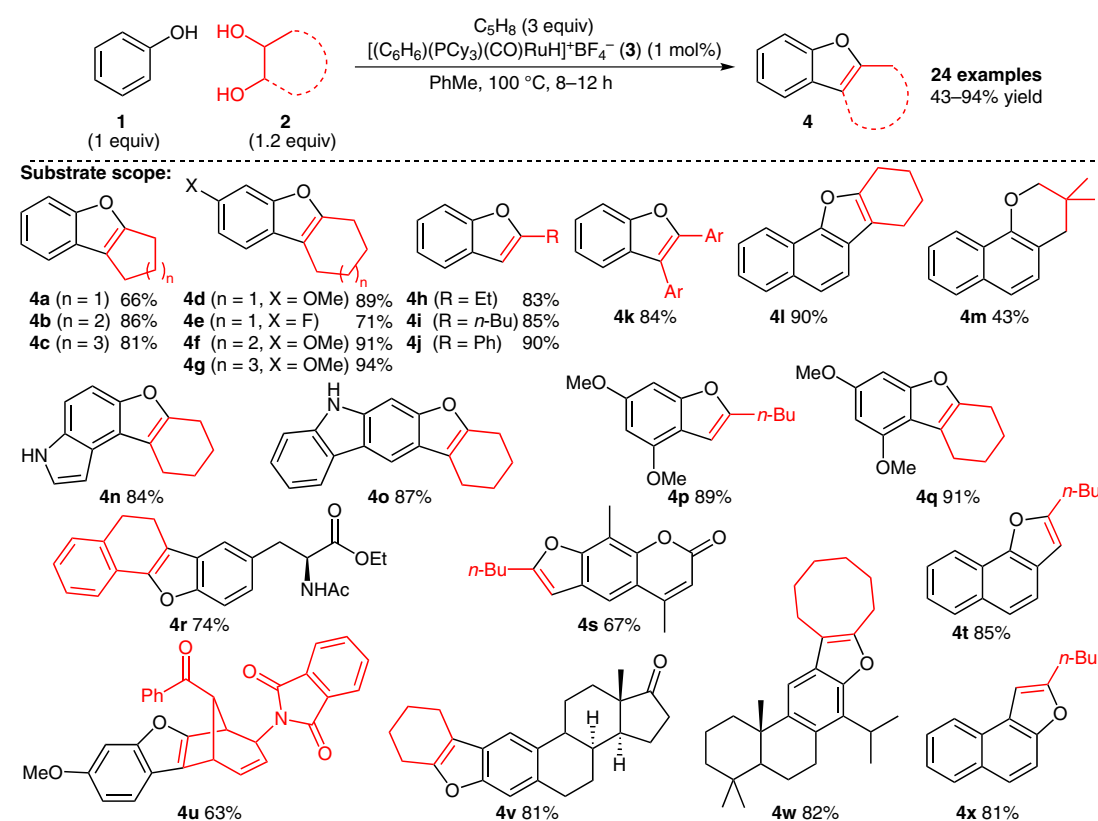


Ruthenium-Catalyzed Dehydrative Benzofuran Synthesis via C–H Activation



Significance: Reported is the ruthenium-catalyzed dehydrative *ortho*-functionalization of phenols **1** with diols **2** affording benzofurans **4**. When simple alcohols were employed, only *ortho*-functionalized phenols were obtained (not shown). When diols **2** were employed, the cyclized benzofurans **4** were the preferred products. Inclusion of an excess of a simple alkene (cyclopentene) promoted the coupling reaction. An impressive array of substrates were shown to undergo the transformation (**4a–x**), including more complex diols (**4u**) and structurally elaborate phenols (**4s, 4v, 4w**). A cursory investigation of the reaction mechanism is also reported.

Comment: The present report represents a highly convenient and robust method for the synthesis of substituted benzofurans, which are medically relevant heterocycles with a diverse range of biological activities (see Review below). The sheer scope and high yields of the reported process appear to make this method highly attractive for the synthesis of 2-substituted benzofurans. It would be interesting to know if the process performed as well on scale (10 g and above) as it does on more standard quantities (1 mmol).

Review: L. De Luca, G. Nieddu, A. Porcheddu, G. Giacomelli *Curr. Med. Chem.* **2009**, *16*, 1–20.