

MICROWAVE-ASSISTED FUNCTIONALIZATION OF INDAZOLES: AN OVERVIEW

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ABSTRACT

Indazole-based heterocyclic compounds possessing significant medicinal properties form the basis of developing several pharmaceuticals. Microwave-assisted organic synthesis is one of the most effective and promising techniques from the perspective of green chemistry, as it increases reaction rates and yields while decreasing wasteful byproducts. Functionalization of indazole derivatives under microwave irradiation is achieving tremendous interest in recent times. Various cross-coupling reactions are generally utilized during the microwave-assisted functionalization of indazoles. This review article chronologically describes different types of functionalization of indazoles assisted by microwave irradiation with up-to-date literature coverage.

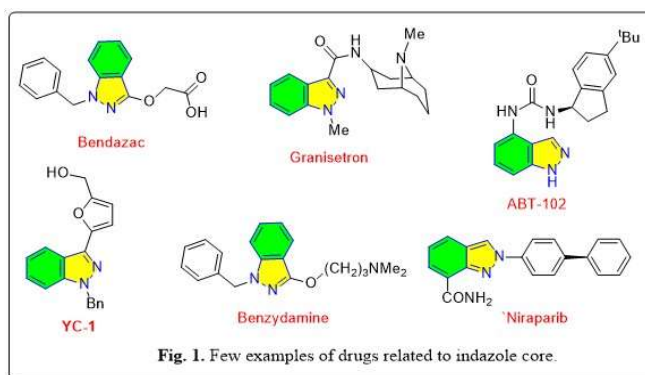
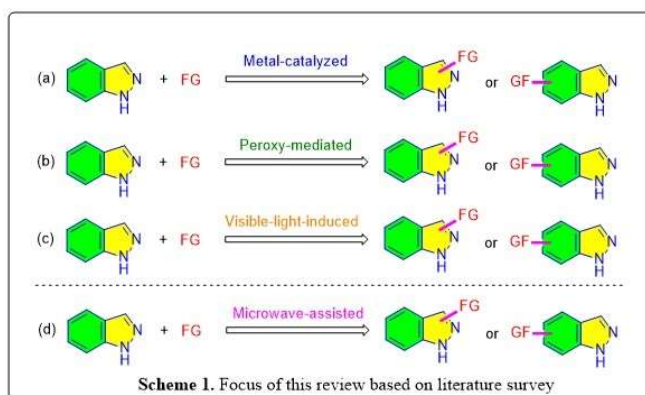
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INTRODUCTION

Organic compounds having indazole rings constitute an important class possessing significant medicinal properties and thus form the basis of developing several pharmaceutical products (Fig.-1).¹ As the indazoles are scarcely obtained from natural sources, designing the effective synthetic protocol and functionalization at the indazole moiety of a heterocyclic compound is an active area of pharmaceutical chemistry and organic chemistry.²⁻⁶ Generally, the functionalization of indazoles is widely carried out by using transition-metal catalysis (Scheme-1a).⁷⁻¹³ Metal-free peroxy-mediated methodologies are also well known (Scheme-1b).¹⁴⁻¹⁸ However, harsh reaction conditions, high temperature, large reaction time, and a higher percentage of side-product(s) are responsible for the limitations of these methodologies. Therefore, green synthetic protocol based on functionalization to access various types of indazole derivatives is highly encouraged in this field of research in recent times.¹⁹⁻²¹ Although the visible-light-induced functionalization of indazoles (Scheme-1c) is presently getting tremendous interest, it suffers from significant drawbacks, such as large reaction time, and side-product formation.²²⁻²⁵ All these drawbacks can be solved when a new tool, microwave is introduced to carry out these reactions. The application of controlled microwave heating is found to reduce the reaction time dramatically resulting in better yield, reproducibility, sustainable reaction conditions as well as increased efficiency of the reaction.²⁶⁻²⁹ Thus, experimental evidence revealed that the functionalization of indazoles using microwave irradiation (Scheme-1d) evolved as a useful green synthetic method for creating an indazole-based compound library to design bioactive heterocyclic compounds that may be chosen as effective leads and systematically investigated in various drug discovery programs. It is well established that the functionalizations of heterocyclic rings by coupling reactions are considered a better synthetic route than cyclization processes.³⁰⁻³⁴ Among different types of cross-coupling reactions, the Suzuki-Miyaura technique is the most common carbon-carbon coupling methodology due to its multiple features like enough substrate scope, good level of functional group tolerance, as well as high turnover rates with effective catalysts.³⁵ Recently, the synthesis of derivatives using 3-vinylindazoles via cross-coupling techniques has been studied by various groups of researchers.³⁶⁻³⁹ More specifically, the same vinylated indazoles have also been prepared from 3-bromo-1*H*-indazole by Stille coupling with about 60% yield.³⁶ Another 6-functionalized 3-vinyl indazole was also prepared via Suzuki cross-coupling to synthesize polo-like kinase 4 (PLK4) inhibitors as antitumor agents with appreciable yield although the reaction time is quite long.³⁷ All such cross-coupling reactions as a method of functionalization aimed at indazole moiety can

be carried out more selectively by heating through microwave irradiation. It is well-reported that 1*H*-indazoles can also be prepared by direct amination at a C–H even in the absence of Pd as a catalyst, and hydrazones are transformed to 1*H*-indazoles using suitable reagents on microwave irradiation.⁴⁰⁻⁴³ It is also noteworthy that -NH- group of indazole moiety may be chosen as a reactive site for synthesizing a wide range of *N*-substituted derivatives. However, such methods without using Pd are only applied to synthesize a series of *N*-aryl substituted 1*H*-indazoles leaving the 1-unsubstituted 1*H*-indazoles. Duan *et al.*⁴⁴ also reported an efficient method for the synthesis of 1-unsubstituted 1*H*-indazoles by the C–H amination of *N*-acetyl hydrazones using MnO₂ as a catalyst on microwave irradiation. Cross-coupling reactions between the isomers of bromoindazoles and aryl boronic acids using Pd as a catalyst on heating through microwave irradiation might result in mono- or diaryl-substituted indazole moieties. Specifically, carbon-carbon or carbon-nitrogen coupling of mono-substituted indazoles with terminal alkenes and aryl halides leads to the formation of 1,3-disubstituted indazoles.⁴⁵⁻⁴⁸ Despite such striking features of microwave irradiation as a heating method used for the functionalization of indazoles, no comprehensive review on microwave-assisted functionalization of indazoles is reported in recent times to the best of my knowledge. Therefore, a comprehensive review of the application of microwave irradiation as an energy-efficient green protocol for functionalization leading to the synthesis of various derivatives is highly needed in this area of research. Inspired by this background, the first comprehensive review on microwave-assisted functionalizations of indazoles is reported herein with the up-to-date literature survey. For a better understanding of the readers, this review is subdivided based on the types of functionalization.



Bioactive Potential of Indazoles

Indazole-based compounds obtained from natural sources as well as synthetic indazole derivatives possess a wide spectrum of biological activities, such as anticancer, antibacterial, antiprotozoal, anti-inflammatory, and inhibitory properties against many enzymes. Moreover, many aryl-substituted indazole derivatives have been reported as potential pharmaceuticals.^{49,50} For example, the indazole nucleus is a common structural feature of a wide variety of medicinal molecules, such as granisetron, *i.e.* a serotonin 5-HT₃ receptor antagonist which is effectively used in the treatment of nausea or vomiting due to cancer chemotherapy, anti-inflammatory drugs like benzydamine, bendzac, etc. that are extensively used in

cancer treatment, axitinib which acts as a kinase inhibitor, and used to treat advanced renal cell carcinoma, etc.⁵¹ More specifically, various indazole derivatives have been well-reported as anticancer,^{52,53} antibacterial,^{54,55} antiprotozoal,⁵⁶⁻⁵⁸ anti-inflammatory,^{59,60} and also enzyme-inhibitory activity against many enzymes.⁶¹⁻⁶⁴ The strong enzyme inhibitory activity of 7-nitroindazole on neuronal and endothelial nitric oxide synthase (NOS) which is supposed to possess *in vivo* antinociceptive properties, was first reported by Moore *et al.*⁶³ The distribution of electronic charge cloud within indazole moiety as well as definite molecular geometry of the indazole ring was supposed to contribute to the binding affinity of these heterocyclic compounds which appreciably facilitate the process of enzyme and receptor recognition. Indazoles constitute a family of nitrogen-based heterocyclic compounds having a bicyclic system consisting of benzene and pyrazole ring, and the two types of indazoles that are commonly chosen for functionalization in synthesizing new and medicinally important derivatives are identified as 1*H*-indazole and 2*H*-indazole (1 and 2), although 3*H*-indazole is also known (Fig.-2).

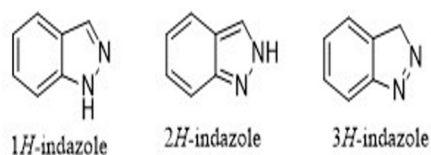


Fig.-2: Structure of various types of indazoles

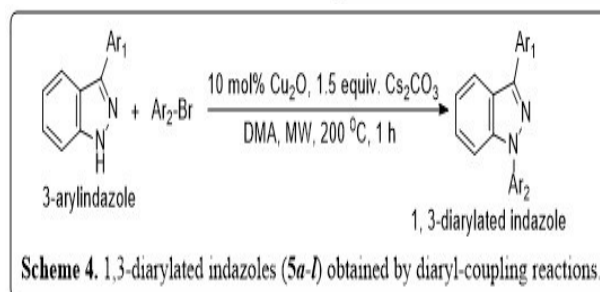
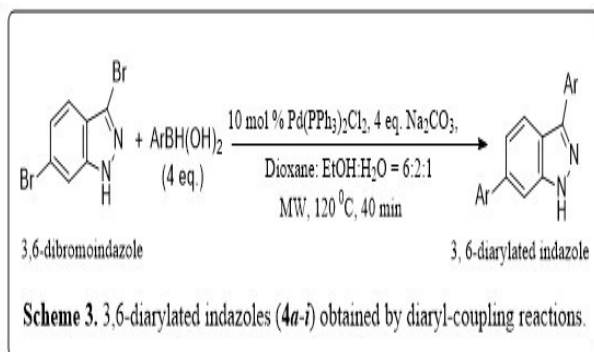
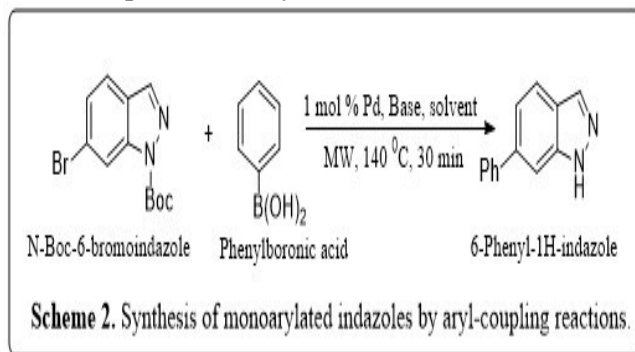
Functionalization at Indazole Moiety

It is well-reported that coupling reactions using Pd as a catalyst are common synthetic techniques for the preparation of a variety of functionalized heterocycles.⁶⁵⁻⁶⁷ Yum *et al.*⁴⁵ reported that microwave-assisted copper (Cu) or palladium (Pd)-catalyzed functionalization of heterocyclic compounds is more advantageous compared to conventional heating methods.⁶⁸⁻⁷¹ Synthesizing a compound library of diversely functionalized small molecules is considered a more effective method to find bioactive leads with appropriate biomolecular targets.^{72,73} As the indazole nucleus contains two fused aromatic rings with some difference in electronic distribution, functionalization might be aimed at multiple positions. Thus, diversification through the functionalization of any haloindazole precursor might be considered an innovative synthetic protocol in search of new bioactive heterocycles.

Arylation

Oh, and Yum⁴⁵ studied and optimized the conditions of Pd-catalyzed as well as microwave-assisted coupling reactions between the *N*-Boc-derivative of 6-bromo-1*H*-indazole as substrate and phenylboronic [PhB(OH)₂] acid using diverse Pd-based catalysts, bases, and various solvents as shown in Scheme-2. The aryl-derivative, namely 6-phenyl-1*H*-indazole bearing a deprotected Boc-group was obtained as the coupling product on heating by microwave irradiation and the best yield was obtained using bis-(triphenylphosphine)palladium(II) dichloride, [Pd(PPh₃)₂Cl₂] as a catalyst, in presence of the base Na₂CO₃ instead of K₂CO₃ or Cs₂CO₃. The coupling reactions were preferably performed using dioxane and ethanol as a mixed solvent (4:1) due to the appreciably higher solubility of aryl boronic acids as well as a base in this mixed solvent. The structure of these monoarylated indazoles (3*a-p*) obtained by the coupling (*aryl-aryl*) reaction of *N*-Boc-protected isomers of bromoindazoles with a variety of arylboronic acids along with respective yields have been shown in Fig.-3. The aryl-substituted indazole derivatives have been produced by the coupling of *NH*-indazoles (free) and *N*-Boc-derivative of 3-bromo-1*H*-indazole with a variety of arylboronic acids such as thio-, carbo-, and aza-aryl boronic acids were found to provide excellent yields of 3-arylandazoles (3*a-d*). The reactions using various isomeric bromoindazoles with various aryl substituted-boronic acids, containing a variety of aryl groups produced appreciably higher yields of aryl-substituted indazoles as functionalized products (3*e-p*). They have also optimized the conditions for preparing diarylated indazoles by the coupling of *N*-Boc-derivative of 3,6-dibromoindazole (*substrate*) with arylboronic acid to produce 3,6-diarylated indazoles. The experimental studies revealed that an appreciably higher yield of the diarylated products, 4*a* and 4*b* was obtained using methoxypyridinyl boronic acids without any significant difference in reactivity of pyridine due to variation in the position of methoxyl (-OCH₃) group as

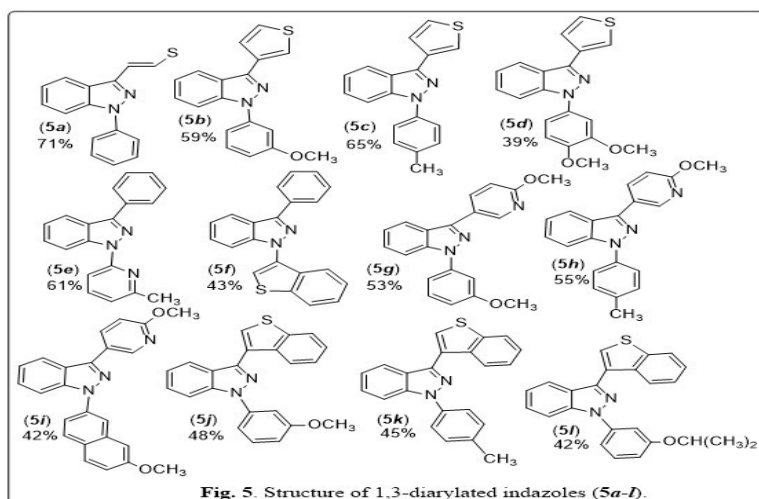
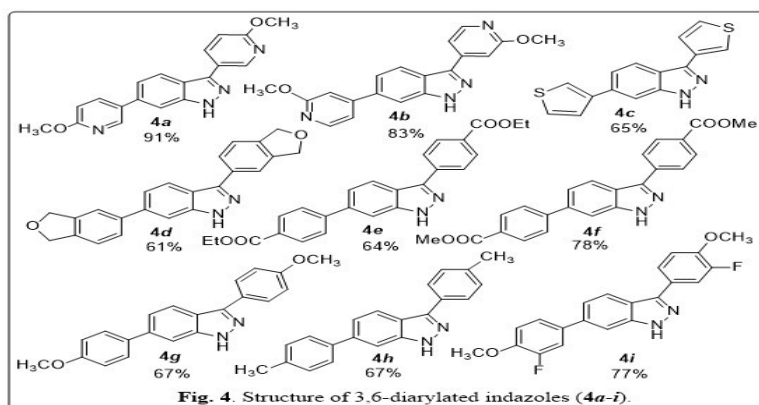
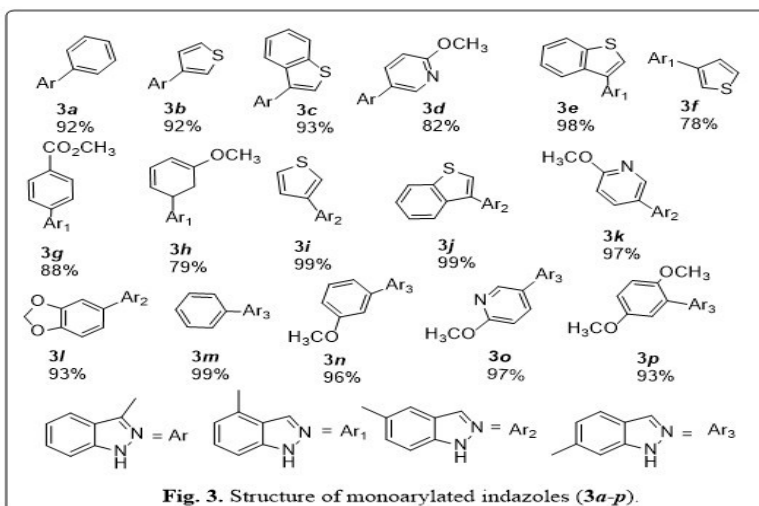
described in Scheme-3. The optimized conditions for producing these diaryl-derivatives are 10 mol% $\text{Pd}(\text{PPh}_3)_2\text{Cl}_2$ as a catalyst, 4 equivalents of aryl boronic acids, and 4 equivalents of Na_2CO_3 using mixed solvents prepared by mixing dioxane, ethanol, and water in the ratio, 6:2:1. The use of 3-thiophenylboronic acid in this coupling reaction also afforded satisfactory yield of the diarylated derivative, 4c; other diarylated products (4d-i) have also been produced with good yields using phenylboronic acids containing diverse functional groups which revealed that the effects due to electronic interactions between the substituents are not so prominent in controlling the yield of 3,6-diarylated products obtained by the coupling process. The structure of all these 3,6-diarylated indazoles (4a-i) obtained by diaryl-coupling reactions with respective yields was shown in Fig.-4. The same research group also investigated the formation of 1,3-diarylated derivatives via functionalization of 3-aryl-substituted indazoles using aryl halides containing a variety of substituents based on copper (Cu)-catalyzed *N*-arylation.⁶⁹ It was further reported that the multi-component mixture of 3-arylindazoles, aryl bromide, 10 mol% Cu_2O (catalyst), and Cs_2CO_3 (base) dissolved in *N,N*-dimethylacetamide (DMA) produced 1,3-diarylindazoles when heated by the microwave irradiation at 200 °C for a reaction time of 1 hour. Moreover, the optimized reaction conditions provided moderate to good yield of 1,3-diaryl substituted indazoles as shown in Scheme-4. Again, a series of 1,3-diarylindazoles (5a-l) have been synthesized using phenyl bromides containing a variety of substituents such as methyl or methoxy group with moderate to satisfactory yield as illustrated in Fig.-5. Moreover, 1,3-diaryl functionalization of indazole was also carried out by the similar reactions of 3-benzothiophenyl or 3-pyridylindazole with substituted aryl bromide. The studies revealed that the chemical reactivity of *N*-containing heterocyclic compounds is quite similar to that of substrates containing benzene ring, but 3-benzothiophenyl substituted indazole was found to be less reactive as evident from the relatively poor yield of the respective products, as shown in Fig. 5. It was concluded that the difference in reactivity, and also the poor yield was attributed to the steric congestion caused by the bulky benzothiophene moiety of the substrate.⁴⁵

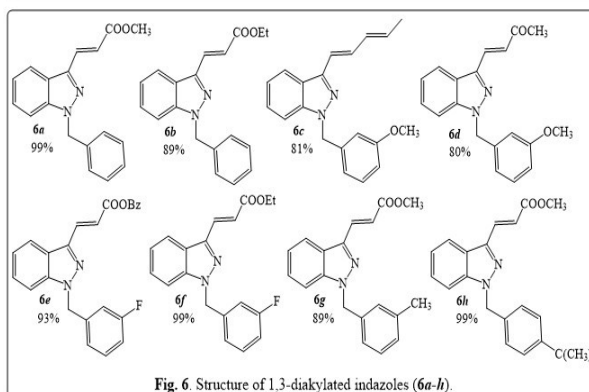
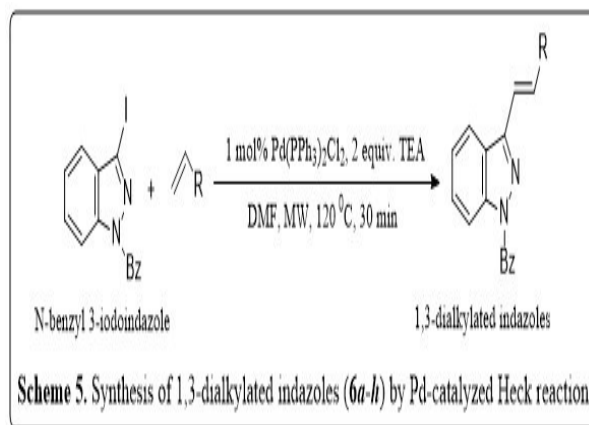


Alkylation and Alkenylation

1,3-Dialkylated indazoles have been produced by a Heck reaction of *N*-benzyl 3-iodoindazole with an alkene with Pd as a catalyst (Scheme-5). The introduction of a double bond into the indazole moiety was performed through the optimization of the conditions of Heck coupling using *N*-substituted 3-iodoindazoles and terminal alkenes.⁴⁵ The aryl-alkene coupling reactions between diversely substituted *N*-benzyl 3-iodoindazoles and a variety of compounds bearing a terminal

double bond, *i.e.* functionalized alkenes like styrene, methyl acrylate, and vinyl ketones, etc. found to provide 1,3-dialkylated indazoles with excellent yields. The conditions of the Heck reaction were optimized using 1 mol% $\text{Pd}(\text{PPh}_3)_2\text{Cl}_2$, 2 equivalents of TEA, and DMF at 120°C . The reaction of *N*-benzyl 3-iodoindazoles bearing a wide spectrum of substituents and substrates containing double bonds at the terminal was found to provide satisfactory yields (80-99%) of 1,3-dialkyl indazole derivatives (*6a-h*) as functionalized products. The structure of 1,3-diakylated indazoles (*6a-h*) along with their respective yields was shown in Fig.-6.

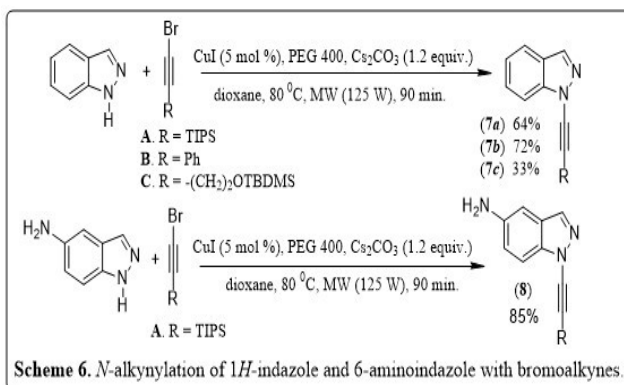




Alkynylation

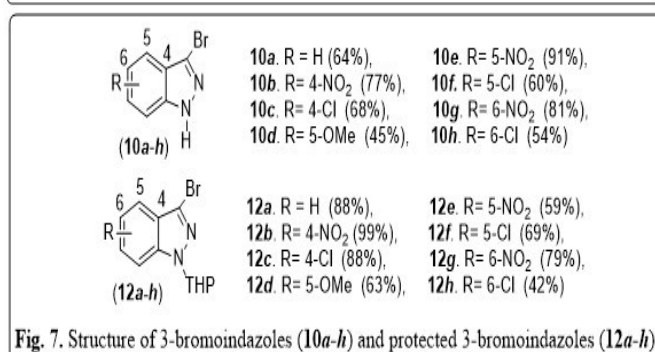
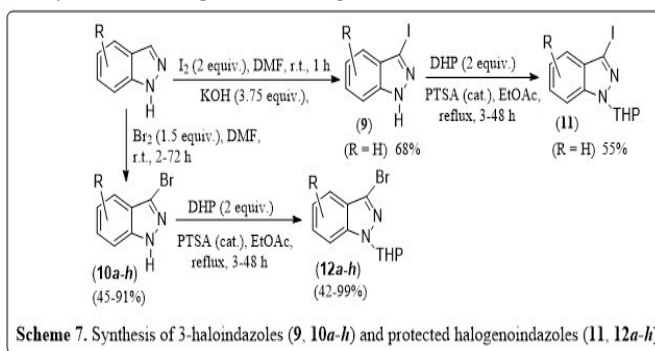
It is well established that *N*-alkynylheteroarenes are quite useful intermediates in the organic synthesis of medicinally important chemical entities.⁷⁴⁻⁷⁷ Finding mild and efficient synthetic routes leading to the preparation of new heterocyclic molecules or their derivatives of improved chemical reactivity is always challenging for a medicinal chemist. Burley and Davies *et al.*⁷⁸ utilized *N*-alkynylheteroarenes as quite effective synthons to produce novel heterocyclic scaffolds based on combinatorial studies. They have also investigated the recent progress in synthetic research using copper (Cu)-catalyzed cross-couplings by several research groups⁷⁹⁻⁸³ to design efficient protocols for synthesizing such scaffolds by functionalizing appropriate *N*-containing heterocyclic compounds as substrate and bromoalkynes as attacking species. The same research group⁷⁸ reported a simple as well as facile method for synthesizing *N*-alkynylheteroarenes using heterocyclic cores such as indazole moiety where PEG-400 was used both as an efficient phase transfer solvent and Cu-stabilizing ligand to obtain a compatible condition for the coupling reactions between the hydrophobic organic component like indazole moiety, and a hydrophilic base, Cs₂CO₃ (Scheme-6). The yield of products was found to improve markedly and the reaction time was also observed to be reduced appreciably from 24 hours to 30 minutes when it was carried out using microwave irradiation compared to conventional heating methods. Such Cu-catalyzed cross-coupling conditions were found quite feasible for the cost-effective production of *N*-alkynylated indazoles via functionalization of indazole nucleus in respect of good yields and reduced reaction times. The synthesis of *N*-alkynylated indazole products was reported to be superior to the microwave irradiation method in the presence of 2.0 equivalents of bromoalkyne. The yields of *N*-alkynylated indazoles (7a-c) obtained by Cu-catalyzed cross-coupling of 1.0 equivalent of 1*H*-indazole with 2.0 equivalents of bromoalkynes A, B, and C respectively using 5 mol% CuI (catalyst) in 200 mg of PEG-400 at 80 °C on microwave irradiation for 90 minutes were shown against respective structure numbers in Scheme-6. It is also noteworthy that the functionalization of 6-aminoindazole via regioselective *N*-alkynylation using the bromoalkyne, A exclusively provided the *N*-alkynylated product at *N*-1 (8) with a negligible amount of *N*-2 and *N*-

6 coupled products as evident from GC-MS studies. Moreover, this cross-coupling reaction of 6-aminoindazole was found to proceed only with the sterically crowded bromoalkyne, A to afford the product, 8 with an appreciably higher yield of 85% whereas no product was obtained when the other two less sterically crowded bromoalkynes B and C were used.



Halogenation

To produce the protected 3-halogenoindazoles by the method of functionalization, iodination or bromination reaction has been carried out selectively at the 3 positions of indazole moiety with I_2 or Br_2 in dimethylformamide (DMF) at ambient temperature to provide 3-iodoindazole (9) and a variety of 3-bromoindazoles (10*a-h*) with moderate to appreciably higher yields.^{84,85} Subsequently, protection of *N*-atom of indazole ring was also carried out by the method of reflux using dihydropyran (DHP) along with catalytic amount of *p*-toluenesulphonic acid (PTSA) in ethyl acetate (EtOAc) as solvent⁸⁶ to obtain tetrahydropyran-2-yl (THP)-protected 3-iodoindazole (11) and 3-bromoindazoles (12*a-h*) with appreciably higher yields as shown in Scheme-7. The structure of a series of 3-bromoindazoles (10*a-h*) including protected 3-bromoindazoles (12*a-h*) along with their respective yields was given in Fig.-7.



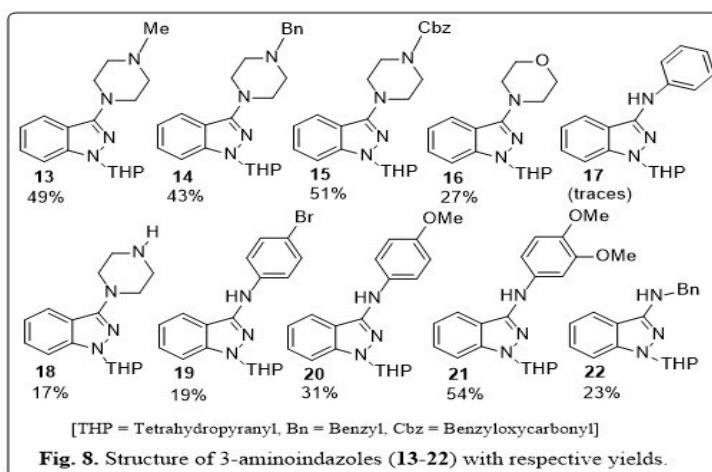
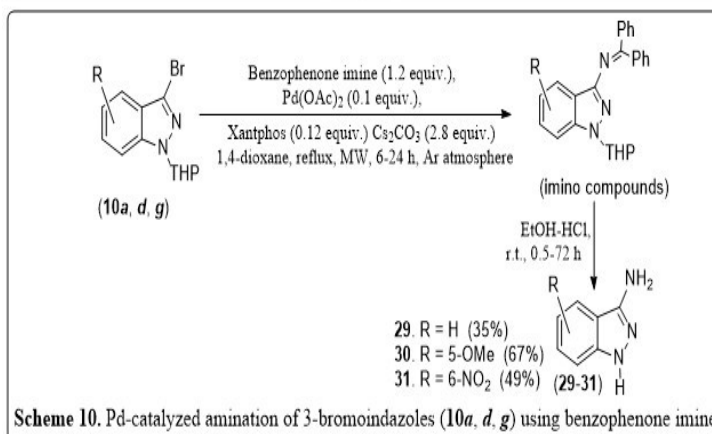
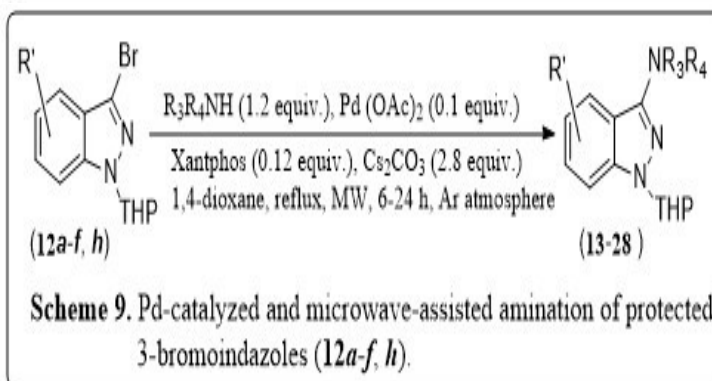
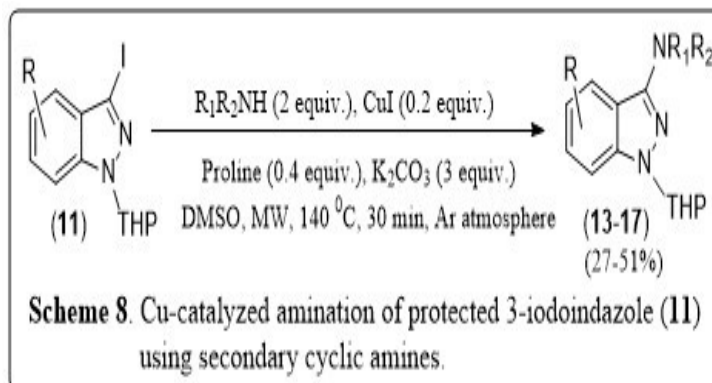
Amination

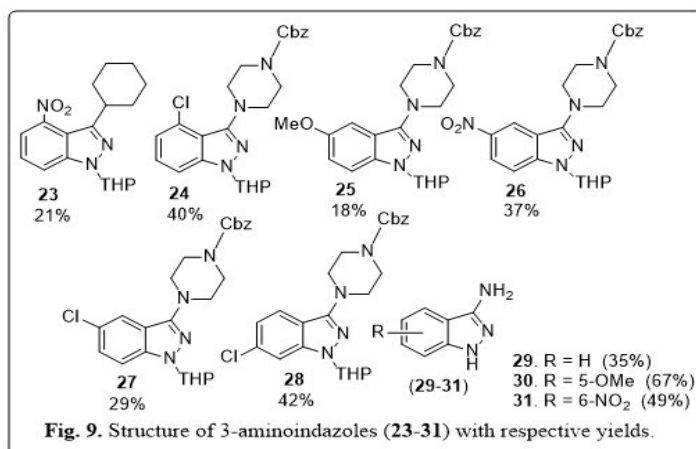
As the indazole scaffold is reactive enough to interact with a wide range of targets, a synthetic strategy to design and develop functionalized indazoles possessing a variety of biological potentials is a routine exercise in medicinal chemistry research.⁸⁷ Collot *et al.*⁸⁷ investigated the

preparation of *N*-substituted 3-aminoindazoles via metal-catalyzed Buchwald–Hartwig carbon–nitrogen coupling reaction which was found to be more advantageous over other traditional methods such as Ullmann-type carbon–nitrogen bond formation reaction.⁸⁸ They have also studied the utility of metal-catalyzed amination methods using Pd or Cu as a catalyst, and 3-halogenoindazoles as substrate under various reaction parameters and conditions such as the nature of halogen atom at 3-position of indazole moiety, protecting groups used to protect the amino group, metal catalyst, nature of the hydrophilic base, solvent system, duration of reaction, heating method, etc. To test the outcome of such metal-catalyzed amination reactions of indazoles, the utility of microwave irradiation was compared to conventional heating methods by the same research group.⁸⁸ The reaction of *N*-methyl piperazine with 3-iodoindazole (11) was carried out using CuI (*catalyst*), proline (*ligand*), K₂CO₃ (*hydrophilic base*), and the solvent, dimethyl sulfoxide (DMSO) at 140 °C under microwave irradiation for 30 minutes.^{89,90} Based on this synthetic protocol, amino derivative (13) was first prepared with a poor yield of 33% after purification by column chromatographic method, but this poor yield was subsequently modified to 49%, using aluminum oxide (Al₂O₃) as an adsorbent in column chromatography instead of relatively more acidic silica gel. Similarly, compounds (14-16) have been obtained in good yields (27-51%) by the combination of various secondary cyclic amines, such as *N*-benzylpiperazine, *N*-benzyloxycarbonylpiperazine, and morpholine, etc. with 3-iodoindazole (11) using the same coupling process under microwave irradiation while the compound (17) was obtained only in trace amount due to poor reactivity of aniline in respect of this coupling reaction as shown in Scheme-8. The structure of these 3-aminoindazoles (13-17) obtained by Cu-catalyzed and microwave-assisted amination of protected 3-iodoindazole (11) along with their respective yield was shown in Fig. 8. Moreover, the amination of 3-bromoindazole (10a) was also carried out using *N*-methylpiperazine, [2,2'-bis(diphenylphosphino)-1,1'-binaphthyl] or BINAP, sodium *tert*-butoxide (*t*-BuONa) as a base dissolved in toluene in presence of Pd₂(dba)₃[tris(dibenzylideneacetone)-dipalladium(0)] as a catalyst under reflux conditions for the first time although the yield of the product, 13 obtained by this method of C–N coupling was much poor (30%).⁹¹⁻⁹³ Subsequently, the yield of the product, 13 was found to be appreciably modified to 73% by using another Pd-catalyst [Pd(OAc)₂/Xantphos] and relatively weaker base (Cs₂CO₃) in 1,4-dioxane as a solvent.^{94,95} This optimized protocol for Pd-catalyzed amination of 3-bromoindazole was extensively explored using a wide range of secondary cyclic amines to obtain various 3-aminoindazoles, 14-16 and 18, but the yields of different products were found to be much poor in the range of 17-64% as shown against respective structure number of 3-aminoindazoles in Scheme-9.

On the contrary, the coupling reactions of the protected 3-bromoindazoles (12a-f, h) with various aromatic primary amines producing the amino-substituted derivatives, such as 17, and 19-21 with moderate to satisfactory yields in the range of 19-87% as shown against structure number(s) of respective amino-functionalized derivatives (Fig. 8) depending on the presence of electron-withdrawing or electron-repelling atoms or groups present in the aromatic nucleus of aniline (C₆H₅NH₂) used for this purpose (Scheme-9). More specifically, it was further observed that C–N coupling of 10a with benzylamine afforded the amino-substituted product, 22 with a poor yield of only 23% whereas coupling of various 4-, 5- or 6-substituted 3-bromoindazoles (10b-f, h) with *N*-benzyloxycarbonylpiperazine afforded the *N*-substituted 3-aminoindazoles (23-28) with moderate yields in the range of 18-42% as shown in Fig.-9.

Treatment of *N*-protected indazoles with ethanolic hydrochloric acid at room temperature was found to produce unprotected derivatives in appreciably higher yields (37-84%).⁹⁶ Wolfe *et al.*⁹⁷ including many research groups⁹⁸⁻¹⁰⁰ also reported the use of benzophenone imine [(C₆H₅)₂C=NH] as a suitable substitute for ammonia in case of Pd-catalyzed carbon–nitrogen coupling reactions performed for amination of indazoles under the similar optimized conditions applicable to Buchwald–Hartwig C–N coupling. This modified protocol was utilized to produce unprotected primary 3-aminoindazoles (29-31) with satisfactory yields using substituted 3-bromoindazoles such as 10a, 10d, and 10g as described in Scheme-10.





CONCLUSION

The functionalization of indazole-based heterocyclic compounds under microwave irradiation has been proven to be an efficient synthetic route to produce various aryl-, alkyl-, alkenyl-, alkynyl-, halogen- or amino-derivatives possessing a variety of biological potential as well as medicinal properties. This review also highlights the cross-coupling technique as a better synthetic protocol compared to cyclization reactions for producing targeted indazole derivatives by the functionalization at the indazole moiety. Based on coupling reactions carried out under microwave irradiation, a wide range of isomeric mono- or disubstituted indazoles have been produced within a short reaction time and also with moderate to excellent yields. Moreover, cross-coupling reactions using metal catalysts under microwave heating to form carbon-carbon (C–C) and carbon-heteroatom bonds, *e.g.* C–N, C–O, etc. have already been established to be quite safe as well as cost-effective compared to conventional heating methods. Thus, functionalization, *i.e.*, the facile insertion of various functional groups in the indazole nucleus via C–C or C–X bond formation (where X = heteroatoms like N, O, etc.) under microwave irradiation might be an environmentally benign or green protocol to achieve diversely functionalized indazoles as new and valuable building blocks in drug discovery as well as drug development programs.

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CONFLICT OF INTERESTS

The sole author declares that there is no conflict of interest.

AUTHOR CONTRIBUTIONS

All the authors contributed significantly to this manuscript, participated in reviewing/editing, and approved the final draft for publication. The research profile of the authors can be verified from their ORCID ids, given below:

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