

药理活性代谢产物

药物化合物有时被配制成非活性前体（前药），然后在体内生物转化为活性形式，负责药理作用，称为药理活性代谢产物。因此，活性代谢产物在研究相应化合物药理作用上是有潜力的工具。此外，近期活性代谢产物作为有效载荷物已被用作设计抗体药物偶联物（ADCs）。

参考文献

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.

药理活性代谢产物	前药
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 Thiazolidine 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]

仅用于实验研究。

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