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Synthesis of Benzoxazole and Bezothiazole-linked TZD Analogs as PPARγ Specific Ligands

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PPARs (peroxisome proliferator activated receptors) are member of nuclear hormone receptors superfamily. Activations of PPARs upon binding with ligands modulate glucose metabolite, differentiation of adipocyte, inflammation response, and so on. Thiazolidinedione analog is one of potential antidiabetic drug that binds and activates PPARγ selectively and enhances insulin sensitivity. In an effort to develop novel and effective antidiabetic thiazolidindione analogs, syntheses of benzoxazole and benzothiazole-linked thiazolidinedione analogs were performed via coupling reaction of benzoxazolylalkylaminoethanol with hydroxybenzylthiazolidinedione to develop novel and effective antidiabetic thiazolidindiones. All compounds were evaluated their biological potency by PPARγ transactivation assay and revealed the similar potency with Troglitazone. However, lengthening of N-alkyl substituent did not seem to be beneficial for the activity.