

“Magic Chloro”: Profound Effects of the Chlorine Atom in Drug Discovery

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SUPPORTING INFORMATION

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Data analysis

Out of the browsed journal articles, articles were included in the tables if the potency improvement from R = H to R = Cl was equal to or greater than 10. In the event of a two-chloro effect, articles were only included if the potency improvement was equal to or greater than 100 (these notes are indicated as comments in the compound numbers column).

Shown here is the total number of articles belonging to each category:

Improvement	Total number of articles
10-fold	633
100-fold	131
1000-fold	21

The years 2010–2022 (up to November 2022) of the following prominent medicinal chemistry journals were included in this study:

- *ACS Medicinal Chemistry Letters*
- *Bioorganic and Medicinal Chemistry*
- *Bioorganic and Medicinal Chemistry Letters*
- *ChemMedChem*
- *European Journal of Medicinal Chemistry*
- *Journal of Medicinal Chemistry*
- *Medicinal Chemistry Research*
- *MedChemComm* and *RSC Medicinal Chemistry*

J. Med. Chem.

2010–2021 (Issues 1-24 completed), 2022 (Issues 1–19)

Improvement	Number of articles
10-fold	135
100-fold	19
1000-fold	4

Year	Page	Figure #	X fold improvement with CI	Compound numbers with R = H vs R = CI
2010	147	Table 1	23	19c vs 27
2010	460	Table 1	95	3d vs 4d
2010	1465	Table 2	133	23 vs 34
2010	1923	Table 1	16	35 vs 36
2010	2155	Table 2	60	55 vs 57
2010	3117	Table 2	24	11 vs 14
2010	4248	Table 1	10	4l vs 4o
2010	4412	Table 1	54	10l vs 10m
2010	5696	Table 4	10	21 vs 27
2010	7076	Table 3	14	42a vs 38a
2010	7521	Table 2 and 3	12	29e vs 29u
2010	8319	Table 1	20	9a vs 9c
2010	8556	Table 2	100	10c vs 10g
2011	572	Table 1	18	12k vs 12l
2011	1233	Table 1	36	18 vs 20
2011	1789	Table 8	21	54 vs 70
2011	3368	Table 1	12	7 vs 8
2011	3669	Table 1	24	1 vs 8
2011	4042	Table 1	20	1 vs 3
2011	4399	Table 4	40	38 vs 48 or 49
2011	6691	Table 1	14	5 vs 22
2011	7066	Table 1	16	1e vs 1f
2011	7232	Table 4	39	17 vs 27
2011	8541	Table 4	102	42 vs 43
2012	1303	Table 2	72	28 vs 29
2012	3216	Table 1	12	17 vs 18
2012	3837	Table 1	729	8 vs 9
2012	4205	Table 1	15000	8b vs 8q
2012	5220	Table 1	20	25 vs 26

2012	7021	Table 5	15	19 vs 20
2012	7759	Table 1	13	5a vs 5b
2012	8807	Table 1	26	1 vs 2
2012	10601	Table 1	1300	12 vs 15
2013	3379	Table 1	19	11 vs 12
2013	4497	Table 1	20	8 vs 12
2013	4671	Table 2	28	3b vs 17m
2013	5182	Table 4	21	69 vs 78
2013	5382	Table 1	262	2k vs 2m
2013	5473	Table 2	18	33 vs 35
2013	6101	Table 1	27	ref II vs ref III
2013	6434	Table 2	31	22 vs 24
2013	8696	Table 2	253	18 vs 19b
2013	9789	Table 1	23	56 vs 57
2014	3075	Table 4	28	61 vs 62
2014	3818	Table 1	14	endochin vs 2
2014	4962	Table 1	3333	(1R,2S)-10 vs (1R,2S)-11
2014	5293	Table 2	70	19 vs 1
2014	9915	Table 1	10	16a vs 16b
2014	10044	Table 5	17	77 vs 78
2014	10544	Table 1 and 2	75	8a vs 8k
2015	347	Table 1	21	8 vs 19
2015	598	Table 3	12	44 vs 47
2015	1717	Table 3	37	26 vs 27
2015	1862	Table 2	14	2a vs 2c
2015	2809	Table 2	69	30 vs 31
2015	3922	Table 4	42	9a' vs 9g'
2015	4573	Table 3	11	13a vs 13b
2015	4648	Table 3	26	23 vs 28
2015	4888	Table 5	40	11f vs 11k
2015	5121	Table 4 and 5	23	24 vs 29
2015	5256	Table 3	21	19 vs 25
2015	6678	Table 1 and 3	13	66a vs 66c
2015	7021	Table 1	31	1h vs 1q
2015	7173	Table 3	17	7 vs 9
2015	7186	Table 4	14	22g vs 22q
2015	9382	Table 1	29	6 vs 7
2015	9663	Table 1	17	7 vs 11
2016	2760	Table 3	39	33 vs 35

2016	2794	Table 1	10	5 vs 9
2016	2989	Table 2	12	1 vs 9m
2016	3098	Table 1	19	5 vs 11
2016	3826	Table 1	30	14i vs 14r
2016	6690	Table 1	19	14b vs 14c
2016	7690	Table 1	22	9b vs 9j
2017	722	Table 4 and 6	2727	53 vs 52; also see 37 vs 40, 19 vs 17, and 28 vs 9
2017	1959	Table 1	49	11 vs 54
2017	2006	Table 1	149	5 vs 9 (two-chloro effect)
2018	695	Table 2	100	7b vs 7l
2018	1664	Table 3	84	11d vs 11i
2018	3309	Figure 9	10	31 vs 32
2018	4370	Table 2	91	31/33 vs 22
2018	5162	Table 3	12	14 vs 29
2018	5412	Table 1	11	1 vs 3
2018	6002	Table 2 and 3	64	4k vs 7d vs 12h
2018	6293	Table 2 and 3	12	3.47 vs 1a-12
2018	7218	Table 1	11	1a vs 1g
2018	7671	Table 1	189	1 vs 7
2018	8895	Table 1	11	1 vs 2
2018	9287	Table 1	55	3 vs 5
2019	234	Table 1	12	16 vs 17
2019	4350	Table 1	875	8a vs 8j; also see 8a vs 8g (two-chloro effect)
2019	4624	Table 2	105	9g vs 9h vs 9i (two-chloro effect)
2019	6083	Table 1	20	14 vs 18
2019	6575	Table 1	12	4 vs 5
2019	7489	Table 1	32	4c vs 4d
2019	10456	Table 1	29	12a vs 12f
2019	11194	Table 2	96	34 vs 35
2020	103	Table 1	31	16 vs 19
2020	512	Table 6	40	43b vs 43d
2020	656	Table 1	11	2 vs 4
2020	2434	Table 2 and 3	14	15c vs 15n
2020	2833	Table 1	42	9a vs 9f
2020	4349	Table 2	52	13g vs 13k
2020	4555	Table 1	23	15 vs 16
2020	4579	Table 2	22	30f vs 30r
2020	6679	Table 4 and 5	10	12a vs 18

2020	6898	Table 1	13	1 vs 4
2020	9464	Table 3	10	20h vs 20r
2020	9464	Table 4	55	25d vs 25q
2020	9484	Figure 2	64	4b vs 4c
2020	9705	Table 4	11	12d vs 12j
2020	9856	Table 2	90	8 vs 10
2020	11934	Table 1	69	1 vs 5
2020	12942	Table 3	23	23a vs 23f
2020	14867	Table 2	158	8 vs 9 vs 3
2020	15564	Table 2	11	22 vs 24
2020	15802	Table 1	750	24 vs 23 (aliphatic)
2021	2205	Table 1	57	5a vs 5b
2021	2953	Table 3	76	12 vs 13
2021	3086	Table 2	33	4 vs 7
2021	4206	Table 2	31	42 vs 44
2021	7483	Table 1	31	22f vs 22h
2021	7630	Table 1	128	6a vs 6b
2021	8142	Table 1	13	8 vs 11
2021	8221	Table 1	19	19 vs 17
2021	10951	Table 2	481	31 vs 21
2021	11729	Table 2	33	29a vs 19i
2021	11904	Table 3	167	16g vs 16k (two-chloro effect)
2021	13356	Table 1	26	8a vs 8k
2021	13766	Table 1	60	2c vs 2j
2021	14983	Table 1	342	5 vs 7
2022	163	Table 3	42	52 vs 57
2022	562	Table 3	25	4a vs 4f
2022	1749	Table 2	13	16 vs 18
2022	1770	Table 4	15	8 vs 14
2022	6541	Table 1	16	D19 vs D18
2022	6729	Table 2	11	11 vs 10
2022	7212	Table 1	35	7g vs 7w
2022	11949	Table 1	13	8b vs 8c

ACS Med. Chem. Lett.

2010–2021 (all issues completed), 2022 (Issues 1–10)

Improvement	Number of articles
10-fold	51
100-fold	7
1000-fold	2

Year	Page	Figure #	X fold improvement with CI	Comments
2010	530	Table 1	4545	4d vs 4e-2
2011	182	Table 2	31	14v vs 15i
2011	481	Table 2	14	19 vs 28
2011	644	Scheme 1	14	11a vs 11b
2012	637	Chart 1 and Table 1	12	1 vs 2
2012	678	Table 2 and 3	18	26 vs 27
2012	823	Table 1	78	3 vs 6
2014	12	Table 2	20	13 vs 15
2014	124	Table 1	528	1 vs 3
2014	1162	Table 1	159	6d vs 6e
2015	73	Table 1	28	11l vs 11n
2015	787	Table 2	32	8a vs 8b
2015	814	Table 1	32	5l vs 5p
2015	1015	Table 2	10	7a vs 7c
2016	306	Table 3	49	18a vs 18b
2016	590	Table 2	15	3 vs 9
2016	629	Table 1	12363	9 vs 4a (two-chloro effect)
2016	1219	Table 1	12	1a vs 1d
2017	38	Graphical TOC	19	3 vs 33k
2017	133	Table 1	365	19 vs 21
2017	239	Table 1	29	2 vs 5
2017	423	Table 3	10	16 vs 20
2017	549	Table 1	10	1 vs 8
2017	886	Table 1	29	1 vs 14
2017	963	Table 1	17	9 vs 13
2017	1048	Table 3	11	6d vs 6g
2018	215	Table 1	14	6d vs 6c
2018	283	Table 2	28	4a vs 4c
2018	306	Table 1	10	1 vs 5

2018	354	Table 4	28	19 vs 21
2018	440	Table 1	24	3b vs 6a
2018	594	Table 2	11	5 vs 23
2018	673	Table 5	142	6a vs 6g (two-chloro effect)
2018	1007	Table 1	13	11a vs 11b
2018	1019	Table 1	35	3 vs 5
2018	1045	Table 1	16	10111f vs 10111a
2018	1241	Table 2	19	15b vs 13f
2019	1228	Table 2	13	6 vs 10
2019	1486	Table 2	10	9 vs 7
2019	1537	Table 2	42	2 vs 25
2019	1554	Table 1	51	4 vs 5
2020	188	Table 2	17	4 vs 13
2020	464	Table 1	234	Phar vs A vs 11 (two-chloro effect)
2020	766	Table 1	21	16 vs 28
2020	852	Table 1	89	a vs d
2020	963	Table 1	15	3a vs 3c
2020	1287	Figure 1	10	Part of graphical abstract too
2021	351	Table 2	17	20 vs 19
2021	991	Table 1	32	88 vs 87
2022	84	Table 3	15	12 vs 15
2022	365	Table 3	15	7f vs 7g
2022	377	Table 3	15	9 vs 11
2022	648	Table 2	19	30 vs 34
2022	1920	Table 1	20	4 vs 8

ChemMedChem

2010–2021 (all issues completed), 2022 (Issues 1–19)

Improvement	Number of articles
10-fold	25
100-fold	4
1000-fold	1

Year	Page	Figure #	X fold improvement with Cl	Comments
2011	1832	Table 2	212	3 vs 10 (two-chloro effect)
2011	2048	Table 1	13	2 vs 5 (halogen bonding effect)
2012	722	Table 1	12	GlcU vs GlcClU (halogen bonding effect)
2012	1020	Table 1	11	HA6 vs HA7
2012	1094	Table 1	12	1 vs 2h
2012	1210	Table 1	18	1a40 vs 1a43
2012	1546	Table 3	192	3a vs 3b
2013	1986	Table 4	23	D13 vs D14
2016	1145	Table 1	84	10 vs 11
2018	133	Table 2	11	2c vs 2e
2018	1165	Table 2	14	5b vs 5k
2018	1909	Table 1	12	10j vs 10k
2019	532	Table 1	287	5a vs 5l vs 5e (two-chloro effect)
2019	1291	Table 1	23	5b vs 5j
2019	1963	Table 1	16	20 vs 3
2019	2052	Table 1	42	5c vs 5aa
2020	2286	Table 1	10	43 vs 53
2020	2444	Table 1	41	11 vs 12
2021	458	Table 1	15	4a vs 4b
2021	2195	Table 1	37	9a vs 9d
2021	2231	Table 2	47	14 vs 26
2022	e202100559	Table 1	1003	13a vs 13b
2022	e202100771	Table 1	18	3a vs 3b
2022	e202200161	Table 2	41	5 vs 14
2022	e202000499	Table 4	17	4c vs 4d
2022	e202200211	Table 2	17	21 vs 24

Eur. J. Med. Chem.

2010–2021 (all volumes/issues), 2022 (Vol 227–242)

Improvement	Number of articles
10-fold	127
100-fold	37
1000-fold	6

Year	Volume	Page	Figure #	X fold improvement with CI	Comments
2010	45	19	Table 1	2500	3f vs 4f or 3g vs 4g
2010	45	335	Table 1	26	1c vs 1d
2010	45	1295	Table 1	16	12 vs 14
2010	45	3207	Table 2	16	3g vs 3h
2010	45	4669	Table 1	150	3f vs 3d
2010	45	4692	Table 1	16	6f vs 6a
2010	45	4788	Table 2	50	10f vs 10a
2010	45	6052	Table 2	54	13 vs 14
2010	45	6120	Table 3	32	4a vs 4b
2011	46	778	Table 1	36	5a vs 5g
2011	46	1390	Table 1	63	5a vs 5b or 5c
2011	46	2378	Table 2	20	12a vs 12d
2011	46	3167	Table 1	256	6c vs 6d
2011	46	5276	Table 1	16	11c vs 11h/11j
2011	46	5379	Table 1	315	2 vs 7
2011	46	5562	Table 2	19	2f vs 2i
2011	46	5573	Table 3	10	4g vs 4l
2011	46	5698	Table 1	17	5b vs 5d
2012	50	18	Table 1	10	10a vs 10b, 10n vs 10o
2012	53	41	Table 1	16	7a vs 7b
2012	55	455	Table 2	38	5g vs 5i
2012	57	162	Table 1	17	15a vs 15c
2012	57	373	Table 2	16	E7 vs E9
2012	58	573	Table 2	10	2a vs 2b
2013	60	187	Table 4	17	7b vs 9b
2013	66	372	Table 2	72	3a vs 3f
2013	67	152	Table 2	18	5e vs 5f (aliphatic chloride)
2013	70	273	Table 1	16	5a vs 5b
2014	76	549	Table 2	16	7g vs 7i
2014	79	350	Table 2	15	9 vs 19
2014	79	89	Table 1	16	4a vs 4h

2014	80	364	Table 1	39	16 vs 18
2014	81	89	Table 1	52	1 vs 8
2014	81	150	Table 2	54	8b vs 11b
2014	81	253	Table 1	66	45 vs 52
2014	81	22	Table 1	130	2n vs 2f
2014	84	160	Table 1	11	24 vs 35
2014	84	375	Table 1	107	3a vs 3c
2014	84	708	Table 2	29	12e vs 12p
2014	84	698	Table 1	80	7l vs 7s
2014	85	215	Table 1	13	26a vs 19a
2014	85	716	Table 1	149	19 vs 25
2014	86	75	Table 1	69	4a vs 4c
2014	86	368	Table 2	45	25 vs 17
2014	86	613	Table 1	36	24 vs 25
2014	87	500	Table 1	128	4a vs 4e
2015	89	252	Table 1	160	11 vs 13
2015	89	490	Table 1	33	7a vs 7c
2015	89	549	Table 1	55	19a vs 19d
2015	89	817	Table 1	29	1i vs 1k
2015	90	107	Table 4	16	7b vs 6b
2015	92	115	Table 1	143	7a vs 3a (two-chloro effect)
2015	92	784	Table 1	153	60 vs 55 (two-chloro effect)
2015	94	102	Table 1	11	4a vs 4d
2015	94	397	Table 1	79	7a vs 7i
2015	98	49	Table 1	33	15 vs 16
2015	100	89	Table 1	12	9i vs 9n
2015	100	34	Table 1	206	8a vs 10a (aliphatic)
2015	101	111	Table 3	64	6 vs 8
2015	101	818	Table 1	55	9a vs 9j/9l
2015	102	243	Table 1	12	6a vs 6f
2015	103	238	Table 1	21	1 vs 6
2015	104	139	Table 1	135	11k vs 11a
2015	104	148	Table 1	36	5 vs 6
2015	105	238	Table 1	25	7i vs 7n
2016	109	371	Table 1	15	15g vs 15m
2016	111	160	Table 1	64	15h vs 15m
2016	114	79	Table 1	278	44 vs 45
2016	117	19	Table 1	32	1 vs 16
2016	123	462	Table 6	11	3b vs 4b
2017	125	245	Table 1	194	19a vs 19f vs 19g (two-chloro effect)
2017	125	411	Table 2	32	7a vs X254
2017	125	853	Table 1	10	2 vs 3

2017	126	789	Table 1	64	4a vs 4e
2017	126	853	Table 1	393	7a vs 7d
2017	126	929	Table 1	21	4b vs 4d
2017	127	470	Table 2	200	8 vs 9 (two-chloro effect)
2017	127	944	Table 2	14	14 vs 17
2017	130	86	Table 1	62	l-16 vs l-18
2017	130	209	Table 1	184	16c vs 18c
2017	133	69	Table 1	635	D vs 23
2017	133	340	Table 1	11	3a vs 3c
2017	134	316	Table 2	27	2a vs 2c
2017	134	415	Table 1	317	1 vs 4
2017	136	523	Table 1	207	TPA4 vs TPA14
2017	138	140	Table 2	4300	9r vs 9i vs 9n (two-chloro effect)
2017	138	458	Table 2	10	18 vs 20
2017	138	1114	Table 2	15	14c vs 14h (aliphatic chloride)
2017	138	1147	Table 2	26	8 vs 10
2017	139	214	Fig 1; Table 3	30	1 vs 1a
2017	139	633	Table 1	14	3 vs 7
2018	143	1616	Table 1	2000	10a vs 10c; 9a vs 9c and 11a vs 11c as well
2018	147	183	Table 1	168	15 vs 25
2018	150	817	Table 1	14	1 vs 6
2018	151	434	Table 1	1093	7g vs 7j
2018	155	13	Table 1	111	SC6 vs SC7
2018	155	681	Table 1	27	1 vs 7 (aliphatic chloride)
2018	157	81	Table 1	1280	8II-g vs 8II-e
2018	158	707	Table 1	12	7b vs 7h
2019	162	147	Table 2	218	6a vs 6c
2019	162	448	Table 3	10	8b vs 9b
2019	162	525	Table 1	2500	15 vs 23 vs 27 (two-chloro effect)
2019	163	116	Table 2	22	51 vs 52
2019	163	500	Table 1	15	6b vs 6e
2019	167	226	Table 1	13	3ba vs 3bg
2019	168	176	Table 1	56	1d vs 1p
2019	168	447	Table 1	152	14a vs 14b
2019	170	112	Table 1	35	55 vs 63
2019	170	261	Table 1	13	16 vs 19
2019	174	45	Table 1	43	17 vs 47
2019	177	32	Table 2	110	14j vs 14v
2019	180	509	Table 1	20	2a vs 2f
2019	182	111630	Table 1	61	7i vs 7m

2019	182	111638	Table 1	16	18 vs 18f
2019	184	111747	Table 1	137	4a vs 4e
2019	184	111764	Table 1	14	4e vs 5c
2020	192	112179	Table 1	53	4h or 4i/4k
2020	197	112309	Table 1	13	12k vs 12m
2020	202	112597	Table 2	20	1 vs 4
2020	208	112696	Table 2	16	15 vs 16
2021	209	112941	Table 1	625	18 vs 19
2021	212	113103	Table 1	35	6a vs 6d
2021	220	113533	Table 1	27	2 vs 6
2021	225	113775	Table 2	148	38 vs 40
2022	227	113925	Table 2	117	9 vs 8
2022	229	114003	Table 1	256	33 vs 37 vs 44 (two-chloro effect)
2022	236	114329	Table 1	14	13 vs 14
2022	238	114433	Table 1	127	XMD14-125 vs JWD-047 (two-chloro effect)
2022	238	114502	Scheme 3	39	11b vs 11d
2022	239	114521	Table 1	64	4a vs 4b
2022	239	114553	Table 1	16	8 vs 30
2022	242	114638	Table 2	14	4d vs 4e
2022	242	114698	Table 1	28.57142857	21 vs 27

Med. Chem. Res.

2010–2021 (all issues completed), 2022 (Issues 1–11)

Improvement	Number of articles
10-fold	54
100-fold	10
1000-fold	1

Year	Page	Figure #	X fold improvement with CI	Comments
2010	311	Table 1	133	6 vs 17
2012	315	Table 1	12	5a vs 5b
2012	1557	Table 2	219	1 vs 2
2012	1734	Table 1	13	2 vs 4
2012	1751	Table 1	20	4i vs 4k
2012	1833	Table 4	24	19f vs 19i
2012	1921	Table 2	12	1b vs 3b
2012	2320	Table 1	16	3a vs 3c
2012	2911	Table 4	16	7a vs 7f
2012	2926	Table 2	16	12a vs 12g
2012	2981	Table 1	20	3a vs 3g
2012	3321	Table 2	12	5a vs 5g
2012	3965	Table 2	16	22 vs 24
2012	4177	Table 1	16	5o vs 5p
2012	4412	Table 1	10	5a vs 5b
2013	195	Table 1	16	6a vs 6b
2013	367	Table 3	10	8a vs 8b
2013	635	Table 3	32	7a vs 7j
2013	647	Table 3	10	5a vs 5i
2013	938	Table 3	16	6a vs 6c
2013	964	Table 2	263	3a vs 3e
2013	1073	Table 1	63	8b vs 8d
2013	1172	Table 1	20	5a vs 5f
2013	1893	Table 1	10	4a vs 4c
2013	2737	Table 2	10	6a vs 6d/6f
2013	2954	Table 2	10	6a vs 6d
2013	3566	Table 4	16	5a vs 5b
2013	3663	Table 1	10	4a vs 4e
2013	3743	Table 1	64	7f vs 7k
2013	3760	Table 2	94	5a vs 5b
2013	4001	Table 3	17	3a vs 4a

2013	4125	Table 1	32	6a vs 6n
2013	4787	Table 4	12.5	6c vs 6f
2013	6063	Table 1	10	3a vs 3k
2014	564	Table 1	3440	12d vs 12f
2014	1360	Table 1	10	4c vs 4e
2014	1474	Table 1	20	5a vs 5f
2014	1643	Table 2	64	2a vs 2n
2014	1725	Table 1	20	6a vs 6d
2014	2976	Table 2	100	18 vs 20
2014	3049	Table 3	23	4a vs 4g
2014	3733	Table 4	16	4a vs 4d
2014	4021	Table 1	20	5a vs 5c
2014	4395	Table 1	20	5a vs 5f
2014	4669	Table 1	15	2a vs 2j
2014	4962	Table 3	16	5l vs 5d
2015	182	Table 1	16	4c/4d vs 4f
2015	1351	Table 4	139	6i vs 6k
2015	3166	Table 1	33	5 vs 9
2015	3932	Table 1	97	14d vs 14e
2016	923	Table 1	16	5a vs 5b
2017	302	Table 1	23	7c vs 7h
2017	1723	Table 1	322	1b vs 1j
2017	2520	Table 1	209	7b vs 7h
2017	2520	Table 1	437	9c vs 9i
2017	2520	Table 1	764	12g vs 12i
2017	2653	Table 1	16	5b vs 5r
2018	709	Table 1 on p714	37	34 vs 35
2019	1509	Table 3 and 4	32	8a vs 8f
2020	83	Table 2	33	GK-6 vs GK-7
2021	1837	Table 1	13	1 vs 5
2021	2301	Table 2	16	11 vs 12
2022	1384	Table 1	21	15f vs 15o

Med. Chem. Commun. 2010–2019 (all volumes/issues),

RSC Med. Chem. 2020–2021 (all volumes/issues), 2022 (Issues 1–9)

Improvement	Number of articles
10-fold	17
100-fold	1
1000-fold	1

Year	Page	Figure #	X fold improvement with CI	Comments
2011	889	Table 2	17	19j vs 19o
2013	159	Table 1	100	1 vs 4
2014	219	Table 2	66	C12 vs C14
2014	632	Table 1	26	31 vs 32
2014	968	Table 1	104565	3a vs 3d; also 9923-fold
2014	1533	Table 2	22	2 vs 5
2014	1644	Table 1	11	3b vs 3c
2015	671	Table 4	19	30a vs 30b
2015	1761	Table 2	18	10a vs 10j
2016	1204	Table 2	10	13 vs 18
2017	1255	Table 1	10	20 vs 21
2017	1631	Table 1	32	5b vs 5g
2017	2060	Table 1	64	A1 vs A18
2018	490	Table 1	61	B-15 vs B-16
2018	685	Table 1	16	7b vs 9b
2018	1340	Table 1	11	10d vs 10h
2019	970	Table 1	12	7a vs 7c
2020	411	Table 1	21	6e vs 6i
2020	885	Table 1	11	13 vs 15

Bioorg. Med. Chem.

2010–2021 (all volumes/issues), 2022 (volumes 53–73)

Improvement	Number of articles
10-fold	59
100-fold	17
1000-fold	4

Year	Page	Figure #	X fold improvement with CI	Comments
2010	1018	Table 1	21	5a vs 5b
2010	1659	Table 1	1000	see 14 vs 25 (two-chloro effect); also 1 vs 22 for 179-fold
2010	2019	Table 1	10	5a vs 5b
2010	2178	Table 1	42	72 vs 74
2010	2245	Table 1	10	10 vs 18
2010	2880	Table 1	26	1 vs 28
2010	4310	Table 1	425	2n vs 2a
2010	5039	Table 1	36	5a vs 5f
2010	6156	Table 1	13	19 vs 5
2010	6316	Table 1	250	7c vs 7b vs 7a (two-chloro effect)
2010	8218	Table 1	49	4d vs 4p
2011	3384	Table 3	20	9c vs 9f
2011	3483	Table 1	16	18a vs 18b
2011	3884	Table 3	10	11 vs 23
2011	5125	Table 1	10	7 vs 4
2011	5716	Table 1	11	1a vs 1b'
2011	6261	Table 1	17	1a vs 1b
2012	69	Table 1	331	5a vs 5o
2012	108	Table 1	76	3a vs 3h
2012	1411	Table 1	184	6 vs 10
2012	2338	Table 1	194	3 vs 16a
2012	4217	Table 1	62	1 vs 7
2012	4820	Table 1	68	10d vs 11d
2012	6960	Table 1	1020	9 vs 17 (two-chloro effect)
2012	7040	Table 1	14	1a vs 1b
2013	127	Table 2	59	1 vs 3
2013	805	Table 3	15	2c vs 2d
2013	2600	Table 1	116	9c vs 2
2013	2868	Table 1	33	2 vs 5
2013	4914	Table 1	91	d29 vs d34

2013	4928	Table 1	10	1f vs 3f
2013	5362	Table 6	58	13 vs 15
2013	5488	Table 2	57	6b vs 6d
2013	6574	Table 1	34467	3a vs 3d vs 3g (two-chloro effect)
2013	6681	Table 2	24	FNH59 vs FNH68
2014	1459	Table 1	592	1a vs 1b
2014	1548	Table 3	12	35 vs 37
2014	4073	Table 1	250	5b vs 1b (two-chloro effect)
2014	4998	Table 1	35	2 vs 6
2015	212	Table 1	23	7 vs 9
2015	1069	Table 1	15	12c vs 12k
2015	1569	Table 3	34	28a vs 28b
2015	1858	Table 1	14	2d vs 2g
2015	2445	Table 1	11	14 vs 21
2015	4139	Table 2	16	14 vs 28
2015	4248	Table 1	1333	3a vs 3d
2015	4567	Table 2	25	1 vs 19
2015	6427	Table 1	12	2m vs 2n
2016	982	Table 2	2320	1 vs 8
2016	1455	Table 2	20	17 vs 20
2016	5730	Table 3	530	3i vs 3j
2017	838	Table 2	186	2 vs 12
2017	1939	Table 1	14	13 vs 14
2017	2234	Table 3	23	19b vs 24b
2017	3638	Table 1	20	III vs 11
2018	4145	Table 1	104	c1 vs c11
2021	115944	Table 1	32	4a vs 4e
2021	115972	Table 2	258	19a vs 19c vs 19d (two-chloro effect)
2021	116344	Table 2	17	5c vs 5d
2021	116422	Table 2	94	15 vs 19
2022	116700	Table 1	58	5e vs 5h

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2010–2021 (all volumes/issues), 2022 (Vol 55–76)

Improvement	Number of articles
10-fold	163
100-fold	36
1000-fold	2

Year	Page	Figure #	X fold improvement with CI	Comments
2010	184	Table 2	32	2 vs 12a
2010	256	Table 3	21	3 vs 30
2010	299	Table 1	247	24 vs 26
2010	689	Table 2	178	32 vs 33
2010	1078	Table 1	10	5 vs 3
2010	1094	Table 1	118	1 vs 3 vs 4 (two-chloro effect)
2010	1114	Table 1	945	2a vs 2c vs 2g (two-chloro effect)
2010	1233	Table 1	26	2d vs 2a
2010	1298	Table 4	21	9-40 vs 9-44
2010	1604	Table 2	196	13i vs 13j (two-chloro effect)
2010	2174	Table 1	12	6 vs 8a
2010	2283	Table 1	18	12 vs 11
2010	3161	Table 1	40	3 vs 6
2010	4012	Table 2	65	4a vs 4c
2010	4328	Table 1	19	10 vs 15
2010	4390	Table 4	121	22 vs 27
2010	4468	Table 2	229	3n vs 3o
2010	4653	Table 2	79	15 vs 16
2010	5080	Table 2	100	17/19 vs 24
2010	5822	Table 1	85	24 vs 28
2010	5988	Table 4	11	2 vs 15
2010	6067	Table 2	11	9a vs 9d
2010	6696	Table 2	23	8a vs 8f
2010	6744	Table 5	16	11c vs 11g
2010	6812	Table 2	12	27 vs 29
2010	6890	Table 1	35	3 vs 5
2010	7011	Table 1	16	7a vs 7b
2010	7020	Table 1	67	4a vs 4f
2010	7155	Table 1	107	1 vs 5a
2010	7349	Table 1	313	9a vs 9c
2010	7503	Table 1	14	9d vs 9f

2011	42	Table 2	12	22 vs 24
2011	48	Table 1	75	f vs h
2011	288	Table 5	11	30 vs 31
2011	315	Table 2	16	12 vs 30
2011	423	Table 2	20	18 vs 20
2011	435	Table 3	140	8c vs 8d (two-chloro effect)
2011	531	Table 1	100	13 vs 6
2011	638	Table 4	333	3h vs 5a
2011	892	Table 1	13	14 vs 16
2011	909	Table 1	62	6 vs 15
2011	1097	Table 1	16	6l vs 6m
2011	1243	Table 5	10	20 vs 21
2011	1536	Table 2	185	27 vs 26
2011	2141	Table 2	26	6 vs 15
2011	2497	Table 2	288	19 vs 20
2011	2665	Table 2	111	24 vs 32
2011	2692	Table 2	10	40 vs 46
2011	2769	Table 1	31	6e vs 6k
2011	3163	Table 4	11	33 vs 36
2011	3354	Table 1	17	3a vs 3f
2011	3358	Table 1	24	1 vs 4
2011	3557	Table 2	20	14 vs 15
2011	3767	Table 2	26	23 vs 18
2011	3986	Table 2	425	1 vs 19l
2011	4698	Table 2	91	3 vs 5
2011	4836	Table 4	18	6a vs 6e
2011	5181	Table 1	78	4a vs 4e
2011	5374	Table 1	29	4 vs 11
2011	5502	Table 2	11	8d vs 1
2011	5620	Table 3	13	20a vs 20i
2011	5684	Table 2	41	13c vs 13d
2011	5778	Table 4	41	5 vs 7
2011	6319	Table 1	10	1 vs 4
2011	6577	Table 2	97	24 vs 26
2011	6773	Table 1	60	16j vs 16k
2011	7246	Table 3	128	4a vs 4g
2011	7261	Table 3	14	5g vs 5l
2011	7516	Table 1	53	16 vs 19
2012	285	Table 2	38	1 vs 13
2012	619	Table 2	46	4 vs 15
2012	2560	Table 2	26	9c vs 20c
2012	3437	Table 2	36	3a vs 3h (two-chloro effect)

2012	3727	Table 1	1364	9a vs 9b
2012	3732	Table 1	179	4a vs 4b
2012	4281	Table 1	13	10b vs 10c
2012	4750	Table 1	857	11 vs 18
2012	4979	Table 3	23	8a vs 8h
2012	5129	Table 1	63	5a vs 5i
2012	5363	Table 1	16	3b vs 3d
2012	5903	Table 2	13	1 vs 4
2012	6694	Table 4	10	50 vs 51
2012	6828	Table 2	11	20 vs 21
2012	6871	Table 2	20	4a vs 4f
2012	7135	Table 1	19	1b vs 1u
2012	7422	Table 1	282	1 vs 5 (natural product)
2013	153	Table 2	114	13 vs 17
2013	169	Table 1	510	10 vs 11
2013	330	Table 1	323	39 vs 37
2013	785	Table 1	10	8 vs 9
2013	1004	Table 1	385	22 vs 27
2013	1228	Table 1	675	11 vs 14
2013	1407	Table 1	43	13b vs 13d
2013	1680	Table 1	19	1b vs 9e
2013	2056	Table 2	71	9 vs 11
2013	2065	Table 1	31	3o vs 3a
2013	2177	Table 2	15	24 vs 25
2013	2293	Table 1	176	7a vs 7f
2013	2714	Table 1	40	4a vs 4f
2013	3609	Table 1	31	5 vs 8
2013	3654	Table 2	18	33 vs 34
2013	4381	Table 2	11	5c vs 5a
2013	4404	Table 1	4049	1a vs 1b vs 1c (two-chloro effect)
2013	4501	Table 2	500	10f vs 10l
2013	4557	Table 2	28	24c vs 3
2013	4817	Table 2	8	5 vs 4 vs 3 vs 2 (natural product)
2013	6264	Table 2	32	5a vs 5c
2014	199	Table 1	14	10 vs 7
2014	731	Table 1	14	7ac vs 7b
2014	1269	Table 2	11	3e vs 3g
2014	1280	Table 1	115	8 vs 25
2014	1299	Table 2	33	2a vs 2c
2014	1734	Table 1	60	5a vs 5e
2014	3764	Table 2	22	8 vs 10
2014	4011	Table 1	19	1 vs 6

2014	4984	Table 2	49	16 vs 17
2015	952	Table 2 and 3	14	6 vs 13
2015	1030	Table 1	16	14a vs 14f
2015	1104	Table 2	87	5 vs 6
2015	2321	Table 1	15	7a vs 7c
2015	2991	Table 1	28	6 vs 8
2015	3024	Table 2	290	12 vs 13
2015	3545	Table 1	10	2 vs 3
2015	3788	Table 1	12	18 vs 19
2015	4097	Table 2	17	8 vs 12
2015	4109	Table 3	13	15 vs 20
2015	4232	Table 6	16	4a vs 4b
2015	4441	Table 3	28	16i vs 16k
2015	4657	Table 1	17	15a vs 15b
2015	5107	Table 1	21	10a vs 10c
2016	257	Table 2	32	11j to 11h
2016	257	Table 2	216	11h to 11e
2016	454	Table 1	122	2 vs 4 (two-chloro effect)
2016	662	Table 2	122	21 vs 36 (two-chloro effect)
2016	1200	Table 1	27	7a vs 7c
2016	2866	Table 1	21	2 vs 6
2016	2866	Table 1	19	3 vs 5
2016	3421	Table 1	45	7 vs 8
2016	5403	Table 2	43	9a vs 9e
2017	143	Table 1	43	4 vs 5
2017	1451	Table 3	32	5k vs 5l
2017	2013	Table 1	126	7 vs 9
2017	3833	Table 1	12	8 vs 9
2017	4383	Table 1	27	7 vs 13
2017	4885	Table 1	11	5a vs 5f
2018	1490	Table 2	39	15 vs 21
2018	2454	Table 1	20	9e vs 9f
2018	2675	Table 1 and 2	10	1a vs 2c
2018	3454	Table 1	13	10 vs 15
2019	334	Table 3	14	20 vs 24
2019	1023	Table 1	15	3 vs 5
2019	1143	Table 3	63	6b vs 6e
2019	2358	Table 1	10	4o vs 4q
2019	126677	Table 1	12	1e vs 1c
2020	126622	Table 2	24	10c vs 10s

2020	126585	Table 1	88	20 vs 22
2020	127574	Table 1	10	29 vs 30
2020	127652	Table 1	27	3d vs 3l
2021	127624	Table 1	12	2 vs 12
2021	127838	Table 1	188	7 vs 13g
2021	127964	Table 1	131	8g vs 8a
2021	128062	Table 1	19	6a vs 6c
2021	128249	Table 2	27	SH-22 vs SH-23
2022	128466	Table 1	11	8 vs 11
2022	128824	Table 4	14	3a vs 21l
2022	128808	Table 1	65	6 vs 14