Solid-phase synthesis

- A resin-bound cyclic malonic ester has been prepared and used in the solid-phase synthesis of 4(1H)quinolones (Huang and Liu, *Tetrahedron Lett.*, 2001, 42(43), 7655-7657).
- Friedel-Crafts acylation of resin-bound 3,4-dimethoxyphenylacetate has been used as a key step in the preparation of 2,3-benzodiazepines in good yields and purities (Bevacqua et al., *Tetrahedron Lett.*, 2001, 42(43), 7683-7685).
- Tetrasubstituted thiophenes have been prepared on solid-phase support using the Gewald reaction (Castanedo and Sutherlin, *Tetrahedron Lett.*, 2001, 42(41), 7181-7184).
- Improved conditions for the acidolytic deprotection of Fmoc-protected thioxo peptides have been developed (Miwa et al., *Tetrahedron Lett.*, 2001, 42(41), 7189-7191).
- A novel labdane diterpenoid-based scaffold has been identified as a template for the solid-phase synthesis of combinatorial libraries (Farooq Biabani et al., *Tetrahedron Lett.*, 2001, 42(40), 7119-7121).
- A solid-phase approach for the preparation of oxygen-bridged tetrahydropyridones has been developed (Jönsson et al., *Tetrahedron Lett.*, 2001, 42(39), 6953-6956).
- The reduction of aromatic nitro and azido groups on solid-phase has been achieved using indium and applied to the synthesis of pyrrolo[2,1-c][1,4]benzodiazepine-5,11-diones (Kamal et al., *Tetrahedron Lett.*, 2001, 42(39), 6969-6971).
- A range of novel carbohydrate-based templates have been developed for use in solid-phase peptide synthesis and for the preparation of dendrimers containing multiple copies of peptides (McGeary et al., *Tetrahedron*, 2001, 57(41), 8733-8742).

Solution-phase synthesis

- An orthogonally-protected bicyclic decapeptide has been prepared and used as a template for solution-phase combinatorial synthesis of tetrapodal libraries (Xu et al., *Tetrahedron Lett.*, 2001, 42(41), 7261-7263).

Novel resins, linkers and techniques

- Attaching $p$-hydroxyphenyl-$\beta$-ketosulphide to Wang resin followed by oxidation has given a new sulphoxide linker that can be cleaved under Pummerer conditions to give 1,2-diols (Rolland et al., *Tetrahedron Lett.*, 2001, 42(43), 7563-7566).
- A novel, symmetrical dendrimer monomer has been synthesised from tris(hydroxymethyl)aminomethane and coupled to a resin for solid-phase peptide synthesis (Cho et al., *Tetrahedron Lett.*, 2001, 42(42), 7443-7445).
- A ruthenium carbene complex has been immobilised on polystyrene resin and used for the internal metathesis of internal alkenes (Niezcypor et al., *Tetrahedron Lett.*, 2001, 42(40), 7103-7105).
• 1,3-Dipolar cycloadditions of solid-phase supported Evans’ chiral auxiliary with nitrile oxides and nitrones in the presence of magnesium cation as catalyst have been evaluated (Faita et al., *Tetrahedron*, 2001, 57(39), 8313-8322).

• NIR-FT-Raman spectroscopy has been shown to represent a useful tool for the qualitative and quantitative characterisation of polymer-supported reagents and catalysts (Altava et al., *Tetrahedron*, 2001, 57(41), 8675-8683).

**Library applications**


• The solid-phase synthesis of a combinatorial library constructed from aromatic phosphate, long alkyl chains and tryptophan components has been used in the search for novel telomerase inhibitors (Sasaki et al., *Bioorg. Med. Chem. Lett.*, 2001, 11(19), 2581-2584).


• A combinatorial chemistry approach has been used in the identification of a second generation of heterocyclic urea raf kinase inhibitors (Smith et al., *Bioorg. Med. Chem. Lett.*, 2001, 11(20), 2775-2778).

• Parallel synthesis has been used to improve the affinity and aqueous solubility of terahydroisoquinoline sulphonamide-based delta opioid receptor antagonists leading to compounds with *in vivo* activity (Barn et al., *Bioorg. Med. Chem.*, 2001, 9(10), 2609-2624).

• The solid-phase synthesis of two families of rigidified RGD mimics and their affinity for the \(\alpha_\beta_1\) receptor has been reported (Royo et al., *Tetrahedron Lett.*, 2001, 42(42), 7387-7391).

• A small library of 2,6-disubstituted and 2,5,6-trisubstituted-4(3H)-pyrimidinones has been prepared on solid-phase support and tested for their ability to inhibit HIV-1 reverse transcriptase (Botta et al., *Tetrahedron*, 2001, 57(39), 8357-8367).