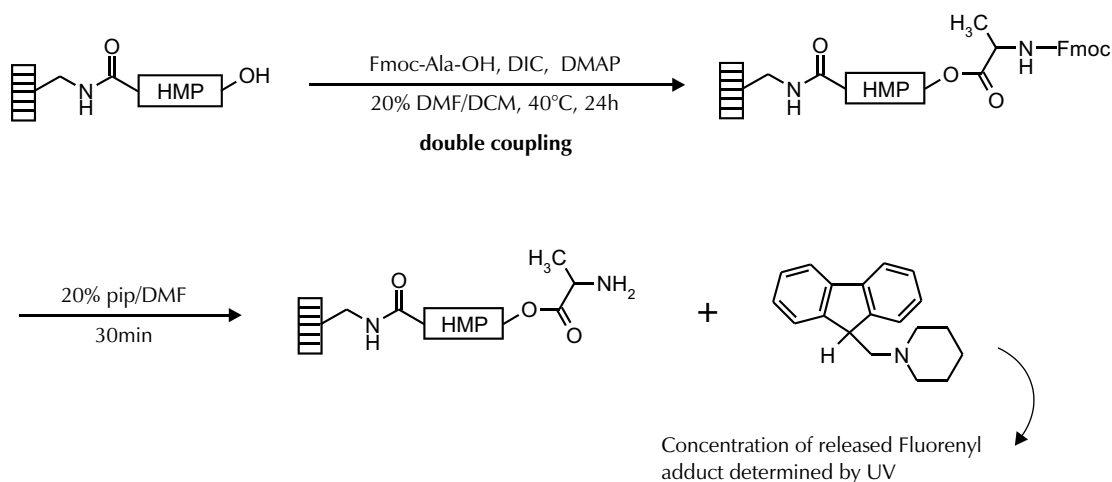


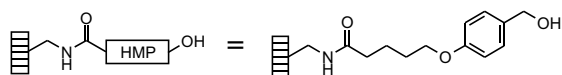


## Acylation of a Support-Bound Benzyl Alcohol with an $\alpha$ -Amino Acid

**Carboxyl group attachment** of  $\alpha$ -amino acids to hydroxymethylphenoxy linkers is a frequently used starting point for solid phase organic synthesis. In the following example, SynPhase™ PS HMP Lanterns<sup>1</sup> are acylated with fluorenylmethoxycarbonyl protected alanine and the loading determined by quantitative Fmoc analysis.



DIC: diisopropylcarbodiimide  
DMAP: 4-(dimethylamino)pyridine  
DMF: dimethylformamide  
DCM: dichloromethane  
pip: piperidine  
HMP: hydroxymethylphenoxy



Fmoc: fluorenylmethoxycarbonyl  
Fmoc-Ala-OH: fluorenylmethoxycarbonyl alanine

### Acylation of Linker

**Each D-Series Lantern** (initial specified loading:  $36\mu\text{mol}$ ) is treated with 0.5mL of a solution of Fmoc-Ala-OH (0.18M,  $90\mu\text{mol}$ , 2.5 mole equivalents), DIC (0.18M,  $90\mu\text{mol}$ , 2.5 mole equivalents) and DMAP (3.6mM,

$1.8\mu\text{mol}$ , 0.05 mole equivalents) in 20% DMF/DCM at  $40^\circ\text{C}$  for 24h. Fmoc-Ala-OH is dissolved in approximately half the final reaction volume of 20% DMF/DCM and the required amount of DIC is added. After 2min,

the solution of activated amino acid is added to the SynPhase Lanterns which are immersed in an equal volume of a solution of DMAP dissolved in 20% DMF/DCM.

At the completion of the first coupling, the

Lanterns are washed with 20% DMF/DCM (3×3min) and subjected to a second coupling with fresh reagents. The Lanterns are washed with 20% DMF/DCM (3×3min) and DCM (3×3min) then air dried.

## Quantitative Fmoc Analysis

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**Each D-Series Lantern is treated** with 20% pip/DMF (10.0mL) for 30min at room temperature. One milliliter (1.0mL) of this solution is diluted with 20% pip/DMF (20.0mL) and the absorbance read in a 1cm cuvette at 301nm. The loading can be calculated from the equation:

$$\text{Loading} = [(A_{301}/\epsilon) \times 21 \times 10^4] \mu\text{mol/Lantern}$$

where  $\epsilon = 7800\text{M}^{-1}\text{cm}^{-1}$

A loading of ca. 95% is obtained, based on the initial specified loading of the Lantern.

### Note

1 The chemistry described here was performed using SynPhase PS Lanterns but is readily adaptable to SynPhase PA Lanterns.




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