

Book of Abstracts







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Both pyrimidine and imidazole rings can be opened in purine derivatives.¹ Our research introduces a new method for the ring-opening reactions of fused pyrimidines such as purines, deazapurines, and quinazolines. By utilizing various nucleophiles and the presence of azide-tetrazole equilibrium in structure **2**, we have established a unique approach toward imidazolyl/pyrrolyl/aryl tetrazole derivatives **3a–c** (**Scheme 1**). In our case, the tetrazole ring acts initially as a protecting group, encouraging nucleophiles to attach to the less active C2 position of fused pyrimidine. Then it acts as a leaving group when the pyrimidine ring undergoes a second nucleophile attack, eventually leading to the formation of tetrazolyl derivatives **3**. We have confirmed the structures of these compounds using X-ray analysis. Besides, the opened products can be used as starting materials to prepare diazepine-type structures.

Additionally, an approach was developed for sulfonyl group migration from the quinazoline's C4 position to its C2 position via a "sulfonyl group dance" during S_NAr reactions with NaN₃ using the azide-tetrazole equilibrium and based on our previous studies toward 6-azido-2-sulfonylpurine derivatives² and thiosubstituted tetrazoloquinazolines³ (Scheme 1).

We will discuss the ways to synthesize ring-opening products for fused pyrimidines and substituted quinazoline derivatives.



Scheme 1: General synthetic approaches toward derivatives 3 and 4.

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References:

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