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Contents

P 43 **Two-Step Synthesis of Purine-Indole Conjugates from Triazolylpurines**
Aleksejs Burcevs

P 44 **Evaluation of Synergy-Enhanced Anticancer Activity of Imatinib and PCTs Salts**
Jevgeņija Luginina

P 45 **Facile Epimerization Of (+)-Sclareolide: A Versatile Experiment For Laboratory Education**
Olha Antoniuk

P 46 **Copper-Catalyzed Arylation Of Propargyl Silanes With Iodanes Featuring 1,2-Silyl Shift And Cascade Cyclization**
Rasma Kroņkalne

P 47 **New SPEEK Membranes Modified with Bisphophonate Dopants**
Fátima C. Teixeira, António P. S. Teixeira

P 48 **An Unprecedented Pathway to Fused Imidazole Derivatives from Azine Carboxamides: Scope, Limitations, and Mechanistic Insights**
Andriy I. Frolov

P 49 **Rhenium heptasulfide: rebirth as selective hydrogenation catalyst for organic synthesis**
Volodymyr V. Buryanov

P 50 **Chasing Elusive Chemotypes: A Unified Strategy Toward Functionalized 7- and 8-Membered Oxaza-Heterocycles**
Dmytro O. Leha

P 51 **Development of Co-crystals of Bio-inspired Insensitive Energetic Materials**
Dāgs Dāvis Līpiņ

P 52 **Derivatization studies on antimicrobial abietanes**
Tiago A. L. Duarte

P 53 **Noncanonical Rh(0)/Rh(I) Catalysis Enables Epoxy Depolymerisation in Water**
Emil V. Schwibinger

P 54 **SF_4 as a deoxofluorinating reagent. Is it green or hazardous?**
Dmytro M. Volochnyuk

P 55 **Neglected Cage Compounds from Rare Structures to Available Chemicals**
Serhiy V. Ryabukhin

P 56 **Upcycling of Polyethylene & Polypropylene into CO_2 Adsorbents**
Thomas Balle Bech

P 57 **Heterogeneous Catalysis in the Sonogashira Coupling of Heteroarylhalides with ArylAcetylenes using $[Pd(NH_3)_4]^{+2}$NaY in Eucalyptol**
Francisco Ribeiro

Two-Step Synthesis of Purine-Indole Conjugates from Triazolylpurines

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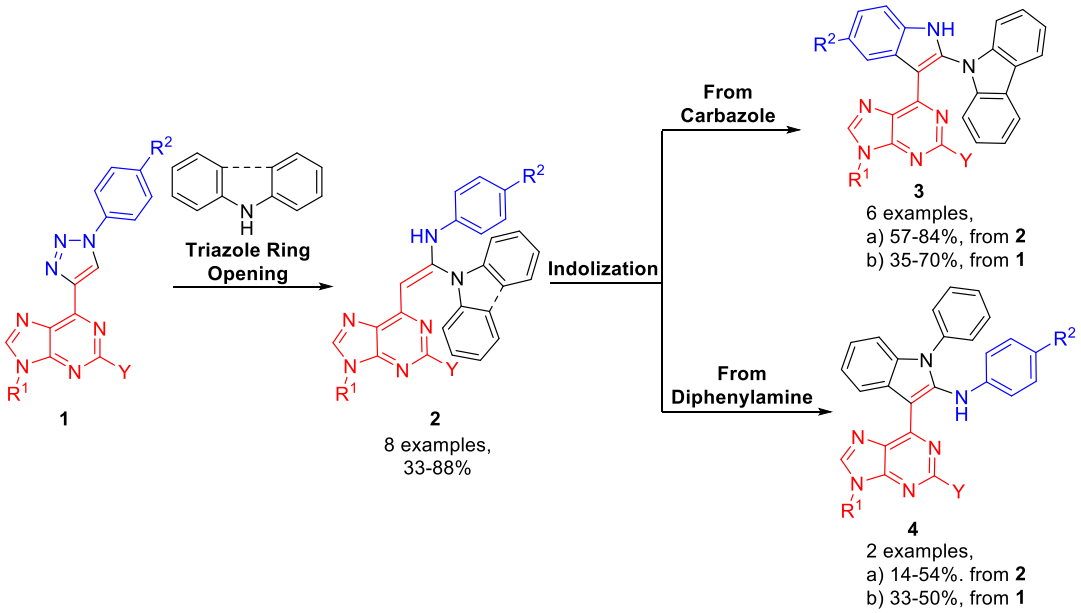
Purine is one of the most occurring nitrogen-containing heterocycles in nature, found in various natural products and medicinal compounds, and thus it provides a great molecular core for the synthesis of new compounds that possess biological activity with potential use in pharmaceuticals.[1] Another heterocycle, which is also abundant in nature and has a wide array of biological activities, is indole.[2] It is important to develop new methods for the synthesis of indoles, especially with heteroatom-containing substituents at the C2 position.

We will discuss a metal-free two-step synthesis of purine-indole conjugates from triazolylpurines **1** (**Scheme 1**), developed by our group.[3] In the first step, the triazole ring is opened with *N*-nucleophile, forming ethene-1,1-diamines **2**. Depending on the nature of the nucleophile that is used to open the triazole ring, different 1*H*-indole derivatives can be obtained in the subsequent cyclization reaction (product **3** forms if the nucleophile is carbazole; product **4** forms if the nucleophile is diphenylamine).



Scheme 1: Synthesis of Purine-Indole Conjugates **3** and **4** from Triazolylpurines **1** in two steps.

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