Endocannabinoid turnover in GtoPdb v.2023.1

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Abstract

The principle endocannabinoids are 2-acylglycerol esters, such as 2-arachidonoylglycerol (2-AG), and N-acyylethanolamines, such as anandamide (N-arachidonylethanolamine, AEA). The glycerol esters and ethanolamides are synthesised and hydrolysed by parallel, independent pathways. Mechanisms for release and re-uptake of endocannabinoids are unclear, although potent and selective inhibitors of facilitated diffusion of endocannabinoids across cell membranes have been developed [29]. FABP5 (Q01469) has been suggested to act as a canonical intracellular endocannabinoid transporter in vivo [17]. For the generation of 2-arachidonoylglycerol, the key enzyme involved is diacylglycerol lipase (DAGL), whilst several routes for anandamide synthesis have been described, the best characterized of which involves N-acylphosphatidylethanolamine-phospholipase D (NAPE-PLD, [75]). A transacylation enzyme which forms N-acylphosphatidylethanolamines has been identified as a cytosolic enzyme, PLA2G4E (Q3MJ16) [66]. In vitro experiments indicate that the endocannabinoids are also substrates for oxidative metabolism via cyclooxygenase, lipoxygenase and cytochrome P450 enzyme activities [5, 24, 77].

Contents

This is a citation summary for Endocannabinoid turnover 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.

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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

Endocannabinoid turnover
https://www.guidetopharmacology.org/GRAC/FamilyDisplayForward?familyId=943
N-Acylethanolamine turnover
https://www.guidetopharmacology.org/GRAC/FamilyDisplayForward?familyId=273

Enzymes
NAPE-PLD (N-Acylphosphatidylethanolamine-phospholipase D)
https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=1398
FAAH (Fatty acid amide hydrolase)
https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=1399
FAAH2 (Fatty acid amide hydrolase-2)
https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=1401
NAAA (N-Acylethanolamine acid amidase)
https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=1402

2-Acylglycerol ester turnover
https://www.guidetopharmacology.org/GRAC/FamilyDisplayForward?familyId=944

Enzymes
DAGLα (Diacylglycerol lipase α)
https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=1396
DAGLβ (Diacylglycerol lipase β)
https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=1397
MAGL (Monoacylglycerol lipase)
https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=1399
ABHD2 (αβ-Hydrolase 2)
https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=3147
ABHD6 (αβ-Hydrolase 6)
https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=2919
ABHD12 (αβ-Hydrolase 12)
https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=3070

References


64. Ogasawara D, Ichi TA, Jing H, Hulce JJ, Reed A, Ulanovskaya OA and Cravatt BF. (2019) Discovery and Optimization of Selective and in Vivo Active Inhibitors of the Lysophosphatidylserine Lipase α/β-


