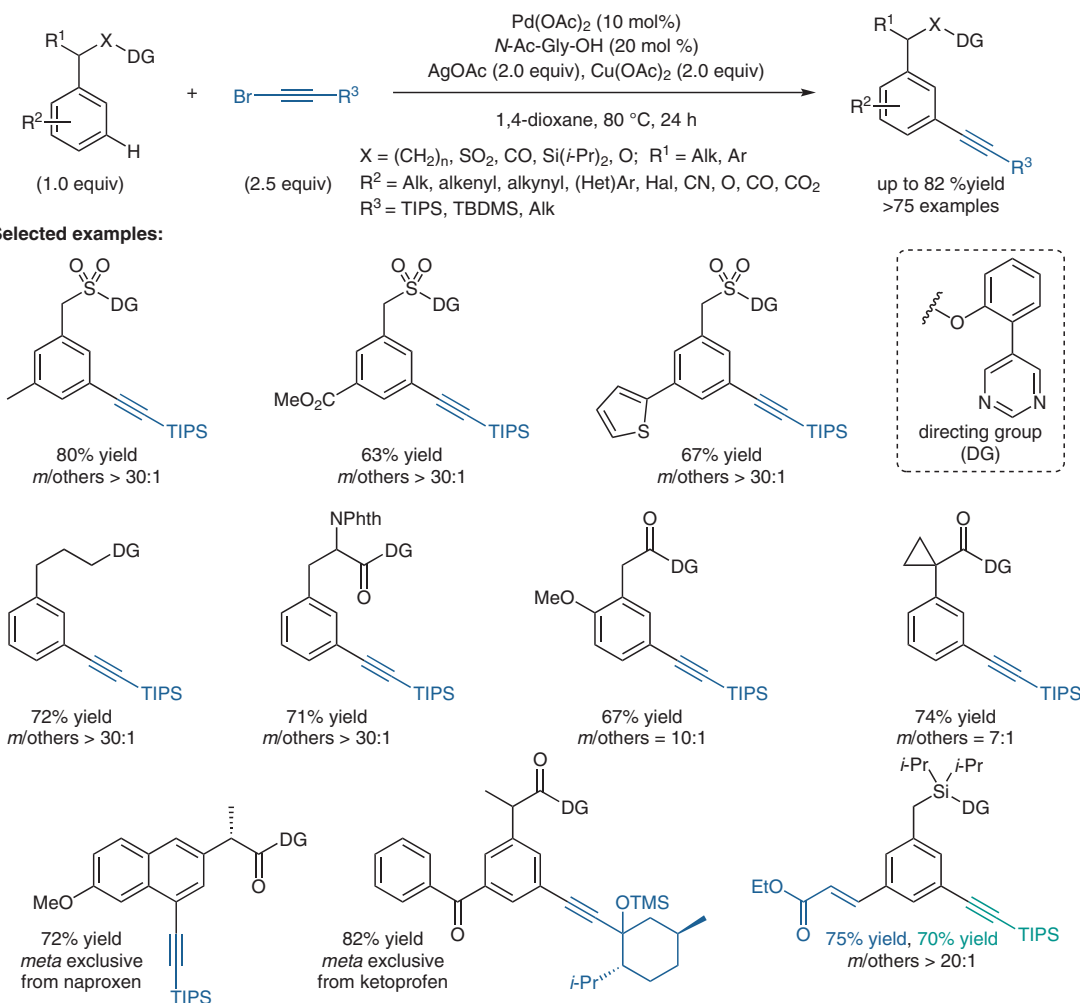


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Alkyne Linchpin Strategy for Drug: Pharmacore Conjugation: Experimental and Computational Realization of a *meta*-Selective Inverse Sonogashira Coupling

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## *meta*-Selective Inverse Sonogashira Coupling



**Significance:** The authors report a C–H functionalization of arenes using an inverse Sonogashira coupling with high *meta* selectivity. The regioselectivity is achieved using a pyrimidine-containing directing group. Diverse products bearing sensitive functional groups are obtained in good to excellent yields.

**Comment:** The method was applied to multiple drug candidates and agrochemicals to demonstrate its applicability in late-stage functionalization. Sequential heterodifunctionalization gave the corresponding *m,m'*-products in good yields. A mechanism based on experimental studies and DFT calculations is proposed.

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