

			Agonist Activity (<i>EC</i> ₅₀) or Antagonist Activity (<i>IC</i> ₅₀), nM	
GPCR Target Name	Gene symbol	Mode of Activity	Compound-A	Compound-B
A _{2A} receptor	ADORA2A	Agonism	> 100,000	> 100,000
α _{1B} -Adrenoreceptor	ADRA1B	Antagonism	> 25,000	> 25,000
α _{2C} -Adrenoreceptor	ADRA2C	Agonism	n/a	> 100,000
β ₂ -Adrenoreceptor	ADRB2	Agonism	n/a	> 100,000
β ₂ -Adrenoreceptor	ADRB2	Antagonism	n/a	> 100,000
CB ₁ receptor	CNR1	Agonism	> 25,000	> 25,000
D ₁ receptor	DRD1	Antagonism	> 100,000	> 100,000
D ₂ receptor	DRD2	Agonism	n/a	> 100,000
D ₂ receptor	DRD2	Antagonism	n/a	> 100,000
H ₁ receptor	HRH1	Antagonism	> 25,000	> 25,000
H ₃ receptor	HRH3	Antagonism	n/a	> 50,000
M ₁ receptor	CHRM1	Agonism	> 50,000	> 50,000
M ₁ receptor	CHRM1	Antagonism	> 50,000	> 50,000
M ₂ receptor	CHRM2	Agonism	> 50,000	> 50,000
M ₂ receptor	CHRM2	Antagonism	> 50,000	> 50,000
MRGPRX1	MRGPRX1	Agonism	> 100,000	> 100,000
MRGPRX1	MRGPRX1	Antagonism	> 100,000	> 100,000
NK₁ receptor	TACR1	Antagonism	> 25,000	> 25,000
κ-Receptor	OPRK1	Agonism	> 100,000	> 100,000
μ-Receptor	OPRM1	Agonism	n/a	> 100,000
V _{1A} receptor	AVPR1A	Antagonism	> 50,000	> 50,000
5-HT _{1B} receptor	HTR1B	Agonism	11,900	> 50,000
5-HT _{2A} receptor	HTR2A	Agonism	> 100,000	> 100,000
5-HT _{2A} receptor	HTR2A	Antagonism	41,400	> 100,000
5-HT _{2B} receptor	HTR2B	Agonism	27,100	> 100,000
5-HT _{2C} receptor	HTR2C	Agonism	> 100,000	> 100,000
5-HT _{2C} receptor	HTR2C	Antagonism	> 100,000	> 50,000
MRGPRX2	MRGPRX2	Agonism	> 30,000	> 30,000
MRGPRX2	MRGPRX2	Antagonism	50	2.9

Supplemental Table 2: Compound A and Compound B are highly selective MRGPRX2 antagonists, with little activity detected on other GPCRs. Antagonist and/or agonist activities of the two compounds were determined in cellular assays for 20 human G-protein coupled receptors (GPCR) beside MRGPRX2. Recombinant over-expression systems in mammalian cells were used for all assays listed in this table. If the compound is inactive in the assay, EC₅₀ or IC₅₀ results were reported as ‘>’ the highest compound concentration allowed in that assay.