

Synthesis of Benzisochalcogenol and -azole Derivatives *via ortho* Metalation of Isophthalamides

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The syntheses of benzofused isochalcogenazole derivatives *via ortho*-lithiation of isophthalamides is reported. *N,N'*-Dialkyl-isophthalamides, C₆H₄-1,3-(CONHR)₂, bearing R = *i*Pr or *t*Bu substituents are readily *ortho* metalated by using 3.3 equiv. of *n*-BuLi/TMEDA. The organolithium compounds react with S, Se, or Te to give 2-chalcogenol-isophthalamides, C₆H₃-1,3-(CONHR)₂-2-XH (X = S, Se, Te). Oxidation of the chalcogenols affords dichalcogenides under acidic and benzisochalcogenazoles under basic conditions, respectively. The formation of the five-membered heterocycles proceeds by disproportionation of the dichalcogenides. Oxidation of the benzisothiazoles by hydrogen peroxide gives access to substituted sulfin- and sulfonamides.