

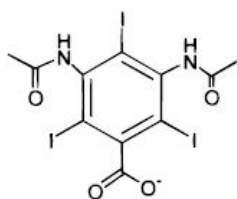
Неорганические вещества в медицине

II. Контрастные вещества

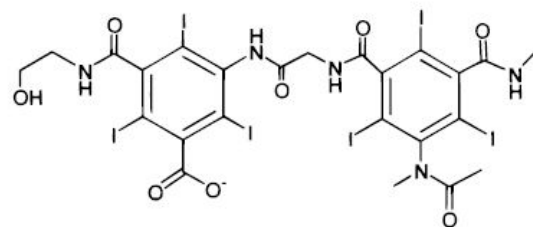
Первый рентгеновский снимок



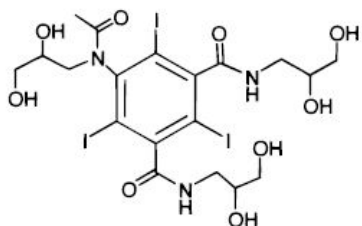
Иодсодержащие контрастные вещества



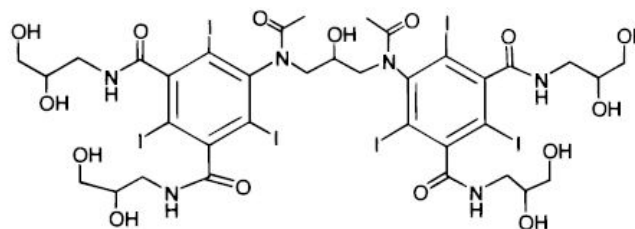
Diatrizoate
Ionic Monomer



Ioxaglate
Ionic Dimer



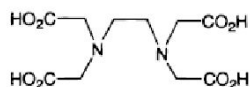
Iohexol
Nonionic Monomer



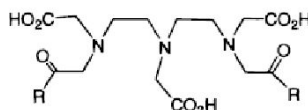
Iodixanol
Nonionic Dimer

Хелатобразующие лиганды, используемые для введения контрастных препаратов, и некоторые характеристики соответствующих комплексов

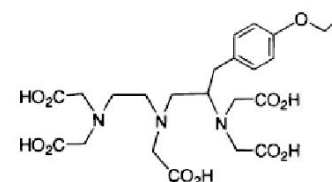
Linear Ligands



H₄EDTA

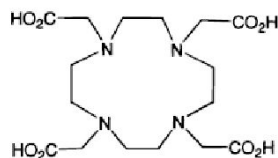


R = OH, H₅DTPA; R = NHMe, H₃DTPA-BMA

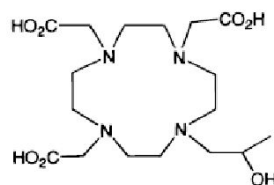


H₅EOB-DTPA

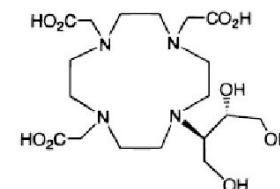
Cyclic Ligands



H₄DOTA



H₃HP-DO3A



H₃DO3A-butrol

complexes	log K	LD ₅₀
(NMG) ₂ GdDTPA	22.4	6–10
Na ₂ YbDTPA	22.6	10 (rat)
GdDTPA-BMA	16.9	14.8
(NMG) ₂ GdDOTA	25.8	11
GdHP-DO3A	21.8	12
GdDO3A-butrol	23.8	~30
(NMG) ₂ GdEOB-DTPA		7.5
(NMG) ₂ GdEDTA	17.3	0.3
Na ₂ PbEDTA	18.1	~1.5 (rabbit)
Na ₂ BiDTPA	27.8	<1.4 (dog)

	molecular solubility (M)	tungsten atom solubility (M)	LD ₅₀ (mmol/kg)
Na ₂ [W ₂ O ₄ (EDTA)]	0.5	1.0	10
Na ₂ [W ₂ O ₂ S ₂ (EDTA)]	0.075	0.15	~2.5 (MLD)
(NMG) ₂ [W ₂ O ₂ S ₂ (EDTA)]	0.3	0.6	~5 (MLD)
Na ₂ [W ₃ S ₄ O(TTHA)]	0.17	0.51	6.5
(NMG) ₂ [W ₃ S ₄ O(TTHA)]	0.49	1.47	7.2
Na ₄ [(W ₃ S ₄) ₂ (EGTA) ₃]	0.04	0.24	
(NMG) ₄ [(W ₃ S ₄) ₂ (EDTA) ₃]	0.072	0.43	4 (MLD)
Na ₂ P ₂ W ₁₈ O ₆₄			<<1 (MLD)

Некоторые характеристики комплексов гадолиния

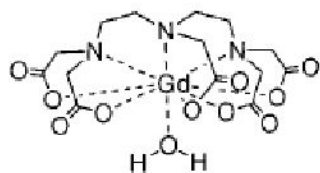
ligand	LD ₅₀ ^f	%ID ⁿ /gram	log K _{GdL}	log K* _{GdL} (pH 7.4)	log K _{sel}	log K _{CaL}	log K _{CuL}	log K _{ZnL}
EDTA	0.3 ^m	0.80	17.7 ^b 17.37 ^l	14.70 14.8 ^b	4.23 ^m	10.61 ^l	18.78 ^l	16.5
DTPA	5.6 ^m	0.005	22.46 ^l	17.70	7.04 ^m	10.75 ^l	21.38 ^l	18.29 ^l
DTPA-BMA	14.8 ^m	0.03	16.85 ^m	14.90	9.04	7.17 ^m	13.03 ^m	12.04 ^m
DTPA-BMEA			16.84 ^b					
DTPA-BP	2.8 ^m		16.83 ^m		5.32 ^m			
DOTA	11 ^d	NDR ^o	25.3 ^b 24.6 ^h 24.0 ^l 22.1 ^k	18.33 ^d 18.6 ^b	8.3 ^a	17.23 ^e	22.63 ^e	21.05 ^e
DO3A	7–9 ^a	0.0080	21.0 ^b	14.97 ^d 14.5 ^b	4.13 ^a	11.74 ^d	22.87 ^d	19.26 ^d
DO3MA			25.3 ^c		8.3 ^a			
HP-DO3A	12 ^e	NDR ^o	23.8 ^b	17.21 ^d 17.1 ^b	6.95 ^a	14.83 ^d	22.84 ^d	19.37 ^d
BOPTA			22.59 ^l					

LD – летальная доза

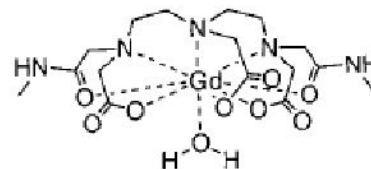
ID - присутствие в бедренной кости через 14 дней после введения

NDR – определить не удалось

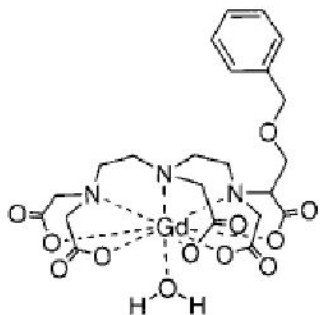
Важнейшие соединения, используемые при проведении МРТ



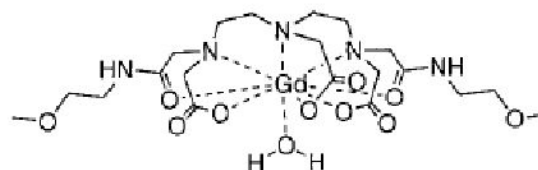
[Gd(DTPA)(H₂O)]²⁻ (MagnevistTM)



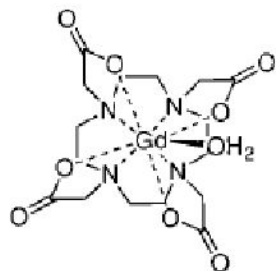
[Gd(DTPA-BMA)(H₂O)] (OmniscanTM)



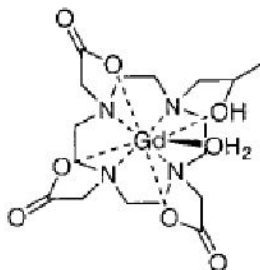
[Gd(BOPTA)(H₂O)]²⁻ (MultiHanceTM)



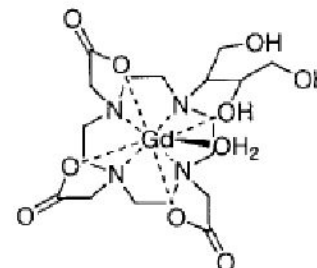
[Gd(DTPA-BMEA)(H₂O)] (OptiMARKTM)



[Gd(DOTA)(H₂O)]⁻ (DotaremTM)



[Gd(HP-DO3A)(H₂O)] (ProHanceTM)

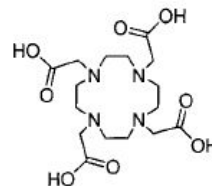


[Gd(DO3A-butrol)(H₂O)] (GadovistTM)

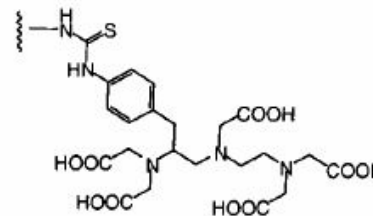
Таргетные контрастные агенты на основе комплексов гадолиния

КОМПЛЕКСОВ ГАДОЛИНИЯ

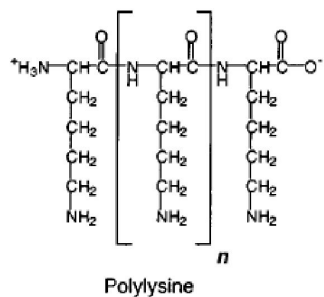
macromolecular contrast agent	conjugate	chelate type	target
Gd-PL-DTPA-HSA	HSA	DTTA-MA	vascular
Gd-PL-DOTA-HSA	HSA	DO3A-MA	vascular
f-PANAM-TU-DTPA	folic acid	DTPA	folate receptor
PL-Gd-DTPA ₂₄₋₂₈ -anti CEA	anti-CEA Fab(ab') ₂	DTTA-MA	Colorectal carcinoma
PL-Gd-DOTA ₂₄₋₂₈ -anti CEA	anti-CEA Fab(ab') ₂	DO3A-MA	Colorectal carcinoma



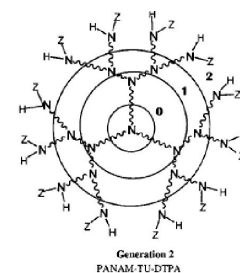
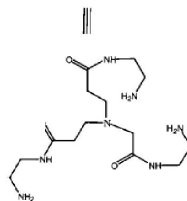
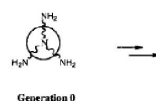
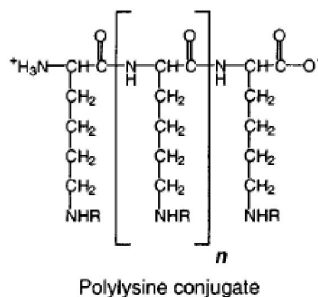
DOTA



DTPA



Reactive Ligand



PANAM-TU-DTPA dendrimer

