

SUPPLEMENTARY DATA

TABLE 1. Mean EC₅₀/IC₅₀ values and Hill coefficients for ligand action at different nAChR subtypes.

⁸⁶Rb⁺ efflux assays were conducted as described in Methods and in the legends to Figs. 2-5 for cells expressing the indicated nAChR subtypes and for the ligands listed in column 1. **Agonism:** Results for agonism were fit to the logistic equation to determine mean nanomolar EC₅₀ values (upper values; see SE for log molar EC₅₀ values in TABLE 1), mean nanomolar IC₅₀ values (upper values in parentheses; see SE for log molar IC₅₀ values in TABLE 1) for drugs also displaying self-inhibition) and Hill coefficients (± SE) for agonism (middle values) or self inhibition when present (lower values in parentheses). **Inactivation:** Results for inactivation of responses mediated by a standard agonist were fit to the logistic equation to determine mean nanomolar IC₅₀ values (upper values; see SE for log molar values in TABLE 1) and Hill coefficients (± SE; lower values).

Drug	Agonism				Inactivation			
	nM EC ₅₀ value (nM IC ₅₀ self inhibition values)				nM IC ₅₀ value			
	Hill coefficient for agonism ± SE (Hill coefficient for self inhibition ± SE)				Hill coefficient for antagonism ± SE			
	α4β2	α4β4	α3β4*	α1*	α4β2	α4β4	α3β4*	α1*
	5.8	25 (25,000)	340	2200	4.8	29	600	2500
7	2.38 ± 0.31	1.47 ± 0.39 (-1.31 ± 0.90)	1.28 ± 0.22	1.91 ± 0.31	-2.15 ± 0.48	-1.56 ± 0.04	-0.91 ± 0.28	-1.22 ± 0.14
16	9.8 (8900) 2.24 ± 0.24 (-2.04 ± 1.99)	40 (72,000) 1.74 ± 0.49 (-0.45 ± 0.63)	810 (7100) 2.47 ± 0.51 (-3.34 ± 0.79)	1000 (13,000) 1.88 ± 0.15 (-2.50 ± 0.50)	8.9 -1.18 ± 0.14	32 -1.69 ± 0.11	1300 -1.40 ± 0.31	870 -1.40 ± 0.15
18	30 (5500) 2.36 ± 0.48 (-1.98 ± 0.41)	150 (3500) 1.70 ± 0.13 (-1.55 ± 0.13)	>>10,000 -	490 (3300) 4.97 ± 2.24 (-3.17 ± 1.33)	180 -0.47 ± 0.05	120 -1.66 ± 0.23	620 -1.23 ± 0.27	370 -1.49 ± 0.21
13	76 2.25 ± 0.08	690 (45,000) 2.44 ± 0.69 (-2.53 ± 2.67)	>>10,000 -	>>10,000 -	690 -0.59 ± 0.15	1000 -1.13 ± 0.10	1100 -1.40 ± 0.39	2800 -0.93 ± 0.17
20	74 (7100) 2.34 ± 0.46 (-1.56 ± 0.39)	330 (4800) 1.56 ± 0.17 (-1.60 ± 0.23)	>>10,000 -	>>10,000 -	580 (>10,000) -0.56 ± 0.04	220 -1.68 ± 0.33	790 -2.10 ± 0.59	760 -1.53 ± 0.20
10	87 2.07 ± 0.08	680 (7100) 1.27 ± 0.08 (-1.67 ± 0.18)	>>10,000 -	>>10,000 -	650 -0.66 ± 0.10	390 -1.33 ± 0.17	890 -1.74 ± 0.26	1800 -1.54 ± 0.11
11	110 2.38 ± 1.40	1900 1.00 ± 0.27	>>10,000 -	>>10,000 -	5500 -0.63 ± 0.04	1200 -1.25 ± 0.19	4100 -1.55 ± 0.36	15,000 -1.33 ± 0.35
1	300 1.00 ± 0.10	ND -	ND -	ND -	430 -0.81 ± 0.09	ND -	ND -	ND -
12	380 2.87 ± 2.01	320 (3200) 1.46 ± 0.24 (-1.19 ± 0.57)	>>10,000 -	>>10,000 -	4600 -1.65 ± 0.13	410 -1.44 ± 0.20	830 -1.80 ± 0.24	850 -1.82 ± 0.20
26	>>10,000 -	>>10,000 -	>>10,000 -	>>10,000 -	>>10,000 -	ND -	>>10,000 -	>>10,000 -