NEW SYNTHETIC METHODS OF PYRAZINOISOQUINOLINES BY SIMULTANEOUS C-N AND C-C BOND FORMATION

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We have developed a strategy for the synthesis of the pyrazinoisoquinoline derivatives (I), which envisions the intramolecular cyclization reaction of the synthetic intermediate (II) to form C-N and C-C bond simultaneously. This approach affords a noble synthetic method for the preparation of 1,2,3,4-tetrahydroisoquinoline derivatives. The compounds (II) have been prepared by several routes, and the cyclization was effected under an acidic medium in excellent yield. The possible reaction intermediates, 2-hydroxypiperazinone (III) and 2,3-dehydropiperazinone(IV)were isolated and further converted into the product (I). The details of the reactions and our approach will be discussed.