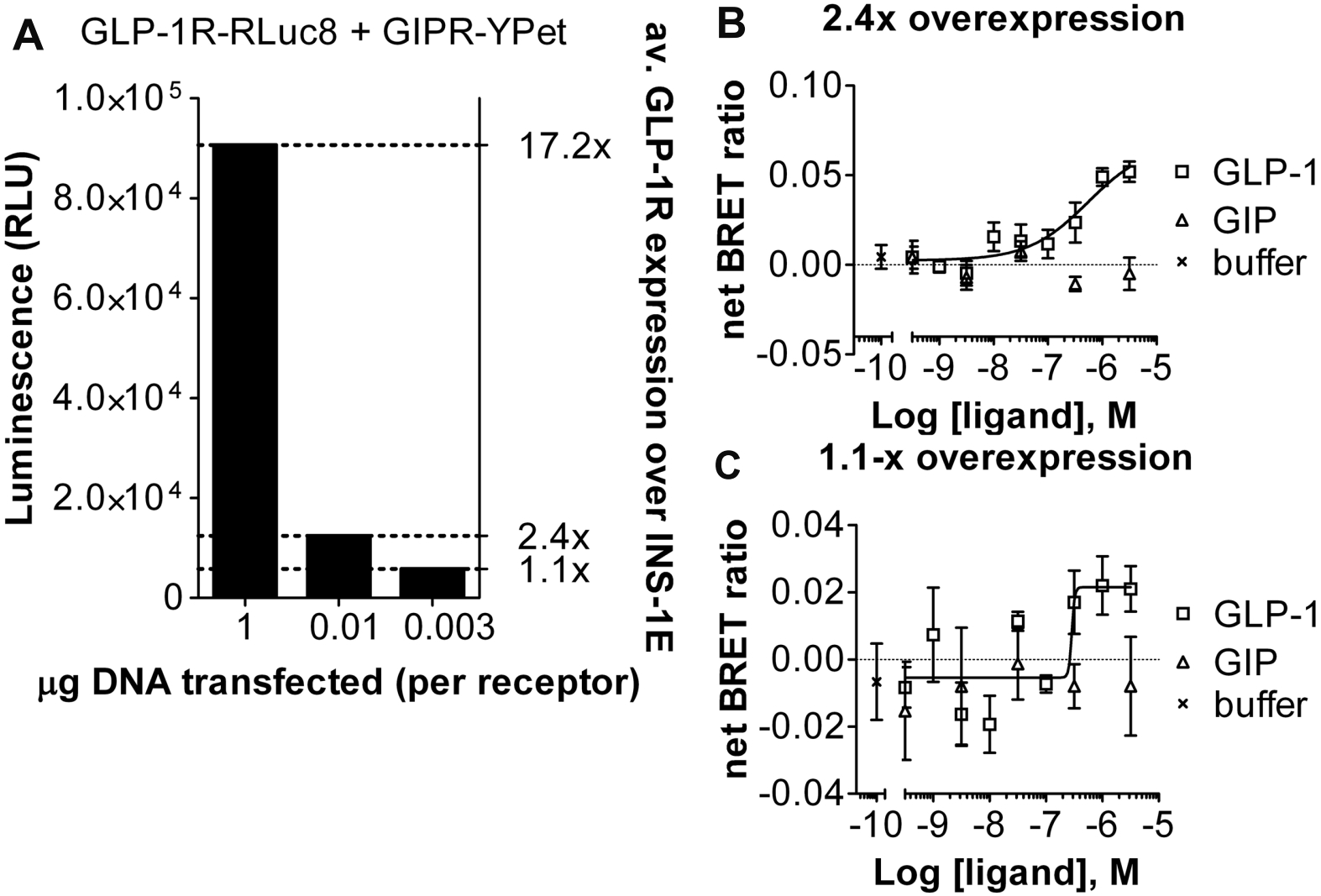
Schelshorn D, Joly F, Mutel S, Hampe C, Breton B, Mutel V, Lütjens R - *Lateral allosterism in the glucagon receptor family: GLP-1 induces GPCR heteromer formation* - Molecular Pharmacology



Supplementary Figure 2: (A) Based on the average 17.2-fold over-expression of GLP-1R determined for our standard BRET conditions compared to INS-1E in Supplementary Figure 1, we transfected cells with decreasing amounts of both receptor plasmids in 1:1 ratio. We achieved recombinant GLP-1R expression levels, derived from the luminescence emitted, which can be estimated to be comparable to the endogenous INS-1E levels. (B) At 2.4-fold expression levels, we could still observe a GLP-1 induced heteromerization of GLP-1R-Rluc8 and GIPR-YPet, but not a BRET-reducing effect of GIP. (C) GLP-1 induced dimerization was still detectable at 1.1-fold overexpression levels, but fluctuations of the BRET ratio measurement began to interfere with the read-out. At "physiological levels" GLP-1R/GIPR heteromerization can still be observed, but the light signals emitted are too weak to obtain robust data on the FLIPR Tetra.
(BRET: datapoint duplicate average +/- S.D.).