

A modular click ligand-directed approach to label endogenous dopamine D₁ receptors in live cells

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SUPPLEMENTARY DATA 3

Pharmacological data

SD3.1 Binding data

<i>Residence time (min)</i>								
	<i>n=1</i>	<i>n=2</i>	<i>n=3</i>	<i>n=4</i>	<i>n=5</i>		Mean	SEM
GDA	9.0	13.6	8.3	15.8	7.2		10.8	1.5
SKF83566	5.0	4.1	7.7	8.2	3.1		5.6	0.9
4a	7.5	8.8		11.0	4.6		8.0	1.2
4b		5.5		5.9	3.6		5.0	0.6
4c	4.4	6.4		7.3	2.0		5.0	1.0
6a	7.0	9.5		9.1	4.6		7.5	1.0
6b	5.4	5.8		8.8	2.2		5.6	1.2

<i>pK_d</i>								
	<i>n=1</i>	<i>n=2</i>	<i>n=3</i>	<i>n=4</i>	<i>n=5</i>		Mean	SEM
GDA	6.78	6.73	6.51	6.18	6.81		6.60	0.10
SKF	8.79	9.03	8.10	8.62	8.57		8.62	0.14
4a	8.10	8.53	7.73	7.88	8.24		8.10	0.12
4b	8.03	8.18		7.74	8.07		8.01	0.08
4c	8.00	8.20		7.68	7.88		7.94	0.09
6a	8.34	8.44	8.31	7.82	8.08		8.20	0.10
6b	7.34	7.21	7.62	6.91	7.23		7.26	0.10

<i>pK_i</i>								
	<i>n=1</i>	<i>n=2</i>	<i>n=3</i>	<i>n=4</i>	<i>n=5</i>		Mean	SEM
SKF83566	8.76	9.01	8.56	8.66	8.56		8.71	0.07
4a	8.13	8.50	7.80	7.92	8.24		8.12	0.11
4b	8.14	8.47	8.30	7.73	8.01		8.13	0.11
4c	8.03	8.17	8.11	7.72	7.86		7.98	0.07
6a	8.38	8.40	8.36	7.79	8.09		8.20	0.11
6b	7.27	7.18	7.78	6.98	7.20		7.28	0.12

S3.2. Functional data

<i>F-ST-D₁ pIC₅₀</i>									
	<i>n=1</i>	<i>n=2</i>	<i>n=3</i>	<i>n=4</i>	<i>n=5</i>	<i>n=6</i>		Mean	SEM
SKF38393	6.74	6.79	6.64	6.49	6.51	6.79		6.66	0.05
SKF83566	7.64	7.81	7.22	7.92	7.76	7.75		7.68	0.10
4a				7.18	7.00	6.91		7.03	0.08
4b	6.80	6.69	7.10					6.87	0.12
4c				6.95	6.95	6.66		6.85	0.09
6a	6.73	6.61	7.01	6.82	7.26	7.00		6.91	0.10
6b				6.13	6.08	5.83		6.02	0.09

<i>F-ST-D₁ pK_i</i>									
	<i>n</i> =1	<i>n</i> =2	<i>n</i> =3	<i>n</i> =4	<i>n</i> =5	<i>n</i> =6		Mean	SEM
SKF83566	8.06	8.27	7.59	8.20	8.06	8.20		8.06	0.10
4a				7.47	7.30	7.37		7.38	0.08
4b	7.22	7.15	7.47					7.28	0.17
4c				7.23	7.25	7.12		7.20	0.07
6a	7.15	7.06	7.38	7.11	7.56	7.46		7.29	0.21
6b				6.42	6.38	6.29		6.36	0.07

<i>F-ST-D₁ K165R pIC₅₀</i>									
	<i>n</i> =1	<i>n</i> =2	<i>n</i> =3	<i>n</i> =4	<i>n</i> =5	<i>n</i> =6		Mean	SEM
SKF38393	6.13	6.19	6.00	6.54	6.24	6.07		6.20	0.08
SKF83566	8.16	8.31	8.44	8.72	8.74	8.20		8.43	0.10
4a				7.15	6.97	7.28		7.13	0.09
4b	7.35	6.97	7.23					7.18	0.11
4c	6.98	6.68	7.34					7.00	0.19
6a	7.16	6.78	6.98					6.97	0.11
6b	6.34	6.01	6.51					6.29	0.15

<i>F-ST-D₁ K165R pK_i</i>									
	<i>n</i> =1	<i>n</i> =2	<i>n</i> =3	<i>n</i> =4	<i>n</i> =5	<i>n</i> =6		Mean	SEM
SKF83566	8.31	8.48	8.55	9.03	8.93	8.33		8.61	0.13
4a				7.46	7.16	7.41		7.34	0.09
4b	7.50	7.14	7.34					7.33	0.10
4c	7.13	6.84	7.46					7.14	0.18
6a	7.31	6.95	7.09					7.12	0.11
6b	6.48	6.17	6.62					6.43	0.13

<i>F-ST-D₁ K167R pIC₅₀</i>									
	<i>n</i> =1	<i>n</i> =2	<i>n</i> =3	<i>n</i> =4	<i>n</i> =5	<i>n</i> =6		Mean	SEM
SKF38393	6.51	6.46	6.39	6.28	6.19	6.66		6.42	0.07
SKF83566	8.36	8.75	8.54	8.59	8.84	8.58		8.61	0.07
4a				7.02	7.32	7.40		7.25	0.12
4b	7.20	7.60	7.70					7.50	0.15
4c	6.98	7.24	7.15					7.12	0.08
6a	6.86	7.35	7.51					7.24	0.19
6b	6.03	6.07	6.65					6.25	0.20

<i>F-ST-D₁ K167R pK_i</i>									
	<i>n</i> =1	<i>n</i> =2	<i>n</i> =3	<i>n</i> =4	<i>n</i> =5	<i>n</i> =6		Mean	SEM
SKF83566	8.66	9.02	8.78	8.79	9.00	8.95		8.87	0.06
4a				7.22	7.49	7.78		7.49	0.16
4b	7.62	8.06	8.06					7.91	0.15
4c	7.40	7.69	7.51					7.54	0.08
6a	7.28	7.81	7.87					7.66	0.19
6b	6.45	6.52	7.02					6.66	0.18

F-ST-D₁ K165R K167R pIC₅₀									
	n=1	n=2	n=3	n=4	n=5	n=6		Mean	SEM
SKF38393	6.48	6.18	6.00	6.45	6.22	6.25		6.26	0.07
SKF83566	8.72	8.31	8.73	8.66	8.18	8.65		8.54	0.10
4a				7.21	6.79	7.04		7.01	0.12
4b	7.29	6.99	7.31					7.20	0.11
4c	6.80	6.81	7.64					7.08	0.28
6a	7.02	7.01	7.22					7.08	0.07
6b	6.04	6.07	6.10					6.07	0.02

F-ST-D₁ K165R K167R pK_i									
	n=1	n=2	n=3	n=4	n=5	n=6		Mean	SEM
SKF83566	9.00	8.48	8.84	8.92	8.35	8.83		8.74	0.11
4a				7.48	6.97	7.22		7.22	0.15
4b	7.57	7.15	7.43					7.38	0.12
4c	7.08	6.97	7.75					7.27	0.24
6a	7.30	7.18	7.34					7.27	0.05
6b	6.32	6.23	6.21					6.26	0.03

HA-D₁ K165R pIC₅₀									
	n=1	n=2	n=3	n=4	n=5	n=6		Mean	SEM
SKF38393	6.49	6.44	6.63	6.64	6.58	6.72		6.59	0.04
SKF83566	8.61	8.47	8.22	8.66	8.43	7.99		8.40	0.10
4a				7.63	7.32	7.28		7.41	0.11
4b	7.54	7.58	7.05					7.39	0.17
4c	7.61	7.02	6.80					7.14	0.24
6a	7.13	6.93	7.21					7.09	0.08
6b	6.32	5.88	6.13					6.11	0.13

HA-D₁ K165R pK_i									
	n=1	n=2	n=3	n=4	n=5	n=6		Mean	SEM
SKF83566	8.90	8.73	8.58	9.02	8.76	8.40		8.73	0.09
4a				7.99	7.65	7.69		7.78	0.11
4b	7.82	7.84	7.41					7.69	0.14
4c	7.90	7.29	7.15					7.45	0.23
6a	7.41	7.20	7.56					7.39	0.11
6b	6.61	6.14	6.49					6.41	0.14

HA-D₁ K167R pIC₅₀									
	n=1	n=2	n=3	n=4	n=5	n=6		Mean	SEM
SKF38393	6.49	6.65	6.58	6.75	6.78	6.71		6.66	0.04
SKF83566	8.51	8.77	8.58	8.82	8.55	8.44		8.61	0.06
4a				7.67	7.21	7.19		7.35	0.16
4b	7.21	7.77	7.25					7.41	0.18
4c	6.85	7.56	6.89					7.10	0.23
6a	7.00	7.96	7.23					7.39	0.29
6b	6.22	5.80	6.31					6.11	0.16

HA-D₁ K167R pK_i									
	n=1	n=2	n=3	n=4	n=5	n=6		Mean	SEM
SKF83566	8.79	9.14	8.91	9.25	9.00	8.84		8.99	0.07
4a				8.10	7.66	7.59		7.78	0.16
4b	7.50	8.04	7.61					7.71	0.16
4c	7.14	7.83	7.25					7.40	0.21
6a	7.28	8.22	7.59					7.70	0.28
6b	6.50	6.06	6.67					6.41	0.18

HA-D₁ K165R K167R pIC₅₀									
	n=1	n=2	n=3	n=4	n=5	n=6		Mean	SEM
SKF38393	6.48	6.56	6.32	6.50	6.65	6.61		6.52	0.05
SKF83566	8.72	7.95	8.59	8.80	8.15	8.33		8.42	0.14
4a				7.64	7.18	7.24		7.35	0.14
4b	7.29	6.82	7.17					7.10	0.14
4c	6.80	6.70	7.12					6.87	0.13
6a	7.02	6.69	7.14					6.95	0.13
6b	6.04	5.58	5.92					5.84	0.14

HA-D₁ K165R K167R pK_i									
	n=1	n=2	n=3	n=4	n=5	n=6		Mean	SEM
SKF83566	9.00	8.27	8.81	9.09	8.52	8.68		8.73	0.12
4a				7.93	7.55	7.59		7.69	0.12
4b	7.58	7.09	7.53					7.40	0.16
4c	7.09	6.97	7.48					7.18	0.15
6a	7.30	6.96	7.50					7.25	0.16
6b	6.33	5.84	6.27					6.15	0.15