

S1 | Monoclonal antibodies approved for therapeutic use in the United States*

Product name, antibody name and company[‡]	Antibody format	Antigen	K_d (nM)	Proposed mechanisms of action	Approved indications	References
Orthoclone OKT3; muromonab-CD3 (Ortho Biotech Products, L.P.)	Mouse IgG2a	CD3	0.83	Blocking of function of T-cell-expressed CD3; reversal of graft rejection	Prophylaxis of acute kidney-transplant rejection	1,2
ReoPro; abciximab (Centocor, Inc.)	Chimeric Fab	gpIIb–gpIIIa and $\alpha_v\beta_3$ -integrin	5	Receptor binding and antagonism; inhibition of platelet aggregation	Prevention of platelet-mediated clots in coronary angioplasty	3–5
Rituxan; rituximab (Genentech, Inc. and Biogen Idec Inc.) and MabThera (F.Hoffman-LaRoche Ltd)	Chimeric IgG1	CD20	8.0	Sensitization of cells to chemotherapy; induction of apoptosis, ADCC and CDC	Non-Hodgkin’s lymphoma and rheumatoid arthritis	6–8
Zenapax; daclizumab (F.Hoffman-LaRoche Ltd)	Humanized IgG1	CD25	0.3	Receptor binding and antagonism	Prophylaxis of acute kidney-transplant rejection	9,10
Simulect; basiliximab (Novartis AG)	Chimeric IgG1	CD25	0.1	Receptor binding and antagonism	Prophylaxis of acute kidney-transplant rejection	11,12
Synagis; palivizumab (MedImmune Inc. and Abbott Laboratories)	Humanized IgG1	RSV gpF	0.96	Binding and neutralization of RSV; inhibition of viral fusion and replication	Prophylaxis against RSV infection in children at high risk	13–15

Remicade; infliximab (Johnson & Johnson and Schering Plough Corporation)	Chimeric IgG1	TNF	0.1	Ligand binding and receptor antagonism	Crohn's disease, rheumatoid and psoriatic arthritis, ulcerative colitis and ankylosing spondylitis	16,17
Herceptin; trastuzumab (Genentech, Inc. and F.Hoffman-LaRoche Ltd)	Humanized IgG1	ERBB2	5	Sensitization of cells to chemotherapy; inhibition of angiogenesis and proliferation; induction of ADCC	Metastatic breast cancer that overexpresses ERBB2	18–20
Mylotarg; gemtuzumab ozogamicin (Wyeth)	Humanized IgG4, calicheamicin conjugated	CD33	0.08	Induction of double-stranded DNA breaks and cell death (caused by calicheamicin)	Acute myeloid leukaemia that expresses CD33	21,22
Campath; alemtuzumab (Genzyme Corporation and Schering AG)	Humanized IgG1	CD52	10–32	Induction of ADCC and CDC	B-cell chronic lymphocytic leukaemia	23–25
Zevalin; ibritumomab tiuxetan (Biogen Idec Inc.)	Mouse IgG1, ⁹⁰ Y-labelled	CD20	14–18	Induction of cell death by radiation; induction of apoptosis	Non-Hodgkin's lymphoma	26,27
Humira; adalimumab (Abbott Laboratories)	Human IgG1	TNF	0.1	Ligand binding and receptor antagonism; induction of CDC	Rheumatoid and psoriatic arthritis	28,29
Xolair; omalizumab (Genentech, Inc. and Novartis AG)	Humanized IgG1	IgE	0.17	Ligand binding and receptor antagonism; reduction in release of allergic-response mediators from mast cells and basophils	Persistent asthma	30–33
Bexxar; ¹³¹ I-tositumomab (GlaxoSmithKline)	Mouse IgG2a, ¹³¹ I-labelled	CD20	1.4	Induction of cell death by radiation; induction of apoptosis, ADCC and CDC	Non-Hodgkin's lymphoma	34–36
Raptiva; efalizumab (Genentech, Inc. and Serono S.A.)	Humanized IgG1	CD11a [§]	3	Receptor binding and antagonism; inhibition of leukocyte adhesion to other cells	Plaque psoriasis	37–39

Erbitux; cetuximab (ImClone Systems Inc. and Bristol-Myers Squibb Company)	Chimeric IgG1	EGFR	0.2	Receptor binding and antagonism; inhibition of cell proliferation; induction of apoptosis; sensitization of cells to chemotherapy and radiotherapy; inhibition of angiogenesis, invasion and metastasis; induction of ADCC	Metastatic colorectal cancer, and head and neck cancer	40,41
Avastin; bevacizumab (Genentech, Inc. and F.Hoffman-LaRoche Ltd)	Humanized IgG1	VEGF	1.1	Ligand binding and receptor antagonism; inhibition of angiogenesis and metastatic-disease progression	Metastatic colorectal cancer	42,43
Tysabri; natalizumab (Biogen Idec Inc. and Elan Corporation, plc)	Humanized IgG4	α_4 -Subunit of $\alpha_4\beta_1$ -integrin and $\alpha_4\beta_7$ -integrin	0.3	Receptor binding and antagonism; inhibition of leukocyte adhesion to their counter receptors	Multiple sclerosis	44

*Sources include drug prescribing information, company web sites and REF. 45. See Supplementary information S1 (table) for references. [‡]Products are listed in order of first regulatory approval from Orthoclone OKT3 (1986) to Tysabri (2004). [§]Also known as α_L -integrin. ^{||}Natalizumab (Tysabri) was voluntarily withdrawn from the market by its manufacturers because of safety concerns in early 2005⁴⁴. Five of 5,000 patients treated with natalizumab developed progressive multifocal leukoencephalopathy, including two who died⁴⁶. The US Food and Drug Administration has recently lifted the clinical-trial hold on natalizumab, and Biogen Idec Inc. and Elan Corporation, plc, plan further safety testing of natalizumab in patients with multiple sclerosis. ADCC, antibody-dependent cell-mediated cytotoxicity; CDC, complement-dependent cytotoxicity; EGFR, epidermal-growth-factor receptor; gp, glycoprotein; K_d , antigen-binding affinity; RSV, respiratory syncytial virus; TNF, tumour-necrosis factor; VEGF, vascular endothelial growth factor.

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