

Integrins in GtoPdb v.2025.3

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Abstract

Integrins are unusual signalling proteins that function to signal both from the extracellular environment into the cell, but also from the cytoplasm to the external of the cell. The intracellular signalling cascades associated with integrin activation focus on protein kinase activities, such as focal adhesion kinase and Src. Based on this association between extracellular signals and intracellular protein kinase activity, we have chosen to include integrins in the 'Catalytic receptors' section of the database until more stringent criteria from NC-IUPHAR allows precise definition of their classification.

Integrins are heterodimeric entities, composed of α and β subunits, each 1TM proteins, which bind components of the extracellular matrix or counter-receptors expressed on other cells. One class of integrin contains an inserted domain (I) in its α subunit, and if present (in $\alpha 1$, $\alpha 2$, $\alpha 10$, $\alpha 11$, αD , αE , αL , αM and αX), this I domain contains the ligand binding site. All β subunits possess a similar I-like domain, which has the capacity to bind ligand, often recognising the RGD motif. The presence of an α subunit I domain precludes ligand binding through the β subunit. Integrins provide a link between ligand and the actin cytoskeleton (through typically short intracellular domains). Integrins bind several divalent cations, including a Mg^{2+} ion in the I or I-like domain that is essential for ligand binding. Other cation binding sites may regulate integrin activity or stabilise the 3D structure. Integrins regulate the activity of particular protein kinases, including focal adhesion kinase and integrin-linked kinase. Cellular activation regulates integrin ligand affinity *via* inside-out signalling and ligand binding to integrins can regulate cellular activity *via* outside-in signalling.

Several drugs that target integrins are in clinical use including: (1) [tirofiban](#) ($\alpha IIb\beta 3$) for short term prevention of coronary thrombosis (abciximab, the first clinically approved (1994) chimeric monoclonal antibody, is no longer available for clinical use), (2) [vedolizumab](#) ($\alpha 4\beta 7$) to reduce gastrointestinal inflammation, and (3) [natalizumab](#) ($\alpha 4\beta 1$) in some cases of severe multiple sclerosis. Drugs targeting multiple integrins are under investigation for the treatment of dry eye disease, *e.g.*, [risuteganib](#), an anti-integrin peptide [44].

Contents

This is a citation summary for Integrins in the [Guide to Pharmacology](#) database (GtoPdb). It exists purely as an adjunct to the database to facilitate the recognition of citations to and from the database by citation analyzers. Readers will almost certainly want to visit the relevant sections of the database which are given here under database links.

[GtoPdb](#) is an expert-driven guide to pharmacological targets and the substances that act on them. GtoPdb is a reference work which is most usefully represented as an on-line database. As in any publication this work should be appropriately cited, and the papers it cites should also be recognized. This document provides a citation for the relevant parts of the database, and also provides a reference list for the research cited by those parts. For further details see [9].

Please note that the database version for the citations given in GtoPdb are to the most recent preceding version in which the family or its subfamilies and targets were substantially changed. The links below are to the current version. If you need to consult the cited version, rather than the most recent version, please contact the GtoPdb curators.

Database links

Integrins

<https://www.guidetopharmacology.org/GRAC/FamilyDisplayForward?familyId=760>

Receptors

Complexes

integrin $\alpha 1\beta 1$

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=2577>

integrin $\alpha 2\beta 1$

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=2578>

integrin $\alpha IIb\beta 3$

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=2579>

integrin $\alpha 4\beta 1$

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=2580>

integrin $\alpha 4\beta 7$

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=2770>

integrin $\alpha 5\beta 1$

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=2581>

integrin $\alpha 6\beta 1$

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=2867>

integrin $\alpha 10\beta 1$

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=2868>

integrin $\alpha 11\beta 1$

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=2869>

integrin $\alpha E\beta 7$

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=2799>

integrin $\alpha L\beta 2$

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=2582>

integrin $\alpha V\beta 3$

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=2583>

Receptors and Subunits

integrin, alpha 1 subunit

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=2437>

integrin, alpha 2 subunit (CD49B, alpha 2 subunit of VLA-2 receptor)

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=2440>

integrin, alpha IIb subunit (platelet glycoprotein IIb of IIb/IIIa complex, antigen CD41)

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=2441>

integrin, alpha 3 subunit (antigen CD49C, alpha 3 subunit of VLA-3 receptor)

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=2442>

integrin, alpha 4 subunit (antigen CD49D, alpha 4 subunit of VLA-4 receptor)

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=2443>

integrin, alpha 5 subunit (fibronectin receptor, alpha polypeptide)

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=2444>

integrin, alpha 6 subunit

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=2445>

integrin, alpha 7 subunit

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=2446>

integrin, alpha 8 subunit

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=2447>

integrin, alpha 9 subunit

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=2448>

integrin, alpha 10 subunit

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=2438>

integrin, alpha 11 subunit

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=2439>

integrin, alpha D subunit

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=2449>

integrin, alpha E subunit (antigen CD103, human mucosal lymphocyte antigen 1; alpha polypeptide)

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=2450>

integrin, alpha L subunit (antigen CD11A (p180), lymphocyte function-associated antigen 1; alpha polypeptide)

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=2451>

integrin, alpha M subunit (complement component 3 receptor 3 subunit)

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=2452>

integrin, alpha V subunit

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=2453>

integrin, alpha X subunit (complement component 3 receptor 4 subunit)

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=2454>

integrin, beta 1 subunit (fibronectin receptor, beta polypeptide, antigen CD29 includes MDF2, MSK12)

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=2455>

integrin, beta 2 subunit (complement component 3 receptor 3 and 4 subunit)

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=2456>

integrin, beta 3 subunit (platelet glycoprotein IIIa, antigen CD61)

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=2457>

integrin, beta 4 subunit

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=2458>

integrin, beta 5 subunit

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=2459>

integrin, beta 6 subunit

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=2460>

integrin, beta 7 subunit

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=2461>

integrin, beta 8 subunit

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=2462>

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