Journal of Medicinal Chemistry

Addition/Correction

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Correction to Systematic in Vivo Screening of a Series of 1-Propyl-4-arylpiperidines against Dopaminergic and Serotonergic Properties in Rat Brain: A Scaffold-Jumping Approach

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Journal of Medicinal Chemistry **2012**, *55*, 9735−9750. DOI: 10.1021/jm300975f

Pages 9737, 9740, 9742, 9744, 9745. In Tables 1−3 and Figures 2 and 4, the structure of compound **14** should be "4-(benzofuran-2-yl)-1-propylpiperidine" instead of "4-(benzofuran-3-yl)-1-propylpiperidine". The correct structure is shown below.

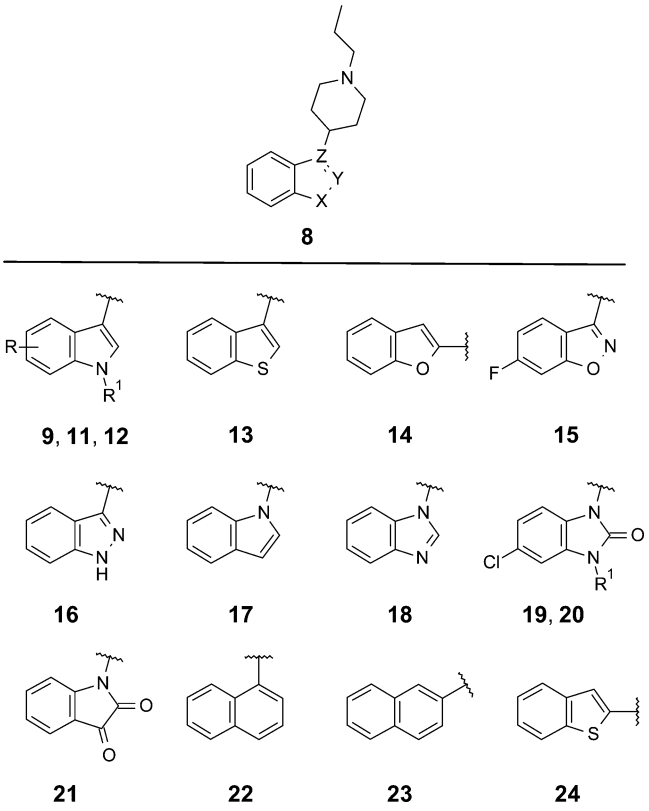
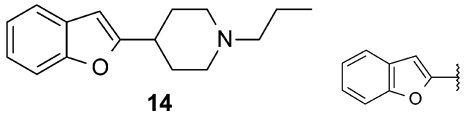


Figure 2.



The corrected Tables 1 and 2 and Figures 2 and 4 are also shown below.

Page 9735. In the abstract, line 6 should be "In contrast, 2-benzofuran and 2-benzothiophene were potent and selective inhibitors of monoamine oxidase (MAO) A." instead of "In contrast, 3-benzofuran was a potent and selective inhibitor of monoamine oxidase (MAO) A."

Page 9737. Line 22 from the bottom in the right-hand column should be "4-(benzofuran-2-yl)piperidine" instead of "4-(benzofuran-3-yl)piperidine".

Page 9741. The following sentences in lines 23−30 in the right-hand column should not be present: "The most striking effect was the replacement of the sulfur in 3-benzothiophene **13** (a dopamine D_2 receptor antagonist lacking affinity for MAO A, 13.990 nM; Table 1) to the oxygen in 3-benzofuran **14**, which resulted in loss of much of the dopamine D_2 receptor affinity but increased the affinity for MAO A by 1000-fold (92 nM). From a SAR perspective this is a very unexpected finding, especially given that oxygen and sulfur belong to the same atom "family"."

Page 9741. In line 31 of the right-hand column, the word should be "However" instead of "Similarly".

Page 9741. In line 34 of the right-hand column, the sentence should start with "From a SAR perspective it seems to relate to geometrical aspects and substitution" instead of "This is easier to understand from a SAR perspective, since this relates to geometrical aspects and it seems that substitution".

Page 9743. Line 5 of the left-hand column should contain "2-benzofuran **14** and 2-benzothiophene **24**" instead of "2-benzothiophene **24**".

Page 9743. Line 6 of the left-hand column should contain "Compounds **14** and **24**" instead of "Compound **24**".

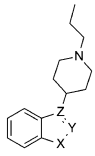
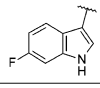
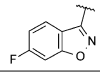
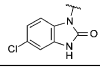
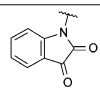
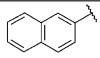
Page 9744, in conclusion, line 7, column 2 should be "2-benzofuran and 2-benzothiophene were potent and selective MAO A inhibitors" instead of "3-benzofuran was a potent and selective MAO inhibitor".

Supporting Information, Page S4. Line 1 at the top should be "4-(benzofuran-2-yl)-1-propylpiperidine (**14**)." instead of "4-(benzofuran-3-yl)-1-propylpiperidine (**14**)."

Supporting Information, Page S4. Lines 1−2 at the top should contain "4-(benzofuran-2-yl)piperidine" instead of "4-(benzofuran-3-yl)piperidine".

Published: May 8, 2013

Table 1

Compound		K_i D_{2S}^{High} (nM)[a]	K_i D_{2S}^{Low} (nM)[a]	D_{2S} K_i^{Low}/K_i^{High}	K_i MAO A (nM)[a]	K_i SERT (nM)[a]
9		43	27	0.6	15780	45
11		157	275	1.7	4053	45
12		19	32	1.7	6863	58
13		26	121	4.6	13990	6.5
14		803	2717	0.3	92	827
15		34	194	5.7	2232	29830
16		187	1066	5.7	7442	5638
17		109	1136	10	74900	54
18		10820	>580000[d]	n.c.[g]	>580000[d]	122
19		456	371	0.8	>580000[d]	3512
20		5182	2002	0.4	>580000[d]	2241
21		12830	12160	0.9	>580000[d]	23830
22		41	112	2.7	5417	52
23		1535	2870	1.9	63	127
24		574	2325	4.0	18	130
2[f]		7521	17550	2.3	NT	NT
3[f]		755	3884	5.1	NT	NT
Risperidone (**5**)		NT	2.7[b]	n.c.[g]	NT	NT
Ziprasidone (**6**)		NT	8.50[b]	n.c.[g]	NT	NT
Pimozide (**7**)		NT	11.70[b]	n.c.[g]	NT	NT
Moclobemide		NT	NT	n.c.[g]	11500[c]	NT

dx.doi.org/10.1021/jm400509x | J. Med. Chem. 2013, 56, 4130−4133

Table 2

Compound		clogP[f]	ED_{50} DOPAC (μmol/kg)[d]	Dose (μmol/kg)	DOPAC % of control ± SEM[a]	5-HIAA % of control ± SEM[b]	LMA % of control ± SEM[c]
9		3.5	4.1	33	299 ± 9 *	93 ± 2.6	2.8 ± 2.2 *
11		4.3	33	100	264 ± 18 *	95 ± 4.8	27 ± 5
12		4.2	3.0	33	275 ± 15 *	87 ± 6.2	9 ± 2.1 *
13		4.4	54	100	290 ± 25 *	79 ± 4.8 *	48 ± 24
14		4.3	n.c.[g]	100	35 ± 3.4 *	84 ± 3.3	75 ± 34
15		3.2	4.0	33	350 ± 17 *	111 ± 0.7	8.3 ± 2.9 *
16		2.5	55	33	227 ± 7.7 *	105 ± 4.9	7 ± 4.7 *
17		4.4	126	100	227 ± 17 *	87 ± 8.8	92 ± 43
18		3.0	IA	100	111 ± 8.6	98 ± 3.4	20 ± 8 *
19		3.0	7.0	33	334 ± 18 *	101 ± 1	54 ± 13
20		3.8	47	100	253 ± 4.3 *	113 ± 7.5	27 ± 9
21		2.4	IA	100	101 ± 2.9	95 ± 2.7	118 ± 48
22		4.5	103	100	218 ± 11 *	76 ± 6.3 *	62 ± 16
23		4.6	n.c.[g]	100	32 ± 1.4 *	70 ± 2.5 *	22 ± 8 *
24		4.7	n.c.[g]	100	28 ± 3.7 *	76 ± 9 *	37 ± 7
2[e]		2.21	81	300	298 *	106	200
3		2.36	63	100	260±15*[h]	100±1.8 *	215±62[h]
Risperidone (**5**)		2.7	0.5	2.4	347 ± 8 *	115 ± 4.8 *	11 ± 4.8 *
Ziprasidone (**6**)		4.2	1.2	6.4	311 ± 10 *	98 ± 0.5	13 ± 4.9 *
Pimozide (**7**)		4.4	1.6	5.8	416 ± 18 *	101 ± 5	7.3 *
Citalopram		n.c.	IA	25	101 ± 2.3	69 ± 2 *	50 ± 20
Moclobemide		n.c.	n.c.[g]	37	18 ± 0.4 *	81 ± 1.7 *	164 ± 48

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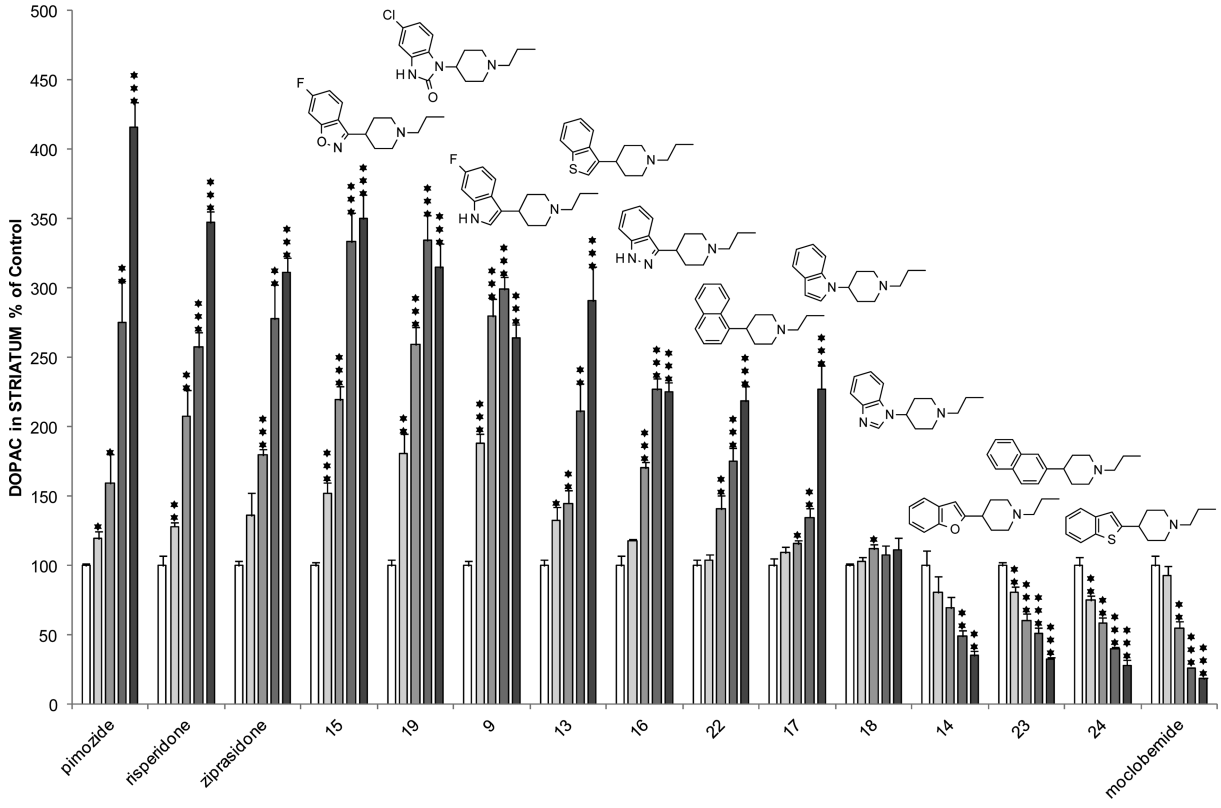

Figure 4.

dx.doi.org/10.1021/jm400509x | *J. Med. Chem.* 2013, 56, 4130−4133