Solid-phase synthesis

- Solid-phase heterocycleadditions under Eu(fod)$_3$ catalysis have been carried out between homochiral vinyl mandelate and Wang resin-supported benzylidenepepyruvate in high yields and diastereoselectivities and with recovery of catalyst (Dujardin et al., Tetrahedron Lett., 2001, 42(50), 8849-8852).
- Reaction of aldehydes with polymer-supported selenoalkylidiphenylphosphoranes under Wittig-type conditions has given ketone and aldehyde products after cleavage from the support (Huang and Sheng, Tetrahedron Lett., 2001, 42(51), 9035-9037).
- $p$-Hydroxyphenyl menthyl sulphinate has been linked to Wang resin and reacted with Grignard reagents and potassium or lithium enolates to give sulphoxide products (Rolland et al., Tetrahedron Lett., 2001, 42(51), 9077-9080).
- An efficient cleavage of polymer-supported disubstituted triazenes with trimethylsilyl halides has been used to generate alkyl chlorides, bromides and iodides (Pilot et al., Tetrahedron Lett., 2001, 42(52), 9179-9181).
- A method for the efficient preparation of bis-cyclic guanidines from reduced tripeptides has been described (Acharya et al., Tetrahedron, 2001, 57(50), 9911-9914).

Solution-phase synthesis

- Palladium and copper-(II)-mediated coupling chemistry has been used to broaden the scope of solution-phase synthesis of purine libraries, introducing different functionality and creating aryl-purine scaffolds (Ding et al., Tetrahedron Lett., 2001, 42(50), 8751-8755).
- An 800-member library of substituted prolines has been prepared in solution through a [3+2] cycloaddition using microwave-assisted synthesis (Wilson et al., Tetrahedron Lett., 2001, 42(51), 8939-8941).

Novel resins, linkers and techniques

- A series of functionalised resins have been prepared from Merrifield resin with significant reduction in reaction times using microwave irradiation (Yang et al., Tetrahedron Lett., 2001, 42(51), 9043-9046).

Library applications

- The solid-phase synthesis of dipeptide $p$-nitroanilides and dipeptide diphenyl phosphonates has been described in the search for substrates and irreversible inhibitors of dipeptidyl peptidases (Senten et al., Tetrahedron Lett., 2001, 42(52), 9135-9138).
- Combinatorial synthesis has been used to prepare libraries of compounds with potent CCR5 binding affinity leading to the identification of one compound with moderate anti-HIV-1 activity (Willoughby et al., Bioorg. Med. Chem. Lett., 2001, 11(24), 3137-3141).
• Libraries of 3β-peptidoandrostan-17-ones with one, two or three levels of diversity have been prepared on solid-phase support in the search for inhibitors of type 3 17β-hydroxysteroid dehydrogenase (17β-HSD) (Maltais et al., Bioorg. Med. Chem., 2001, 9(12), 3101-3111).

• Solid-phase synthesis of adenosine derivatives using a safety-catch linker has been employed in the optimisation of glycolysis inhibitors with potential as anttryposomal drugs (Golisade et al., Bioorg. Med. Chem., 2002, 10(1), 159-165).

• The combinatorial synthesis of indole libraries has been used in the discovery of compounds with high affinity and selectivity for various G-protein coupled receptors (Willoughby et al., Bioorg. Med. Chem. Lett., 2002, 12(1), 93-96).