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ASIA EDITION

Japan develops novel method to create several building blocks of pharmaceutical drugs

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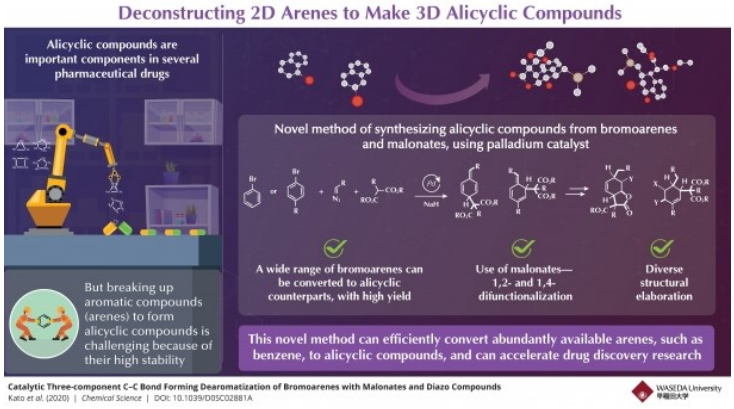
Scientists demonstrate new method of producing a specific class of organic compounds, which promises to accelerate drug discovery research for several diseases



Japan-based Waseda University scientists have recently demonstrated a new method of producing a specific class of organic compounds, which promises to accelerate drug discovery research for several diseases.

Drugs, including those for depression, schizophrenia, and malaria, would not be if not for a type of organic chemical compound called alicyclic compounds. These compounds are 3D structures formed when three or more carbon atoms join in a ring via covalent bonds, but the ring is not aromatic. Aromatic compounds are another class of organic compounds which are 2D structures with reactive properties distinct from those of alicyclic compounds.

By dearomatizing arenes, one can get alicyclic compounds. Dearomatization is one of the most powerful ways of obtaining alicyclic compounds. But some of the most abundantly available arenes, such as benzene and naphthalene, are very stable, and breaking them up to construct alicyclic compounds has been challenging.

In the novel method, bromoarenes are reacted with two other classes of organic compounds, diazo compounds, and malonates, in the presence of a palladium catalyst, under optimal conditions of concentration, temperature, and time. Subsequently, good amounts of the corresponding alicyclic compounds are produced.

The use of malonates as a reactant is what allows this multi-functionalization, setting this novel method apart from existing methods, which are often highly specific in terms of the products possible. 2D bromoarenes were reacted with diazo compounds and malonates in the presence of a palladium catalyst to yield highly functionalized 3D alicyclic compounds, which are extremely prominent in pharmaceuticals.