

Interaction of a Novel All-Trans Retinoic Acid Derivative with Bovine Serum Albumin and Human Plasma Protein Studied by Gel Filtration (Sephadex LH-20) and Fluorescence Quenching Method

Jihui TANG ^{1,2}, Jing YAO ^{2,3}, Ayman Y. WADDAD ^{2,3}, Jianping ZHOU ^{2,3*} & Feihu CHEN ^{1*}

¹ College of Pharmacy, Anhui Medical University, 81 Meishan Road, Hefei 230032, China

² Department of Pharmaceutics, China Pharmaceutical University,
24 Tongji Xiang, Nanjing 210009, China

³ State Key Laboratory of Natural Medicines, China Pharmaceutical University,
24 Tongji Xiang, Nanjing 210009, China

SUMMARY. ATPR (4-amino-2-trifluoromethyl-phenyl retinate) was derived from all-trans retinoic acid (ATRA). In order to make a primary estimation on the possible interaction between carrier proteins and ATPR or ATRA *in vivo*, the two drugs' binding behaviors to bovine serum albumin (BSA) were analyzed with fluorescence quenching method, and also, their human plasma protein-binding rates were tested with a novel method of gel filtration (Sephadex LH-20). The experimental results showed that while the attraction between ATPR and BSA was mainly due to electrostatic force, attractions between ATRA and BSA came from both the electrostatic and van der Waals forces. The results also confirmed that the fluorescence quenching of the BSA-ATRA and -ATPR was mainly static quenching, with the quenching constant K_a of ATRA-BSA being 30 times more than that of ATPR-BSA. In addition, both the plasma protein-binding rates of ATRA and ATPR to human plasma were higher than 90%.

KEY WORDS: All-trans retinoic acid (ATRA), 4-amino-2-trifluoromethyl-phenyl retinate (ATPR), fluorescence spectroscopy, Gel filtration, Plasma protein-binding rate, Quenching constant.

* Author to whom correspondence should be addressed. Jianping Zhou *E-mail*: zhoujpcpu@126.com

* Author to whom correspondence should be addressed. Feihu Chen *E-mail*: cfhchina@sohu.com