A New Dioxazolone for the Synthesis of 1,2-Aminoalcohols via Iridium(III)-Catalyzed C(sp; 3;)-H Amidation

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Vicinal aminoalcohols are widespread structural motifs in bioactive molecules. We report the development of a new dioxazolone reagent containing a p-nitrophenyldifluoromethyl group, which 1. displays a good safety profile; 2. shows a remarkably high reactivity in the oxime-directed iridium(III)-catalyzed amidation of unactivated C(sp; 3;)-H bonds; 3. leads to amide products which can be hydrolyzed under mild conditions. The amidation reaction is mild, general and compatible with both primary C-H bonds of tertiary and secondary alcohols, as well as secondary C-H bonds of cyclic secondary alcohols. This method provides an easy access to free 1,2-aminoalcohols after efficient and mild cleavage of the oxime directing group and activated amide.
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