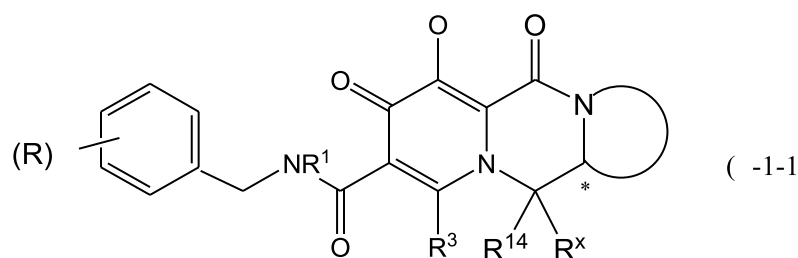


ANNEX A

1. compound of the formula:



5

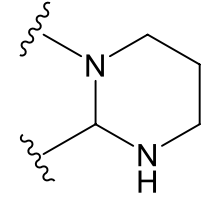
high compound is selected from compounds -3, -6, -13, -15, -16, -21, F-11, F-15, F-38, F-60, F-61, Y-1, Y-9, Y-2, Y-3, Y-6, Y-7, Y-11, Y-12, Y-13, Y-14, Y-15, Y-16, Y-17, ZZ-1, ZZ-5, ZZ-8, and ZZ-9, and pharmaceutically acceptable salts and solvates thereof:

10

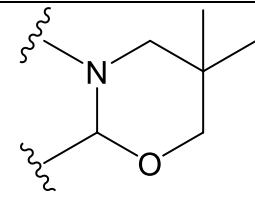
-3 5-Hydroxy-6,10-dioxo-3,4,6,9,9a,10-hexahydro-2H-1-oxa-4a,8a-diazaanthracene-7-carboxylic acid 4-fluorobenzylamide;

R	m	R¹	R³	R¹⁴	R^x	A
4-fluoro	1	H	H	H	H	

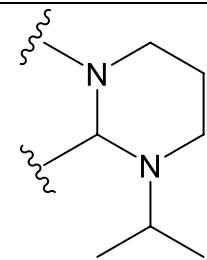
15 -6 5-Hydroxy-6,10-dioxo-1,2,3,4,6,9,9a,10-octahydro-1,4a,8a-triaza-anthracene-7-carboxylic acid 4-fluoro-benzylamide;

R	m	R¹	R³	R¹⁴	R^x	
-fluoro	1	H	H	H	H	

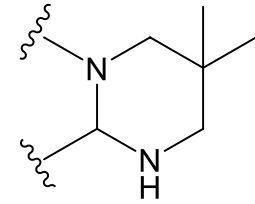
C-13 5-Hydroxy-3,3-dimethyl-1,10-dioxo-3,3,3,3-tetrahydro-1,10-hexahydro-2H-1-oxa-1,8a-diazapentalo[3,4-c]pyridine-7-carboxylic acid -fluoro- ethylamide;

R	m	R¹	R³	R¹⁴	R^x	
-fluoro	1	H	H	H	H	

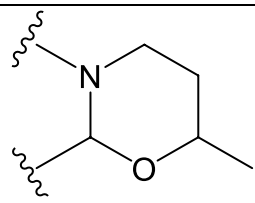
5 C-15 5-Hydroxy-1-isopropyl-1,10-dioxo-1,2,3,3,3,3-hexahydro-1,10-ocahydro-1,1,8a-diazapentalo[3,4-c]pyridine-7-carboxylic acid -fluoro- ethylamide;

R	m	R¹	R³	R¹⁴	R^x	
-fluoro	1	H	H	H	H	

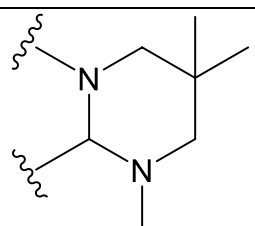
C1 5-Hydroxy-3,3-dimethyl-1,10-dioxo-1,2,3,3,3,3-hexahydro-1,10-ocahydro-1,1,8a-diazapentalo[3,4-c]pyridine-7-carboxylic acid -fluoro- ethylamide;

R	m	R¹	R³	R¹⁴	R^x	
-fluoro	1	H	H	H	H	

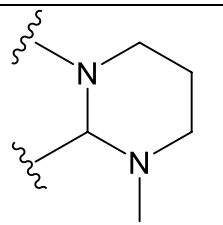
C-21 5-H rox -2-meth l-6,10- ioxo-3,4,6,9,9a,10-hexah ro-2H-1-oxa-4a,8a-
iazaanthra ene-7- ar ox li a i 4-fl oro enz lami e;

R	m	R	R³	R⁴	R	A
4-fl oro	1	H	H	H	H	

5 F-11 5-H rox -1,3,3-trimeth l-6,10- ioxo-1,2,3,4,6,9,9a,10-o tah ro-1,4a,8a-
triazanthra ene-7- ar ox li a i 4-fl oro enz lami e;

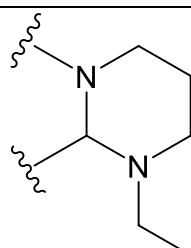
R	m	R	R³	R⁴	R	A
4-fl oro	1	H	H	H	H	

F-15 5-H rox -1-meth l-6,10- ioxo-1,2,3,4,6,9,9a,10-o tah ro-1,4a,8a-
triazanthra ene-7- ar ox li a i 4-fl oro enz lami e;

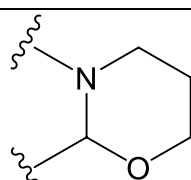
R	m	R	R³	R⁴	R	A
4-fl oro	1	H	H	H	H	

0

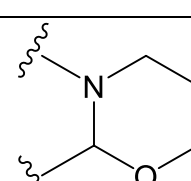
F-38 1-Eth l-5-h rox -6,10- ioxo-1,2,3,4,6,9,9a,10-o tah ro-1,4a,8a-triaza-
anthra ene-7- ar ox li a i 4-fl oro- enz lami e;

R	m	R¹	R³	R¹⁴	R^x	
-fluoro	1	H	H	H	H	

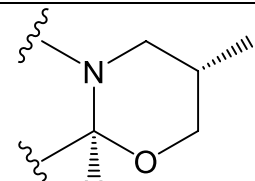
F-60 5-Hydroxy-6,10-dioxo-3, ,6, , a,10- exa ydro- H-1-oxa- a,8a-diaza-ant ra ene-7- arboxyli a id 3- loro- -fluoro-benzyla ide;

R	m	R¹	R³	R¹⁴	R^x	
-fluoro, 3- loro		H	H	H	H	

5 F-61 5-Hydroxy-6,10-dioxo-3, ,6, , a,10- exa ydro- H-1-oxa- a,8a-diaza-ant ra ene-7- arboxyli a id , -difluoro-benzyla ide;

R	m	R¹	R³	R¹⁴	R^x	
-fluoro, -fluoro		H	H	H	H	

-1 (3S, aS)-5-Hydroxy-3- et yl-6,10-dioxo-3, ,6, , a,10- exa ydro- H-1-oxa- a,8a-diaza-ant ra ene-7- arboxyli a id , -difluoro-benzyla ide;

R	m	R¹	R³	R¹⁴	R^x	
-fluoro, -fluoro		H	H	H	H	

Y-9 (3R,9R)-5-Hydroxy-3-methyl-6,10-dioxo-3,4,6,9,9,10-hexahydro-2H-1-oxo-4,4-difluoro-1,2,3,4-tetrahydropyridine-2-carboxylic acid; 2,4-difluoro-1,2,3,4-tetrahydropyridine;

	m		3	4		A
2-fluoro 4-fluoro	2	H	H	H	H	

Y-2 (4S,9R)-5-Hydroxy-4-methyl-6,10-dioxo-3,4,6,9,9,10-hexahydro-2H-1-oxo-4,4-difluoro-1,2,3,4-tetrahydropyridine-2-carboxylic acid; 2,4-difluoro-1,2,3,4-tetrahydropyridine;

	m		3	4		A
2-fluoro 4-fluoro	2	H	H	H	H	

Y-3 (4R,9S)-5-Hydroxy-4-methyl-6,10-dioxo-3,4,6,9,9,10-hexahydro-2H-1-oxo-4,4-difluoro-1,2,3,4-tetrahydropyridine-2-carboxylic acid; 2,4-difluoro-1,2,3,4-tetrahydropyridine;

	m		3	4		A
2-fluoro 4-fluoro	2	H	H	H	H	

10 Y-6 (2S,9R)-2-Ethyl-5-hydroxy-6,10-dioxo-3,4,6,9,9,10-hexahydro-2H-1-oxo-4,4-difluoro-1,2,3,4-tetrahydropyridine-2-carboxylic acid; 2,4-difluoro-1,2,3,4-tetrahydropyridine;

R	m	R¹	R³	R¹⁴	R^x	
-fluoro, 4-fluoro		H	H	H	H	

Y-7 (R,9aS)-5-H 1,5-hexahydro-6,10-oxo-3,4,6,9,9a,10-hexahydro-4a,8a-diaza-anthracene-7-carboxylic acid, 4-fluorobenzamide;

R	m	R¹	R³	R¹⁴	R^x	
-fluoro, 4-fluoro		H	H	H	H	

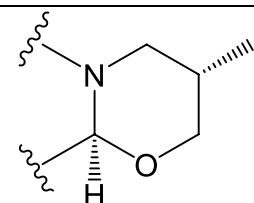
5 Y-11 (S,9aS)-5-H 1,5-hexahydro-6,10-oxo-3,4,6,9,9a,10-hexahydro-4a,8a-diaza-anthracene-7-carboxylic acid, 4-fluorobenzamide;

R	m	R¹	R³	R¹⁴	R^x	
-fluoro, 4-fluoro		H	H	H	H	

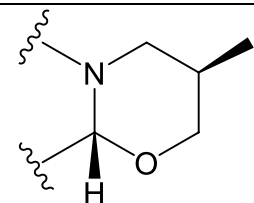
Y-1 (R,9aR)-5-H 1,5-hexahydro-6,10-oxo-3,4,6,9,9a,10-hexahydro-4a,8a-diaza-anthracene-7-carboxylic acid, 4-fluorobenzamide;

R	m	R¹	R³	R¹⁴	R^x	
-fluoro, 4-fluoro		H	H	H	H	

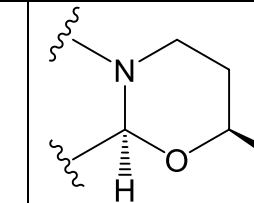
Y-13 (3S aS)-5-Hydroxy-3-methyl-6,10-dioxo-3,4,6,10-tetrahydro-2H-1-oxa-4a,8a-diazapentalene-5-carboxylic acid 4-fluoro-benzamide;

	m		3	4		A
4-fluoro	1	H	H	H	H	

Y-14 (3R aR)-5-Hydroxy-3-methyl-6,10-dioxo-3,4,6,10-tetrahydro-2H-1-oxa-4a,8a-diazapentalene-5-carboxylic acid 4-fluoro-benzamide;

	m		3	4		A
4-fluoro	1	H	H	H	H	

Y-15 (2R aS)-5-Hydroxy-2-methyl-6,10-dioxo-3,4,6,10-tetrahydro-2H-1-oxa-4a,8a-diazapentalene-5-carboxylic acid 2,4-difluoro-benzamide;

	m		3	4		A
2-fluoro 4-fluoro	2	H	H	H	H	

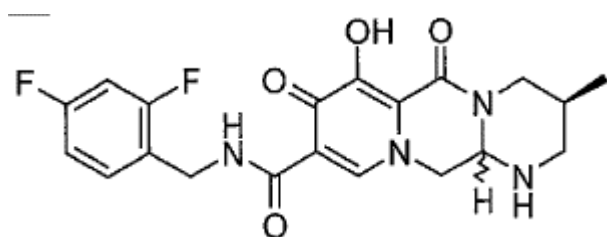
10 Y-16 (2S aR)-5-Hydroxy-2-methyl-6,10-dioxo-3,4,6,10-tetrahydro-2H-1-oxa-4a,8a-diazapentalene-5-carboxylic acid 2,4-difluoro-benzamide;

R	m	R¹	R³	R¹⁴	R	
2-fluoro, 4-fluoro	2	H	H	H	H	

Y-17 (2S,9aR -5-H dro -2-meth 1- ,10-dio o- ,4, ,9,9a,10-he ah dro-2H-1-o a-
4a,8a-diaza-a thrace e-7-carbo lic acid 4-fluoro-be z lamide;

R	m	R¹	R³	R¹⁴	R	
4-fluoro	1	H	H	H	H	

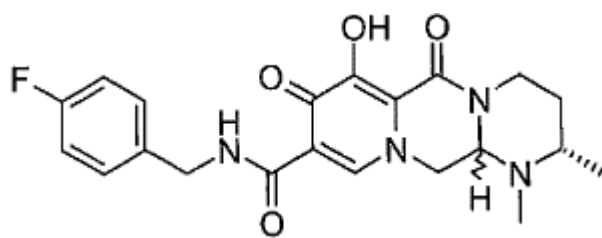
5



ZZ-1

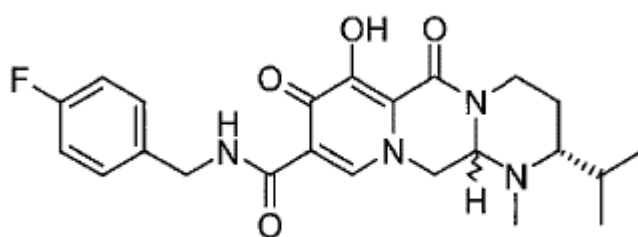
;

R	m	R¹	R³	R¹⁴	R	
2-fluoro, 4-fluoro	2	H	H	H	H	



ZZ-5

R		R	R ³	R ⁴	R ^x	A
4-fluoro	1	H	H	H	H	

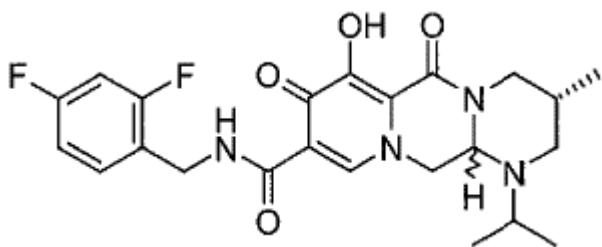


ZZ-8

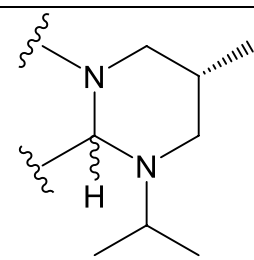
R		R	R ³	R ⁴	R ^x	A
4-fluoro	1	H	H	H	H	

5

and



ZZ-9

R	m	R¹	R³	R¹⁴	R	
2-fluoro, 4-fluoro	2	H	H	H	H	

2. A compound according to claim 1 which is selected from:

- 3S, aS)-5-Hydroxy-3-methyl-1,6,10-dioxo-3,4,6,8-tetrahydro-2H-1-oxa-4a,8a-diazahracene-7-carboxylic acid 2,4-difluorobenzamide;
- 5 3R, aR)-5-Hydroxy-3-methyl-1,6,10-dioxo-3,4,6,8-tetrahydro-2H-1-oxa-4a,8a-diazahracene-7-carboxylic acid 2,4-difluorobenzamide;
- 4S, aR)-5-Hydroxy-4-methyl-1,6,10-dioxo-3,4,6,8-tetrahydro-2H-1-oxa-4a,8a-diazahracene-7-carboxylic acid 2,4-difluorobenzamide;
- 10 4R, aS)-5-Hydroxy-4-methyl-1,6,10-dioxo-3,4,6,8-tetrahydro-2H-1-oxa-4a,8a-diazahracene-7-carboxylic acid 2,4-difluorobenzamide;
- 2S, aR)-2-Ethyl-1,5-hydroxy-6,10-dioxo-3,4,6,8-tetrahydro-2H-1-oxa-4a,8a-diazahracene-7-carboxylic acid 2,4-difluorobenzamide;
- 2R, aS)-2-Ethyl-1,5-hydroxy-6,10-dioxo-3,4,6,8-tetrahydro-2H-1-oxa-4a,8a-diazahracene-7-carboxylic acid 2,4-difluorobenzamide; and
- 15 2S, aS)-5-Hydroxy-2-isopropyl-1,6,10-dioxo-3,4,6,8-tetrahydro-2H-1-oxa-4a,8a-diazahracene-7-carboxylic acid 2,4-difluorobenzamide;
- or a pharmaceutically acceptable salt, or solvate hereof.

20 3. A compound selected from:

- 4R,12aR)-N-(4-Fluorophenyl)methyl-7-hydroxy-4-methyl-1,1-dimethyl-6,8-dioxo-1,2,3,4,6,8,12,12a-octahydro-1,2,4,5-tetrahydropyridine-2-carboxamide;
- 4S,12aS)-N-(2,4-Difluorophenyl)methyl-7-hydroxy-4-methyl-1,1-dimethyl-6,8-dioxo-1,2,3,4,6,8,12,12a-octahydro-1,2,4,5-tetrahydropyridine-2-carboxamide;
- 25 carboxamide;

