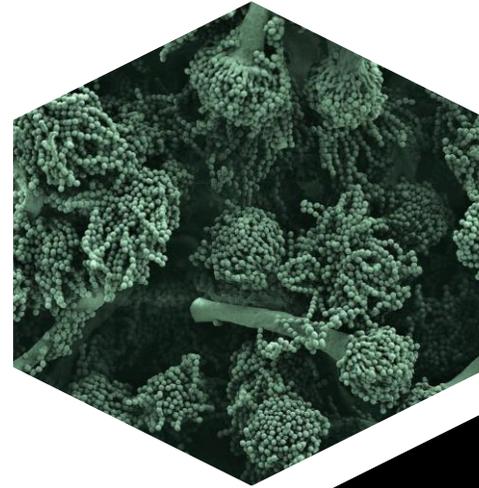
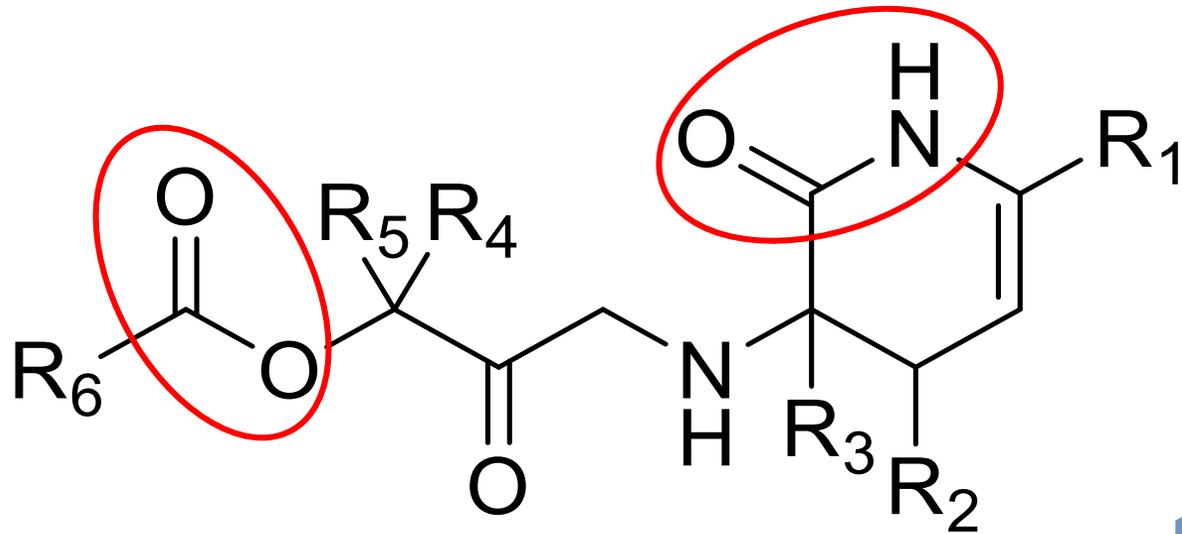




**A Flexible Six-Component
Reaction To Access Constrained
Depsipeptides Based on a
Dihydropyridinone Core**

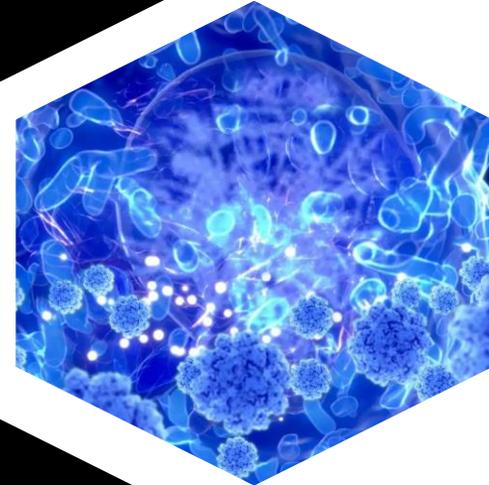
Maria Laura Pescoso Garrido

Depsipéptidos



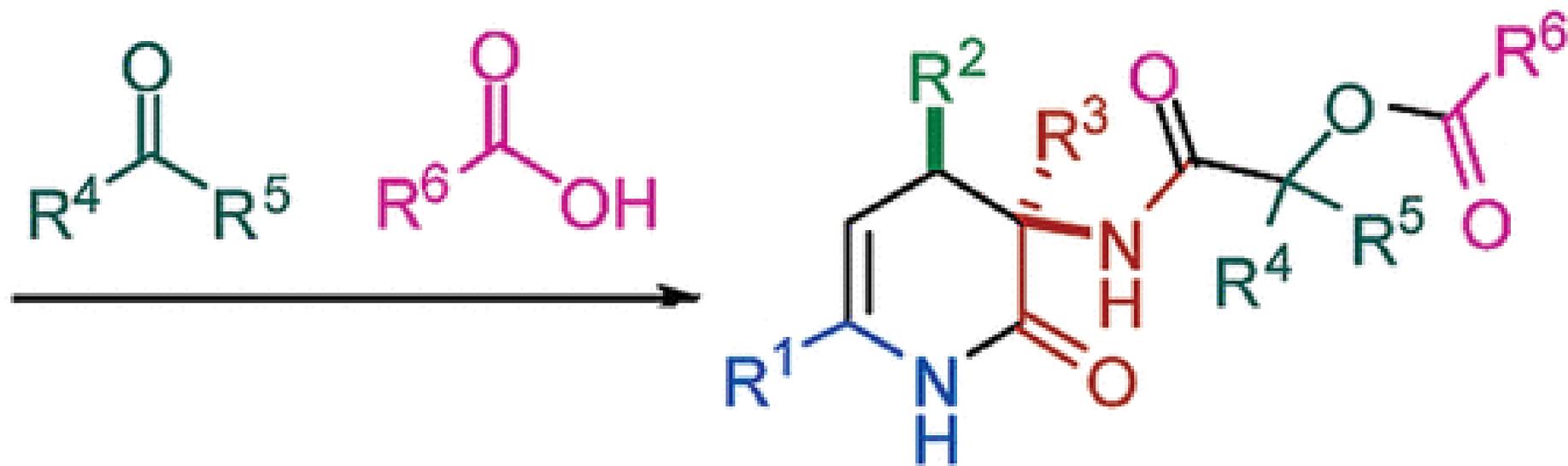
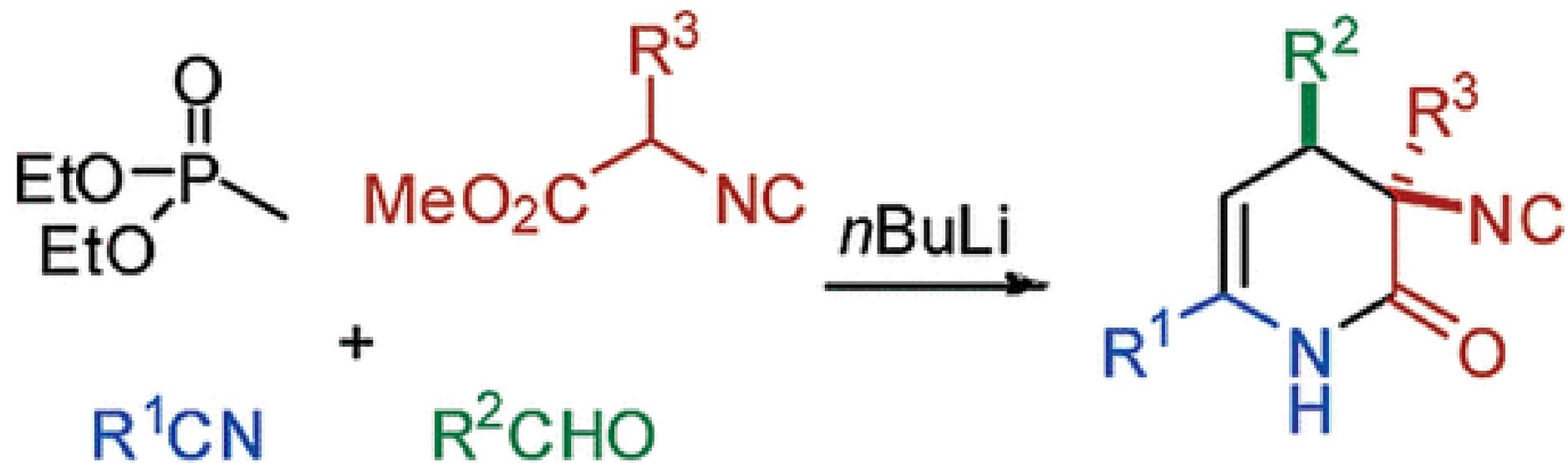
Agentes
antifúngicos

Biotecnología,
medicina y
química



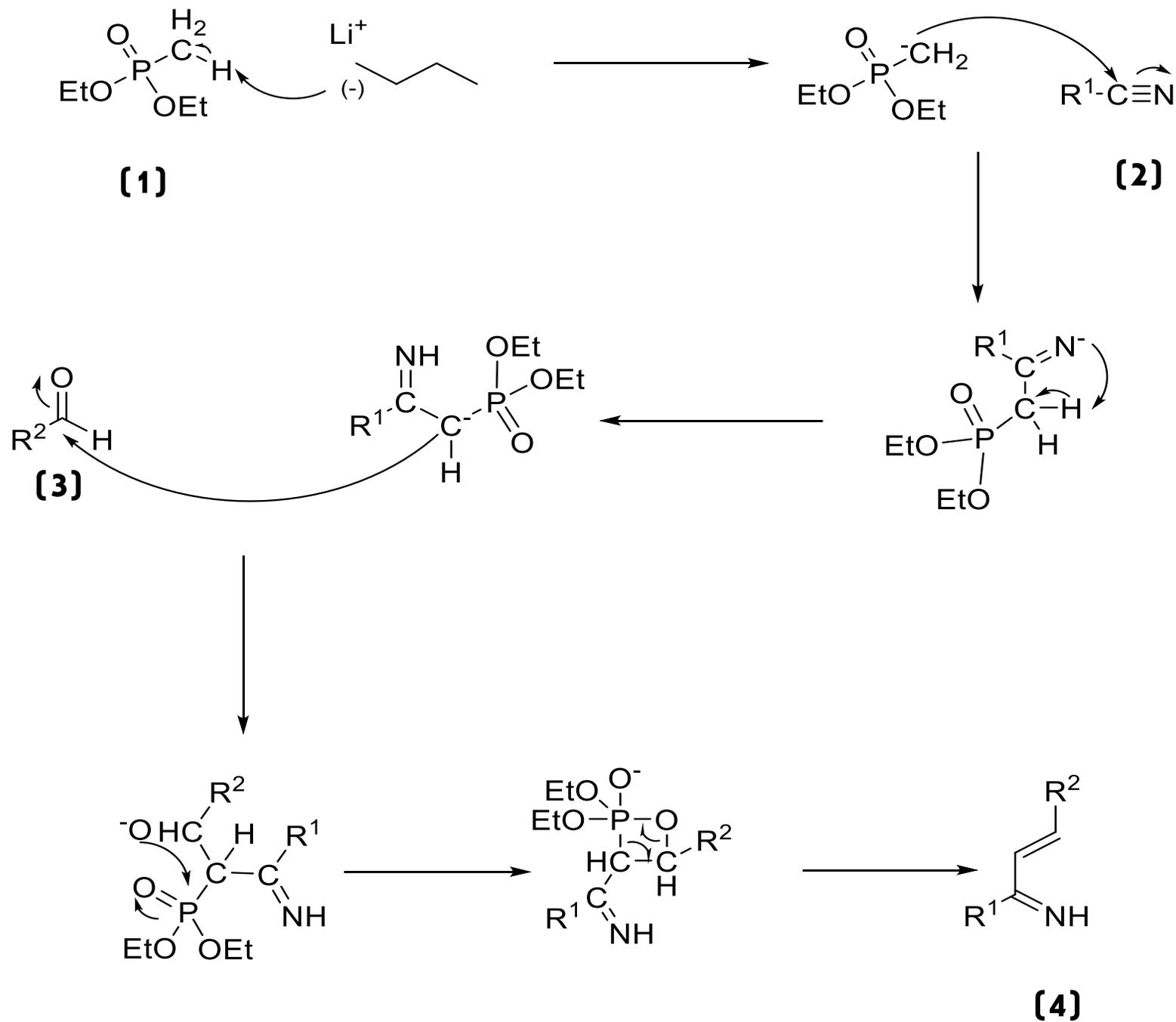
Actividad
antibacteriana
antifúngica
antitumoral
antiviral

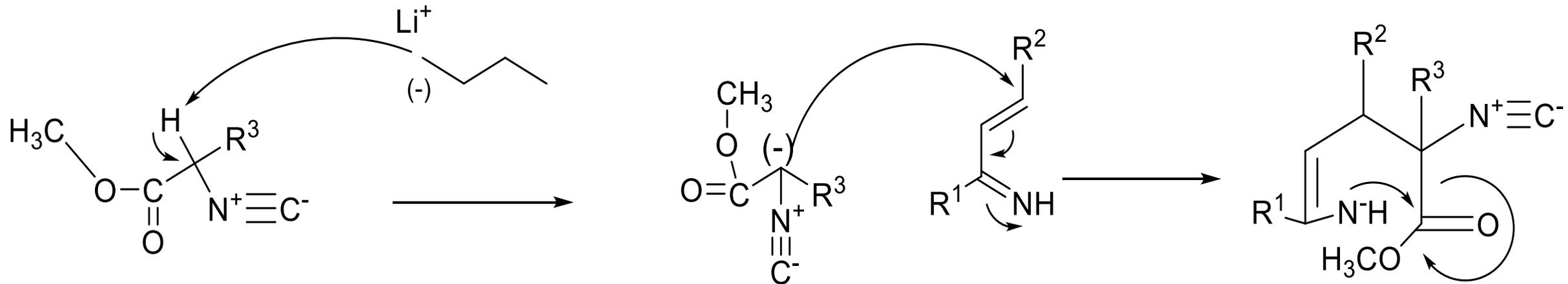




Formación del intermediario 1-azadieno

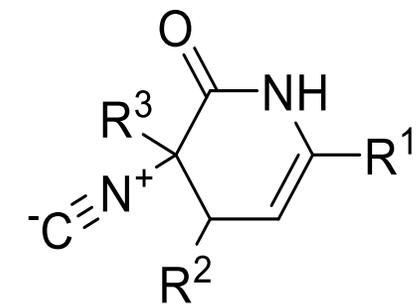
THF
-78°C to rt





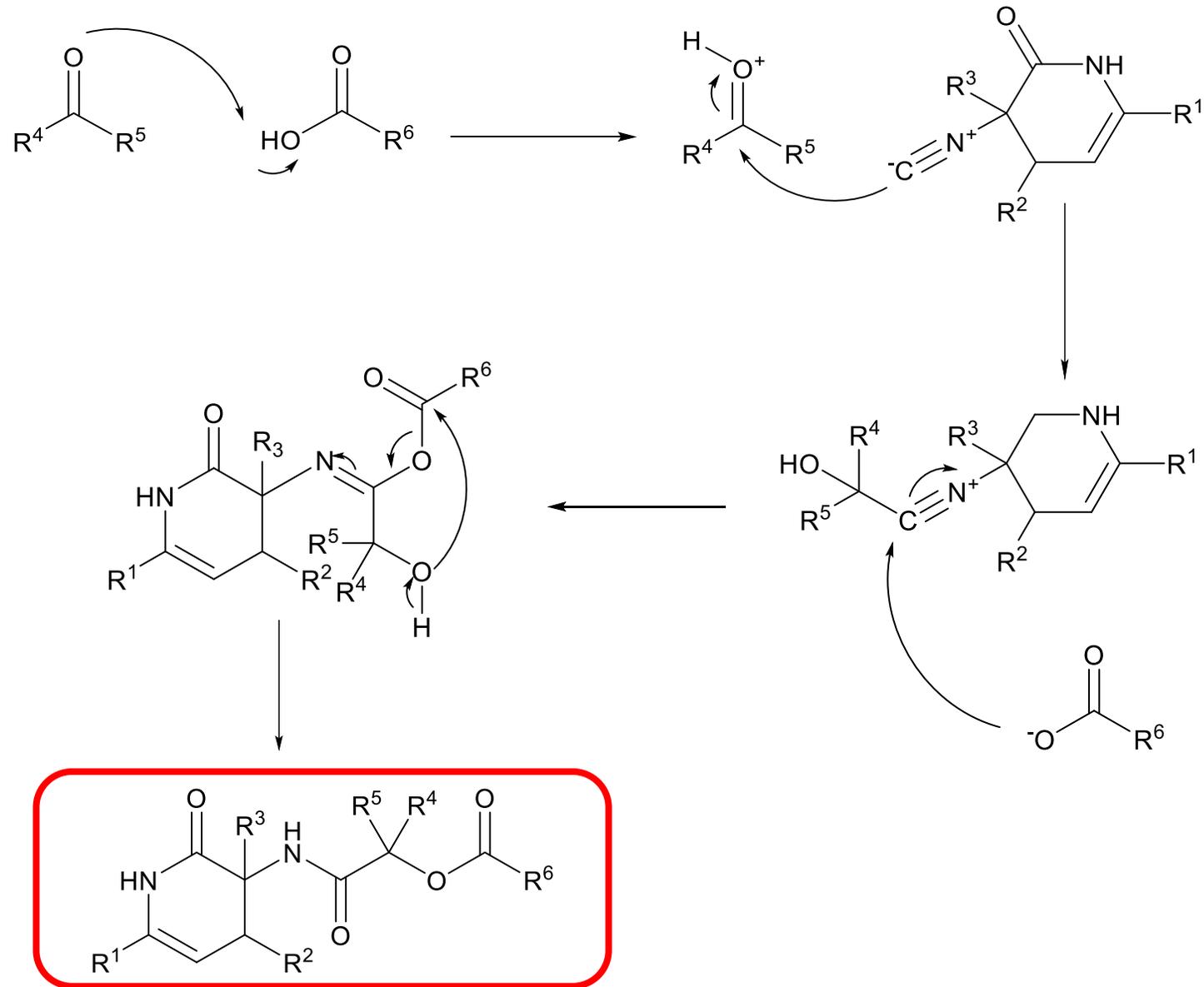
Obtención de dihidropiridin-2-onas funcionalizadas con isonitrilo

THF
-78°C to rt

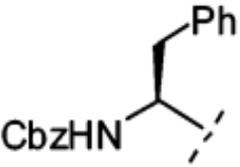
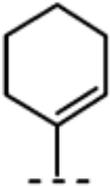


Reacción de Passerini

CH_2Cl_2
rt
1 a 6 días



Depsipéptido

Entry	R ¹	R ²	R ³	DHP-2-one	R ⁴	R ⁵	R ⁶	Passerini Product	Yield 6→7 (%) ^b	Overall yield (%) ^b
1	<i>i</i> Pr	PMP	Ph	6a	<i>i</i> Pr	H	Et	7a	72	41
2	Ph	PMP	Ph	6b	<i>i</i> Pr	H	Et	7b	66	42
3	Ph	PCP	Ph	6c	<i>i</i> Pr	H	Et	7c	73	53
4	Ph	Ph	Ph	6d	<i>i</i> Pr	H	Et	7d	76	74
5	Ph	PMP	PCP	6e	<i>i</i> Pr	H	Et	7e	89	53
6	Ph	PMP	Ph	6b	<i>i</i> Pr	H	Ph	7f	61	39
7	Ph	PCP	Ph	6c	<i>i</i> Pr	H		7g^d	80	58
8	Ph		Ph	6f	<i>i</i> Pr	H	Bn	7h	89	57
9	Ph	Ph	Ph	6d	Ph	H	Et	7i	57	56
10	Ph	Ph	Ph	6d	PCP	H	Et	7j	57	56
11	Ph	Ph	Ph	6d	PCP	H	Bn	7k	65	64
12	Ph	PCP	Ph	6c	2-furyl	H	Bn	7l	47 ^e	34
13	Ph	PMP	Ph	6b	Et	Me	Bn	7m	43	28
14	Ph	Ph	PCP	6g	H ^c	H	Et	7n	98	59
15	Ph	PMP	Ph	6b	H ^c	H	Et	7o	95	61

Conclusiones



- Se demostró que la funcionalidad de isocianuro retenida en 3,4-DHP-2-onas 6 sintetizadas mediante HWE/ciclocondensación-4CR permite una química de seguimiento posterior de múltiples componentes basada en isonitrilo.
- Las dos MCR se pueden llevar a cabo en un solo recipiente, pues los rendimientos son prácticamente invariables.