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 = iPr or tBu 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.