Motilin

Overview: Motilin receptors (provisional nomenclature, see Foord *et al*., 2005) are activated by a 22 amino-acid peptide derived from a precursor (ENSG00000096395), which also generates motilin-associated peptide. These receptors are suggested to be responsible for the gastrointestinal prokinetic effects of motilides (particular macrolide antibiotics).

Nomenclature	Motilin
Other names	MTLR1 (Feighner *et al*., 1999), GPR38 (Mckee *et al*., 1997)
Ensembl ID	ENSG00000102539
Principal transduction	$G_{q/11}$ (Depoortere and Peeters, 1995); Feighner *et al*., 1999)
Rank order of potency	Motilin > ABT229, mitemcimal > erythromycin (Clark *et al*., 1999)
Selective agonists	ABT229 (Lartey *et al*., 1995), mitemcinal (Koga *et al*., 1994; Takanashi *et al*., 2007)
Selective antagonists	GM109 (Takanashi *et al*., 1995); pA_2 7.2–7.5 Clark *et al*., 1999)
Probes	[^{125}I]-Motilin (0.1 nM, Feighner *et al*., 1999)

Abbreviations: ABT229, 8,9-anhydro-4″-deoxy-3′-*N*-desmethyl-3′-*N*-ethylerythromycin B 6,9-hemiacetal; **GM109**, phe-*cyclo*[Lys-Tyr(3-tBu)-β-Ala].trifluoroacetate; **mitemcinal**, de(*N*-methyl)-11-deoxy-N-isopropyl-12-O-methyl-11-oxo-8,9-anhydroerythromycin A 6,9-hemiacetal fumaric acid, also known as GM611

Further Reading

De Smet B, Mitselos A, Depoortere I (2009). Motilin and ghrelin as prokinetic drug targets. *Pharmacol Ther* **123**: 207–223.
Foord SM, Bonner TI, Neubig RR, Rosser EM, Pin JP, Davenport AP *et al*. (2005). International Union of Pharmacology. XLVI. G protein-coupled receptor list. *Pharmacol Rev* **57**: 279–288.
Takeshita E, Matsuura B, Dong M, Miller LJ, Matsui H, Onji M (2006). Molecular characterization and distribution of motilin family receptors in the human gastrointestinal tract. *J Gastroenterol* **41**: 223–230.

References

Clark MJ *et al*. (1999). *Clin Exp Pharmacol Physiol* **26**: 242–245.
Depoortere I, Peeters TL (1995). *Regul Pept* **55**: 227–235.
Feighner SD *et al*. (1999). *Science* **284**: 2184–2188.
Koga H *et al*. (**1994**). *Bioorg Med Chem Lett* **4**: 1347–1352.
Lartey PA *et al*. (1995). *J Med Chem* **38**: 1793–1798.
McKee KK *et al*. (1997). *Genomics* **46**: 426–434.
Takanashi H *et al*. (1995). *J Pharmacol Exp Ther* **273**: 624–628.
Takanashi H *et al*. (2007). *Pharmacology* **79**: 137–148.