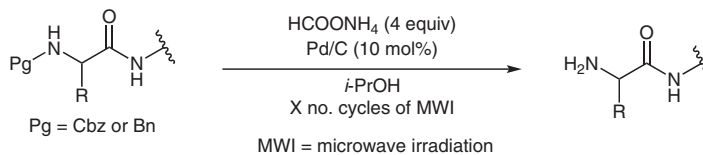
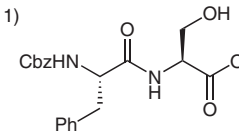
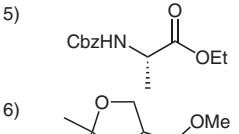
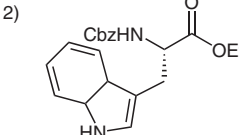
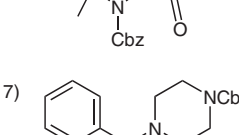
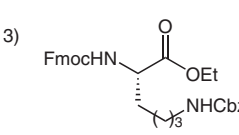
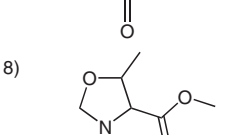
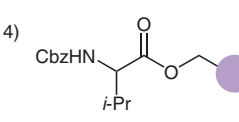
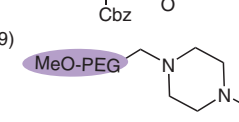
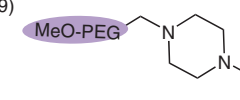


M. C. DAGA, M. TADDEI*, G. VARCHI (UNIVERSITÀ DEGLI STUDI DI SASSARI, ITALY)
 Rapid Microwave-Assisted Deprotection of *N*-Cbz and *N*-Bn Derivatives
Tetrahedron Lett. **2001**, *42*, 5191–5194, DOI: 10.1016/S0040-4039(01)00969-8.

Expeditious Deprotection of *N*-Benzyloxycarbonyl and *N*-Benzyl Derivatives



Selected examples:

Entry	Substrate	No. cycles of MWI ^a	% Yield of the product free amine ^b	Entry	Substrate	No. cycles of MWI ^a	% Yield of the product free amine ^b
1)		3	95% ^c	5)		4	90% ^c
2)		5	90% ^c	6)		3	93% ^c
3)		4	95%	7)		5	93%
4)		8	95%	8)		5	90% ^c
				9)		8	95%

^a Microwave irradiation at 600 W for 1 min in each cycle.

^b Yields were determined by ¹H NMR, after filtration and evaporation of the solvent.

^c The absence of racemization was measured by ¹⁹F NMR of the (*S*)-MTPA amides formed with amines derived from corresponding compound.

Significance: Protecting groups play an inherent role in organic synthesis, especially in peptide chemistry. Among them, Cbz and Bn are the most popular protecting groups for amines. Consequently, the development of methods for their installation and deprotection have gained attention. In 2001, Taddei and co-workers developed a microwave-assisted catalytic transfer hydrogenation for the deprotection of the *N*-Cbz and *N*-Bn derivatives.

Comment: Deprotection of *N*-Cbz and *N*-Bn of amino acids, peptides, and amines proceeds efficiently by microwave-assisted transfer hydrogenation with Pd/C catalyst and ammonium formate reagent to afford the corresponding free amines in good yields. This method is mild, simple, rapid, and is also applicable to solid resin-supported substrates.