GREEN SYNTHESIS OF SUBSTITUTED 2-PHENYLIMIDAZO-[1,2-A]PYRIDINES

IITM Technology Available for Licensing

Problem Statement

- The structural reactivity of imidazo[1,2-a]pyridine core readily allows the introduction of new functional groups to modify into various pharmaceutically valuable compounds using transitionmetal-catalyzed reaction.
- The functionalization of imidazo[1,2-a]pyridine derivatives, currently relies heavily on transition metal-catalyzed reactions.
- These **reactions often require expensive and toxic transition metal catalysts**, such as gold, palladium, platinum, etc., and pre-functionalization of starting materials using aryl boronic acids, aryl halides, or aryl iodonium salts.
- Despite advances, there are very few reported methods for the direct C-H arylation of imidazo[1,2-a]pyridines, especially using aryl iodides as arylating agents, which could provide an efficient and direct route to target compounds.
- Therefore, there is **a need to develop a reliable and scalable methodology that can achieve C(sp2)-H arylation of 2-phenylimidazo[1,2-a]pyridines in good yield** under transition metal-free conditions using visible light exposure.

Technology Category/ Market

Category - Chemicals, Pharmaceuticals
Applications - Medicinal, material and natural product synthesis.
Industry - Pharmaceuticals, Drug Development, Medicinal Chemistry, Material Science, Green Chemistry and Sustainable Synthesis.

Market - The global specialty chemicals market size is estimated to reach USD 1151.32 billion by 2030 and expanding at a **CAGR of 4.1%** from 2022 to 2030.

TRL (Technology Readiness Level)

TRL - 3, Proof of concept stage.

Research Lab

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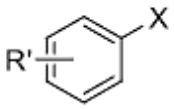
Intellectual Property

- IITM IDF Ref. **2216**
- **IN 412957 - Patent Granted**

Technology

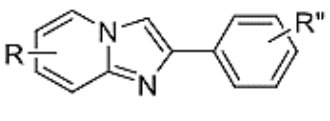
- The present invention relates to **synthesis of medicinally valuable multi-substituted 2 phenylimidazo-[1,2-a]pyridines.**
- The present invention provides **a transition metal-free regioselective C-H arylation of 2 phenylimidazo-[1,2-a]pyridines using sustainable visible light as energy source through non-covalent interaction.**
- A process for synthesis of 2,3-diphenylimidazo[1,2-a]pyridine derivatives (V) comprising the steps of:

a. treating halobenzene of formula II in the presence of KOtBu in aprotic solvent at room temperature for 10-20 minutes,

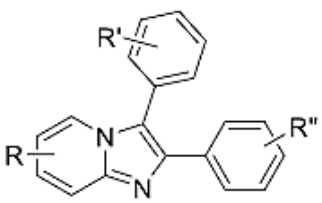


II

b. adding 2-phenylimidazo-[1,2-a]pyridines of formula I to obtain 2,3- diphenylimidazo[1,2-a]pyridine derivatives (V),



I



V

- wherein R represents an electron donating substituent,
- R' represents electron-donating substituents and/or electron-withdrawing substituents,
- R'' represents electron-donating substituents and/or electron-withdrawing substituents,
- X represents a halogen group selected from chloro, bromo, iodo, fluoro.

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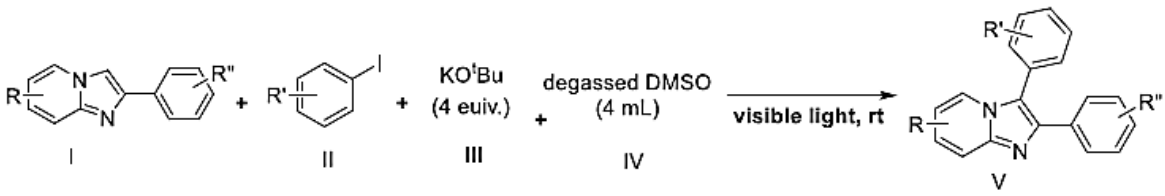
Key Features / Value Proposition

The present innovation elucidates the **synthesis of 2,3-diphenylimidazo[1,2-a]pyridine (V) by treating commercially available starting material 2-phenylimidazo[1,2-a]pyridine**

(I) with iodobenzene
(II) in the presence of KOtBu (4 equivalents)
(III) in degassed DMSO
(IV) solvent under room temperature using visible light as sustainable energy source (Scheme 1).



Scheme 1. Transition metal-free non-covalent interaction mediated C-H arylation of imidazo[1,2-a]pyridine.

1. **Transition Metal-Free:** The current invention delineates toxic transition metal-free regioselective C-H arylation of 2-phenylimidazo-[1,2-a]pyridines using sustainable visible light as energy source through non-covalent interaction.
2. **Innovative Green Synthesis:** Visible-light, sustainable energy, transition metal-free, halogen-bonding, imidazo-[1,2-a]pyridines, aza-heterocycles, , noncovalent interaction.
3. **One-Pot Synthesis:** The innovative one-pot synthesis streamlines production, minimizing steps and resources required, exemplifying efficient and practical methodology.
4. **Energy Efficient:** This is the first invention, which exposes the energy efficient and transition-metal free environmentally safer process for the arylation of 2-phenylimidazo-[1,2-a]pyridines derivatives.
5. **Regioselective Arylation:** Achieves precise C-H arylation in a regioselective manner, enhancing product yield and reducing undesired byproducts.
6. **High Yield:** Demonstrates 58-73% high product yield, ensuring efficient conversion of starting materials into desired products.

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