

Fig. S1 Chemical structures of Compounds 2, 3, 4, 5, and 6



Fig. S2 Effects of PTX treatment on Compound 1-induced internalization

The effects of PTX on the specific binding of 2-[125I]iodomelatonin to the human MT2 receptor on the cell surface was determined by preincubating CHO-hMT2 cells with 100 ng/ml PTX for 12 h. Results are the means ± standard error of means (SEM) from three separate experiments conducted in duplicate.

A



B



Fig. S3 Comparison of agonist-induced internalization and agonist activity in the cAMP assay

The percentage of the human MT2 receptor internalized by a given concentration of agonist was plotted as a function of each agonist’s intrinsic efficacy (A) and pEC50 (B) assessed in the cAMP assay.