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Gold(I)-Catalyzed Redox Transformation of *o*-Nitroalkynes with Indoles for the Synthesis of 2,3′-Biindole Derivatives

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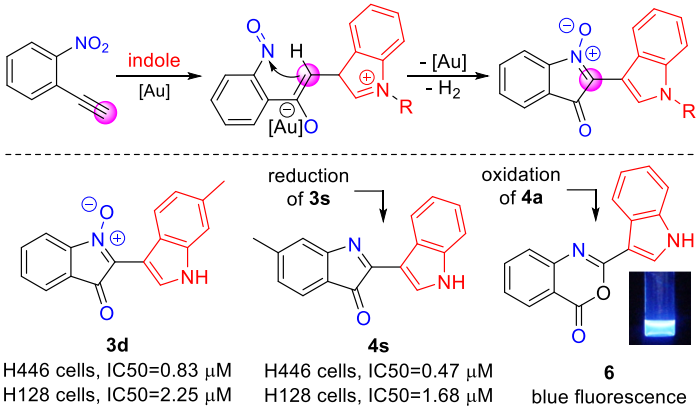
Org. Chem. Front. **2021**, *8*, 1808–1816. **DOI:** 10.1039/d1qo00134e



Abstract: An efficient and practical method for the synthesis of 2-indolyl indolone *N*-oxides *via* gold(I)-catalyzed cascade reaction of *o*-nitroalkynes with indoles has been reported. The generated product could be readily converted into the 2-indolylbenzoxazinone, which emits strong blue fluorescence. We also investigated the antitumor activity of these synthesized compounds in small cell lung cancer (SCLC), and the results show that compounds **3d** and **4s** exhibit high anticancer potency against SCLC cells.

Practical Synthesis of 2-Iodosobenzoic Acid (IBA) without Contamination by Hazardous 2-Iodoxybenzoic Acid (IBX) under Mild Conditions

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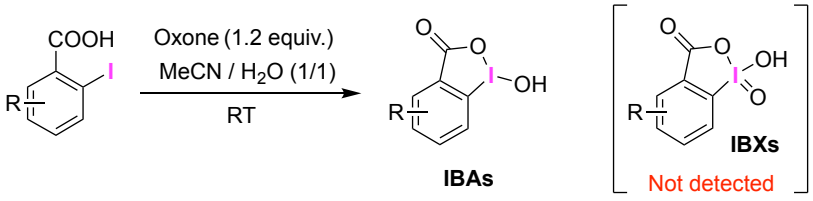
Molecules **2021**, *26*, 1897 (1–18). **DOI:** 10.3390/molecules26071897



Abstract: We report a convenient and practical method for the preparation of nonexplosive cyclic hypervalent iodine(III) oxidants as efficient organocatalysts and reagents for various reactions using Oxone® in aqueous solution under mild conditions at room temperature. The thus obtained 2-iodosobenzoic acids (IBAs) could be used as precursors of other cyclic organoiodine(III) derivatives by the solvolytic derivatization of the hydroxy group under mild conditions of 80 °C or lower temperature. These sequential procedures are highly reliable to selectively afford cyclic hypervalent iodine compounds in excellent yields without contamination by hazardous pentavalent iodine(III) compound.

Homopiperazine (Hexahydro-1,4-diazepine)

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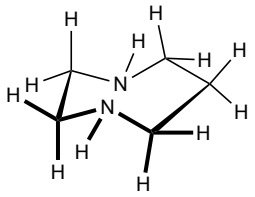
Molbank **2021**, *2021*, M1200 (1–5). **DOI:** 10.3390/M1200



X-Ray structure, $^{1}J_{C-H}$, ^{15}N NMR

Abstract: The X-ray structure of the title compound has been determined for the first time. Data on its ^{1}H–^{13}C NMR coupling constants and ^{15}N NMR spectrum are also given.

GlycoBIODIPYs: Sugars Serving as a Natural Stock for Water-Soluble Fluorescent Probes of Complex Chiral Morphology

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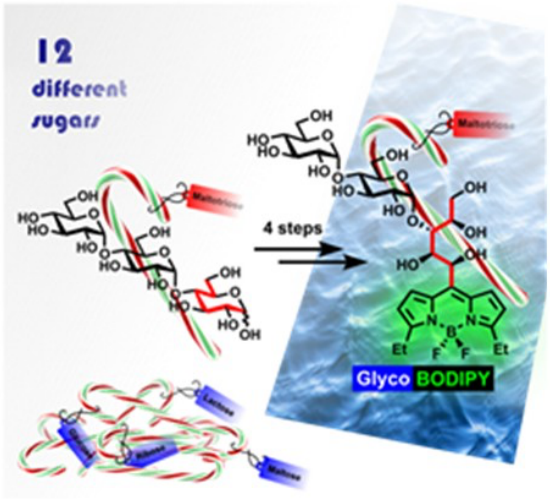
Angew. Chem. Int. Ed. **2021**, *60*, 8766–8771. **DOI:** 10.1002/anie.202016764



Abstract: A range of unprocessed, reducing sugar substrates (mono-, di-, and trisaccharides) is shown to take part in a straightforward four-step synthetic route to water-soluble, uncharged BODIPY derivatives with unimpaired chiral integrity and high fluorescence efficacy. A wide compatibility with several postfunctionalizations is demonstrated, thus suggesting a universal utility of the multifunctional glycoconjugates, which we call GlycoBODIPYs. Knoevenagel condensations are able to promote a red-shift in the spectra, thereby furnishing strongly fluorescent red and far-red glycoconjugates of high hydrophilicity. The synthetic outcome was studied by X-ray crystallography and by comprehensive photophysical investigations in several solvent systems. Furthermore, cell experiments illustrate efficient cell uptake and demonstrate differential cell targeting as a function of the integrated chiral information.

Continuous Flow Synthesis of Metal–NHC Complexes (NHC = *N*-Heterocyclic Carbene)

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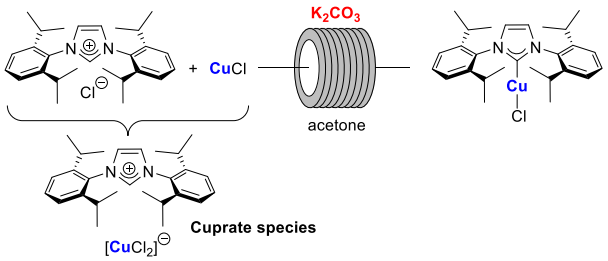
Chem. Eur. J. **2021**, *27*, 5653–5657. **DOI:** 10.1002/chem.202100190



Abstract: The use of weak bases and mild conditions is currently the most sustainable and attractive synthetic approach for the preparation of late-transition metal complexes, which are widely used in catalysis, medicinal chemistry and material sciences. In this contribution the first example of the use of flow continuous reactors for the preparation of Cu(I), Au(I) and Pd(II)-NHC complexes using as starting materials cuprate, aurate or palladate species and a microreactor containing the weak base K_2CO_3 is described. All reactions examined proceed under extremely mild conditions and make use of technical grade acetone as solvent. The scalability of the process was exemplified in the multigram-scale synthesis of [Cu(IPr)Cl].

Catalyst-Free Formation of Nitrile Oxides and Their Further Transformations to Diverse Heterocycles

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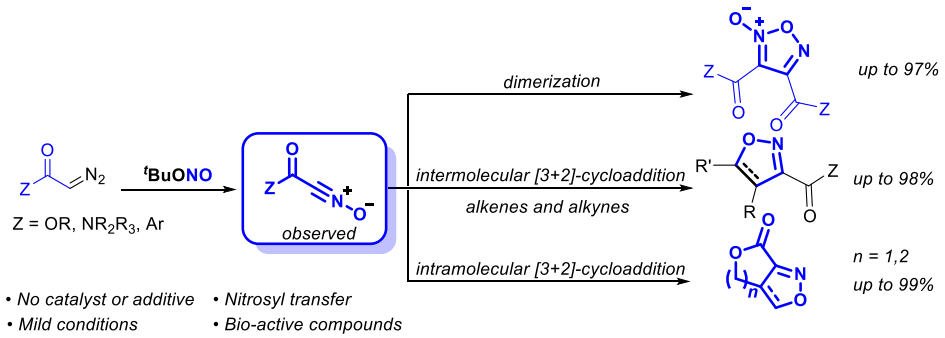
Org. Lett. **2021**, *23*, 925–929. **DOI:** 10.1021/acs.orglett.0c04130



Abstract: The formation of nitrile oxides with diazocarbonyl compounds by nitrosyl transfer from *tert*-butyl nitrite under mild conditions and without the use of a catalyst or an additive is reported. This transformation is broadly applicable to the synthesis of furoxans by dimerization, isoxazoles and isoxazolines by cycloaddition. This methodology is also applied for the mmol-scale synthesis of two biologically active compounds. The formation of the nitrile oxide from a diazoacetamide is stable and confirmed experimentally.