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Pharmacokinetics, Tissue Distribution, Excretion, and Metabolism of a Novel Antitumor Agent, Gambogenic Acid, in Rats

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***SUMMARY*. The plasma pharmacokinetics, tissue distribution, excretion, and metabolism of gambogenic acid (GNA), potential antitumor candidate, were investigated in rats. GNA showed linear pharmacokinetic characteristics in rats within the test dose (1, 2, and 4 mg/kg). The $t_{1/2\beta}$ was 40.38-41.16 min. GNA showed an extensive distribution into multiple tissues, and the bile excretion is the major pathway of excretion, accounting for 52.12 %. About 40 % of GNA might undergo metabolism *in vivo* and the main phase I metabolites of GNA may be 10-hydroxygambogenic acid and 9,10-epoxygambogenic acid.**

KEY WORDS: Excretion, Gambogenic acid, Metabolism, Pharmacokinetics, Tissue distribution.

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