

1. INTRODUCTION

Table 1.3.4.5. *Important human protein structures in drug design*

Proteins from other species that might have been studied as substitutes for human ones were left out because of space limitations. We apologize to the researchers affected.

Pharmacological category	Protein	Reference
Synaptic and neuroeffector junctional function	None	
Central nervous system function	None	
Inflammation	Fibroblast collagenase (MMP-1) (also important in cancer) Gelatinase Stromelysin-1 (MMP-3) (also important in cancer) Matrilysin (MMP-7) (also important in cancer) Neutrophil collagenase (MMP-8) (also important in cancer) Collagenase-3 (MMP-13) Human neutrophil elastase (also important for cystic fibrosis) Interleukin-1 beta converting enzyme (ICE) p38 MAP kinase Phospholipase A2 Renin	[1], [2], [3], [4] [5], [6] [7], [8], [9], [10], [11] [12] [13], [14], [15], [16] [17] [18], [19], [20] [21], [22] [23], [24] [25], [26], [27] [28]
Renal and cardiovascular function		
Gastrointestinal function	None	
Cancer	17-Beta-hydroxysteroid dehydrogenase BRCT domain (BRCA1 C-terminus) Bcr-Abl kinase Cathepsin B Cathepsin D CDK2 CDK6 DHFR Acidic fibroblast growth factor (FGF) FGF receptor tyrosine kinase domain Glycinamide ribonucleotide formyl transferase Interferon-beta MMPs: see Inflammation p53 p60 Src Purine nucleoside phosphorylase ras p21 Serine hydroxymethyltransferase S-Adenosylmethionine decarboxylase Thymidylate synthase Topoisomerase I Tumour necrosis factor Interleukin 1-alpha Interleukin 1-beta Interleukin 1-beta receptor Interleukin 8 Calcineurin Cathepsin S Cyclophilin Immunophilin FKBP12 Inosine monophosphate dehydrogenase Interferon-gamma Lymphocyte-specific kinase Lck PNP Syk kinase Tumour necrosis factor ZAP Tyr kinase Interleukin 2 Interleukin 5 Erythropoietin receptor	[29], [30] [31] [32] [33] [34], [35] [36] [37] [38], [39] [40] [41] [42] [43] [44], [45] [46] [47] [48], [49], [50], [51] [52] [53] [54] [55], [56] [57] [58] [59] [60], [61] [62] [63] [64] [65], [66], [67] [68], [69], [70] [71] [72], [73] [74] [47] [75] [57] [76] [77] [78] [79], [80]
Immunomodulation		
Haematopoiesis		

1.3. MACROMOLECULAR CRYSTALLOGRAPHY AND MEDICINE

Table 1.3.4.5. *Important human protein structures in drug design (cont.)*

Pharmacological category	Protein	Reference
Coagulation	AT III Factor III Factor VII Factor IX Factor X Factor XIII Factor XIV Fibrinogen: fragment Plasminogen activator inhibitor (PAI) Thrombin tPA Urokinase-type plasminogen activator von Willebrand factor	[81], [82], [83], [84] [85], [86] [87] [88] [89] [90] [91] [92], [93] [94], [95], [96] [97], [98], [99] [100] [101] [102], [103], [104]
Hormones and hormone receptors	Insulin Insulin receptor Human growth hormone + receptor Oestrogen receptor Progesterone receptor Prolactin receptor Carbonic anhydrase	[105] [106], [107] [108] [109], [110] [111] [112] [113]
Ocular function	See Table 1.3.3.1	
Genetic diseases	Human serum albumin	[114], [115]
Drug binding	Glutathione S-transferase A-1	[116], [117]
Drug metabolism	Glutathione S-transferase A4-4 Glutathione S-transferase Mu-1 Glutathione S-transferase Mu-2	[118] [119] [120]
Neurodegeneration	Aldose reductase JNK3	[121] [122]
Osteoporosis	Cathepsin K Src SH2	[123], [64] [126]
Various	Interferon-alpha 2b Bcl-xL	[124] [125]

References: [1] Borkakoti *et al.* (1994); [2] Lovejoy, Cleasby *et al.* (1994); [3] Lovejoy, Hassell *et al.* (1994); [4] Spurlino *et al.* (1994); [5] Libson *et al.* (1995); [6] Gohlke *et al.* (1996); [7] Becker *et al.* (1995); [8] Dhanaraj *et al.* (1996); [9] Esser *et al.* (1997); [10] Gomis-Ruth *et al.* (1997); [11] Finzel *et al.* (1998); [12] Browner *et al.* (1995); [13] Bode *et al.* (1994); [14] Reinemer *et al.* (1994); [15] Stams *et al.* (1994); [16] Betz *et al.* (1997); [17] Gomis-Ruth *et al.* (1996); [18] Bode *et al.* (1986); [19] Wei *et al.* (1988); [20] Navia, McKeever *et al.* (1989); [21] Walker *et al.* (1994); [22] Rano *et al.* (1997); [23] Wilson *et al.* (1996); [24] Tong *et al.* (1997); [25] Scott *et al.* (1991); [26] Wery *et al.* (1991); [27] Kitadokoro *et al.* (1998); [28] Sielecki *et al.* (1989); [29] Ghosh *et al.* (1995); [30] Breton *et al.* (1996); [31] Zhang *et al.* (1998); [32] Nam *et al.* (1996); [33] Musil *et al.* (1991); [34] Baldwin *et al.* (1993); [35] Metcalf & Fusek (1993); [36] De Bondt *et al.* (1993); [37] Russo *et al.* (1998); [38] Oefner *et al.* (1988); [39] Davies *et al.* (1990); [40] Blaber *et al.* (1996); [41] McTigue *et al.* (1999); [42] Varney *et al.* (1997); [43] Karpusas *et al.* (1997); [44] Cho *et al.* (1994); [45] Gorina & Pavletich (1996); [46] Xu *et al.* (1997); [47] Ealick *et al.* (1990); [48] DeVos *et al.* (1988); [49] Pai *et al.* (1989); [50] Krengel *et al.* (1990); [51] Scheffzek *et al.* (1997); [52] Renwick *et al.* (1998); [53] Ekstrom *et al.* (1999); [54] Schiffer *et al.* (1995); [55] Redinbo *et al.* (1998); [56] Stewart *et al.* (1998); [57] Banner *et al.* (1993); [58] Graves *et al.* (1990); [59] Priestle *et al.* (1988); [60] Schreuder *et al.* (1997); [61] Vigers *et al.* (1997); [62] Baldwin *et al.* (1991); [63] Kissinger *et al.* (1995); [64] McGrath *et al.* (1998); [65] Kallen *et al.* (1991); [66] Ke *et al.* (1991); [67] Pfuegl *et al.* (1993); [68] Van Duyne, Standaert, Karplus *et al.* (1991); [69] Van Duyne, Standaert, Schreiber & Clardy (1991); [70] Van Duyne *et al.* (1993); [71] Colby *et al.* (1999); [72] Ealick *et al.* (1991); [73] Walter *et al.* (1995); [74] Zhu *et al.* (1999); [75] Futterer *et al.* (1998); [76] Meng *et al.* (1999); [77] Brandhuber *et al.* (1987); [78] Milburn *et al.* (1993); [79] Livnah *et al.* (1996); [80] Livnah *et al.* (1998); [81] Carrell *et al.* (1994); [82] Schreuder *et al.* (1994); [83] Skinner *et al.* (1997); [84] Skinner *et al.* (1998); [85] Muller *et al.* (1994); [86] Muller *et al.* (1996); [87] Banner *et al.* (1996); [88] Rao *et al.* (1995); [89] Padmanabhan *et al.* (1993); [90] Yee *et al.* (1994); [91] Mather *et al.* (1996); [92] Pratt *et al.* (1997); [93] Spraggan *et al.* (1997); [94] Mottonen *et al.* (1992); [95] Aertgeerts *et al.* (1995); [96] Xue *et al.* (1998); [97] Bode *et al.* (1989); [98] Rydel *et al.* (1990); [99] Rydel *et al.* (1994); [100] Laba *et al.* (1996); [101] Spraggan *et al.* (1995); [102] Bienkowska *et al.* (1997); [103] Huizinga *et al.* (1997); [104] Emsley *et al.* (1998); [105] Ciszak & Smith (1994); [106] Hubbard *et al.* (1994); [107] Hubbard (1997); [108] DeVos *et al.* (1992); [109] Schwabe *et al.* (1993); [110] Brzozowski *et al.* (1997); [111] Williams & Sigler (1998); [112] Somers *et al.* (1994); [113] Kannan *et al.* (1975); [114] He & Carter (1992); [115] Curry *et al.* (1998); [116] Simning *et al.* (1993); [117] Cameron *et al.* (1995); [118] Bruns *et al.* (1999); [119] Tskovsky *et al.* (1999); [120] Raghunathan *et al.* (1994); [121] Wilson *et al.* (1992); [122] Xie *et al.* (1998); [123] Thompson *et al.* (1997); [124] Radhakrishnan *et al.* (1996); [125] Muchmore *et al.* (1996); [126] Waksman *et al.* (1993).