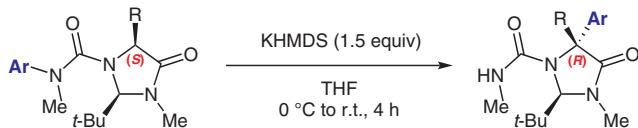


## Stereoselective Synthesis of $\alpha$ -Aryl Amino Acids

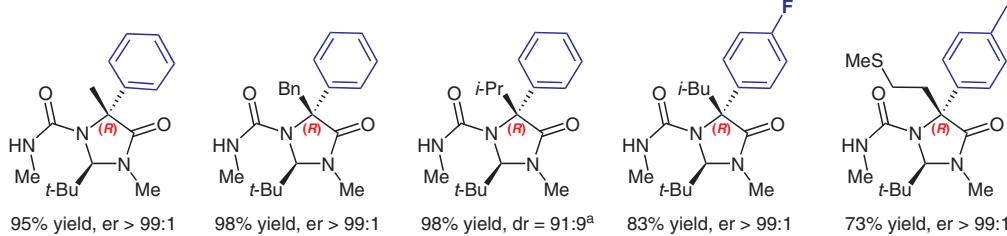
| Category                         |
|----------------------------------|
| Peptide Chemistry                |
| Key words                        |
| arylation                        |
| quaternary amino acids           |
| potassium bistrimethylsilylamide |
| stereoselectivity                |
| aryl amino acids                 |

### Synfact of the Month

#### R-Selective route:

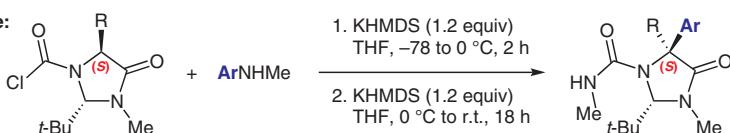


#### Selected examples:

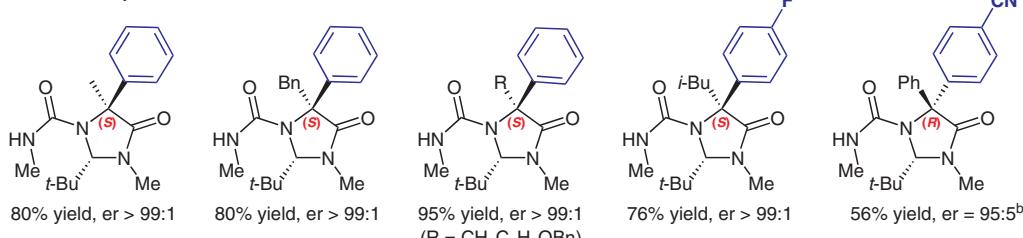


<sup>a</sup> LiNEt<sub>3</sub> used instead of KHMDS.

#### S-Selective route:



#### Selected examples:



<sup>b</sup> D-phenylglycine was used as starting material.

**Significance:** Quaternary amino acids play an important role as modifiers of peptide conformation and bioactivity. The authors describe an  $\alpha$ -arylation of enantiopure amino acid precursors to form quaternary centers.

**Comment:** This KHMDS-mediated  $\alpha$ -arylation of enantiopure amino acid precursors proceeds smoothly to provide quaternary amino acids in high yields and without significant loss of stereochemical integrity.