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Gold(I)-Catalyzed Spirocyclizations of Indoles

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Transition metals are able to catalyze dearomatization reactions, in which a nucleophilic aryl group adds to an electrophile leading to a product in which the aromaticity has been broken.¹ Gold catalysis is an efficient tool for the synthesis of heterocycles, in particular from alkynes² and has been used several times in dearomatization reactions.³ The substitution pattern at the position 2 of the indole is of crucial importance in the regioselective outcome of the reaction. While it is well-established that indoles substituted at the position 2 undergo spirocyclizations by their position 3, unsubstituted compounds **1** (X=H, PG = Ts) are known to lead to azepino[4,5-b]indoles **3.**³

Following similar approaches, we have designed a gold(I)-catalyzed spirocyclization of N-propargyl-2-bromotryptamines **1** (X= Br) leading to intermediate bromospiroindolenines **2** (X= Br) that lead to spirooxindoles **4**, obtained after an acidic workup.⁴ Recently, we discovered that unsubstituted N-propargyl tryptamines **1** (X= H) undergo regionselective cyclization to the spiroindolenines **5** when the protecting group is not a sulfonamide group.⁵ The scope, the mechanism of the reaction will be discussed.

$$X = H, GP = Ts$$
Echavarren work (ref 3)
$$R^{1}$$

$$R^{2}$$

$$R^{2}$$

$$R^{2}$$

$$R^{2}$$

$$R^{3}$$

$$R^{2}$$

$$R^{4}$$

$$R^{4}$$

$$R^{2}$$

$$R^{4}$$

$$R^{4}$$

$$R^{2}$$

$$R^{4}$$

$$R^{$$

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