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Privileged heterocycles by palladium-catalyzed aerobic oxidative isocyanide insertion

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Isocyanides have been important building blocks in organic synthesis since the discovery of the Ugi reaction and related isocyanide-based multicomponent reactions. In the past decade isocyanides have found a new application as versatile C1 building block in palladium catalysis. We considerably contributed to the development of novel atom- and step efficient Pd-catalyzed reactions involving isocyanide insertion in the last couple of years. The author believes these reactions offer a vast potential for the synthesis of nitrogen containing fine chemicals. In this context, the author and his team recently developed a novel palladium-catalyzed aerobic oxidation reaction that produces guanidine-containing and related heterocycles from bisnucleophiles and aliphatic isocyanides. The reaction is applicable to a wide variety of pharmaceutically relevant heterocyclic systems, as illustrated by a formal synthesis of astemizole and norastemizole. Easily handled and relatively low-cost Pd(OAc)2 is used as the catalyst without an additional ligand or base and molecular oxygen-the most sustainable oxidant available— as the oxidant. The procedure is operationally simple, since bench solvents and atmospheric pressure are used, and environmentally benign due to the low catalyst loading, renewable solvent and high atom efficiency. In this presentation the author will discuss this new reaction in relation to the quickly developing field and our other contributions in this area.

Biography

Romano V A Orru holds currently the postion of Chair of Synthetic & Bio-organic Chemistry of the VU-University in Amsterdam. In the past five years he received several major research grants from local, national and international funding agencies (e.g. NWO-CW TOP, EU-IMI). His main research interest focuses on sustainable synthetic method development employing cascade, domino (or tandem) processes. He is one of the leading players in the field of multicomponent, tandem and diversity oriented synthesis-related chemistry.

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