RECEPTORS

MODELS FOR BINDING, TRAFFICKING AND SIGNALING

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Table 2–1 Sample receptor/ligand binding parameters

Receptor	Ligand	Cell type	R_T (#/cell)	k_f ($M^{-1}\,min^{-1}$)	k_r (min^{-1})	K_D (M)	$t_{95\%}$ ($L_0 = K_D$) (min)	Reference
Transferrin	Transferrin	HepG2	5×10^4	3×10^6	0.1	3.3×10^{-8}	15	Ciechanover *et al.* (1983)
Fc_γ	2.4G2 Fab	Mouse macrophage	7.1×10^5	3×10^6	0.0023	7.7×10^{-10}	650	Mellman and Unkeless (1980)
Chemotactic peptide	FNLLP	Rabbit neutrophil	5×10^4	2×10^7	0.4	2×10^{-8}	3.7	Zigmond *et al.* (1982)
Interferon	Human interferon-α_2a	A549	900	2.2×10^8	0.072	3.3×10^{-10}	20	Bajzer *et al.* (1989)
TNF	TNF	A549	6.6×10^3	9.6×10^8	0.14	1.5×10^{-10}	11	Bajzer *et al.* (1989)
β-adrenergic	Hydroxybenzylpindolol	Turkey erythrocyte	—	8×10^8	0.08	1×10^{-10}	19	Rimon *et al.* (1980)
α_1-adrenergic	Prazosin	BC3H1	1.4×10^4	2.4×10^8	0.018	7.5×10^{-11}	83	Hughes *et al.* (1982)
Insulin	Insulin	Rat fat-cells	1×10^5	9.6×10^6	0.2	2.1×10^{-8}	7.5	Lipkin *et al.* (1986b)
EGF	EGF	Fetal rat lung	2.5×10^4	1.8×10^8	0.12	6.7×10^{-10}	12.5	Water *et al.* (1990)
Fibronectin	Fibronectin	Fibroblasts	5×10^5	7×10^5	0.6	8.6×10^{-7}	2.5	Akiyama and Yamada (1985)
Fc_ε	IgE	Human basophils	—	3.1×10^6	0.0015	4.8×10^{-10}	1000	Pruzansky and Patterson (1986)
IL-2 (heavy chain)	IL-2	T lymphocytes	2×10^3	2.3×10^7	0.015	6.5×10^{-10}	100	Smith (1988)
IL-2 (light chain)			1.1×10^4	8.4×10^8	24	2.9×10^{-8}	0.06	
IL-2 (heterodimer)			2×10^3	1.9×10^9	0.014	7.4×10^{-12}	110	

Shown are the measured number of receptors per cell R_T, the association rate constant k_f, the dissociation rate constant k_r, and the equilibrium dissociation constant $K_D = k_r/k_f$. The time required to reach 95% of equilibrium receptor binding when no bound receptors are initially present, $t_{95\%}$, is calculated from $t_{95\%} = -\ln(0.05)/(k_r(1 + L_0/K_D))$ for the case of $L_0 = K_D$. HepG2 = human hepatoma cell line; 2.4G2 Fab = Fab portion of 2.4G2 antibody against receptor; FNLLP = *N*-formylnorleucylleucylphenylalanine; A549 = human lung alveolar carcinoma; TNF = tumor necrosis factor; hydroxybenzylpindolol is an antagonist to the receptor; EGF = epidermal growth factor; IgE = immunoglobulin E; IL-2 = interleukin 2; prazosin is an antagonist to the receptor; BC3H1 = smooth muscle-like cell line; RBL = rat basophilic leukemia cell line.