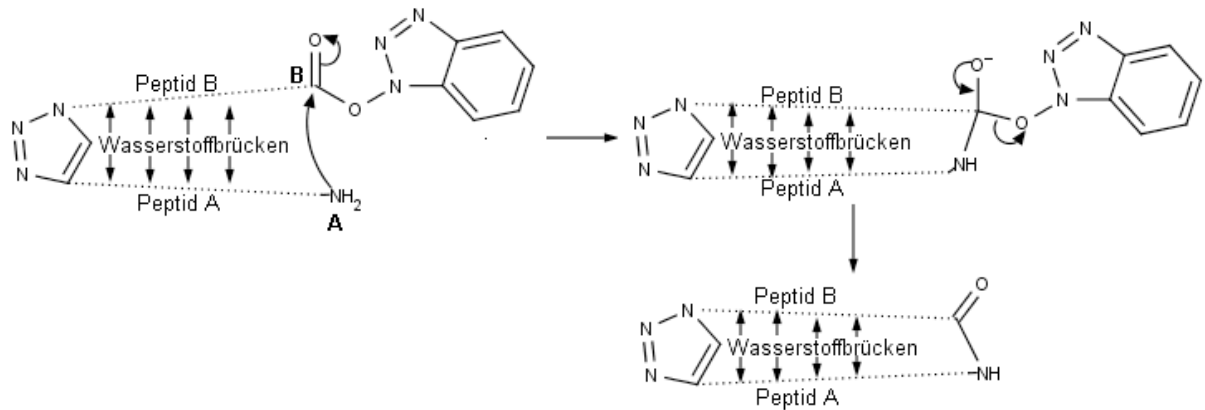




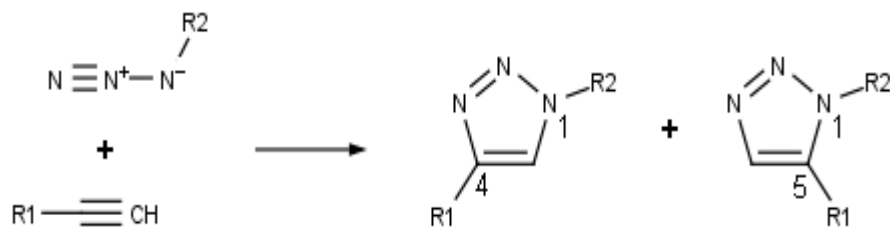
1.3-dipolare Cycloaddition von einem Azid und einem Alkin



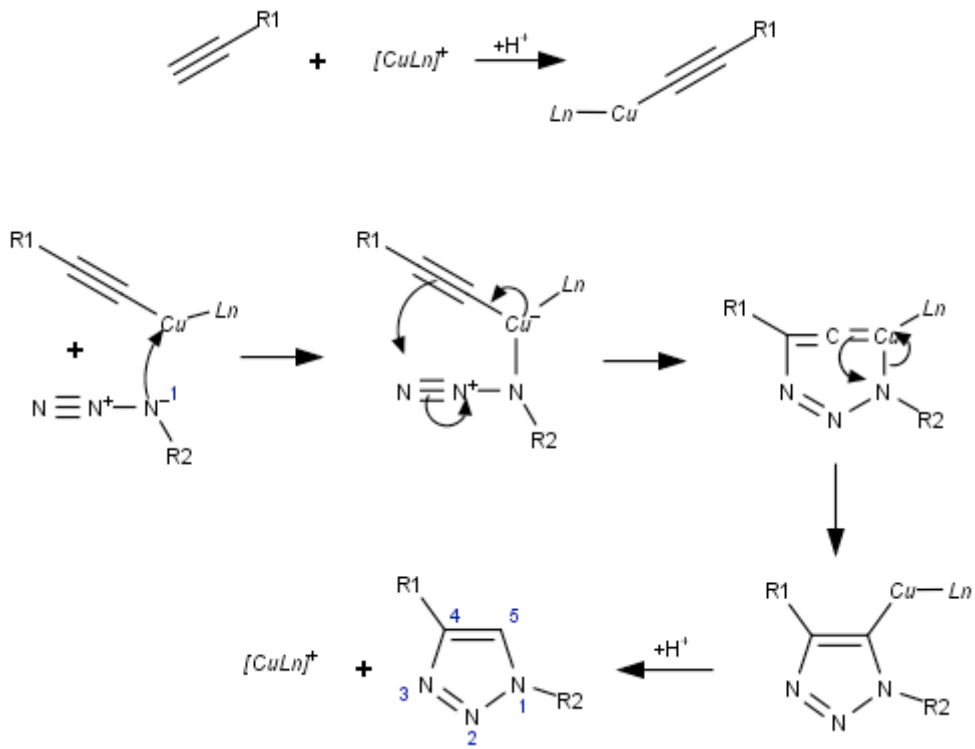
Knüpfung einer intramolekularen Peptidbindung am Triazol β -Turn

1.3- Dipole mit Doppelbindung		1.3- Dipole ohne Doppelbindung	
$\text{C}^{\ominus}=\text{N}^{\oplus}-\text{C}^{\ominus}$	\longleftrightarrow	$\text{C}\equiv\text{N}^{\oplus}-\text{C}^{\ominus}$	Nitrilylide
$\text{N}^{\oplus}=\text{N}^{\ominus}-\text{N}^{\ominus}$	\longleftrightarrow	$\text{N}^{\oplus}\equiv\text{N}-\text{N}^{\ominus}$	Azide
$\text{N}^{\oplus}=\text{N}-\text{O}^{\ominus}$	\longleftrightarrow	$\text{N}^{\oplus}\equiv\text{N}-\text{O}^{\ominus}$	Distickstoffoxid
$\text{O}^{\oplus}=\text{N}^{\ominus}-\text{O}^{\ominus}$	\longleftrightarrow	$\text{O}=\text{N}^{\oplus}-\text{O}^{\ominus}$	Nitroverbindungen
$\text{C}^{\oplus}=\text{O}-\text{O}^{\ominus}$	\longleftrightarrow	$\text{C}=\text{O}^{\oplus}-\text{O}^{\ominus}$	Carbonyloxide
$\text{O}^{\oplus}=\text{O}-\text{O}^{\ominus}$	\longleftrightarrow	$\text{O}=\text{O}^{\oplus}-\text{O}^{\ominus}$	Ozon

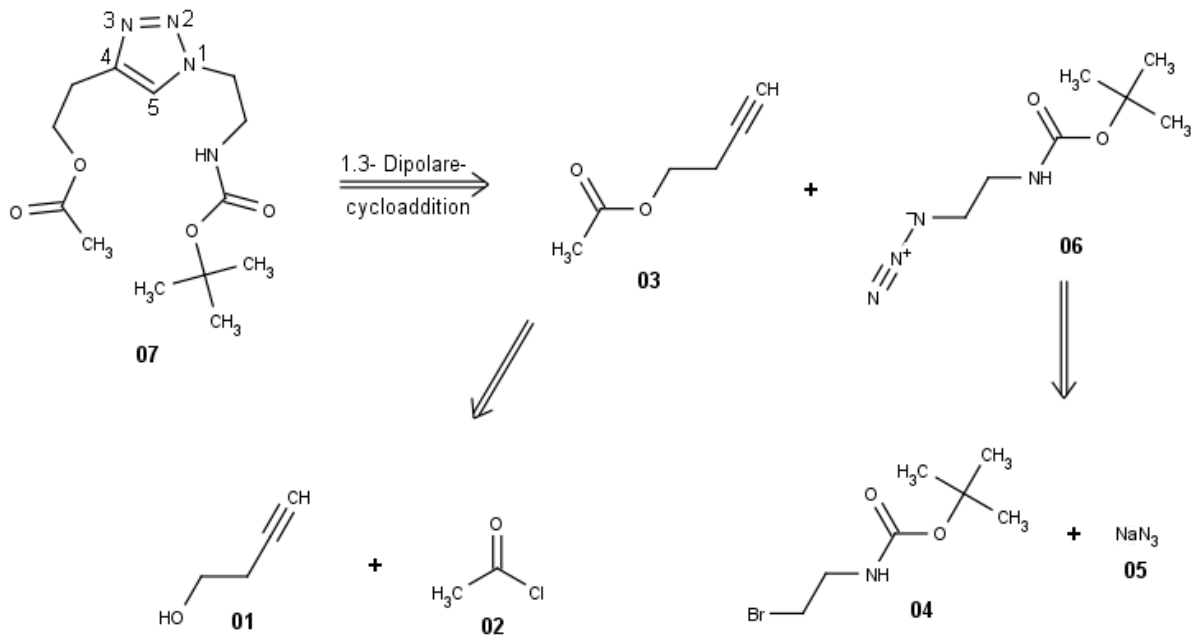
1.3- Dipole mit und ohne Doppelbindung^[6]



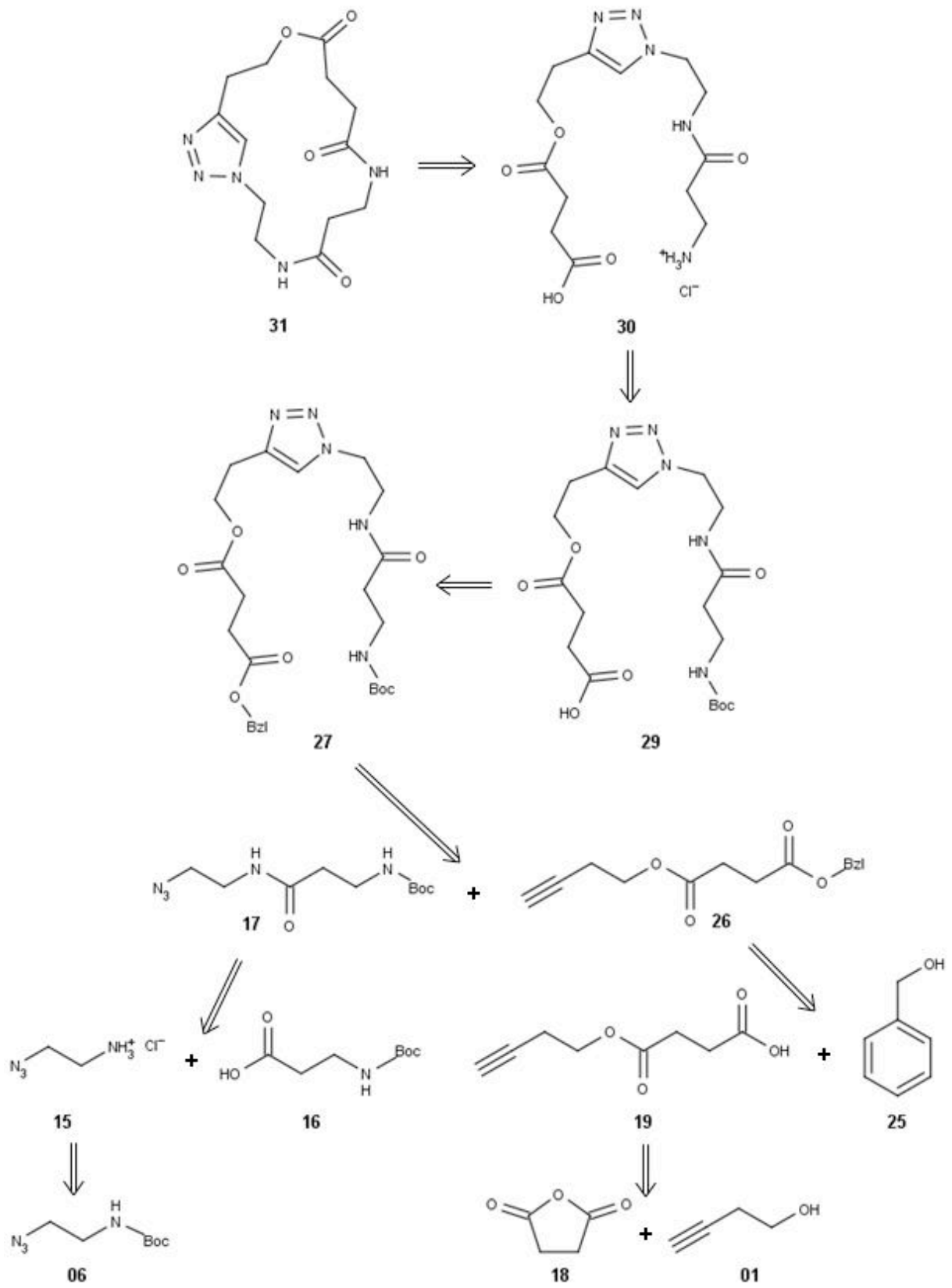
Schema 1: 1,3- Dipolare Cycloaddition von Azid und Alkin



Mechanismus der Cu(I) katalysierten Azid- Alkin- Cycloaddition

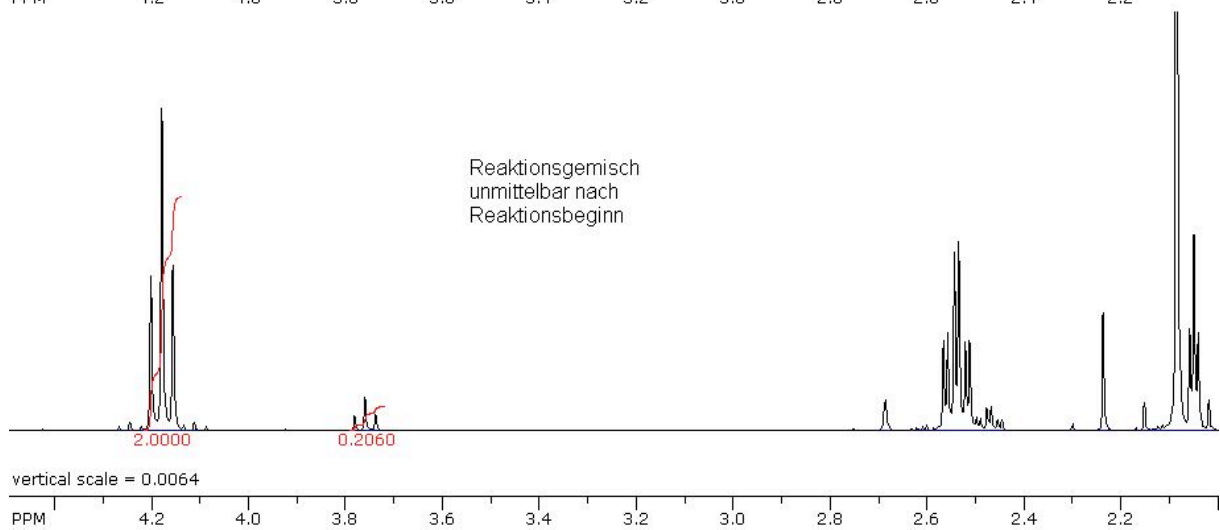
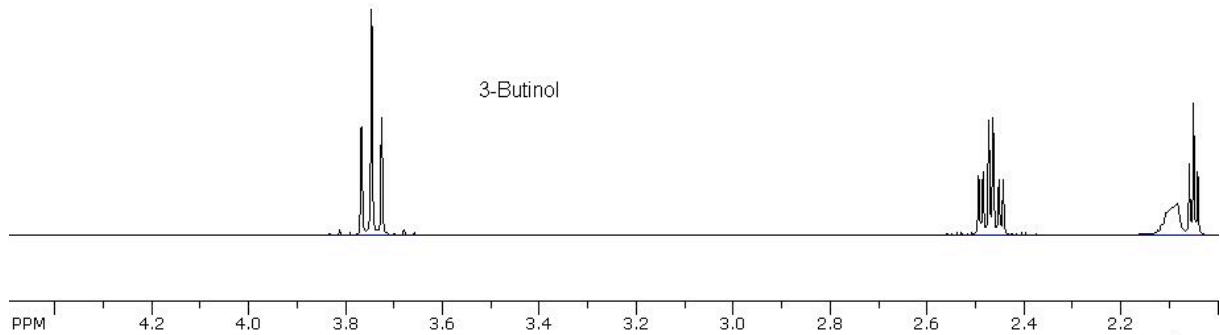
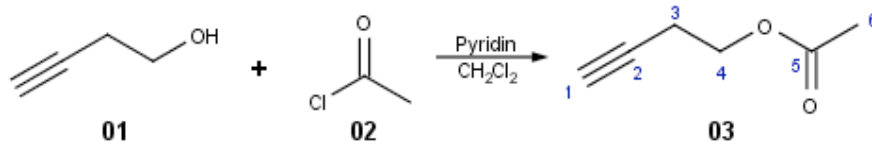


Retrosynthese von Triazol 07

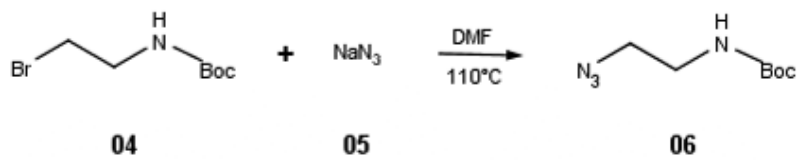


Retrosynthese des Zielmoleküls 31

Synthese von 3-Butinylacetat (03)



1.1. Synthese von 2-(Bocamino)-ethylazid 06



1.1.1. Synthese von Triazol 07

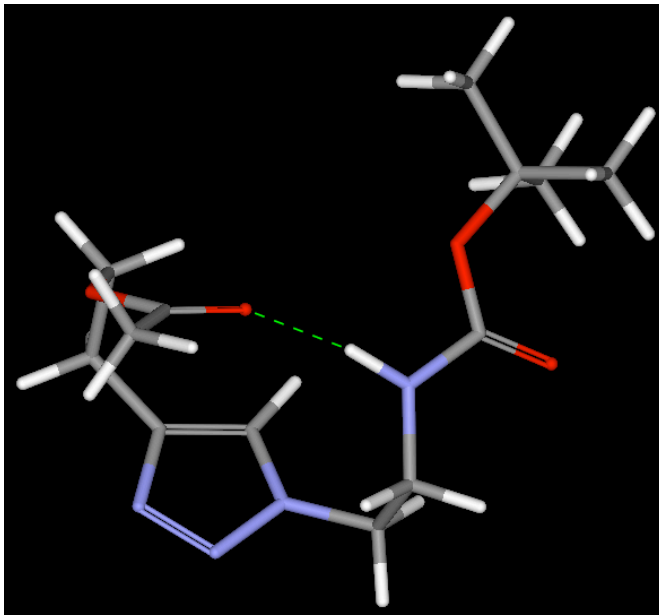
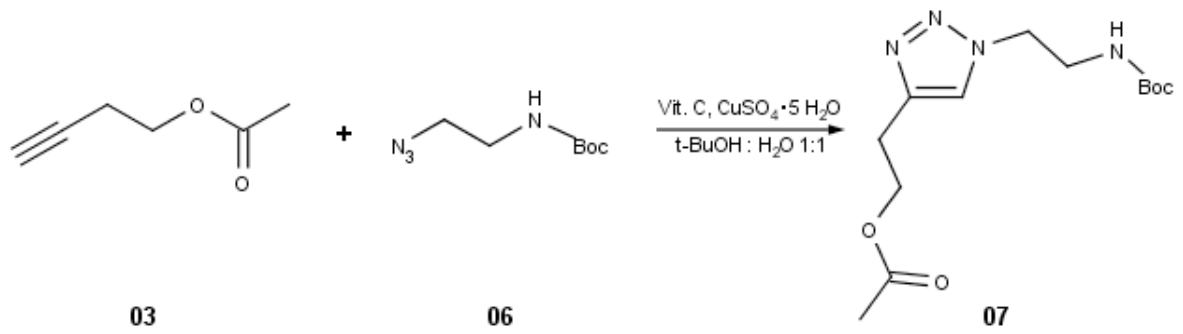


Abbildung 1: Energetisch günstigste Konformation von Triazol 07

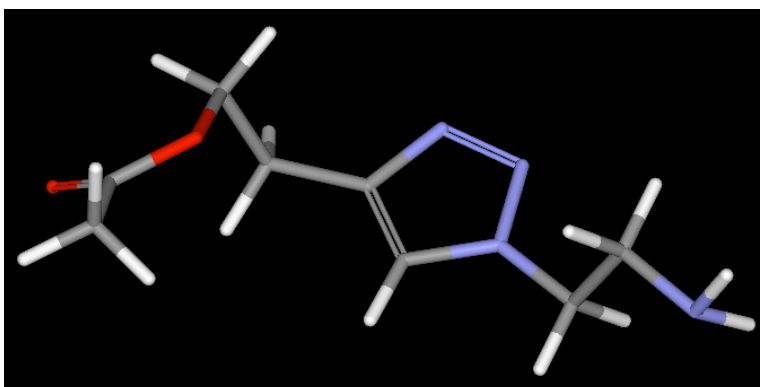
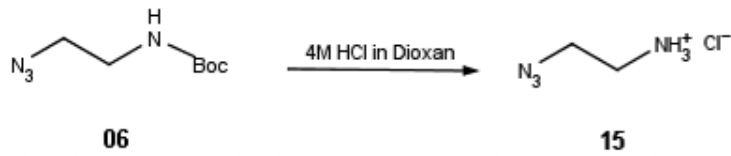
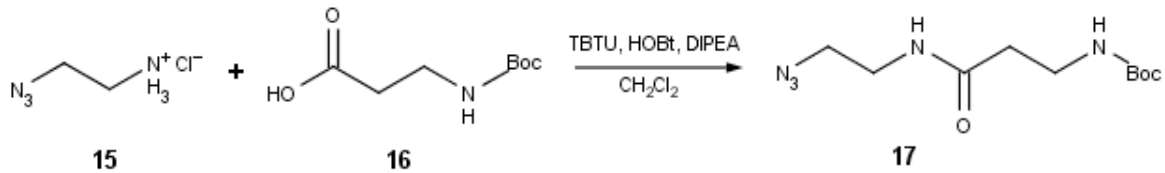


Abbildung 2: Energetisch günstigste Konformation von Triazol 12

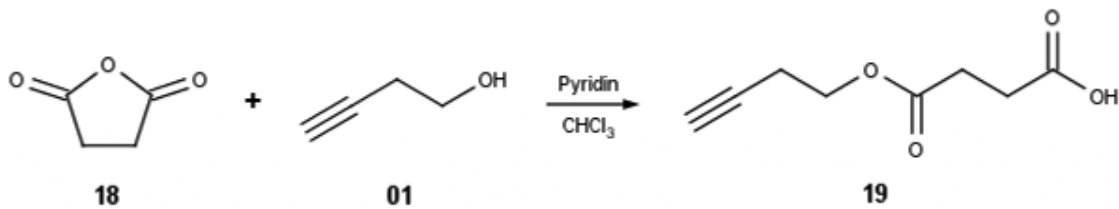
1.2. Entfernen der Boc- Schutzgruppe von Aminoazid 06



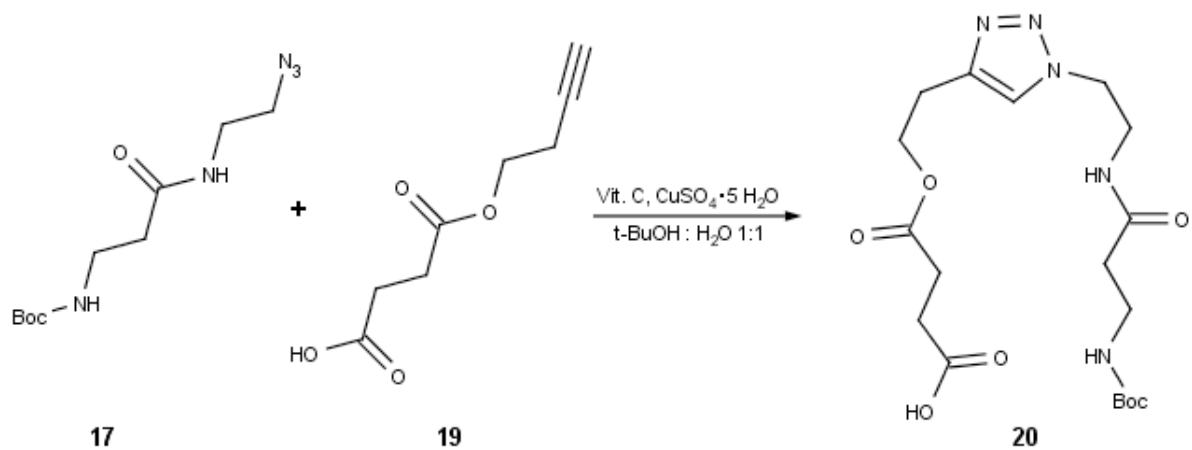
1.3. Synthese von Aminoazid 17



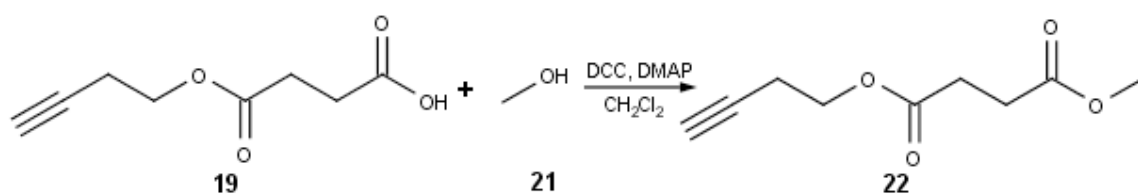
1.4. Synthese von Säurealkin 19



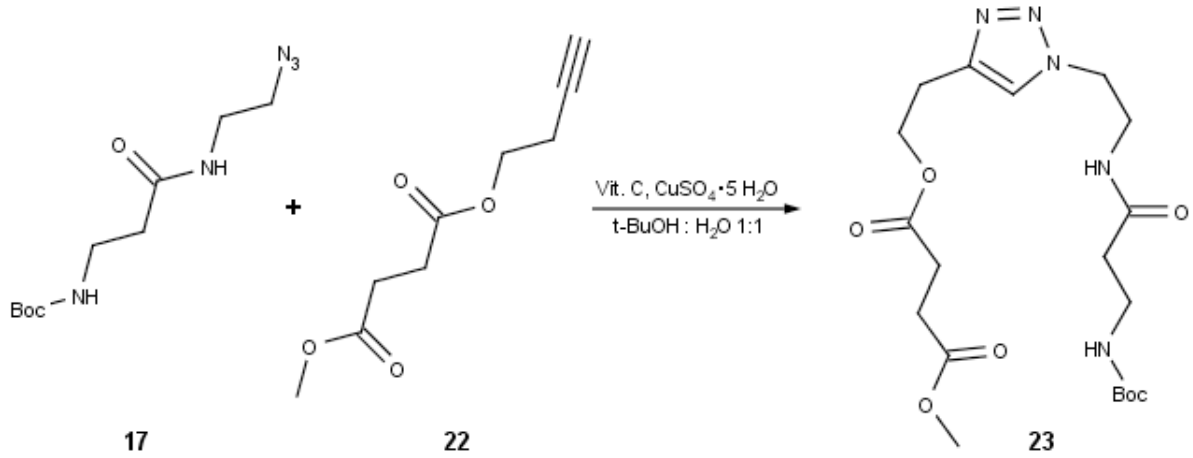
1.5. Synthese von Triazol 20



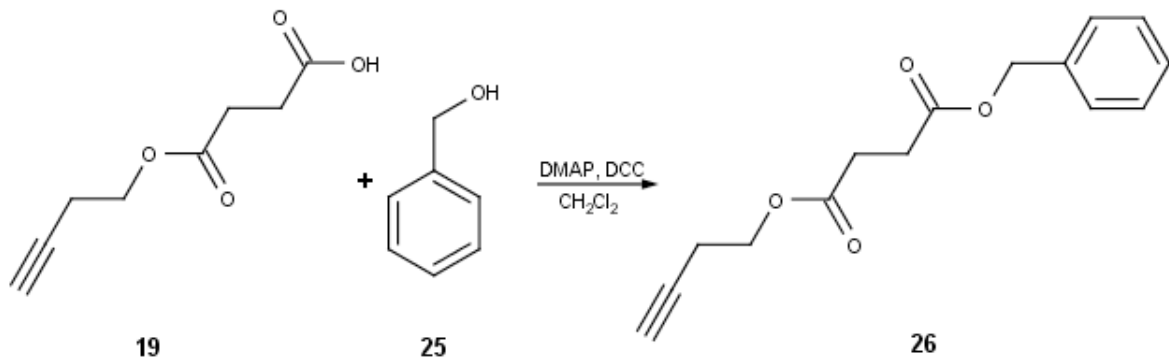
1.6. Synthese des Methylesters 22



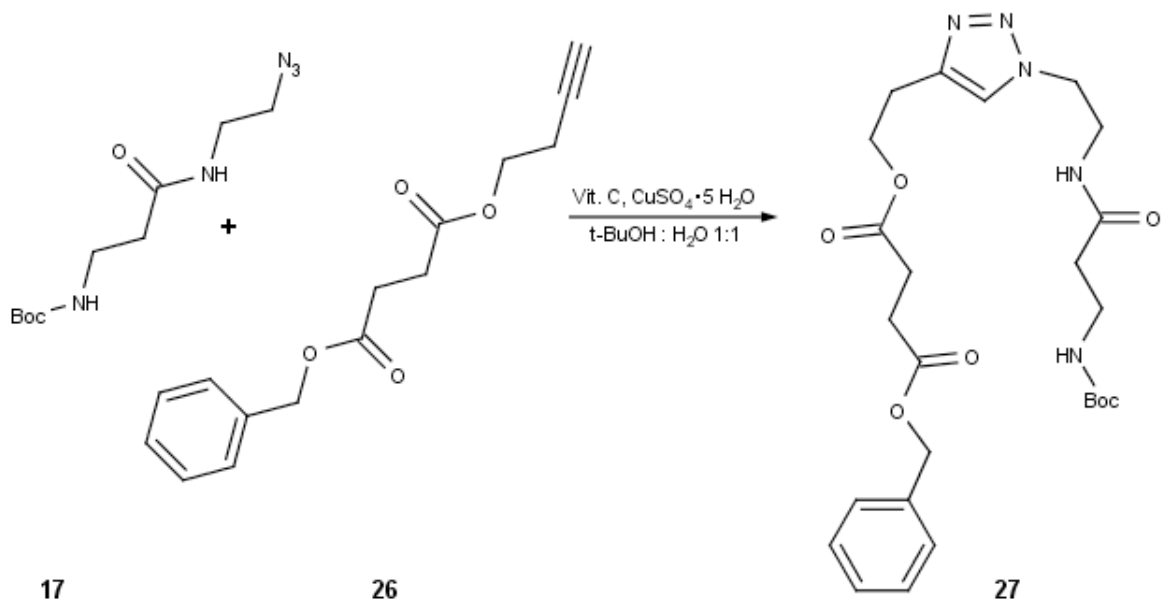
1.7. Synthese von Triazol 23



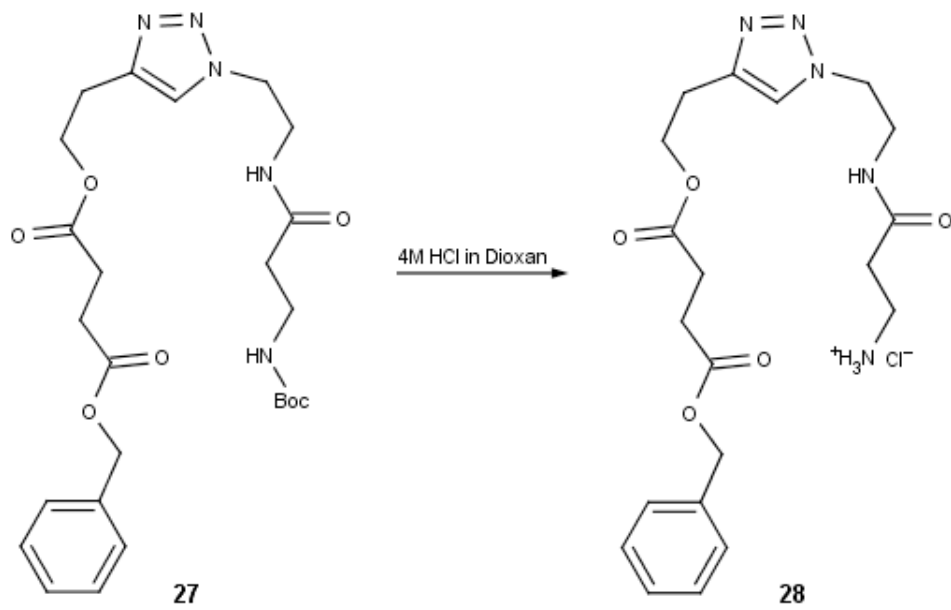
1.8. Synthese des Benzylesters 26



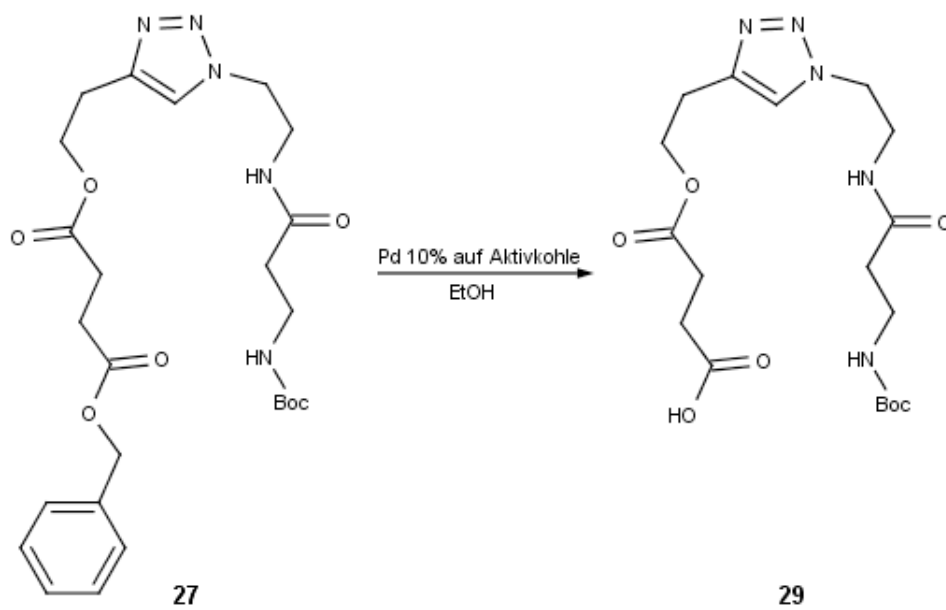
1.9. Synthese von Triazol 27



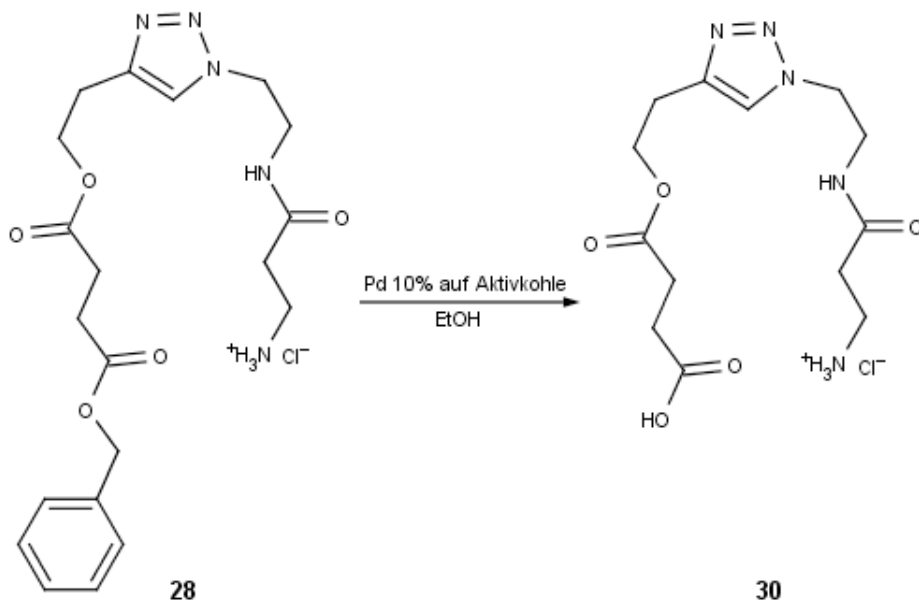
1.10. Entfernen der Boc-Schutzgruppe von Triazol 27



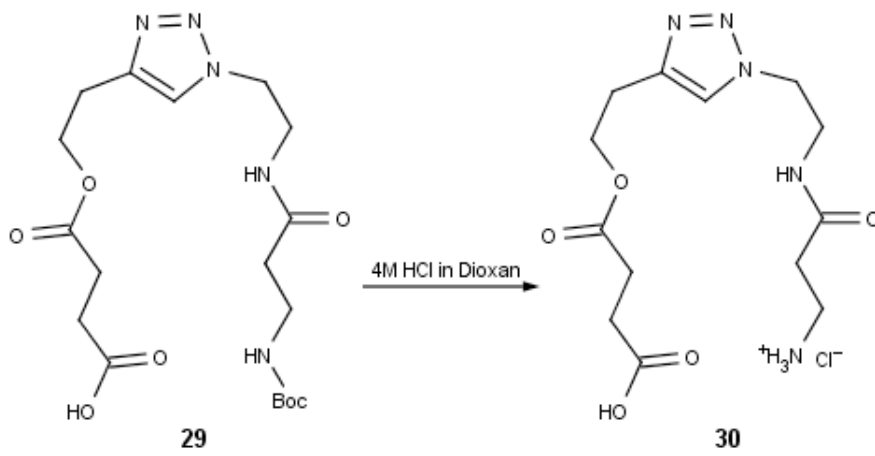
1.11. Benzylesterspaltung von Triazol 27



1.12. Benzylesterspaltung von Triazol 28



1.12.1. Entfernen der Boc-Schutzgruppe von Triazol 29



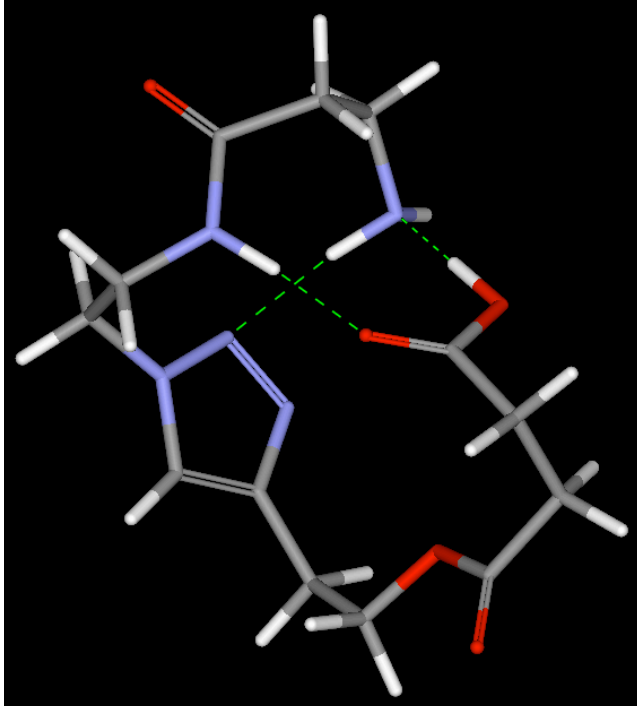


Abbildung 3: Energetisch günstigste Konformation von Triazol 30

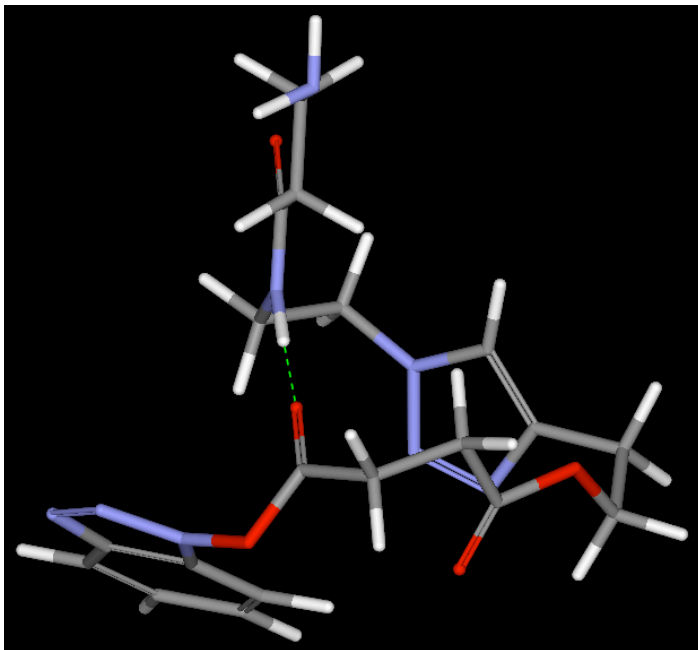


Abbildung 4: Energetisch günstigste Konformation von Triazol 30 mit HOBt gekoppelt

1.13. Intramolekulare Peptidbildung von Triazol 30

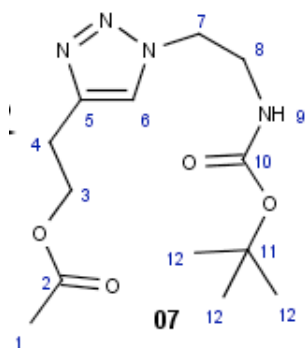
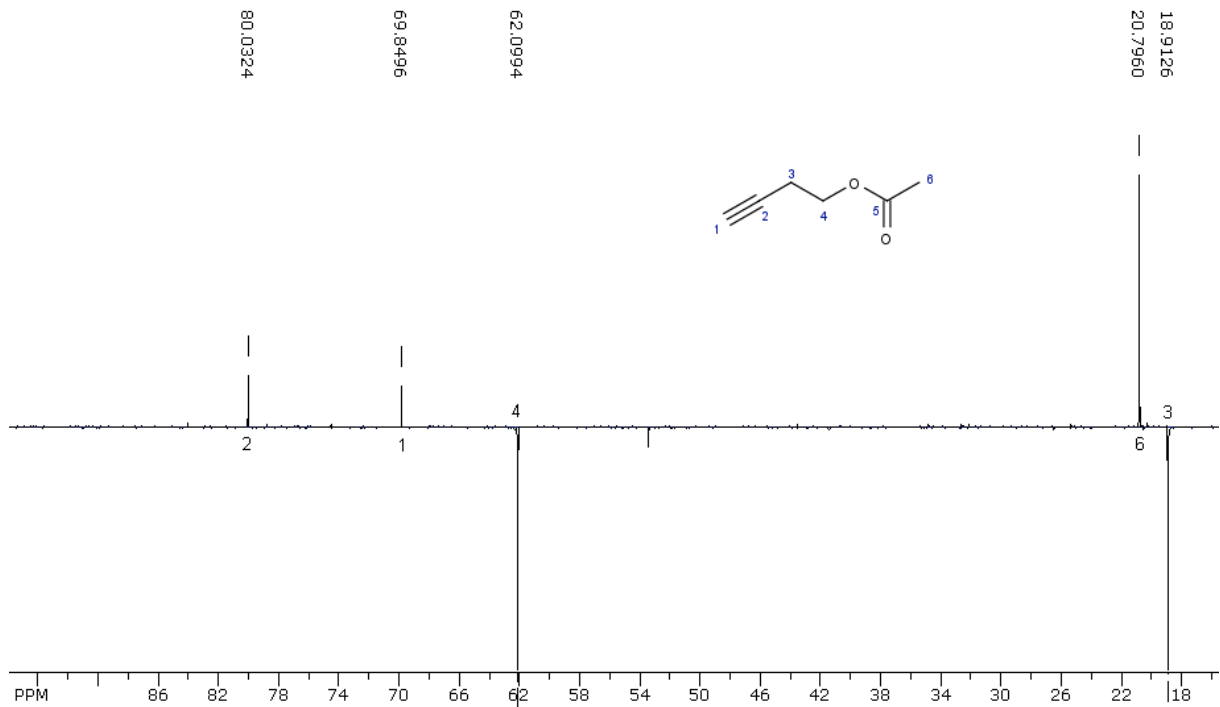
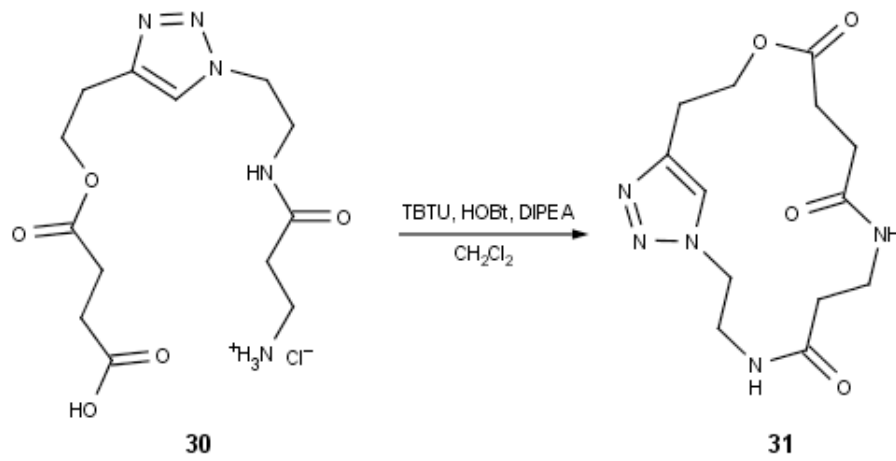


Abbildung 5: Triazol 07

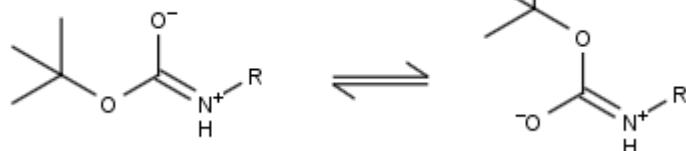
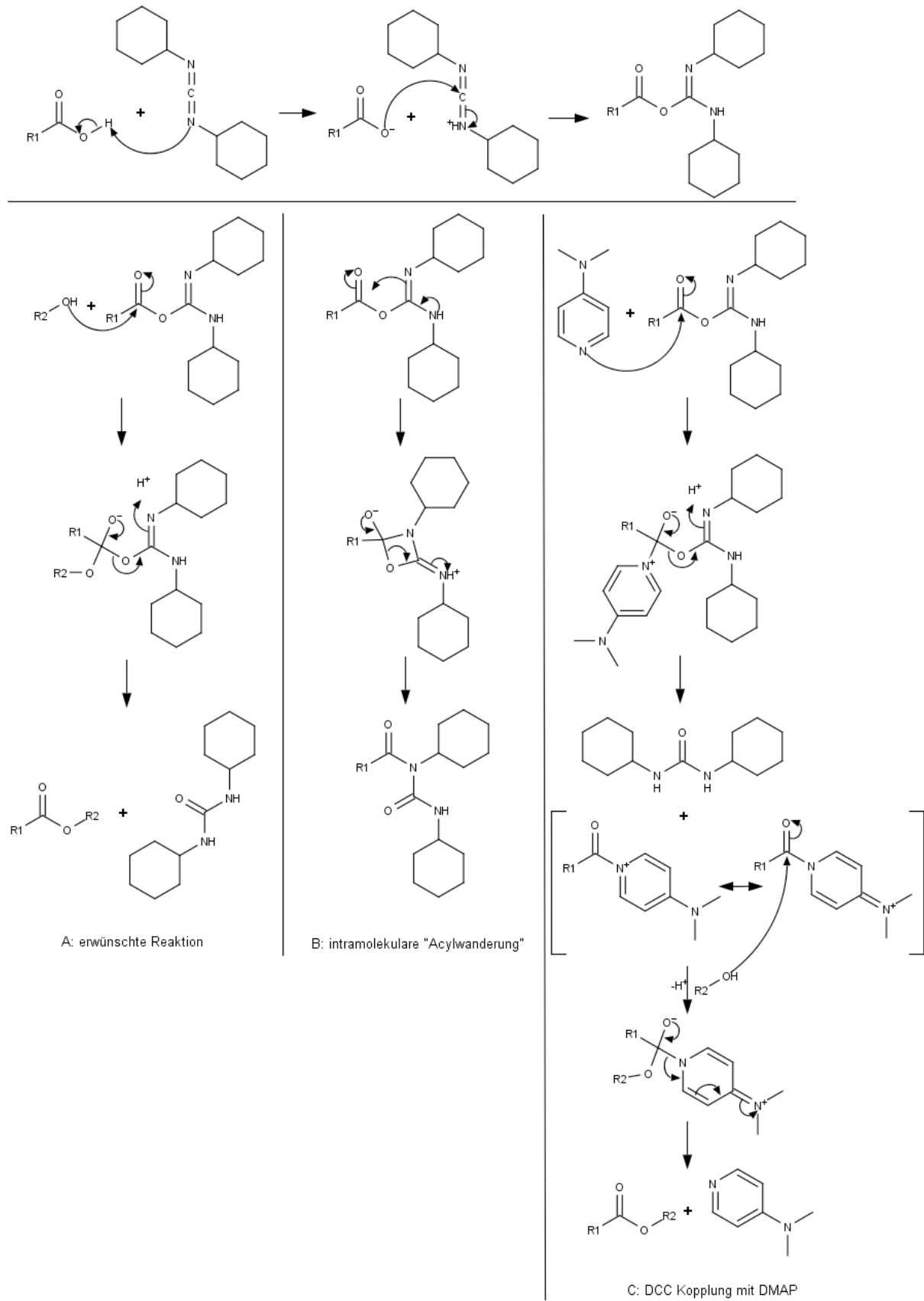


Abbildung 6: Die zwei Hauptrotamere der Carbamatgruppe



Schema 2: DCC-Kopplung mit und ohne DMAP

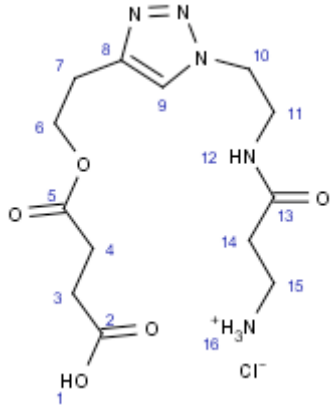


Abbildung 7: Triazol 30

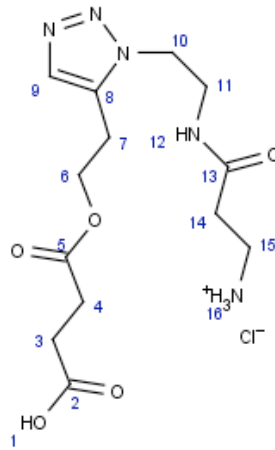
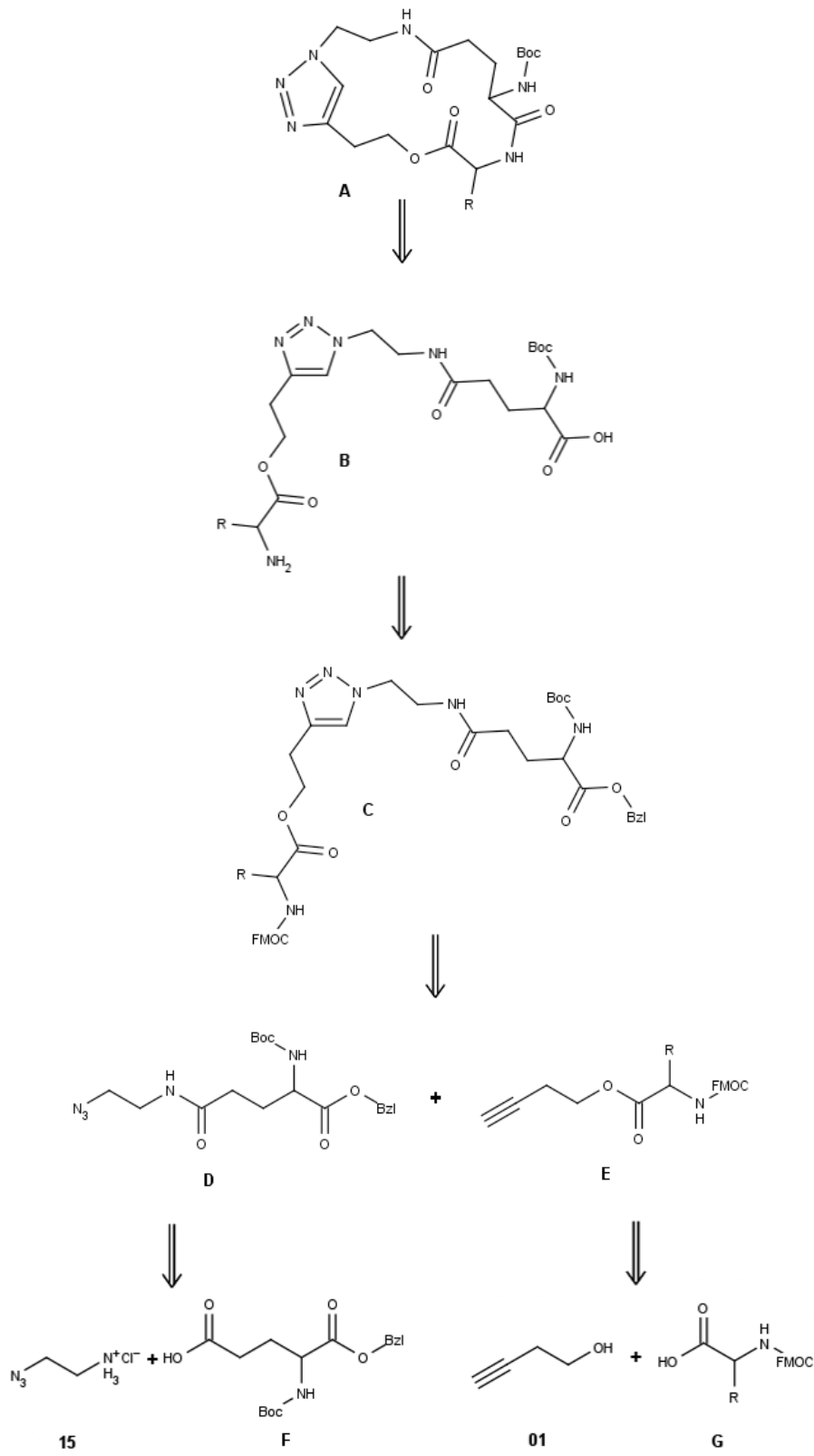
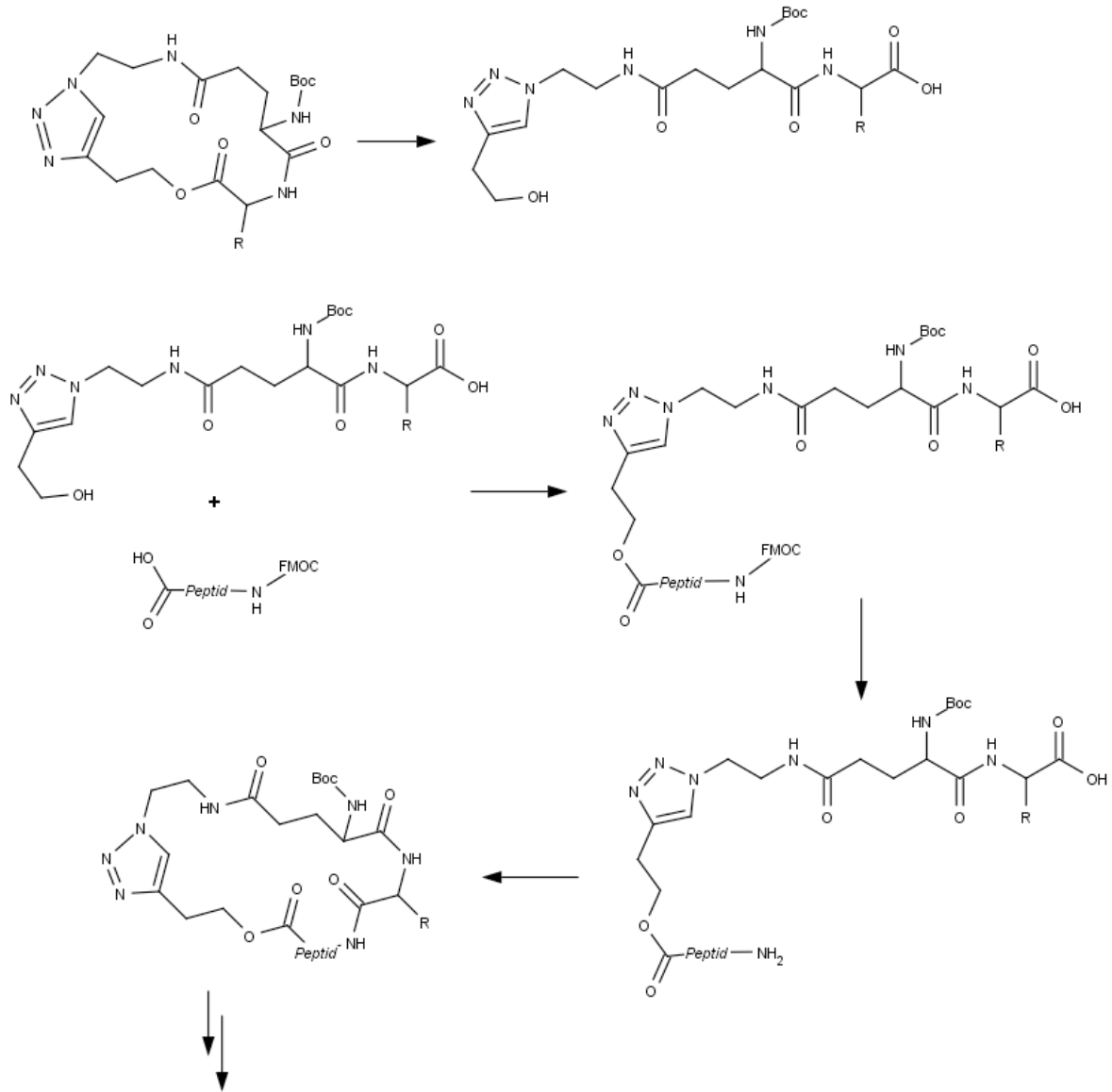


Abbildung 8: 1,5-Regioisomer von Triazol 30

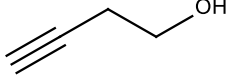
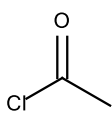
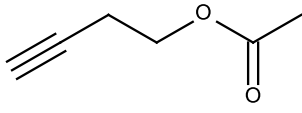
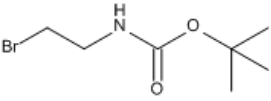
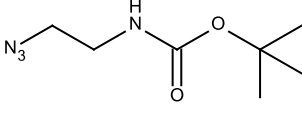
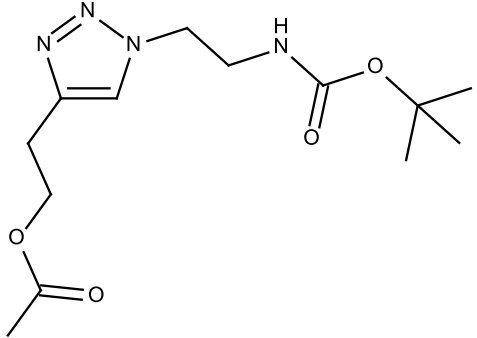


Schema 3: Retrosynthese für die Peptidknüpfung zweier Aminosäuren via Triazol β-Turn

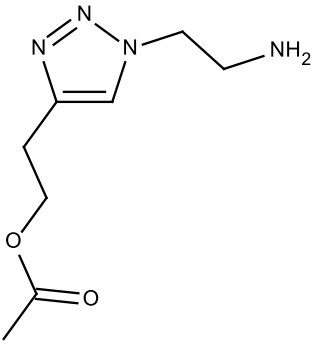
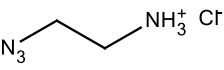
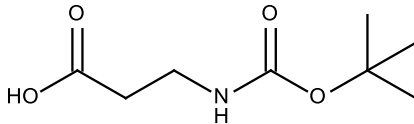
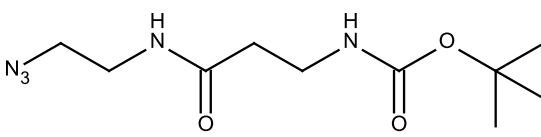
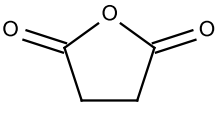
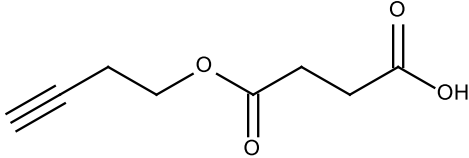
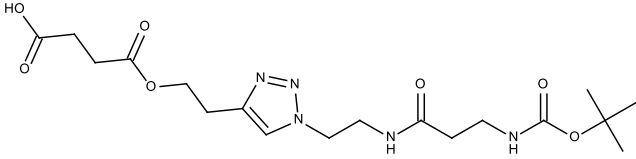



Schema 4: Fortlaufende Peptidligation

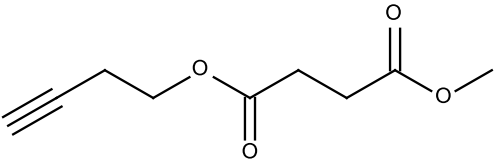
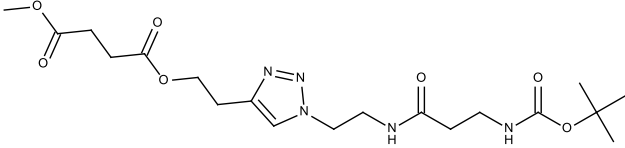
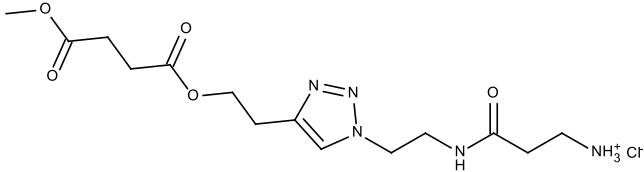
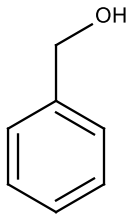
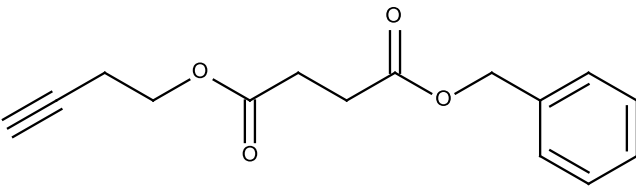
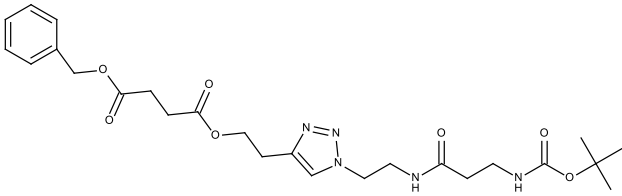
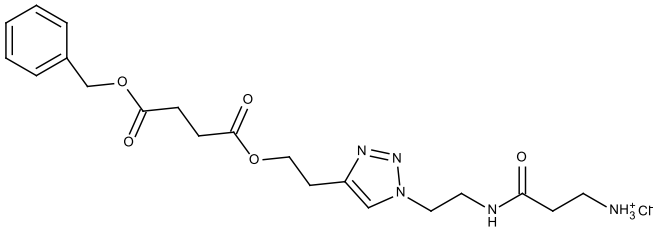
Substanzenverzeichnis

Name	Molare Masse	Struktur	Zahlencode
3-Butinol	70.1		01
Acetylchlorid	78.5		02
	112.1		03
2-(Bocamino) ethylbromid	224.1		04
Natriumazid	65.0	Na^+ ${}^-\text{N}=\text{N}^+=\text{N}^-$	05
2-(Bocamino) ethylazid	186.2		06
	298.3		07

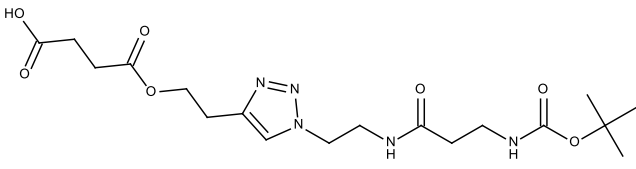
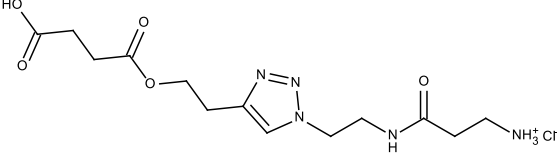
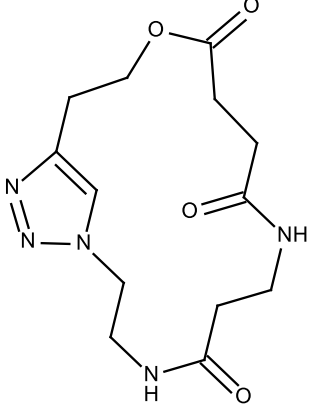
Substanzenverzeichnis

	198.2		12
	122.6		15
Boc-β-Ala-OH	189.2		16
	257.3		17
	100.1		18
	170.2		19
	427.5		20
	32.0		21

Substanzenverzeichnis

184.2		22
441.5		23
377.8		24
108.1		25
260.3		26
517.6		27
453.9		28

Substanzenverzeichnis

	427.5		29
	363.8		30
	309.3		31