

February 24, 2016

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

USAN (DE-26)

VANDORTUZUMAB VEDOTIN

PRONUNCIATION

van" dor tooz' ue mab ve doe' tin

THERAPEUTIC CLAIM

Treatment of cancer

## CHEMICAL NAMES

1. Immunoglobulin G1, anti-(human antigen STEAP1 (six transmembrane epithelial antigen of prostate 1)) (human-*Mus musculus* monoclonal MSTP2109A heavy chain), disulfide with human-*Mus musculus* monoclonal MSTP2109A  $\kappa$ -chain, dimer, tetrakis(thioether) with *N*-[[[4-[[*N*-[6-(3-mercapto-2,5-dioxo-1-pyrrolidinyl)-1-oxohexyl]-L-valyl-*N*5- (aminocarbonyl)-L-ornithyl]amino]phenyl]methoxy]carbonyl]-*N*-methyl-L-valyl-*N*-[(1*S*,2*R*)-4-[(2*S*)-2-[(1*R*,2*R*)-3-[(1*R*,2*S*)-2-hydroxy-1-methyl-2-phenylethyl]amino]-1-methoxy-2-methyl-3-oxopropyl]-1-pyrrolidinyl]-2-methoxy-1-[(1*S*)-1-methylpropyl]-4-oxobutyl]-*N*-methyl-L-valinamide
2. Immunoglobulin G1-kappa, anti- [*Homo sapiens* STEAP1 (six-transmembrane epithelial antigen of the prostate 1, PRSS24, STEAP)], humanized monoclonal antibody; gamma1 heavy chain (1-454) [humanized VH (*Homo sapiens* IGHV3-48\*03 (80.80%) -(IGHD)-IGHJ4\*01) [9.7.17] (1-124) -*Homo sapiens* IGHG1\*03 (CH1 R120>K (221) (125-222), hinge (223-237), CH2 (238-347), CH3 (348-452), CHS (453-454)) (125-454)], (227-220')-disulfide with kappa light chain (1'-220') [humanized V-KAPPA (*Homo sapiens* IGKV1-16\*01 (81.20%) -IGKJ1\*01) [12.3.9] (1'-113') -*Homo sapiens* IGKC\*01 (114'-220')]; dimer (233- 233":236-236")-bisdisulfide; conjugated, on an average of 3 to 4 cysteinyl, to monomethylauristatin E (MMAE), via a cleavable maleimidocaproyl-valyl-citrullinyl-*p*-aminobenzyloxycarbonyl (mc-val-cit-PABC) type linker

## STRUCTURAL FORMULA

Heavy chain

EVQLVESGGG	LVQPGGSLRL	SCAVSGYSIT	SDYAWNWRQ	APGKGLEWV	50
YISNSGTSY	NPSLKSRTFI	SRDTSKNTLY	LQMNSLRAED	TAVYYCARER	100
NYDYDDYYA	MDYWGQGLV	TVSSASTKGP	SVFPLAPSSK	STSGGTAALG	150
CLVKDYFPEP	VTVSWNSGAL	TSGVHTFPAV	LQSSGLYSLS	SVVTVPSSSL	200
GTQTYICNVN	HKPSNTKVDK	KVEPKSCDKT	HTCPPCPAPE	LLGGPSVFLF	250
PPKPKDTLMI	SRTPEVTCVV	VDVSHEDPEV	KFNWYVDGVE	VHNAKTKPRE	300
EQYNSTYRVV	SVLTVLHQDW	LNGKEYKCKV	SNKALPAPIE	KTISKAKGQP	350
REPQVYTLPP	SREEMTKNQV	SLTCLVKGFY	PSDIAVEWES	NGQPENNYKT	400
TPPVLDSDGS	FFLYSKLTVD	KSRWQQGNVF	SCSVMHEALH	NHYTQKSLSL	450
SPGK					454

## Light chain

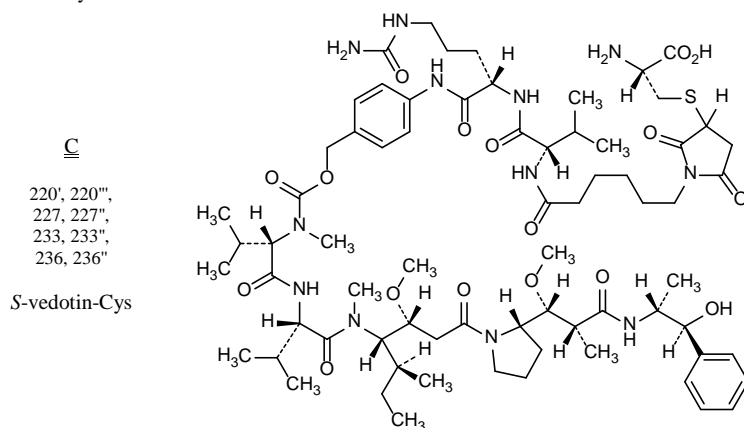
DIQMTQSPSS	LSASVGRVVT	ITCKSSQSLI	YRSNQKNYLA	WYQQKPGKAP	50'
KLLIYWASTR	ESGVPSRFSG	SGSGTDFTLT	ISSLQPEDFA	TYQCQQYYNY	100'
PRTFGQGTKV	EIKRTVAAPS	VFIFPPSDEQ	LKSGTASVVC	LLNNYPREA	150'
KVQWKVDNAL	QSGNSQESVT	EQDSKDYTYS	LSSTLTLSKA	DYEKHKVYAC	200'
EVTHQGLSSP	VTKSFNRGEC				220'

## Disulfide bridges

22-96	22"-96"	23'-94'	23'''-94'''	140'-200'	140'''-200'''	151-207	151"-207"
220'-227*	220'''-227'''*	233-233**	236-236**	268-328	268'''-328'''	374-432	374"-432"

\* partly reduced and *S*-substituted with vedotin

## Potentially modified residues



## Glycosylation sites (N)

Asn-304 Asn-304''

## MOLECULAR FORMULA

$C_{6608}H_{10168}N_{1756}O_{2076}S_{44} (C_{68}H_{105}N_{11}O_{15})_n$

## MOLECULAR WEIGHT

156-160 kDa (non-glycosylated)

## TRADEMARK

None as yet

## SPONSOR

Genentech/Roche

## CODE DESIGNATIONS

RG7450, DSTP3086S, MSTP2109A

CAS REGISTRY NUMBER

1471985-92-8

## UNII

44OUQ00D1U

## WHO NUMBER

10018

gbk