

Opioids receptor affinities

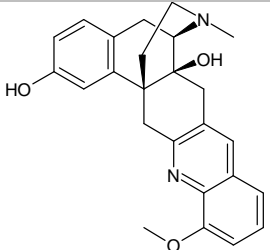
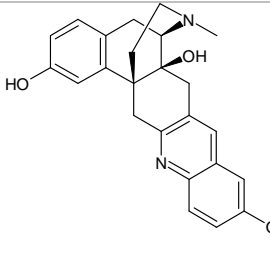
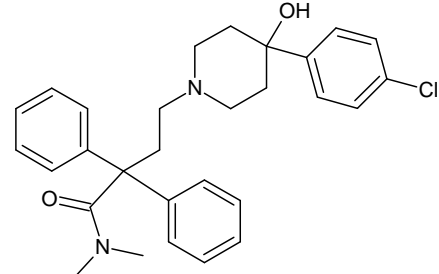
COMPOUND	Ki (nM) ± SEM				Ratio	NOTES
	MOP	KOP	DOP	other		
morphine	2.2 1.7 ([3H]diprenorphine) 1.1 (guinea pig) 6.55 (rat)	24 65.5 ([3H]diprenorphine) 46.9 (guinea pig) 113 (guinea pig)	140 104.57 ([3H]diprenorphine) 140 (guinea pig)	σ receptor: 10,000		AID: 670111 AID: 330420 AID:603730 AID 239182 AID: 259396 AID:330422 AID:603728 AID: 269571 AID:259395 AID:603729 AID: 229182
codeine	727 ([3H]diprenorphine)	25,411 ([3H]diprenorphine)	52,207 ([3H]diprenorphine)			AID:330420 AID:330422 AID:330421
heterocodeine	1.1 ([3H]diprenorphine)	22.8 ([3H]diprenorphine)	65.8 ([3H]diprenorphine)			AID:330420 AID:330422 AID:330421
3,6-SUBSTITUTED						
6-methylcodeine	1,910 ([3H]diprenorphine)	5,230 ([3H]diprenorphine)	4,410 ([3H]diprenorphine)			AID:330420 AID:330422 AID:330421
6-desoxymorphine (morphide)	2.1 ([3H]diprenorphine)	45.5 ([3H]diprenorphine)	11.8 ([3H]diprenorphine)			AID:330420 AID:330422 AID:330421
6-desoxycodine (codide)	305 ([3H]diprenorphine)	3,090 ([3H]diprenorphine)	4,520 ([3H]diprenorphine)			AID:330420 AID:330422 AID:330421
3,6-didesoxymorphine	22.9 ([3H]diprenorphine)	589 ([3H]diprenorphine)	241 ([3H]diprenorphine)			AID:330420 AID:330422 AID:330421
3-desoxymorphine	122 ([3H]diprenorphine)	491 ([3H]diprenorphine)	525 ([3H]diprenorphine)			AID:330420 AID:330422 AID:330421
3-desoxyheterocodeine	524 ([3H]diprenorphine)	11,600 ([3H]diprenorphine)	8,830 ([3H]diprenorphine)			AID:330420 AID:330422 AID:330421
6-OXO						
morphinone	146 ([3H]naloxone)					AID: 327878

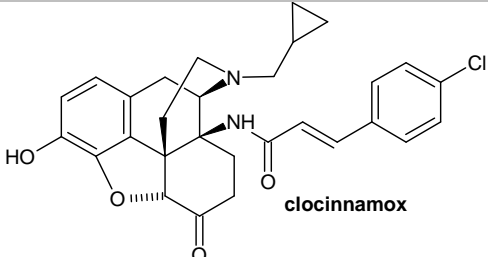
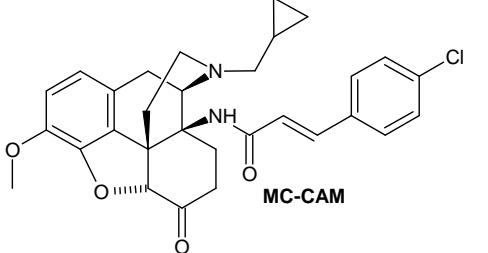
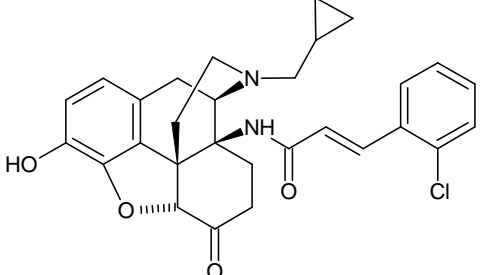
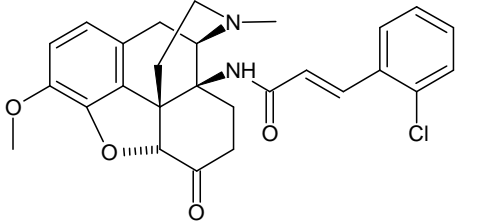
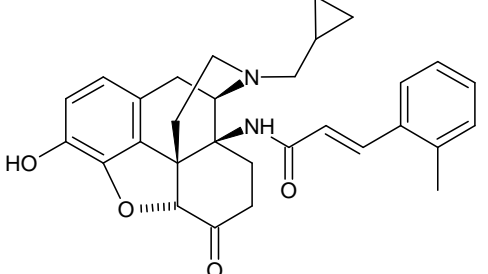
codeinone	459 ([3H]naloxone)					AID: 327878
hydromorphone	0.28	2.8	38			AID: 416813 AID: 416815 AID: 416814
3-CONH2-hydromorphone	1.2					
oxymorphone	0.54 (guinea pig) 0.97 (rat)	61.6 (guinea pig)	42.7 ([3H]NTI) (guinea pig) 724.44 ([3H]-DPDPE)			AID:603730 AID 239182 AID:603728 AID:603729 AID 692548
hydrocodone	9.5	260				
oxycodone	43.6 (rat)	2,658 (rat)	1,087 ([3H][Ile5,6]- deltorphin II) (rat)			AID 239089 AID 239148 239360
14-methoxymetopon (14-MM)	0.15 (rat)	25.2 (guinea pig)	13.3		Same study - morphine: MOR: 6.55 KOR: 113 DOR: 217	Profile of the 5- benzyl analogue of 14-MeOmetopon
14-methoxymorphine (14-OMO) (AGN-PC-00N2AP)	0.10 (rat)	10.2 (guinea pig)	4.80			
5-Benzyl-14-methoxymorphine	0.31 (rat)	22.8 (guinea pig)	13.1			
14-benzoxymorphine (AGN-PC-009M92)	0.12 (rat)	1.18 (guinea pig)				AID 239182 AID 239358
14-benzoxymetopon (AGN-PC-005X15)	0.18 (rat)	2.46 (guinea pig)				AID 239182 AID 239358
14-allyloxyhydromorphone	0.61 (rat)	33.8 (guinea pig)				AID 239182 AID 239358
14- α -naphthylmethoxymorphine	0.18 (rat)	0.92 (guinea pig)				AID 239182 AID 239358
14-(2,6-dichloro)benzoxymorphine	10.3 (rat)	33.2 (guinea pig)				AID 239182 AID 239358
14-ethoxy-N-phenethylmetopon	0.16 (rat)	83.2 (guinea pig)				AID 239182 AID 239358
4,5-EPOXY ANTAGONISTS/DUALISTS						
nalorphine	0.19	0.38	120			AID:410718 AID:410720 AID:410719

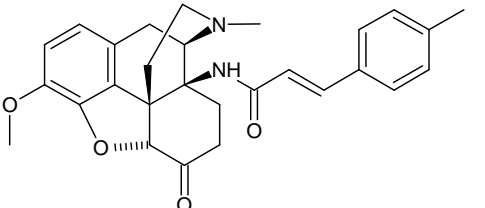
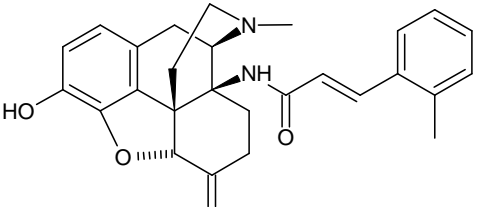
naloxone	0.66 0.79	1.2 1.1	120 76	σ receptor: 100,000 D3: 20,000 adenosine receptor A1: 50,000	AID: 410718 AID 286302 AID: 410720 AID 286303 AID: 410719 AID 286304 AID: 65625 AID: 437483
6α-naltrexol	0.21	0.56	56		
6β-naltrexol	0.19	0.48	53		
naltrexone	0.11 0.23	0.19 0.25	60 38 10.8 ([³ H]Cl-DPDPE) 1.227 ([³ H]DPDPE)		AID: 410718 AID 286302 AID: 410720 AID 286303 AID: 410719 AID 286304 AID: 622100 AID: 269571
6-desoxynaltrexone	0.24				
nalmeferone	0.44	0.12	9.3		AID: 410718 AID: 410720 AID: 410719
nalbuphine	0.89	2.2	240		AID 286302 AID 286303 AID 286304
10-ketonaltrexone	31.1 (guinea pig)	102 ((-)- [³ H]immazocine) (guinea pig)	281 ([³ H](D-Ala ² -D- Leu ⁵)-enkephalin) (guinea pig)		AID: 148058 AID: 149439 AID: 150037
4-HO-naltrexone	17	2.2	130		AID: 416813 AID: 416815 AID: 410719
3-CONH₂-naltrexone	0.71	11	550		
3-CONH₂-nalbuphine	3.8	0.46	150		AID: 416813 AID: 416815 AID: 416814
(6S,9S,13S,14S)-3-CONH₂-4-HO-nalbuphine	0.072	0.34	3.9		AID: 416813 AID: 416815 AID: 416814
(6R,9S,13S,14S)-3-CONH₂-4-HO-nalbuphine	0.52	9.0	78		AID: 416813 AID: 416815 AID: 416814

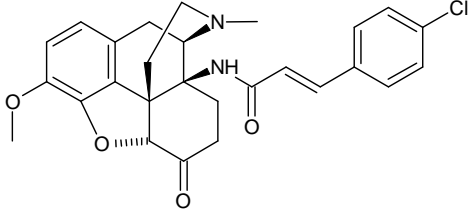
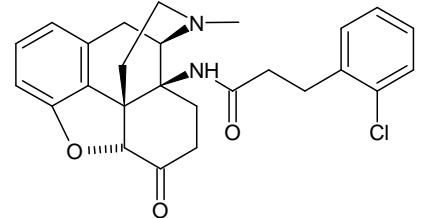
BENTLEY COMPOUNDS						
buprenorphine	0.21 0.08 ± 0.02 ([3H]diprenorphine)	0.62 0.11 ± 0.05 ([3H]diprenorphine)	2.9 0.42 ± 0.04 ([3H]diprenorphine)	NOP: 77.4		AID: 410718 AID: 410720 AID: 410719 PMID:11303059 AID: 621019
16-methylcyprenorphine	1.77	59.6	0.73			
MORPHINANS						
levorphanol	0.21 ± 0.02	2.3 ± 0.3	4.2 ± 2.3		μ/k 6.2 : 1 μ/δ 20 : 1	AID: 670110 AID: 670111 AID: 670113
levallorphan	0.34 ± 0.008	0.16 ± 0.031	4.1 ± 0.5		μ/k 1 : 2.1 μ/δ 12 : 1	US 2005/0159440 A1
N-isobutylnorlevorphanol (MCL-108)	0.54 ± 0.15	0.16 ± 0.25	5.6 ± 0.7			
N-propargylnorlevorphanol	0.0032 ± 0.001	0.003 ± 0.0005	0.62 ± 0.19		μ/k 1 : 1 μ/δ 194 : 1	US 2005/0159440 A1
N-(2E)-3-iodoprop-2-enylnorlevorphanol	0.0048 ± 0.001	27 ± 4.9	0.037 ± 0.007			US 2005/0159440 A1
(-)-cyclorphan	0.092 ± 0.005	0.053 ± 0.003	0.22 ± 0.01			US 2005/0159440 A1
cyclorphan	0.062	0.034	1.9		μ/k 1 : 1.82 μ/δ 30.6 : 1	AID: 445095 AID: 445096 AID: 445097
butorphan	0.23	0.079	5.9		μ/k 1 : 2.9 μ/δ 25.7 : 1	AID: 440057 AID: 440059 AID: 440058
3-NH2-butorphan	3.7	1.8	180			AID: 259394 AID: 259396 AID: 259395
butorphanol	0.12	0.22	12.0		μ/k 1 : 1.83 μ/δ 100 : 1	AID: 410718 AID: 410720 AID: 410719
3-amidobutorphanol	0.15	0.39	14			
N-cPentylnorlevorphanol (MCL-104)	0.96 ± 0.13	0.21 ± 0.014	6.9 ± 0.68		μ/k 1 : 4.6 μ/δ 7.2 : 1	
N-cHexylnorlevorphanol (MCL-105)	18 ± 1.3	1.5 ± 0.19	69 ± 5.3		μ/k 1 : 12 μ/δ 3.8 : 1	
phenomorphan (MCL-112)	0.12 ± 0.015	1.3 ± 0.26	3.1 ± 0.88		μ/k 10.8 : 1 μ/δ 25.8 : 1	
N-Benzylnorlevorphanol (MCL-111)	20 ± 2.9	1.9 ± 0.19	420 ± 77		μ/k 1:10.5 μ/δ 21 : 1	
N-Methoxyethylnorlevorphanol	0.11 ± 0.007	0.094 ± 0.001	0.54 ± 0.05		μ/k 1 : 1.17	

(MCL-110)					μ/δ 4.9 : 1	
N-Ethoxyethylnorlevorphanol (MCL-109)	0.26 ± 0.02	0.33 ± 0.015	5.6 ± 0.04		μ/κ 1.27 : 1 μ/δ 21.5 : 1	
N-Phenoxyethylnorlevorphanol (MCL-127)	0.92 ± 0.29	15 ± 3.6	33 ± 1.6			
N-Trifluoropropylnorlevorphanol (MCL-124)	2.3 ± 0.44	1.3 ± 0.1	27 ± 1.7		μ/κ 1 : 1.77 μ/δ 11.7 : 1	
N-Fluoropropylnorlevorphanol (MCL-107)	0.18 ± 0.025	0.083 ± 0.002	0.85 ± 0.021			
N-Cyanoethylnorlevorphanol (MCL-125)	0.33 ± 0.01	0.23 ± 0.05	2.6 ± 0.95			
N-Cyanopropylnorlevorphanol (MCL-126)	0.16 ± 0.02	0.38 ± 0.008	3.1 ± 0.38			
samidorphan	0.052	0.23	2.6			AID: 238974 AID: 239076 AID: 239162
N-CBM-norsamidorphan	0.13	0.27	4.2			AID: 238974 AID: 239076 AID: 239162
4-MeO-samidorphan	1.1	2.3	480			AID: 238974 AID: 239076 AID: 239162
BENZOMORPHANS						
metazocine	3.8	9.9	140			
3-CONH2-metazocine						
cyclazocine	0.16	0.07	2.0	σ receptor: 2,650 ([3H]pentazocine) (+)-cyclazocine		AID: 719453 AID: 719305 AID: 719452
(-)-ethylketocyclazocine	0.78 ± 0.10	0.62 ± 0.11	3.4 ± 0.41			
bremazocine	0.2 (guinea pig)	0.03 (guinea pig)	0.9 ([3H]NTI) (guinea pig)			AID:603730 AID:603728 AID:603729
pentazocine	6.9	3.0	180.0	σ receptor: 3.1 ([3H]pentazocine) (+)-pentazocine		AID: 410718 AID: 410720 AID: 410719
phenazocine	0.2	2.0	5.0	NMDAR: 1,880 ([3H]TCP) (-)-phenazocine σ receptor: 61.7 ([3H]pentazocine)		AID: 410718 AID: 410720 AID: 410719 AID: 156815 AID: 204004
3-amidophenazocine	0.015	0.55	1.2			
ATYPICAL MORPHINANS						
Naltrindole	3.8	~30.0	~0.2			
Norbinaltorphimine	2.7	0.027	24			

	39.84	1,753	0.498 ([3H]DPDPE)	Mouse without cerebellum	AID:689647 AID:689649 AID:689648
	29.39	77.84	0.874		
O-desmethyltramadol	8.6	450	2,900		AID:410718 AID:410720 AID:410719
norbinaltorphimine	2.7	0.027	240		AID:410718 AID:410720 AID:410719
oripavine	286 ([3H]naloxone)				AID: 327878
thebaine	7,400 ([3H]naloxone)				AID: 327878
	1.5				AID 152239
methadone	4.1	512	1,090		AID:152239 AID:147859 AID:148078
"CLOCINNAMOCES" ([3H]DAMGO, [3H]U-69593, [3H]Cl-DPDPE)					

 <p>clocinnamox</p>	2.98	1.4	2.7		AID 269569 AID 269573 AID 269571
 <p>MC-CAM</p>	4.78	16.4	4.8		
	0.71	2.4	1.3		
	0.68	2.5	0.58		
	0.72	1.5	1.25		

	0.34	1.5	4.0			
	0.35	0.57	1.25			
	0.49	0.99	2.9			
	0.21	0.23	0.11			
	0.4	2.3	0.42			
	0.2	5.5	0.54			

	0.6	6.4	1.0		
	0.15	0.54	0.08		
<p>Radioligands (unless stated otherwise):</p> <ul style="list-style-type: none"> - MOP - [3H]DAMGO - KOP - [3H]U69593 - DOP - [3H]Natrindole <p>Species: human (unless stated otherwise)</p>					