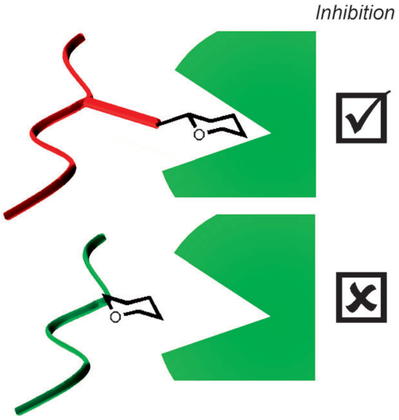
Glycopolymer Binding

S.-J. Richards, M. W. Jones, M. Hunaban, D. M. Haddleton, M. I. Gibson* ———— 7812–7816

Probing Bacterial-Toxin Inhibition with Synthetic Glycopolymers Prepared by Tandem Post-Polymerization Modification: Role of Linker Length and Carbohydrate Density

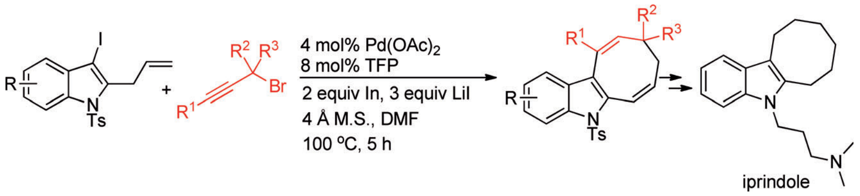
Probing the depths: A tandem post-polymerization modification strategy was used to systematically probe the multivalent inhibition of a bacterial toxin as a function of linker length (see scheme), carbohydrate density, and glycopolymer chain length. Guided by structural-biology information, the binding-pocket depth of the toxin was probed and used as a means to specifically improve inhibition of the toxin by the glycopolymer.



Heterocycles

C. Zhu, X. Zhang, X. Lian, S. Ma* ———— 7817–7820

One-Pot Approach to Installing Eight-Membered Rings onto Indoles



Ring fusion: The Pd^0-catalyzed reaction of 2-allyl-3-iodo-1-tosyl-1*H*-indoles and propargylic bromides affords dihydrocycloocta[*b*]indoles (see scheme; M.S. = molecular sieves, TFP = tris(2-furyl)phosphine, Ts = 4-toluenemethanesulfonyl), and proceeds by carbon–carbon coupling, [1,5]-hydrogen migration, and electrocyclization. The newly established method was used to efficiently access iprindole.

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50 Years Ago . . .

Angewandte Chemie International Edition was first published in 1962, the mother journal first in 1888. In this monthly flashback, we feature some of the articles that appeared 50 years ago. This look back can open our eyes, stimulate discussion, or even raise a smile.

Issue 8 in 1962 started with a Review by G. Wittig on small rings with carbon–carbon triple bonds, in particular the formation and reactions of benzyne (didehydrobenzene), which had been first postulated as intermediate by Wittig in 1942 and is still being exploited today. The issue of whether benzyne was a true intermediate or only a transition state was also addressed, and evidence that benzyne occurs as an intermediate in reactions, and in the gas phase was presented. A thermal synthesis of benzyne in a specially constructed apparatus led to its capture under high vacuum under argon, thus paving the way for further studies.

The preparation of 5-amino sugars was discussed in by H. Paulsen in a Communication. These compounds are of particular interest as they can transform into piperidinoses, which comprise a six-membered ring that contains a nitrogen atom. The amino sugars were prepared as their acetamido derivatives, and upon hydrolysis, the carbonyl group interacts with the free amino group to produce a 3-hydroxypyridine after spontaneous elimination of water and aromatization of a postulated piperidinose intermediate.

Angewandte Chemie has often published articles that use the results from further studies to dispute a previously reported claim, and a Communication by R. Huisgen and W. Edl opposed a statement made in 1927 that dibenzoyl peroxide can benzoxylate benzene in the presence of $AlCl_3$. They reported that phenyl benzoate is in fact obtained in both toluene and non-aromatic solvents and went on to describe how BF_3 in dichloromethane is a particularly suitable catalyst for the production of carboxylic esters from mixed diacyl peroxides. A mechanism was proposed that was consistent with independent studies that used $AlCl_3$ and an isotopically labeled peroxide, and were reported whilst the article was in press.

Read more in Issue 8/1962