

November 26, 2014

## STATEMENT ON A NONPROPRIETARY NAME ADOPTED BY THE USAN COUNCIL

USAN (BC-90)

LABETUZUMAB GOVITECAN

PRONUNCIATION

la" be tooz' ue mab goe" vi tee' kan

THERAPEUTIC CLAIM

Treatment of cancer

## CHEMICAL NAMES

1. Immunoglobulin G1, anti-(human carcinoembryonic antigen) (human-*Mus musculus* monoclonal hMN-14 heavy chain), disulfide with human-*Mus musculus* monoclonal hMN-14  $\kappa$ -chain, dimer, hexakis(thioether) with (4S)-4-[[[[4-[(2S)-2-(4-aminobutyl)-2-[[2-[2-[[26-[4-[[[4-[(3-mercapto-2,5-dioxo-1-pyrrolidinyl)methyl]cyclohexyl]carbonyl]amino]methyl]-1*H*-1,2,3-triazol-1-yl]-3,6,9,12,15,18,21,24-octaoxahexacos-1-yl]amino]-2-oxoethoxy]acetyl]amino]-1-oxoethyl]amino]phenyl]methoxy]carbonyl]oxy]-4,11-diethyl-9-hydroxy-1*H*-pyrano[3',4':6,7]indolizino[1,2-*b*]quinoline-3,14(4*H*,12*H*)-dione
2. Immunoglobulin G1-kappa, anti-(human carcinoembryonic antigen); humanized mouse monoclonal antibody covalently linked to an average of six irinotecan drug derivatives:  $\gamma$ 1 heavy chain (1-449) [mouse VH (IGHV4-1\*02–(IGHD)-IGHJ4\*01) (79%) [8.8.12] (1-119) –IGHG1\*03 (120-449)] (222-213')-disulfide with kappa light chain (1'-213') [human V-KAPPA (IGKV1-33\*01–IGKJ1\*01) (86%) [6.3.8] (1'-106') -IGKC\*01 (107'-213')] dimer (228-228":231-231")-bisdisulfide in which an average of three disulfide bonds are hydrogenated and an average of six cysteines with free thiol are S substituted by (3*RS*)-1-[(4-[(1-[(34*S*)-38-amino-34-[(4-[(4*S*)-4,11-diethyl-9-hydroxy-3,14-dioxo-3,4,12,14-tetrahydro-1*H*-pyrano[3',4':6,7]indolizino[1,2-*b*]quinolin-4-yl]oxy)carbonyl]oxy)methyl]phenyl)carbonyl]-28,32-dioxo-3,6,9,12,15,18,21,24,30-nonaoxa-27,33-diazaoctatriacontyl)-1*H*-1,2,3-triazol-4-yl)methyl]carbonyl]cyclohexyl)methyl]-2,5-dioxopyrrolidin-3-yl

## STRUCTURAL FORMULA

## Heavy chain

EVQLVESGGG	VVQPGRSLRL	SQSASGFDFD	TYWMSWVRQA	PGKGLEWIGE	50
IHPDSSSTINY	APSLKDRFTI	SRDNAKNTLF	LQMDSLRPED	TGVYFCASLY	100
FGFPWFAYWG	QGTPVTVSSA	STKGPSVFPPL	APSSKSTSGG	TAALGCLVKD	150
YFPEPVTVSW	NSGALTSQVH	TFFPAVLQSSG	LYSLSSVVTV	PSSSLGTQTY	200
IQNVNHNKPSN	TKVDKRVEPK	SQDKTHTCPP	CPAPELLGGP	SVFLFPPKPK	250
DTLMISRTPTE	VTQVVVDVSH	EDPEVKFNWY	VDGVEVHNAK	TKPREEQYNS	300
TYRVVSVLTV	LHQDWLNGKE	YKCKVSNKAL	PAPIEKTISK	AKGQPREPQV	350
YTLPPSREEM	TKNQVSLTCL	VKGFYPSDIA	VEWESNGQPE	NNYKTTTPVL	400
DSDGSEFLYS	KLTVDKSRWQ	QGNVFSQVSM	HEALHNYTQ	KSLSLSPGK	449

## Light chain

DIQLTQSPSS	LSASVGRVTV	ITCKASQDVG	TSVAWYQQKP	GKAPKLLIYW	50'
TSTRHTGVPS	RFSGSGSGTD	FTFTISSLQP	EDIATYYCQQ	YSLYRSFGQG	100'
TKVEIKRTVA	APSVFIFPPS	DEQLKSGTAS	VVCLLNNFYP	REAKVQWKVD	150'

NALQSGNSQE SVTEQDSKDS TYSLSTLTL SKADYEKHKV YACEVTHQGL 200'  
 SSPVTKSFNR GEC 213'

Disulfide bridges\*

22-96 22"-96" 23'-88' 23'''-88''' 133'-193' 133'''-193''' 146-202 146"-202"  
 213'-222 213'''-222''' 228-228" 231-231" 263-323 263"-323" 369-427 369"-427"

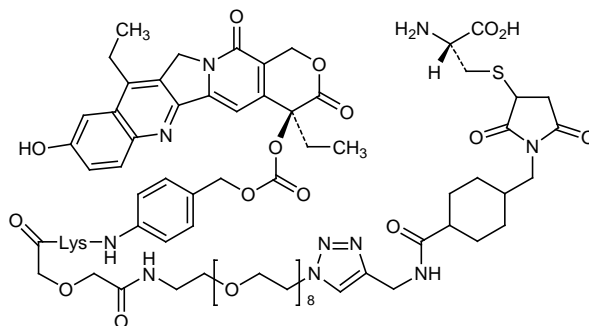
\* an average of three disulfide bridges are reduced

Potential modified residues (C)

C\*  
 22-22"-23'-23'''-88'-88'''-96'-96'''-  
 133'-133'''-146-146"-193'-193'''-  
 202-202"-213'-213'''-222-222"-  
 228-228"-231-231"-263-263"-  
 323-323"-369-369"-427-427"-

\* an average of 6 are substituted

\* en moyenne, 6 sont substitués



Glycosylation sites (N)

Asn-299 Asn-299"

MOLECULAR FORMULA

C<sub>6486</sub>H<sub>9974</sub>N<sub>1718</sub>O<sub>2008</sub>S<sub>42</sub> (C<sub>73</sub>H<sub>98</sub>N<sub>11</sub>O<sub>22</sub>)<sub>n</sub> (non-glycosylated)

MOLECULAR WEIGHT

154.4 kDa (n = 6 and non-glycosylated)

TRADEMARK

None as yet

SPONSOR

Immunomedics, Inc.

CODE DESIGNATIONS

IMMU-130

CAS REGISTRY NUMBER

1469876-18-3

UNII

8E3HI6QQ9J

WHO NUMBER

10096

gbk