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Title:	Phosphine-Mediated Redox Cyclization of 1-(2-Nitroaryl)prop-2-ynones to 3-Hydroxyquinolin-4-ones: Formal Intramolecular Oxyamination of α,β -Ynones
Authors:	Dutta, Lona (/jspui/browse?type=author&value=Dutta%2C+Lona) Ramasastry, S. S. V. (/jspui/browse?type=author&value=Ramasastry%2C+S.+S.+V.)
Keywords:	Phosphine-Mediated Redox Cyclization 1-(2-Nitroaryl)prop-2-ynones to 3-Hydroxyquinolin-4-ones Intramolecular Oxyamination of α,β -Ynones
Issue Date:	2022
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Citation:	Organic Letters, 24(41), 7665-7670.
Abstract:	The 3-hydroxyquinoline-4(1H)-one (3HQ) core is ubiquitous in several biologically active natural products. (1) 3HQs also exhibit interesting fluorescence effects. (2) Detailed structure–activity relationship studies have led to the identification of novel cytotoxic, antiprotozoal, and immunosuppressive activities of 3HQs. (3) 3HQs are the nitrogen analogs of 3-hydroxyflavones (3HFs) and are known for their unique bioactivity profiles and use as dyes and in organic electronics. (4) Therefore, the development of general and efficient routes for the synthesis of 3HQs holds great importance. The two important methods to access 3HQs are described in Scheme 1. Through the aza-Algar–Flynn–Oyamada reaction, 2-aminochalcones A are converted to 3HQs B under heating conditions involving alkaline hydrogen peroxide. (5) On the other hand, the Hradil–Jirman reaction, by far the most widely employed protocol for the synthesis of 3HQs, involves the acidic treatment of the preformed phenacyl anthranilates C, usually under reflux conditions. (6) In 2011, Spring and co-workers introduced the microwave and flow syntheses of 3HQs under basic conditions, although both versions required high temperatures (>200 °C). (7) The strongly acidic and basic conditions, coupled with high temperatures, significantly hamper the generality and practicality of these strategies. (8) Here, we describe an unprecedented means of constructing 3HQs 2 from 1-(2-nitroaryl)prop-2-ynones 1 under neutral conditions.
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