

July 27, 2016

STATEMENT ON A NONPROPRIETARY NAME ADOPTED BY THE USAN COUNCIL

USAN (DE-101)

CERGUTUZUMAB AMUNALEUKIN

PRONUNCIATION

ser" gue tooz' ue mab am ue" na" loo' kin

THERAPEUTIC CLAIM

Treatment of cancer

CHEMICAL NAMES

1. Immunoglobulin G1, anti-(human carcinoembryonic antigen fusion protein with mutated human interleukin 2) (human monoclonal CEA-IL-2v heavy chain HC2-qm IL-2), disulfide with human monoclonal CEA-IL-2v light chain, dimer with immunoglobulin G1, anti-(human carcinoembryonic antigen) (human monoclonal CEA-IL-2v heavy chain HC1), disulfide with human monoclonal CEA-IL-2v light chain
2. Immunoglobulin G1-kappa fused to IL2 (interleukin 2), anti- [Homo sapiens CEACAM5 (carcinoembryonic antigen related cell adhesion molecule 5, CEA, CD66e)], humanized monoclonal antibody fused to IL2; gamma1 heavy chain (1-451) [humanized VH (Homo sapiens IGHV1-18*01 (82.70%) -(IGHD)-IGHJ6*01) [8.8.14] (1-121) -Homo sapiens IGHG1*01, G1m17,1 (CH1 (122-219), hinge (220-234), CH2 L1.3>A (238), L1.2>A (239), P114>G (333) (235-344), CH3 Y5>C (353), T22>S (370), L24>A (372), Y86>V (411) (345-449), CHS (450-451)) (122-451)], (224-215')-disulfide with kappa light chain (1'-215') [humanized V-KAPPA (Homo sapiens IGKV1-16*01 (82.10%) -IGKJ2*01) [6.3.10] (1'-108') -Homo sapiens IGKC*01, Km3 (109'-215')]; gamma1 heavy chain fused to IL2 (1"-598") [humanized VH (Homo sapiens IGHV1-18*01 (82.70%) -(IGHD)-IGHJ6*01) [8.8.14] (1"-121") -Homo sapiens IGHG1*01, G1m17,1 (CH1 (122"-219"), hinge (220"-234"), CH2 L1.3>A (238"), L1.2>A (239"), P114>G (333") (235"-344"), CH3 S10>C (358"), T22>W (370"), (345"-449"), CHS K2>del (450") (122"-450") -15-mer (tris(tetraglycyl-seryl)) linker (451"-465") - Homo sapiens IL2 (Pr21-153) T23>A (468"), F62>A (507"), Y65>A (510"), L92>G (547"), C145>A (590") (466"-598")], (224"-215'")-disulfide with kappa light chain (1'"-215'") [humanized V-KAPPA (Homo sapiens IGKV1-16*01 (82.10%) -IGKJ2*01) [6.3.10] (1'"-108'") -Homo sapiens IGKC*01, Km3 (109'"-215'")]; dimer (230-230":233-233")- bisdisulfide

STRUCTURAL FORMULA

Heavy chain H

QVQLVQSGAE	VKKPGASVKV	SCKASGYTFT	EFGMNWVRQA	PGQGLEWMGW	50
INTKTGEATY	VEEFKGRVTF	TTDTSTSTAY	MELRSLRSD	TAVYYCARWD	100
FAYYVEAMDY	WGQGTTVTVS	SASTRKGPSVF	PLAPSSKSTS	GGTAALGCLV	150

KDYFPEPVTV	SWNSGALTSG	VHTFPAVLQS	SGLYSLSSVV	TVPSSSLGTQ	200
TYICNVNHKP	SNTKVDKKVE	PKSCDKTHTC	PPCPAPEAAG	GPSVFLFPPK	250
PKDTLMISRT	PEVTCVVVDV	SHEDPEVKFN	WYVDGVEVHN	AKTKPREEQY	300
NSTYRVVSVL	TVLHQDWLNG	KEYKCKVSNK	ALGAPIEKTI	SKAKGQPREP	350
QVCTLPPSRD	ELTKNQVSL	CAVKGFPYPSD	IAVEWESNGQ	PENNYKTTPP	400
VLDSGGSFFL	VSKLTVDKSR	WQQGNVFSCS	VMHEALHNHY	TQKSLSLSPG	450

Heavy chain H'' fused to IL-2

QVQLVQSGAE	VKKPGASVKV	SCKASGYTFT	EFGMNWVRQA	PGQGLEWMGW	50
INTKTGEATY	VEEFKGRVTF	TTDTSTSTAY	MELRSLRSD	TAVYYCARWD	100
FAYYVEAMDY	WQGTTVTVS	SASTKGPSVF	PLAPSSKSTS	GGTAALGCLV	150
KDYFPEPVTV	SWNSGALTSG	VHTFPAVLQS	SGLYSLSSVV	TVPSSSLGTQ	200
TYICNVNHKP	SNTKVDKKVE	PKSCDKTHTC	PPCPAPEAAG	GPSVFLFPPK	250
PKDTLMISRT	PEVTCVVVDV	SHEDPEVKFN	WYVDGVEVHN	AKTKPREEQY	300
NSTYRVVSVL	TVLHQDWLNG	KEYKCKVSNK	ALGAPIEKTI	SKAKGQPREP	350
QVYTLPPCRD	ELTKNQVSLW	CLVKGFPYPSD	IAVEWESNGQ	PENNYKTTPP	400
VLDSGGSFFL	YSKLTVDKSR	WQQGNVFSCS	VMHEALHNHY	TQKSLSLSPG	450
GGGGSGGGGS	GGGGSAPASS	STKKTQLQLE	HLLLDLQMIL	NGINNYKNPK	500
LTRMLTAKFA	MPKKATELKH	LQCLEEELKP	LEEVLNGAQS	KNFHLRPRDL	550
ISNINIVILE	LKGSETTFMC	EYADETATIV	EFLNRWITFA	QSIISTLT	598

Light chain

DIQMTQSPSS	LSASVGRVTV	ITCKASA AVG	TYVAWYQQKP	GKAPKLLIYS	50'
ASYRKRGVPS	RFSGSGSGTD	FLLTISSLQP	EDFATYYCHQ	YYTYPLFTFG	100'
QGTKLEIKRT	VAAPSVFIFP	PSDEQLKSGT	ASVCLLNNF	YPREAKVQWK	150'
VDNALQSGNS	QESVTEQDSK	DSTYLSSTL	TLSKADYEKH	KVYACEVTHQ	200'
GLSSPVTKSF	NRGEC				215'

Disulfide bridges

22-96	22''-96''	23-88'	23''-88''	135-195'	135''-195''	138-204	148''-204''
224-215'	224''-215''	230-230''	233-233''	265'326	265''-325''	371-429	371''-429''
523''-570''							

Glycosylation sites (N)

301	301''
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MOLECULAR FORMULA $C_{7202}H_{11118}N_{1902}O_{2240}S_{54}$

MOLECULAR WEIGHT 161.9 kDa

TRADEMARK None as yet

SPONSOR Genetech/Roche

CODE DESIGNATIONS RG7813, RO6895882

CAS REGISTRY NUMBER 1509916-03-3

UNII 48FCG62C25

WHO NUMBER 10080

gbk