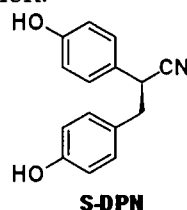


**Asymmetric Synthesis of the Estrogen Receptor β Ligand,
S-2,3-Bis(*p*-hydroxyphenyl)propionitrile (S-DPN)**

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Agonists that show a high selectivity for estrogen receptor beta (ER β) are of interest as probes to study the biology of the two ER subtypes, ER α and ER β , and for potential therapeutic applications. We have recently described 2,3-bis(*p*-hydroxyphenyl)propionitrile (DPN), which exhibits a 170-fold greater relative potency for ER β in transcription assays. Unlike other ER β selective ligands, DPN exists as a racemate, and only recently has it been shown that each enantiomer exhibits different biological effects in the presence of both receptor isoforms. Thus, to further assess the biological activities of each enantiomer on ER, it is necessary to conduct studies using enantiopure material. Described herein is the first reported asymmetric synthesis of both enantiomers of DPN, relying on an Evans asymmetric alkylation to form the stereocenter and subsequent functional group interconversions to generate the desired nitrile in a concise fashion and without racemization.



Lewis Acid-Promoted Alkynylation Reactions

Aaron D. Finke and Jeffrey S. Moore.

We described two new transition metal-catalyzed methods for the preparation of architectures containing carbon-carbon triple bonds. In both methods, Lewis acids accelerate sluggish reactivity at the catalytic site by activating the rate-limiting step. In the first example, catalytic zinc halides promote the room temperature Pd-catalyzed cross-coupling of aryl bromides with alkynes. Contrary to previous reports, the halophilic zinc salts act on the Pd(II) intermediate and not the alkyne. The second example describes the Lewis acid activation of molybdenum nitrides toward nitride-alkyne metathesis, providing convenient access to highly active alkyne metathesis catalysts. In this case, X-ray crystallographic studies demonstrate that N-ligation with Lewis acidic boranes weaken the Mo-N bond, facilitating metathesis with alkynes.

