

An overview of pharmacopeial and some others local anesthetics with data about their lipohilicity, activity and toxicity

No.	Compound	CAS ¹	LD ₅₀ ² [mg/kg]	Rel. surface anest. activity (rel. to cocaine)	Rel. infiltration anest. activity (rel. to procaine) ⁴	Anest. ⁵ index (Carassius carassius)	Anest. index ⁵ (Carassius auratus)	log P ⁶	pK _a
1	Articaini hydrochloridum (=articaine)	23964-57-0 (23964-58-1)	37 i.v.		6,3			1,70	7,91
2	Methyl 4-	619-45-4	237 i.p.			0,4	0,47	1,63	2,53

1 CAS Registry Number

2 Dose LD₅₀ depends on the route of administration and on the species of the experimental animal. Abbreviations: i.v. – intravenous, i.p. – intraperitoneal (ie. injection into the abdominal cavity). Only doses, determined for the same species and route can be used for comparison and for processing by regression analysis together.

3 Determined on the rabbit cornea.

4 Determined on guinea pigs.

5 An old method of determination of local-anesthetic activity on a fish of *Carassius* species, either crucian carp *Carassius carassius* or goldfish *Carassius auratus*.

6 Experimental for the free base in the system octanol/water.

No.	Compound	CAS	LD ₅₀ mouse [mg/kg]	Rel. surface anest. activity (rel. to cocaine)	Rel. infiltrati- on anest. activity (to procaine)	Anest. index (Carassius carassius)	Anest. index ⁵ (Carassius auratus)	log P	pK _a
	aminobenzoát								
3	Benzocainum (=ethyl 4- aminobenzoate)	94-09-7	216 i.p.		6,1	1,0	1	2,15	2,56
4	Propyl 4- aminobenzoate (=risocaine)	94-12-2	126 i.p.			2,5	2,37	2,82	2,54
5	2-propyl 4- aminobenzoate	18144-43-9				2,0		2,22	2,66
6	Allyl 4- aminobenzoate	62507-78-2				1,5			
7	Butyl 4- aminobenzoate (=butambene)	94-25-7	67 i.p.		22,1	4,3	4,49	3,31	2,54
8	Sec.butyl 4- aminobenzoate	18144-44-0				2,3			2,65
9	Isobutyl 4- aminobenzoate (=isobutambene)	94-14-4	48 i.p.			3,2		2,71	2,64
10	Tert.butyl 4- aminobenzoate	18144-47-3				2,1			2,74
11	Pentyl 4- aminobenzoate	13110-37-7	67 i.p.			4,6	4,54	3,78	2,54
12	Isopentyl 4- aminobenzoate	38120-10-4							
13	Hexyl 4- aminobenzoate	13110-37-7					5,41	4,25	2,54
14	Heptyl 4-	13476-55-6					5,49	4,80	2,54

No.	Compound	CAS	LD ₅₀ mouse [mg/kg]	Rel. surface anest. activity (to cocaine)	Rel. infiltrati- on anest. activity (to procaine)	Anest. index (Carassius carassius)	Anest. index ⁵ (Carassius auratus)	log P	pK _a
	aminobenzoate								
15	Bupivacaini hydrochloridum monohydricum	14252-80-3 (21180-92-9)	6,1 i.v. (12,7) 58,7 i.p.		10,1			3,35	8,21
16	Ropivacaine	98717-15-8 hydrochloride 132112-35-7 hydrochloride monohydrate 84057-95-4 base	13,5 i.v.					2,90	
17	Mepivacaini hydrochloridum (=carbocaine)	1722-62-9 (96-88-8)	35 i.v. (43,3)					1,95	7,92
18	Cinchocaini hydrochloridum (=percaine, dibucaine)	61-12-1	3,8 i.v. 29 i.p.	0,75	2,99			2,52	7,26
19	Cocaini hydrochloridum	53-21-4 (50- 36-2)	15 i.v.	1	3,60			2,30	8,61
20	Carbizocaini hydrochloridum	68931-03-3 (76629-87-3)	16 i.v. 63 i.p.	251	416				8,80
21	Lidocaini hydrochloridum monohydricum (Lidocainum)	6108-05-0 (137-58-6 base; 73-78-9 anhydrous hydrochloride)	23 i.v. 142 i.p.	0,24	1,4			2,44	8,01
22	Trimecaini hydrochloridum	1027-14-1 (616-68-2)	50 i.v. 172 i.p.	1,0	2,50			3,13	7,9

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	(=mecocaine)								
23	Oxybuprocaini hydrochloridum (=benoxinate)	5987-82-6 (99-43-4)	6,8 i.v.	44				3,54 o	1,90/8 ,50
24	Prilocaini hydrochloridum (Prilocainum)	1786-81-8 (721-50-6)	55 i.v.		4,5			1,40	7,80
25	Procainamidi hydrochloridum	614-39-1 (51- 06-9)	94,6 i.v. 290 i.p.					0,88	9,20
26	Procaini hydrochloridum	51-05-8 (59-46-1)	52 i.v. 192 i.p.	0,15	1			2,03	8,8
27	Tetracaini hydrochloridum	136-47-0 (94-24-6)	62 i.v. 57 i.p.	15,00	10,00			3,51	2,15/8 ,47
28	Trapencaini (=pencacaine) hydrochloridum	38198-35-5 (76629-91-9)	15 i.v. 56 i.p.	135, 1	76,3			3,41	8,60 (9,26)

