

December 30, 2014

STATEMENT ON A NONPROPRIETARY NAME ADOPTED BY THE USAN COUNCIL

USAN (BC-91) SACITUZUMAB GOVITECAN

PRONUNCIATION sak" i tooz' ue mab goe" vi tee' kan

THERAPEUTIC CLAIM Treatment of cancer

CHEMICAL NAMES

1. Immunoglobulin G1, anti-(human tumor-associated calcium signal transducer 2) (human-*Mus musculus* monoclonal hRS7 heavy chain), disulfide with human-*Mus musculus* monoclonal hRS7 κ -chain, dimer, hexakis(thioether) with (4S)-4-[[[[4-[[[(2S)-2-(4-aminobutyl)-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 tumor-associated calcium signal transducer 2 (cell surface glycoprotein Trop-2, membrane component chromosome 1 surface marker 1, pancreatic carcinoma marker protein GA733-1)): humanized mouse monoclonal antibody: γ 1 heavy chain (1-451) [human VH (IGHV7-4*02-(IGHD)-IGHJ4*01) (86%) [8.8.14] (1-121) -IGHG1*03 (122-451)] (224-214')-disulfide with kappa light chain (1'-214') [human V-KAPPA (IGKV1-9*01-IGKJ4*01) (82%) [6.3.9] (1'-107') -IGKC*01 (108'-214')] dimer (230-230":233-233")-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

QVQLQQSGSE	LKKPGASVKV	SCKASGYTFT	NYGMNWKQA	PGQGLKWMGW	50
INTYTGEPY	TDDFKGRFAF	SLDTSVSTAY	LQISLAKADD	TAVYFCARGG	100
FGSSYWFYFDV	WGQGLSLTVS	SASTKGPSVF	PLAPSSKSTS	GGTAAALGCLV	150
KDYFPEPVTIV	SWNSGALTS	VHTFPAVLQS	SGLYSLSSVV	TVPSSSLGTQ	200
TYIQNVNHKP	SNTKVDKRVE	PKSCDKHTC	PPCPAPELLG	GPSVFLFPPK	250
PKDTLMISRT	PEVTCVVVDV	SHEDPEVKFN	WYVDGVEVHN	AKTKPREEQY	300
NSTYRVVSVL	TVLHQDWLNG	KEYKCKVSNK	ALPAPIEKTI	SKAKGQPREP	350
QVYTLPPSRE	EMTKNQVSLT	CLVKGFYPSD	IAVEWESNGQ	PENNYKTTTP	400
VLDSDGSFFL	YSKLTVDKSR	WQQGNVFCSS	VMHEALHNHY	TQKSLSLSPG	450
K					451

Light chain

DIQLTQSPSS	LSASVGDRVS	ITCKASQDVS	IAVAWYQQKP	GKAPKLLIYS	50'
ASYRYTGVPD	RFSGSGSGTD	F ^T LTISSLP	EDFAVYYCQQ	HYITPLTFGA	100'
GTKVEIKRTV	AAPSVFIFPP	SDEQLKSGTA	SVVCLLNNFY	PREAKVQWKV	150'
DNALQSGNSQ	ESVTEQDSKD	STYLSSTLT	LSKADYEKHK	VYA ^C EVTHQG	200'
LSSPVTKSFN	RGEC				214'

Disulfide bridges*

22-96	22"-96"	23'-88'	23'''-88'''	134'-194'	134'''-194'''	148-204	148"-204"
214'-224	214'''-224'''	230-230"	233-233"	265-325	265'''-325'''	371-429	371"-429"

* an average of three disulfide bridges are reduced

* en moyenne, trois ponts disulfure sont réduits

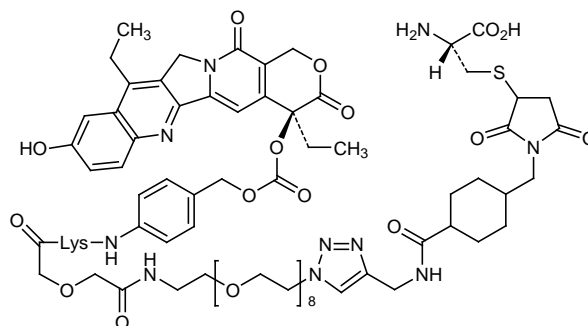
Potential modified residues

C*

22-22"-23'-23'''-88'-88'''-96-96"-
 134'-134'''-148-148"-194'-194'''-
 204-204"-214'-214'''-224-224"-
 230-230"-233-233"-265-265"-
 325-325"-371-371"-429-429"-

* an average of 6 are substituted

* en moyenne, 6 sont substitués



Glycosylation sites (N)

Asn-301 Asn-301"

MOLECULAR FORMULA

 $C_{6496}H_{9986}N_{1702}O_{2016}S_{42}(C_{73}H_{98}N_{11}O_{22})_n$ (non-glycosylated)

MOLECULAR WEIGHT

154.4 kDa ($n = 6$ and non-glycosylated)

TRADEMARK

None as yet

SPONSOR

Immunomedics, Inc.

CODE DESIGNATIONS

IMMU-132

CAS REGISTRY NUMBER

1491917-83-9

UNII

M9BYU8XDQ6

WHO NUMBER

10097

gbk