

Pharmacologically Active Metabolites

Sometimes a pharmaceutical compound is formulated as an inactive precursor (a pro-drug) that is designed to be bio-transformed in the body into the active form, called the pharmacologically active metabolite, responsible for the pharmacological action. Therefore, the active metabolites are used as promising tools to study the pharmacological actions of the corresponding compounds. Furthermore, the active metabolites have recently been used as payloads for the design of antibody drug conjugates (ADCs).

References

Pharmacologically Active Metabolites of Currently Marketed Drugs: Potential Resources for New Drug Discovery and Development
M. J. Kang, J. Lee, *et al.*, *YAKUGAKU ZASSHI (J. Pharm. Soc. Jpn.)* **2010**, 130, 1325. <https://doi.org/10.1248/yakushi.130.1325>

Pharmacologically Active Drug Metabolites: Impact on Drug Discovery and Pharmacotherapy
R. S. Obach, *Pharmacol. Rev.* **2013**, 65, 578. <https://doi.org/10.1124/pr.111.005439>

Pharmacologically Active Metabolites	Prodrugs
Abiraterone 20mg / 200mg [A2868] A Selective Inhibitor of the Steroidogenic Enzyme Cytochrome P450 17A1 (CYP17A1)	Abiraterone Acetate 200mg / 1g [A2891]
Acitretin 50mg / 250mg [A3097] A Retinoic Acid Derivative	Etretinate 50mg / 250mg [E1293]
Azilsartan 200mg / 1g [A2601] A Strong Angiotensin II Type 1 (AT ₁) Receptor Antagonist	Azilsartan Medoxomil
Calcitriol The Active Form of Vitamin D ₃	Cholecalciferol (= Vitamin D₃) 1g [C0314]
Dihydroartemisinin 1g / 5g [D3793] An Antimalarial Agent	Artesunate 5g / 25g [A2191]
O-Desmethylenlafaxine 1g / 5g [D5598] A Serotonin/Norepinephrine Reuptake Inhibitor (SNRI)	Venlafaxine Hydrochloride 1g / 5g [V0110]
7-Ethyl-10-hydroxy-camptothecin (= SN-38) A Topoisomerase-I Inhibitor 100mg / 1g [E0748]	Irinotecan Hydrochloride Trihydrate (= CPT-11 Trihydrate) 100mg [I0714]
Enalaprilat An ACE Inhibitor	Enalapril Maleate 1g / 5g [E1010]
5-Fluorouracil (= 5-FU) 5g / 25g [F0151] An Antitumor Antimetabolite	Capecitabine 1g / 5g [C2878] Doxifluridine 1g / 5g [D3579] Carmofur 5g [C2663] Tegafur 5g / 25g [F0635]

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Hydroxyflutamide 25mg / 100mg [H1600] A Non-Steroidal Androgen Receptor Antagonist	Flutamide 5g / 25g [F0663]
Mycophenolic Acid 1g [M2216] An Inhibitor of Inosine Monophosphate Dehydrogenase (IMPDH)	Mycophenolate Mofetil 100mg / 1g [M2387]
Norlidocaine Hydrochloride 25mg / 100mg [N1171] A Voltage Gated Sodium Channel Blocker	Lidocaine 25g / 100g / 500g [L0156]
Olmesartan 100mg [O0507] A Selective AT ₁ Subtype Angiotensin II Receptor Antagonist	Olmesartan Medoxomil 1g / 5g [O0510]
Paliperidone 200mg / 1g [P1897] An Antagonist against Dopamine D ₂ Receptor and Serotonin 5-HT ₂ Receptor	Risperidone 50mg / 500mg [R0087]
Roflumilast N-Oxide 5mg / 25mg [R0217] A Selective Phosphodiesterase 4 (PDE4) Inhibitor	Roflumilast 250mg / 1g [R0193]
Tenofovir 1g / 5g [T3006] A Nucleotide Reverse Transcriptase (RT) Inhibitor	Tenofovir Disoproxil Fumarate 1g [T4062]
Teriflunomide 100mg / 1g [T3287] A Pyrimidine Nucleotide Synthesis and Tyrosine Phosphorylation Inhibitor	Leflunomide 200mg / 1g [L0250]
Tizoxanide 25mg / 100mg [T3862] A Thiazolide Antiprotozoal and Antiviral Agent	Nitazoxanide 200mg / 1g / 5g [N1031]
6-Mercaptopurine Monohydrate (= 6-MP) 1g / 5g [M0063] An Inhibitor of Purine Ribonucleotides and DNA/RNA Synthesis	Azathioprine 5g / 25g [A2069]

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