

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, W. H. Song, B. H. Shim, S. Y. Oh, H. Y. Lee, E. Y. Chung, Y. Sohn, J. Lee, *YAKUGAKU ZASSHI (J. Pharm. Soc. Jpn.)* **2010**, 130, 1325.
- Pharmacologically Active Drug Metabolites: Impact on Drug Discovery and Pharmacotherapy
R. S. Obach, *Pharmacol. Rev.* **2013**, 65, 578.

| 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 50m / 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 1mg [C3078] The Active Form of Vitamin D ₃ | Cholecalciferol (=Vitamin D₃) 1g / 5g [C0314] |
| Dihydroartemisinin 1g / 5g [D3793] An Antimalarial Agent | Artesunate 5g / 25g [A2191] |
| O-Desmethylvenlafaxine 1g / 5g [D5598] A Serotonin/Norepinephrine Reuptake Inhibitor (SNRI) | Venlafaxine Hydrochloride 1g / 5g [V0110] |
| 7-Ethyl-10-hydroxy-camptothecin (=SN-38) 100mg / 1g [E0748] A Topoisomerase-I Inhibitor | Irinotecan Hydrochloride Trihydrate (=CPT-11 Trihydrate) 100mg [I0714] |
| Enalaprilat Dihydrate 50mg / 250mg [E1302] 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 Hydrate 1g / 5g [T3006] A Nucleotide Reverse Transcriptase (RT) Inhibitor | Tenofovir Disoproxil Fumarate |
| 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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