

S20-2 Novel 5-HT₃ Receptor Partial Agonists for the Treatment of d-IBS

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We found that C2-substituted benzoxazole derivatives have a characteristic 5-HT₃ receptor partial agonist activity with high affinity. Alteration of substituents on the benzoxazole nucleus affords both agonist-like and antagonist-like compounds, and uniquely regulates the function of the 5-HT₃ receptor ion channel gating system. SAR and computational docking study for these partial agonists successfully explained structure and function of the 5-HT₃ receptor. ME3412 showed marked anti-diarrhetic activity with little side effect of constipation in *in vivo* tests.