

STATEMENT ON A NONPROPRIETARY NAME ADOPTED BY THE USAN COUNCIL

USAN (FG-131) TRASTUZUMAB DUOCARMAZINE
PRONUNCIATION tras tooz' ue mab doo'' oh kar' ma zeen
THERAPEUTIC CLAIM Treatment of cancer
CHEMICAL NAMES

1. Immunoglobulin G1, anti-(human neu (receptor)) (human-Mus musculus monoclonal SYD977 γ 1-chain), disulfide with human-Mus musculus monoclonal SYD977 light chain, dimer, thioether with N-[[2-[2-(3-mercapto-2,5-dioxo-1-pyrrolidinyl)ethoxy]ethoxy]carbonyl]-L-valyl-N5-(aminocarbonyl)-N-[4-[7-[[[(1S)-1-(chloromethyl)-2,3-dihydro-3-[[6-[(4-hydroxybenzoyl)amino]imidazo[1,2-a]pyridin-2-yl]carbonyl]-9-methyl-1H-benz[e]indol-5-yl]oxy]carbonyl]-12-hydroxy-4-methyl-3-oxo-2,10-dioxo-4,7-diazadodec-1-yl]phenyl]-L-ornithinamide
2. Immunoglobulin G1-kappa, anti-[Homo sapiens ERBB2 (epidermal growth factor receptor 2, receptor tyrosineprotein kinase erbB-2, EGFR2, HER2, HER-2, p185cerbB2, NEU, CD340)], humanized monoclonal antibody conjugated to the pro-drug seco-duocarmycinhydroxybenzamide-azaindole (seco-DUBA); gamma1 heavy chain (1-449) [humanized VH (Homo sapiens (IGHV3-66-*01 (81.60%) -(IGHD)-IGHJ6*01) [8.8.13] (1-120) -Homo sapiens IGHG1*01, G1m17, nG1m1 (CH1 (121-218), hinge (219-233), CH2 (234-343), CH3 D12>E (359), L14>M (361) (344-448), CHS K>del (449)) (121-449)], (223-214')-disulfide with kappa light chain (1'-214') [humanized V-KAPPA (Homo sapiens IGKV1-39*01 (86.30%) -IGKJ1*01) [6.3.9] (1'-107') -Homo sapiens IGKC*01, Km3 (108'-214')]; dimer (229-229':232- 232'')-bisdisulfide, conjugated on an average of 2 or 4 cysteines, to seco-DUBA via the cleavable linker N-[2-(2-maleimidoethoxy)ethoxycarbonyl]-L-valyl-L-citrullinyl-p-aminobenzyloxycarbonyl-N-[2-(2-hydroxyethoxy)ethyl]-N-[2-(methylamino)ethyl]carbamoyl

STRUCTURAL FORMULA

Heavy chain

EVQLVESGGG	LVQPGGSLRL	SCAASGFNIK	DTYIHWRQA	PGKGLEWVAR	50
IYPTNGYTRY	ADSVKGRFTI	SADTSKNTAY	LQMNSLRAED	TAVYYCSRWG	100
GDGFYAMDYW	GQGTLVTVSS	ASTKGPSVFP	LAPSSKSTSG	GTAALGCLVK	150
DYFPEPVTVS	WNSGALTSKV	HTFPAVLQSS	GLYSLSSVVT	VPSSSLGTQT	200
YICNVNHKPS	NTKVDKKEVP	KSCDKHTTCP	PCPAPELLGG	PSVFLFPPKP	250
KDTLMISRTP	EVTQVVDVDS	HEDPEVKFNW	YVDGVEVHNA	KTKPREEQYN	300
STYRVVSVLT	VLHQDWLNGK	EYKCKVSNKA	LPAPIEKTIS	KAKGQPREPQ	350
VYTLPPSREE	MTKNQVSLTC	LVKGFYPSDI	AVEWESNGQP	ENNYKTPPV	400
LDSDGSFFLY	SKLTVDKSRW	QQGNVFSCSV	MHEALHNHYT	QKSLSLSPG	449

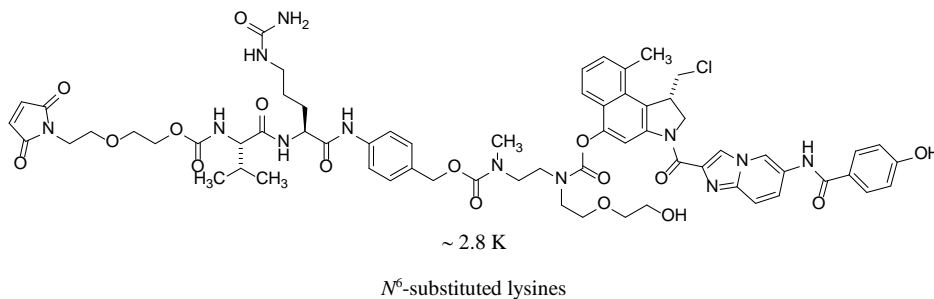
Light chain

DIQMTQSPSS	LSASVGDRVT	ITCRASQDVN	TAVAWYQQKP	GKAPKLLIYS	50'
ASFLYSGVPS	RFSGSRSGTD	F ¹ LT ¹ ISS ¹ LQ ¹ P	EDFATYYCQ ¹ Q	HYTTPPTFG ¹ Q	100'
G ¹ TKVEIKRTV	AAPSVFIFPP	SDEQLKSGTA	SVVCLLN ¹ NFY	PREAKVQWKV	150'
DNALQSGNSQ	ESVTEQDSKD	STYSLSS ¹ TLT	L ¹ SKADYEKHK	VYACEVTHQ ¹ G	200'
LSSPVTKS ¹ FN	RGEC				214'

Disulfide bridges

22-96	22"-96"	23'-88'	23'''-88'''	134'-194'	134'''-194'''	147-203	147"-203"
214'-223	214'''-223'''	229-229"	232-232"	264-324	264"-324"	370-428	370"-428"

Modified residues



Glycosylation sites (N)

Asn-300 Asn-300"

MOLECULAR FORMULA

C₆₄₄₈H₉₉₄₈N₁₇₂₀O₂₀₁₂S₄₄ . (C₆₅H₇₅ClN₁₂O₁₇)_{2.8}

MOLECULAR WEIGHT

Not determined

TRADEMARK

None as yet

SPONSOR

Synthon Biopharmaceuticals

CODE DESIGNATIONS

SYD985

CAS REGISTRY NUMBER

1642152-40-6

UNII

XCR2BZ80N7

WHO NUMBER

10273

gbk