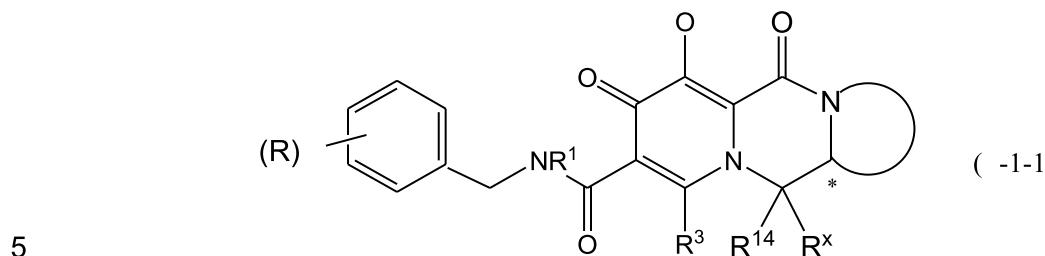


ANNEX A

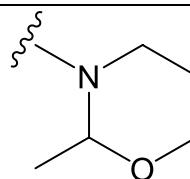
1. compound of the formula:



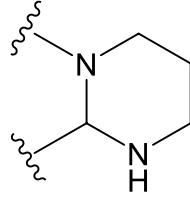
which compound is selected from compounds -3, -6, -13, -15, -16, -21, F-11, F-15, F-38, F-60, F-61, Y-1, Y-9, Y-2, Y-3, Y-6, Y-7, Y-11, Y-12, Y-13, Y-14, Y-15, Y-16, Y-17, ZZ-1, ZZ-5, ZZ-8, and ZZ-9, and pharmaceutically acceptable salts and solutes

10 thereof:

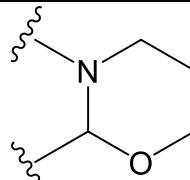
-3 5-Hydroxy-6,10-dioxo-3,4,6,9,9a,10-hexahydro-2H-1-oxa-4a,8a-diazaanthracene-7-carboxylic acid 4-fluorobenzylamide;

R	m	R ¹	R ³	R ¹⁴	R ^x	A
4-fluoro	1	H	H	H	H	

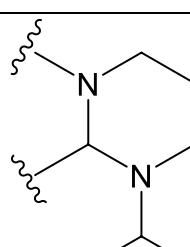
15 -6 5-Hydroxy-6,10-dioxo-1,2,3,4,6,9,9a,10-octahydro-1,4a,8a-triaza-anthracene-7-carboxylic acid 4-fluoro-benzylamide;

R	m	R¹	R³	R¹⁴	R^x	
-fluoro	1	H	H	H	H	

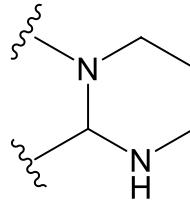
C-13 5-Hydroxy-3,3-dimethyl-10-oxo-3,4,5,6-tetrahydro-2H-1-oxa-1,8a-diaza-azepane-7-carboxylic acid -fluoro-azylamide;

R	m	R¹	R³	R¹⁴	R^x	
-fluoro	1	H	H	H	H	

5 C-15 5-Hydroxy-1-isoprolyl-10-oxo-1,2,3,4,5,6-hexahydro-1,8a-riaza-azepane-7-carboxylic acid -fluoro-azylamide;

R	m	R¹	R³	R¹⁴	R^x	
-fluoro	1	H	H	H	H	

C1 5-Hydroxy-3,3-dimethyl-10-oxo-1,2,3,4,5,6-hexahydro-1,8a-riaza-azepane-7-carboxylic acid -fluoro-azylamide;

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	R ³	R ⁴	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-
triazaanthra ene-7- ar ox li a i 4-fl oro enz lami e;

R	m	R	R ³	R ⁴	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-
triazaanthra ene-7- ar ox li a i 4-fl oro enz lami e;

R	m	R	R ³	R ⁴	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 9 R)-5-Hydroxy-3-methyl-6 10-dioxo-3 4 6 9 9 10-hex hydro-2H-1-ox -
4 -di z - thr ce e- -c rboxylic cid 2 4-difluoro-be zyl mide;

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

Y-2 (4S 9 R)-5-Hydroxy-4-methyl-6 10-dioxo-3 4 6 9 9 10-hex hydro-2H-1-ox -
5 4 -di z - thr ce e- -c rboxylic cid 2 4-difluoro-be zyl mide;

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

Y-3 (4R 9 S)-5-Hydroxy-4-methyl-6 10-dioxo-3 4 6 9 9 10-hex hydro-2H-1-ox -
4 -di z - thr ce e- -c rboxylic cid 2 4-difluoro-be zyl mide;

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

10 Y-6 (2S 9 R)-2-Ethyl-5-hydroxy-6 10-dioxo-3 4 6 9 9 10-hex hydro-2H-1-ox -4 -
di z - thr ce e- -c rboxylic cid 2 4-difluoro-be zyl mide;

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

Y-7 (R,9aS)- -E h 1-5-h rox -6,10- oxo-3,4,6,9,9a,10-hexah ro- H-1-oxa-4a, a- aza-an hra ene-7- arbox 1 a ,4- fluoro-benz lam e;

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

5 Y-11 (S,9aS)-5-H rox - - soprop 1-6,10- oxo-3,4,6,9,9a,10-hexah ro- H-1-oxa-4a, a- aza-an hra ene-7- arbox 1 a ,4- fluoro-benz lam e;

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

Y-1 (R,9aR)-5-H rox - - soprop 1-6,10- oxo-3,4,6,9,9a,10-hexah ro- H-1-oxa-4a, a- aza-an hra ene-7- arbox 1 a ,4- fluoro-benz lam e;

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 a 10-hexahydro-2H-1-oxa-4a a-diaza-a thrace e- -carboxylic acid 4-fluoro-be zylamide;

	m		³	⁴		A
4-fluoro	1	H	H	H	H	

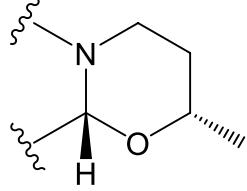
Y-14 (3R aR)-5-Hydroxy-3-methyl-6 10-dioxo-3 4 6 a 10-hexahydro-2H-1-oxa-5 4a a-diaza-a thrace e- -carboxylic acid 4-fluoro-be zylamide;

	m		³	⁴		A
4-fluoro	1	H	H	H	H	

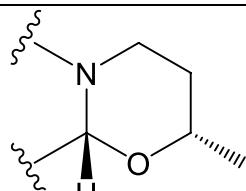
Y-15 (2R aS)-5-Hydroxy-2-methyl-6 10-dioxo-3 4 6 a 10-hexahydro-2H-1-oxa-4a a-diaza-a thrace e- -carboxylic acid 2 4-difluoro-be zylamide;

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

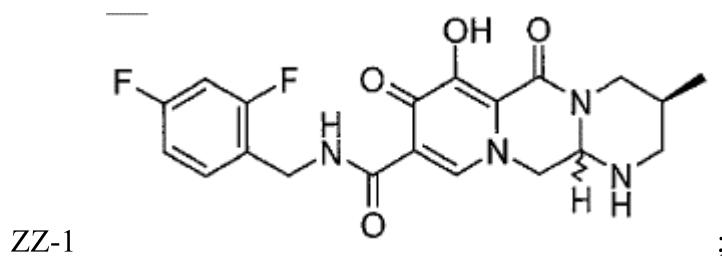
10 Y-16 (2S aR)-5-Hydroxy-2-methyl-6 10-dioxo-3 4 6 a 10-hexahydro-2H-1-oxa-4a a-diaza-a thrace e- -carboxylic acid 2 4-difluoro-be zylamide;

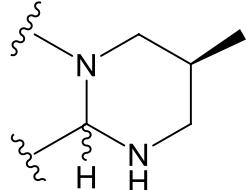
R	m	R ¹	R ³	R ¹⁴	R	
2-fluoro, 4-fluoro	2	H	H	H	H	

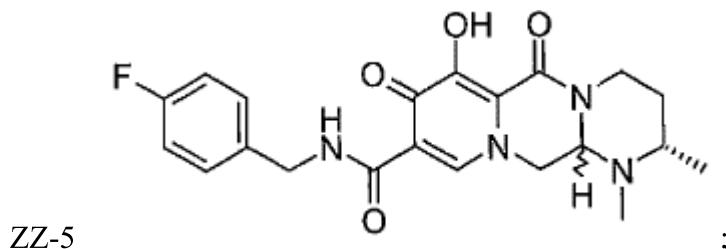
Y-17 (2S,9aR -5-H dro -2-meth l- ,10-dio o- ,4, ,9,9a,10-he ah dro-2H-1-o a-4a,8a-diaza-a thrace e-7-carbo lic acid 4-fluoro-be z lamide;

R	m	R ¹	R ³	R ¹⁴	R	
4-fluoro	1	H	H	H	H	

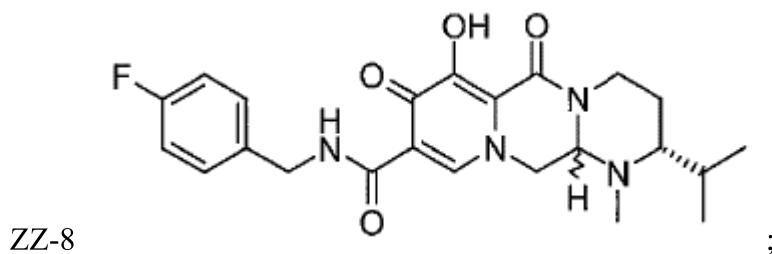
5



R	m	R ¹	R ³	R ¹⁴	R	
2-fluoro, 4-fluoro	2	H	H	H	H	



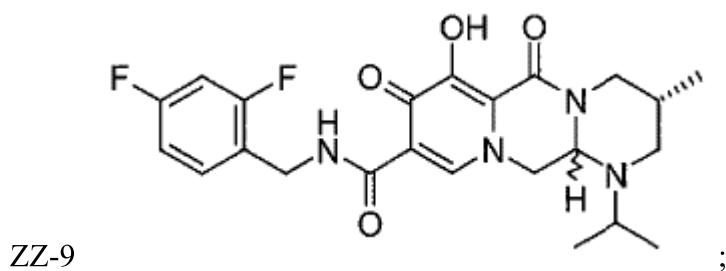
R		R	R³	R⁴	R^x	A
4-fluoro	1	H	H	H	H	



R		R	R³	R⁴	R^x	A
4-fluoro	1	H	H	H	H	

5

and



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-H drox -3-methyl 1-6,10-d oxo-3,4,6, , a,10-hexahydro-2H-1-oxa-4a,8a-d azabicyclo[4.2.1]non-5-yl carboxylic acid 2,4-d fluoro-benzyl amide;
- 3R, aR)-5-H drox -3-methyl 1-6,10-d oxo-3,4,6, , a,10-hexahydro-2H-1-oxa-4a,8a-d azabicyclo[4.2.1]non-5-yl carboxylic acid 2,4-d fluoro-benzyl amide;
- 4S, aR)-5-H drox -4-methyl 1-6,10-d oxo-3,4,6, , a,10-hexahydro-2H-1-oxa-4a,8a-d azabicyclo[4.2.1]non-5-yl carboxylic acid 2,4-d fluoro-benzyl amide;
- 10 4R, aS)-5-H drox -4-methyl 1-6,10-d oxo-3,4,6, , a,10-hexahydro-2H-1-oxa-4a,8a-d azabicyclo[4.2.1]non-5-yl carboxylic acid 2,4-d fluoro-benzyl amide;
- 2S, aR)-2-Ethyl 1-5-hydroxy-6,10-d oxo-3,4,6, , a,10-hexahydro-2H-1-oxa-4a,8a-d azabicyclo[4.2.1]non-5-yl carboxylic acid 2,4-d fluoro-benzyl amide;
- 15 2R, aS)-2-Ethyl 1-5-hydroxy-6,10-d oxo-3,4,6, , a,10-hexahydro-2H-1-oxa-4a,8a-d azabicyclo[4.2.1]non-5-yl carboxylic acid 2,4-d fluoro-benzyl amide; and
- 2S, aS)-5-H drox -2-sopropyl 1-6,10-d oxo-3,4,6, , a,10-hexahydro-2H-1-oxa-4a,8a-d azabicyclo[4.2.1]non-5-yl carboxylic acid 2,4-d fluoro-benzyl amide;
- or a pharmaceutically acceptable salt, or solvate hereof.

20 3. A compound selected from:

4R,12aR)-N-(4-Fluorophenyl)hept-1-yl-7-hydroxy-4-methyl-1-phenylethyl-1)-6,8-dioxo-1,2,3,4,6,8,12,12a-octadecanoic acid (1',2':4,5]pyrazinone 1,2-a]pyrimidinediene-

4S,12aS)-N-(2,4-Difluorophenyl)hept-1-yl-7-hydroxy-4-methyl-1-phenylethyl-1)-6,8-dioxo-1,2,3,4,6,8,12,12a-octadecanoic acid (1',2':4,5]pyrazinone 1,2-a]pyrimidinediene-

25 carboxamide;

(4S,12 S -N-[(4-Fluorophenyl methyl]-7-hydroxy-1,4-d methyl-6, -d oxo-
1,2,3,4,6, ,12,12 -o t hydropyr do[1',2':4,5]pyr z no[1,2-]pyr m d ne- - rbox m de;

(4S,12 S -N-[(2,4- fluorophenyl methyl]-7-hydroxy-1,4-d methyl-6, -d oxo-
1,2,3,4,6, ,12,12 -o t hydropyr do[1',2':4,5]pyr z no[1,2-a]pyr m d ne- - rbox m de;

5 (4S,12 S -N-[(4-Fluorophenyl methyl]-7-hydroxy-4-methyl-1-(1-methylethyl -6, -d oxo-

1,2,3,4,6, ,12,12 -o t hydropyr do[1',2':4,5]pyr z no[1,2-a]pyr m d ne- - rbox m de;

(2R, S -5-Hydroxy-2-methyl-6,10-d oxo-3,4,6, , ,10-hex hydro-2H-1-ox -4 ,

d z - nthr ene-7- rboxyl d 4-fluoro-benzyl m de;

en nt omers thereof; d stereomers thereof; m xtures of en nt omers thereof; m xtures of

10 d stereomers thereof; m xtures of en nt omers nd d stereomers thereof; nd ph rm eut lly ept ble s lts thereof.

4. A compound ord ng to 1 m 3 where n the ph rm eut lly ept ble s lt s
sod um s lt.

15

5. A ph rm eut 1 ompos t on ompr s ng compound ord ng to ny one of Cl ms
1 to 4, or ph rm eut lly ept ble s lt, or solv te thereof.

6. A compound, s lt or solv te s def ned n ny one of 1 ms 1 to 4 for use n method
20 of tre tment of the hum n or n m 1 body by ther py.

7. A compound, s lt or solv te s def ned n ny one of 1 ms 1 to 4 for use s n
nt v r 1 gent.

25 . A compound, s lt or solv te s def ned n ny one of 1 ms 1 to 4 for use s n nt -
H V gent.

. A compound, s lt or solv te s def ned n ny one of 1 ms 1 to 4 for use n jo nt nt -
H V ther py w th n dd t on 1 ther peut gent sele ted from reverse tr ns r pt se

30 nh b tors nd prote se nh b tors.