

STATEMENT ON A NONPROPRIETARY NAME ADOPTED BY THE USAN COUNCIL

USAN (fg-29) LONCASTUXIMAB TESIRINE

PRONUNCIATION lon" kas tux' i mab tes' ir een

THERAPEUTIC CLAIM Treatment of hematological cancers

CHEMICAL NAMES

1. Immunoglobulin G1, (*anti*-human CD19 (antigen)) (humanized clone RB4v1.2 γ 1-chain), disulfide with humanized clone RB4v1.2 κ -chain, dimer, bis(thioether) with *N*-[31-(2,5-dihydro-2,5-dioxo-1*H*-pyrrol-1-yl)-1,29-dioxo-4,7,10,13,16,19,22,25-octaoxa-28-azahentriacont-1-yl]-L-valyl-*N*-[4-[[[(11*S*,11*aS*)-8-[[5-[[[(11*aS*)-5,11*a*-dihydro-7-methoxy-2-methyl-5-oxo-1*H*-pyrrolo[2,1-*c*][1,4]benzodiazepin-8-yl]oxy]pentyl]oxy]-11,11*a*-dihydro-11-hydroxy-7-methoxy-2-methyl-5-oxo-1*H*-pyrrolo[2,1-*c*][1,4]benzodiazepin-10(5*H*)-yl]carbonyl]oxy]methyl]phenyl]-L-alaninamide
2. Immunoglobulin G1-kappa, anti-(*homo sapiens* B-lymphocyte antigen CD-19); chimeric monoclonal antibody conjugated to an average of two molecules of tesirine; γ 1 heavy chain (1-449) [*Mus musculus* VH (IGHV1-69*02 (86%) – (IGHD)-IGHJ4*01)] [8.8.13] (1-120) -*Homo sapiens* IGHG1*03 {[R⁹⁷]CH1(K>R(217)),des-K¹⁰⁷CH3(K>-(250))}, (121-249)] (223-211')-disulfide with κ light chain (1'-211') [*Mus musculus* V-KAPPA (IGKV4-70*01 (91%) – IGKJ1*01) [5.3.7] (1'-104') -*Homo sapiens* IGKC*01 (105'-211')], dimer (229-229":232-232")-bisdisulfide, an average of two cysteines are S-linked to (1^{11a}S,9¹¹S,9^{11a}S,16S,19S,52³RS)-9¹¹-hydroxy-1⁷, 9⁷-dimethoxy-1²,9²,16-trimethyl-1⁵,9⁵,10,15,18,21,49,52²-52⁵-nonaoxo-19-(propan-2-yl)-1⁵,1^{11a},9¹¹,9^{11a}-tetrahydro-1¹H,9¹H,9⁵H-2,8,11,24,27,30,33,36,39,42,45-undecaoxa-14,17,20,48-tetraaza-1(8),9(8,10)-bis(pyrrolo[2,1-*c*][1,4]benzodiazepine)-52(1)-pyrrolidina-13(1,4)benzenadopentacontaphan-52³-yl

STRUCTURAL FORMULA

Heavy chain

QVQLVQPGAE	VVKPGASVKL	SCKTSGYTFT	SNWMHWKQA	PGQGLEWIGE	50
IDPDSYTNV	NQNFQGKAKL	TVDKSTSTAY	MEVSSLRSDD	TAVYYCARGS	100
NPYYYAMDY	GQGTSVTVSS	ASTKGPSVFP	LAPSSKSTSG	GTAALGCLVK	150
DYFPEPTVS	WNSGALTSV	HTFPAVLQSS	GLYSLSSVVT	VPSSSLGTQT	200
YICNVNHKPS	NTKVDKQVEP	KSCDKTHTCP	PCPAPPELLGG	PSVFLFPPKP	250
KDTLMISRTP	EVTTCVVVDVS	HEDPEVKFNW	YVDGVEVHNA	KTKPREEQYN	300
STYRVVSVLT	VLHQDWLNGK	EYKCKVSNKA	LPAPIEKTIS	KAKGQPREPQ	350
VYTLPPSREE	MTKNQVSLTC	LVKGFYPSDI	AVEWESNGQP	ENNYKTTTPV	400
LDSGGSFFLY	SKLTVDKSRW	QQGNVFSCSV	MHEALHNHYT	QKSLSLSPG	449

Light chain

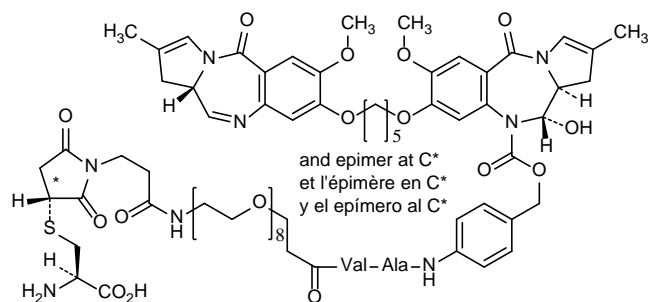
EIVLTQSPAI	MSASPGERV	MTCASASSGVN	YMHWYQQKPG	TSPRRWIYDT	50'
SKLASGVPAR	FSGSGSGTSS	SLTISSEMEPE	DAATYYCHQR	GSYTFGGGTK	100'
LEIKRTVAAP	SVFIFPPSDE	QLKSGTASV	CLLNFFYPRE	AKVQWKVDNA	150'
LQSGNSQESV	TEQDSKSTY	SLSSTLTLSK	ADYEKHKVYA	CEVTHQGLSS	200'
PVTKSFRNGE	C				211'

Disulfide bridges

22-96 22"-96" 23'-87' 23'''-87''' 131'-191' 131'''-191''' 147-203 147"-203"
211'-223* 211'''-223'''* 229-229** 232-232** 264-324 264"-324" 370-428 370"-428"

* one or two of the inter-chain disulfide bridges are not present, an average of two Cysteines are S-substituted with tesirine C.

Modified residues (C)



Glycosylation sites (N)

Asn-300 Asn-300'

MOLECULAR FORMULA

$C_{6544}H_{10048}N_{1718}O_{2064}S_{52}$

MOLECULAR WEIGHT

147.5 kDa (protein)

TRADEMARK

None as yet

SPONSOR

ADC Therapeutics SA

CODE DESIGNATIONS

ADCT-402

CAS REGISTRY NUMBER

1879918-31-6

UNII

7K5O7P6QIU

WHO NUMBER

10586

gbk