Molecular Pharmacology

Supplemental Material

for

Gastrin-Releasing Peptide/Neuromedin B Receptor Antagonists, PD176252 and PD168368, and Related Analogs are Potent Agonists of Human Formyl-Peptide Receptors

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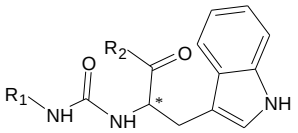
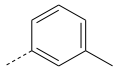
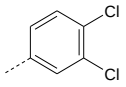
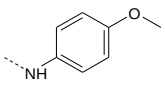
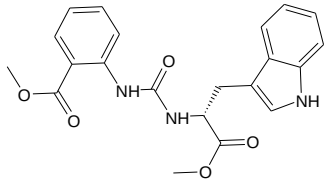
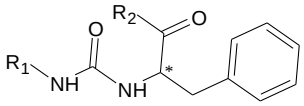
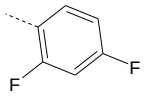
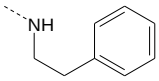
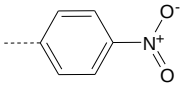
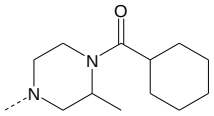
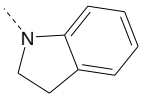
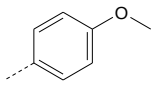
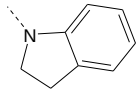
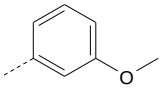
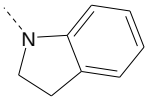
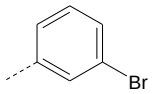
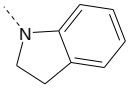
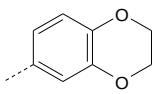
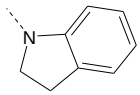
Supplemental Table S1

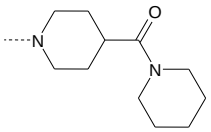
Structures of Inactive Derivatives

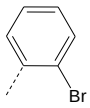
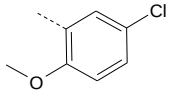
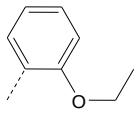
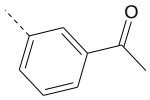
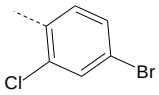
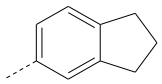
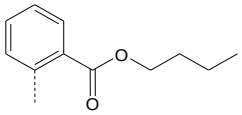
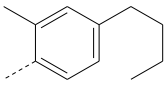


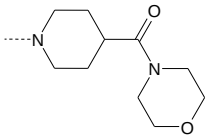
Compound	R_1	R_2	Enantiomer
AG-10/23		-O-CH_3	S
AG-10/24		-O-CH_3	S
AG-10/25			R/S
AG-10/26			R

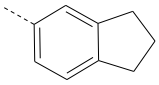
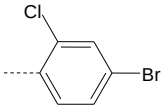
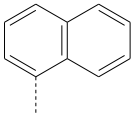
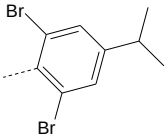
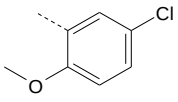
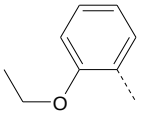
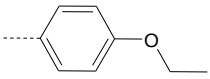
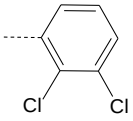


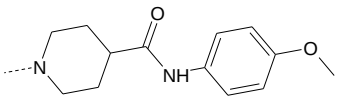
Compound	R_1	R_2	Enantiomer
AG-10/27			S
AG-10/28			S
AG-10/29			R/S
AG-10/30			R/S
AG-10/31			R/S
AG-10/32			R/S
AG-10/33			R/S

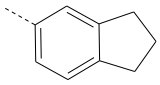
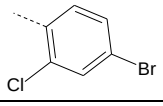
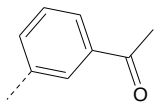
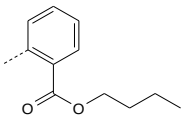
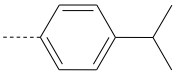
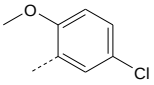
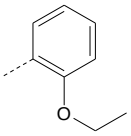
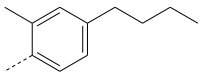
R_2 = 

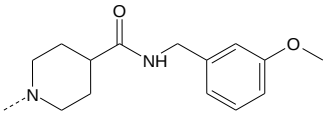
Compound	R_1	Enantiomer	Compound	R_1	Enantiomer
AG-10/34		S	AG-10/38		S
AG-10/35		S	AG-10/39		S
AG-10/36		S	AG-10/40		S
AG-10/37		S	AG-10/41		R

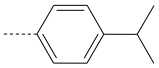
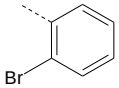
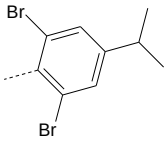
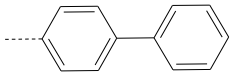
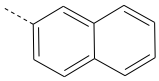
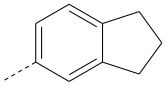
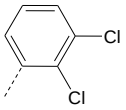
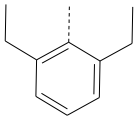
R_2 = 

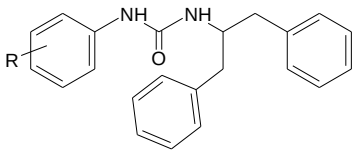
Compound	R_1	Enantiomer	Compound	R_1	Enantiomer
AG-10/42		S	AG-10/46		S
AG-10/43		S	AG-10/47		S
AG-10/44		S	AG-10/48		S
AG-10/45		S	AG-10/49		S

R_2 = 

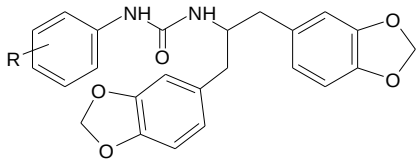
Compound	R_1	Enantiomer	Compound	R_1	Enantiomer
AG-10/50		S	AG-10/54		S
AG-10/51		R	AG-10/55		S
AG-10/52		R	AG-10/56		R
AG-10/53		R	AG-10/57		R

R_2 = 

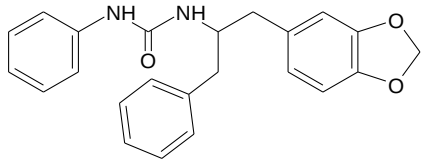
Compound	R_1	Enantiomer	Compound	R_1	Enantiomer
AG-10/58		S	AG-10/62		S
AG-10/59		R	AG-10/63		S
AG-10/60		S	AG-10/64		S
AG-10/61		S	AG-10/65		R



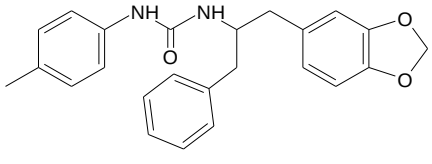
Compound	R	Compound	R
AG-10/66	3-O-CH_3	AG-10/69	2-CH_3
AG-10/67	3-Cl	AG-10/70	2-Br
AG-10/68	4-CH_3	AG-10/71	3-S-CH_3



Compound	R	Compound	R
AG-10/72	2-O-CH_3	AG-10/84	2-O-CH_2CH_3
AG-10/73	3,4-di-CH_3	AG-10/85	4-O-CH_2CH_3
AG-10/74	3-Cl,4-F	AG-10/86	3-CH_3
AG-10/75	3-O-CH_3	AG-10/87	4-COO-CH_3
AG-10/76	3-Cl	AG-10/88	3,5-di-O-CH_3
AG-10/77	4-CH_2CH_3	AG-10/89	2-F
AG-10/78	2,3-di-CH_3	AG-10/90	3,4-Ethylenedioxy
AG-10/79	2-COO-CH_3	AG-10/91	2,4-di-F
AG-10/80	2,5-di-O-CH_3	AG-10/92	3,4-Methylenedioxy
AG-10/81	2-COO-CH_2CH_3	AG-10/93	3-S-CH_3
AG-10/82	2-CH_3	AG-10/94	3-COO-CH_3
AG-10/83	2-Br	AG-10/95	3-F



AG-10/96



AG-10/97

Legend: Compounds were evaluated for their ability to Ca^{2+} mobilization in human neutrophils. The compounds shown were not active and induced either no Ca^{2+} flux or had very low efficacy (<25% of positive control peptide) in human neutrophils. *Location of the chiral center.