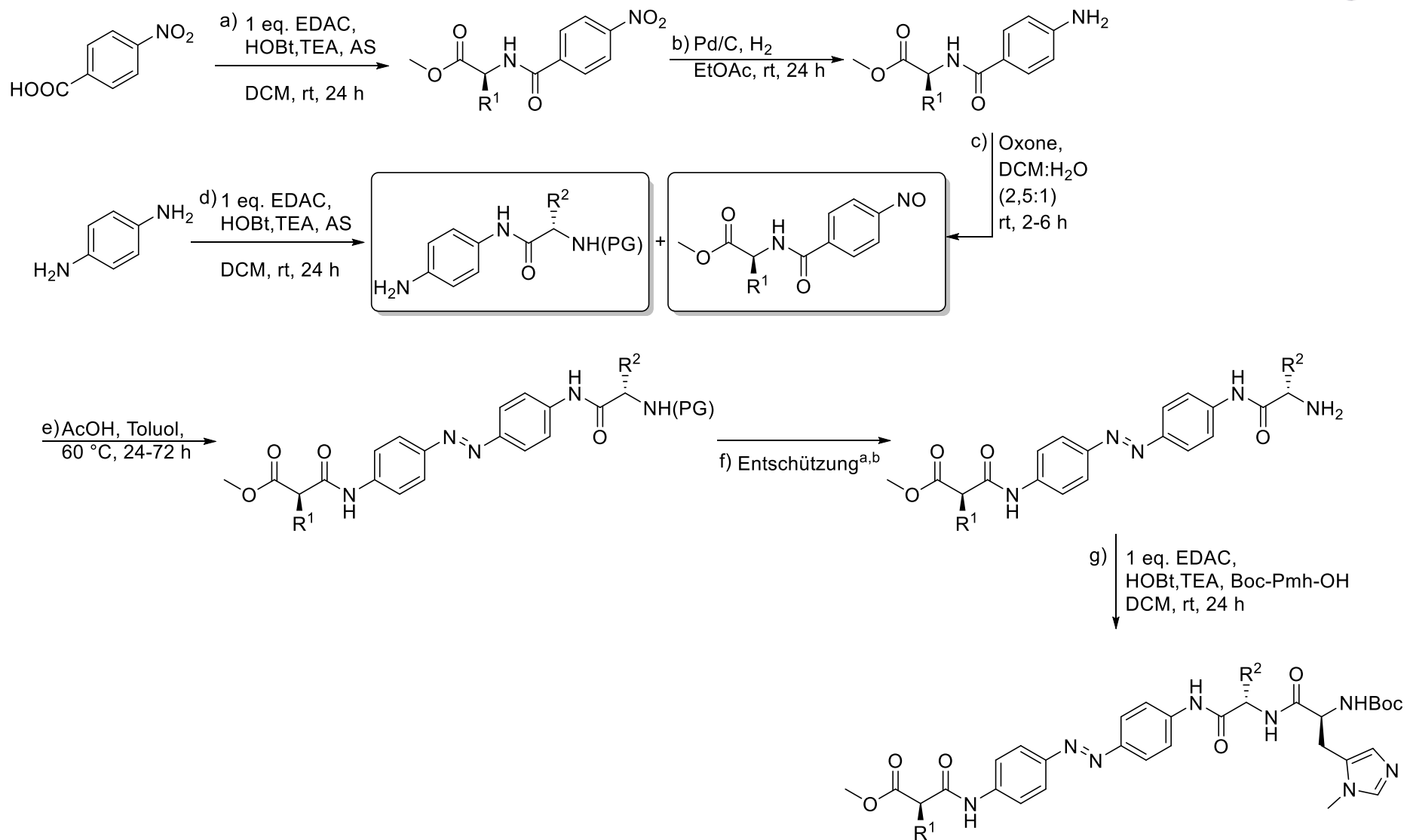
Trp



Met



Lys



AS: Aminosäure

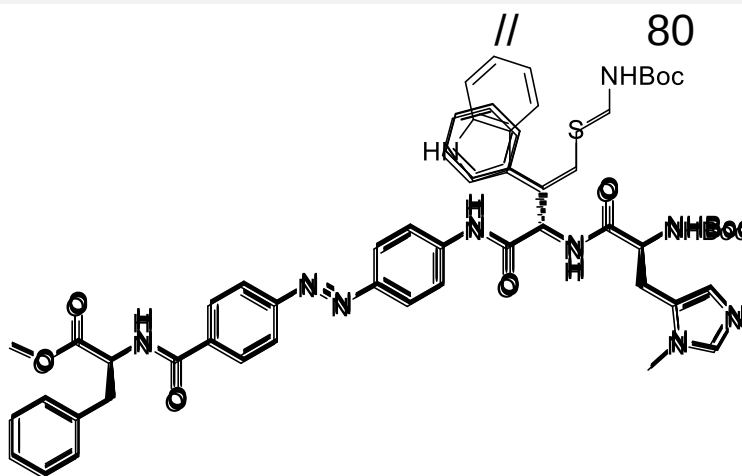
^aNHBoc: HCl/Dioxan, rt, 1 h

^bNHFmoc: Piperidin (20%), DCM, rt, 24 h



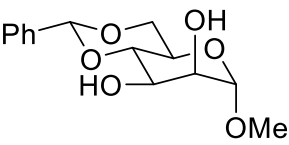
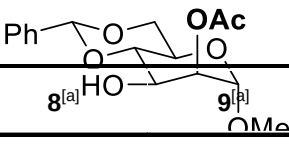
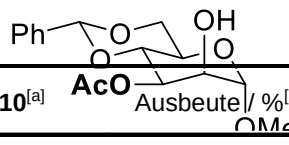
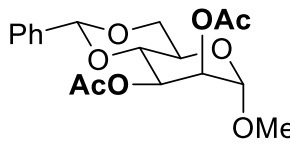
Ausbeuten über 7 Stufen:

AS / Ausbeute/%	a)	b)	c)	d)	e)	f)	g)	Gesamt
OMe-Phe-NH ₂	84	85	82					
(1) Boc-Met-OH				91	30	>99	74	12
(2) Boc-Trp-OH				52	45	>99	84	12
(3) Fmoc-Lys(Boc)-OH				7	68	(>99)	/	0
(4) Boc-Phe-OH				64	48	>99	82	15
OMe-Cha-NH ₂	74	>99	29					
(5) Boc-Phe-OH				64	38	>99	57	4
(6) Boc-Cha-OH					80	>99	58	4





Katalysen:

 7	2 mol% Cat. 1.0 equiv. Ac ₂ O toluene, 0 °C 18 h		 8 ^[a]		 9 ^[b]		 10	
	1	δ	1	δ	1	δ	Ausbeute / % ^[a]	
-	-	-	-	-	-	-	0	
1	1,0	3,7	1,7	3	60			
1 (365 nm) ^[b]	1,3	1,2	1		63			
2	2,7	1,3	1,0		41			
2 (365 nm) ^[b]	1,0	2,4	1,0		55			
3	/	/	/		/			
3 (365 nm) ^[b]	/	/	/		/			
4	1,9	1,5	1,0		56			
4 (365 nm) ^[b]	1,0	5,1	3,1		66			
5	1,5	1,0	1,0		68			
5 (365 nm) ^[b]	1,0	3,7	2,1		57			
6	1,7	1,2	1,0		63			
6 (365 nm) ^[b]	1,0	6,8	3,1		72			

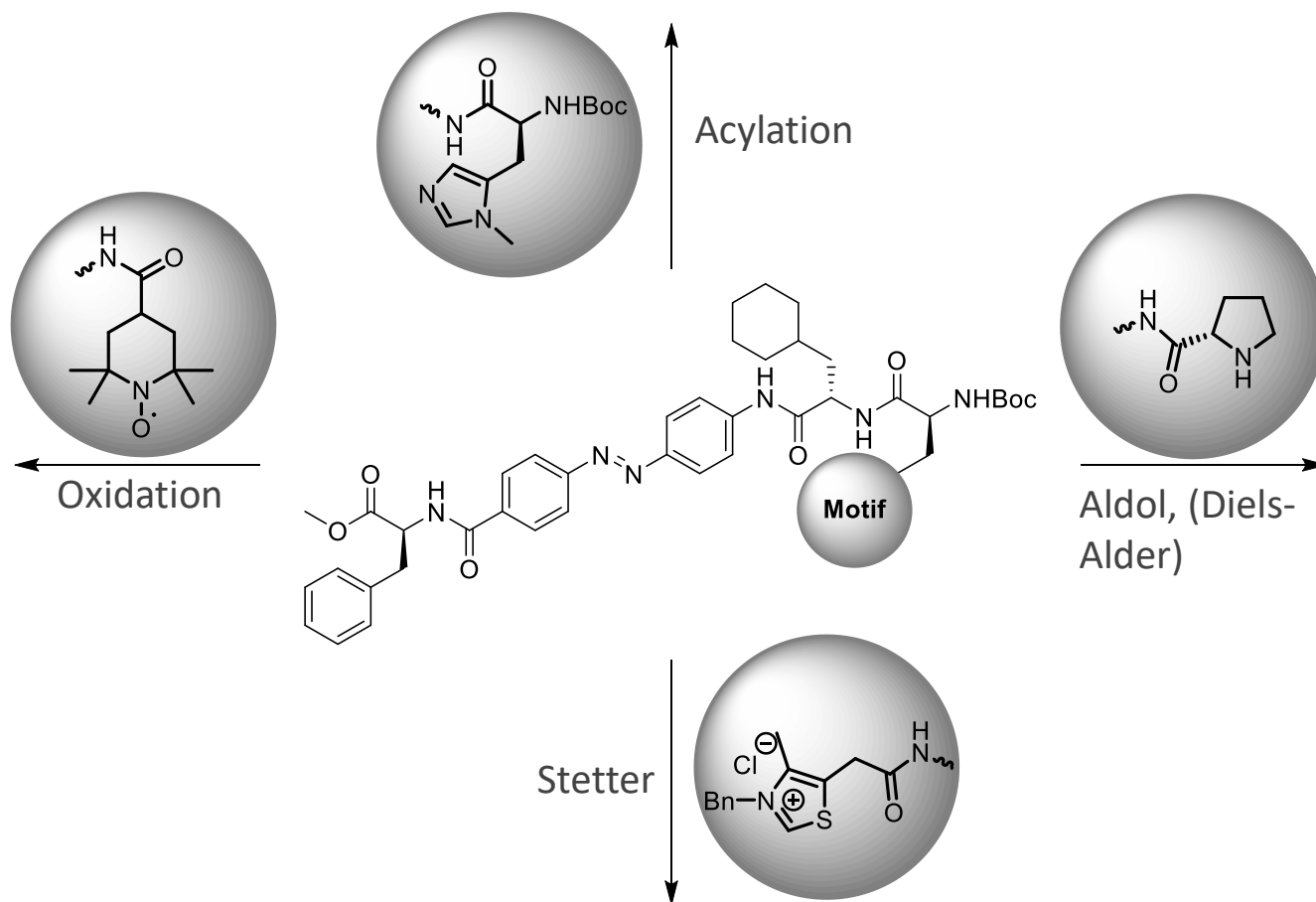
[a]: Ausbeuten und Verhältnisse wurden via NMR bestimmt.

[b]: Reaktionen wurden bei 0 ° C durchgeführt.



Masterthesis:

- Variation des katalytischen Motivs, zur Untersuchung weiterer Reaktionen.*





Substratpool:



- *Prof. Dr. Peter R. Schreiner*
- *AG Schreiner*
- *B204 & B205*
- *Dominik*

