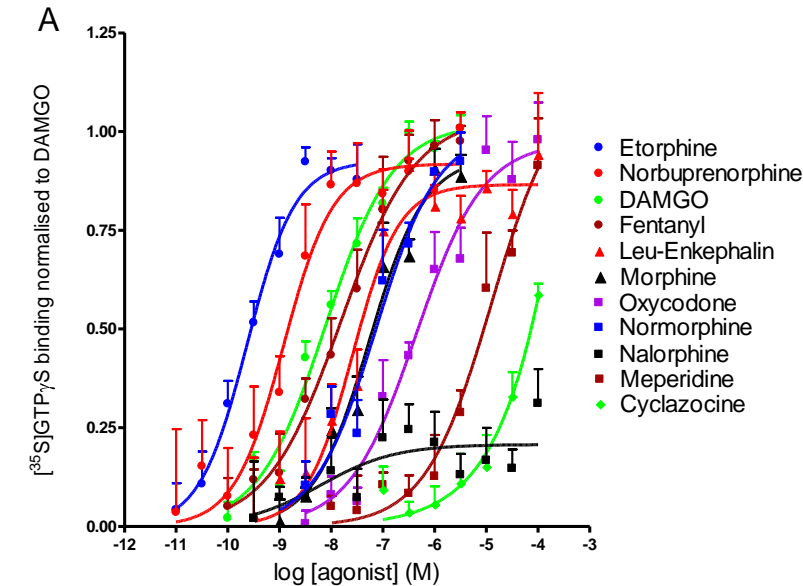
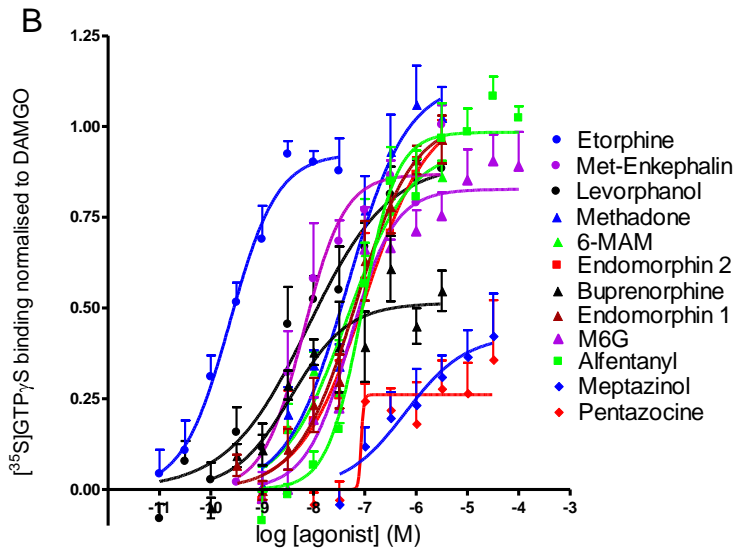


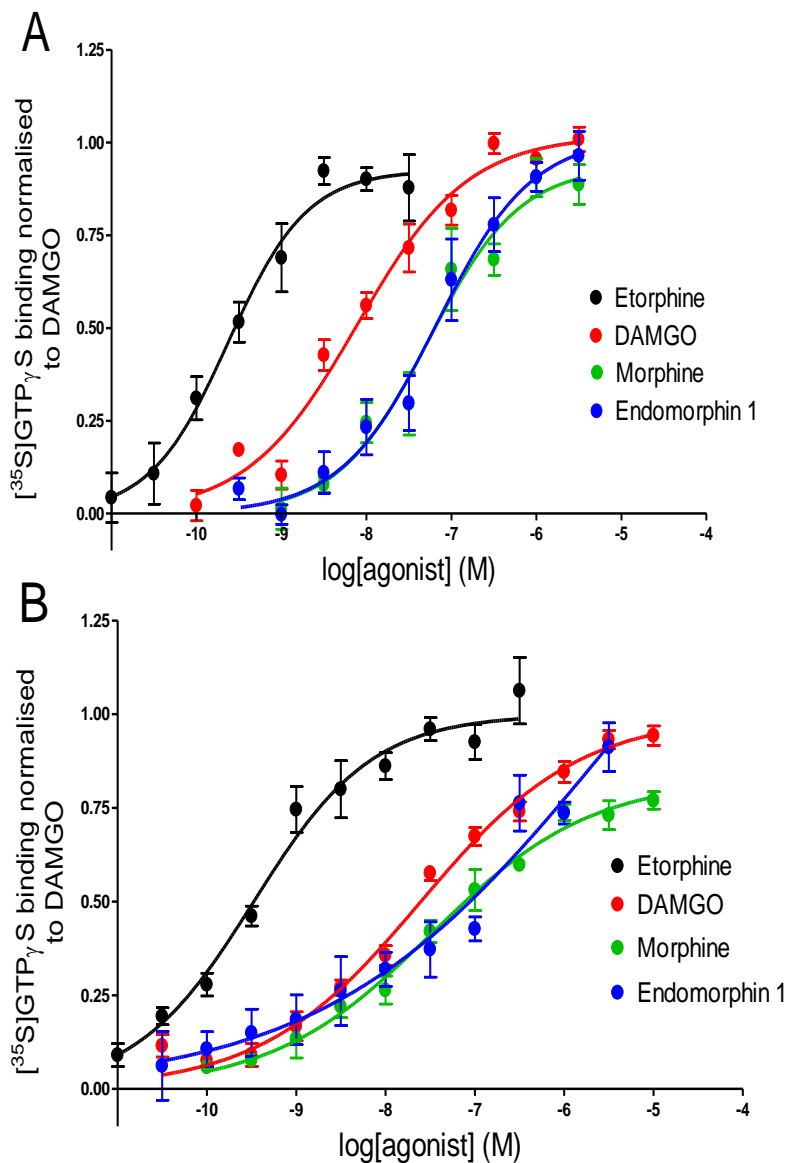
MOLECULAR PHARMACOLOGY: μ - Opioid receptors: correlation of agonist efficacy for signalling with ability to activate internalization. Jamie McPherson, Guadalupe Rivero, Myma Baptist, Javier Llorente, Suleiman Al-Sabah, Cornelius Krasel, William L Dewey, Chris P Bailey, Elizabeth M Rosethorne, Steven J Charlton , Graeme Henderson, and Eamonn Kelly



Supplemental data Figure 1. MOPr agonist concentration-effect curves for $[^{35}\text{S}]\text{GTP}\gamma\text{S}$ binding to HEK293 cell membranes. The data is shown on two graphs (A and B) to aid clarity. Etorphine is shown on both graphs as a reference.

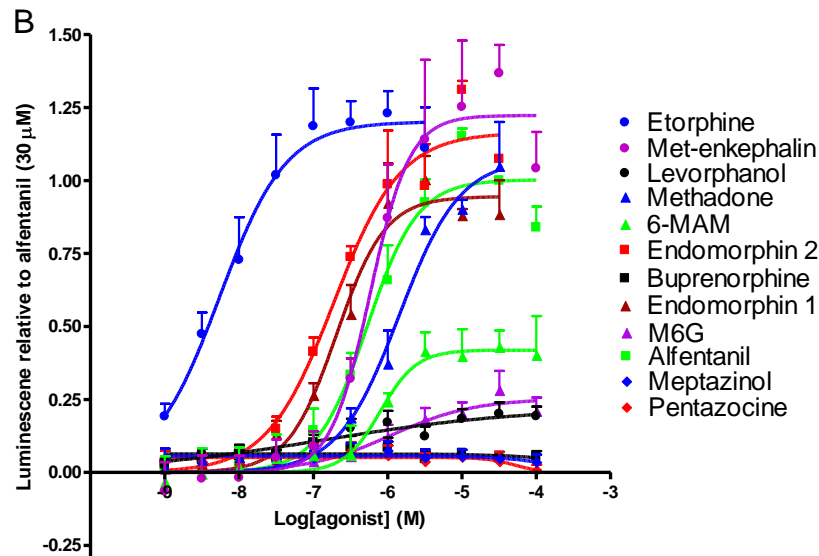
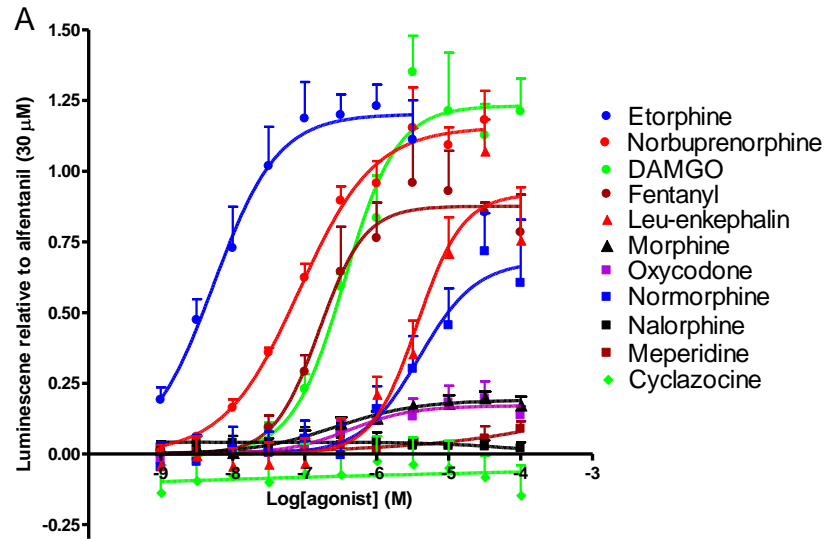


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Supplemental data Figure 2. Agonist concentration-effect curves for $[^{35}\text{S}]\text{GTP}_{\gamma}\text{S}$ binding to membranes from (A) HEK293 cells expressing T7-MOPr and (B) HEK293 cells expressing the PathHunter™ system. Data are shown as means \pm S.E.M. from at least 3 independent experiments.

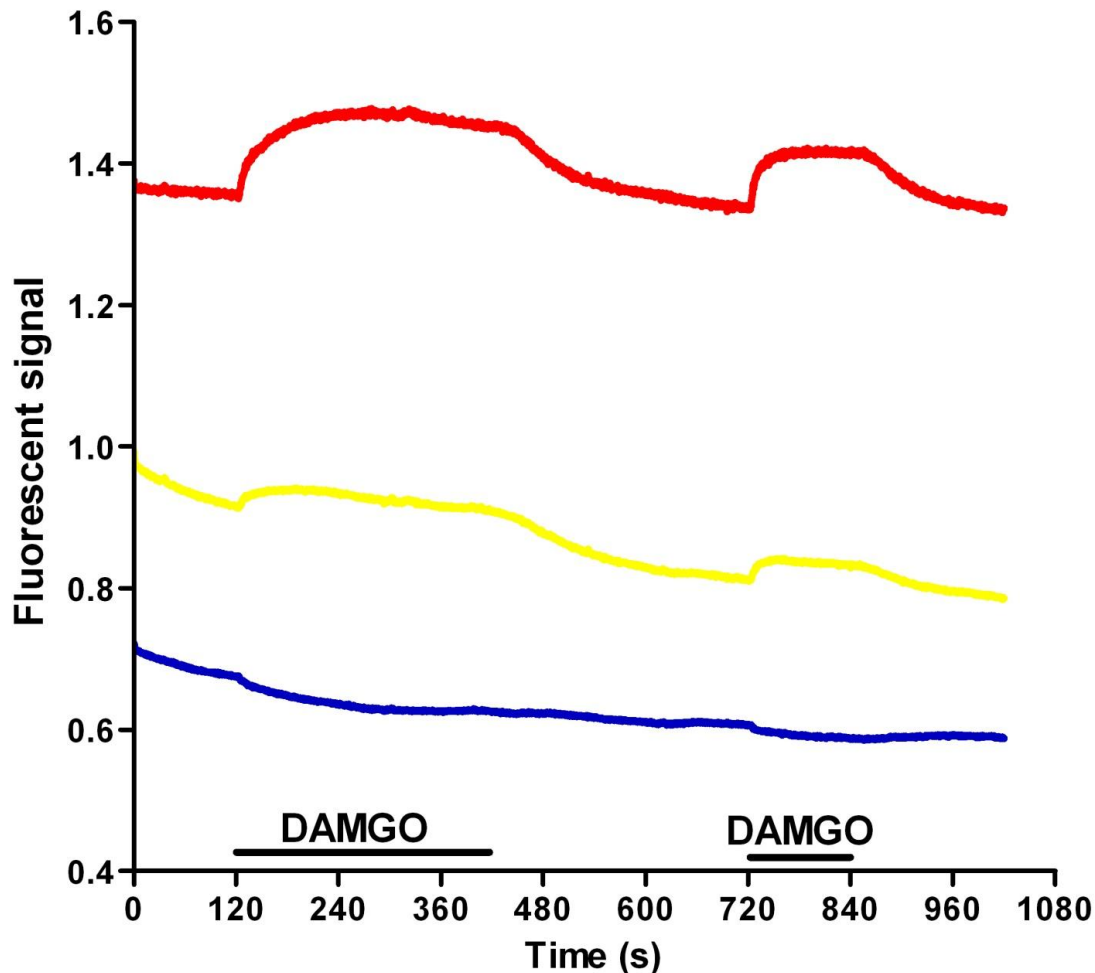
MOLECULAR PHARMACOLOGY: μ - Opioid receptors: correlation of agonist efficacy for signalling with ability to activate internalization. Jamie McPherson, Guadalupe Rivero, Myma Baptist, Javier Llorente, Suleiman Al-Sabah, Cornelius Krasel, William L Dewey, Chris P Bailey, Elizabeth M Rosethorne, Steven J Charlton, Graeme Henderson, and Eamonn Kelly



Supplemental data Figure 3. MOPr agonist concentration-effect curves for arrestin-3 recruitment in HEK293 cells using the PathHunter™ assay. The data is shown on two graphs (A and B) to aid clarity. Etorphine is shown on both graphs as a reference. The colour scheme for agonists is the same as supplemental data Figure 1.

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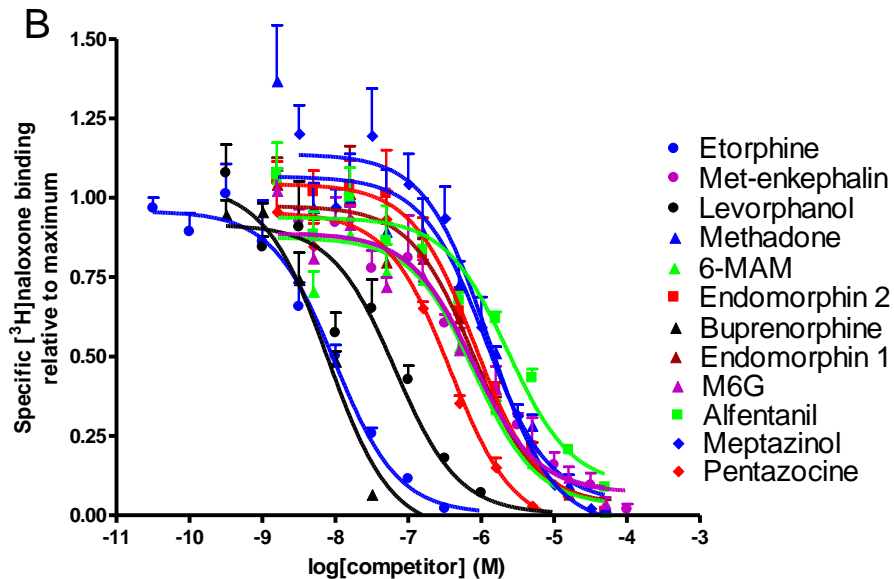
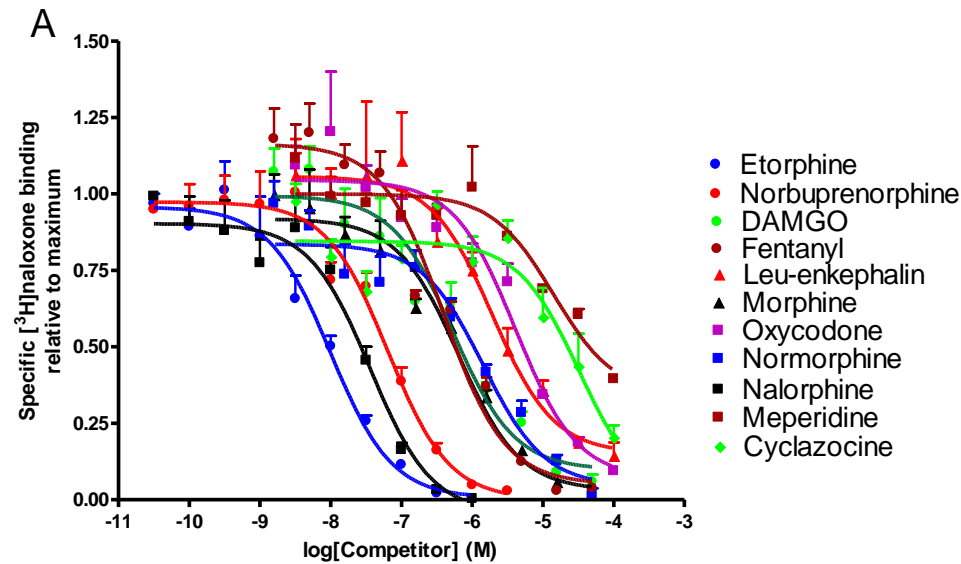
DAMGO 10 μ M



Supplemental data Figure 4.

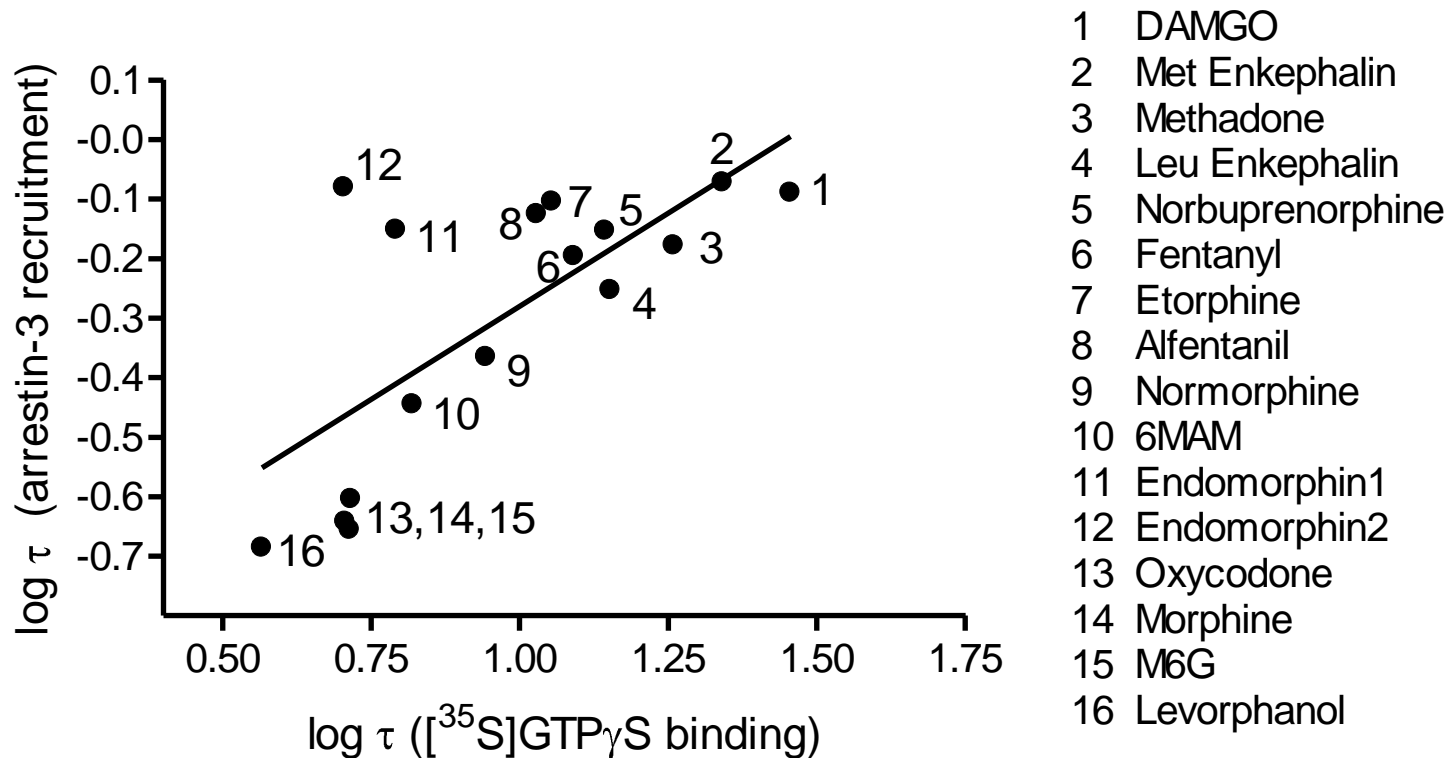
Example of the interaction between MOPr and arrestin-3 as measured by FRET. HEK293 cells were transiently transfected with rat MOPr-YFP, GRK2 and Arrestin-3-CFP. An increase in the FRET ratio (measured as F_{535}/F_{480} and represented with a red line) is characterised by an increase in the F_{535} (yellow fluorescence from the MOPr-YFP) and a simultaneous decrease in the F_{480} (cyan fluorescence from the Arrestin-3-CFP) and reflects the interaction between MOPr-YFP and Arrestin-3-CFP. Traces were filtered off-line with Axoscope 9.2.

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Supplemental data Figure 5. MOPr agonist competition curves for displacement of $[^3\text{H}]$ naloxone from HEK293 cell membranes. The data is shown on two graphs (A and B) to aid clarity. Etorphine is shown on both graphs as a reference. The colour scheme for agonists is the same as supplemental data Figures 1 and 3.

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Supplemental data Figure 6. Correlation of log τ values for [³⁵S]GTP γ S binding and arrestin-3 recruitment. Included on the plot are the 16 agonists where it was possible to determine τ values for both functional outputs. The r^2 for the correlation is 0.511, $P < 0.05$. Agonists not included are buprenorphine, pentazocine, meptazinol, meperidine, cyclazocine and nalorphine.