Solid-phase synthesis

- Tetramannosylated peptide constructs carrying three independent branched epitopes have been prepared on solid-phase support using orthogonally removable protecting groups and a robust activation strategy (Kragol and Otvos, *Tetrahedron*, 2001, 57(6), 957-966).
- N,N’-substituted acylguanidines have been prepared on solid-phase by the N-acylation of resin-immobilised S-methylisothiourea with a range of carboxylic acids. This was followed by reaction with a number of amines and release from the solid support by treatment with TFA (Dodd and Zhao, *Tetrahedron Lett.*, 2001, 42(7), 1259-1262).
- A new type of peptidomimetic has been prepared on both solid and phase and in solution based on a hydroxyalkylfuranyl-amino acid template (Moreno-Vargas *et al.*, *Tetrahedron Lett.*, 2001, 42(7), 1283-1285).
- 1,4-Benzoxazin-3(4H)-one and 1,4-benzothiaon-3(4H)-one derivatives have been prepared by solid-phase routes for the first time (Lee *et al.*, *Tetrahedron Lett.*, 2001, 42(6), 1167-1169).
- A facile and practical solid-phase synthesis of trisubstituted 2-aminoimidazolones has been described (Li and Wilson, *Tetrahedron Lett.*, 2001, 42(8), 1455-1458).

Solution-phase synthesis


Novel resins and linkers

- 2,4,6-Trichloropyrimidine has been regioselectively anchored to resin through the 4-position by reaction with supported N-potassium carbamates (Zucca *et al.*, *Tetrahedron Lett.*, 2001, 42(6), 1033-1035).
- Secondary amides linked to solid support by use of Sieber or Rink resins have been directly released as nitriles following treatment with trifluoroacetic anhydride (Hone *et al.*, *Tetrahedron Lett.*, 2001, 42(6), 1115-1118).
• The use of the Dde linker for the solid-phase synthesis of oligosaccharides has been described. Products can be generated from resin by treatment with hydrazine, ammonia or primary amines (Drinnan et al., Tetrahedron Lett., 2001, 42(6), 1159-1162).

• A novel 2-(trialkylsilyl)ethyl linker has been prepared and this has been used in a solid-phase synthesis of Trypostatin B (Wang et al., Tetrahedron Lett., 2001, 42(8), 1463-1466).

Library applications
• A library of urea-based 6,6-bicyclic β-turn peptidomimetics with diversity at the I position has been prepared on solid-phase support (Eguchi et al., Tetrahedron Lett., 2001, 42(7), 1237-1239).

• Solution-phase methodology has been employed in the synthesis of a library of 4-alkoxy-2-hydroxy-3,5,6-trifluorobenzoic acids for evaluation against the enzyme farnesyl transferase. The compounds were prepared using a key fluoride-mediated alkylation step and ion-exchange resins for purification (Hardcastle et al., Tetrahedron Lett., 2001, 42(7), 1363-1365).