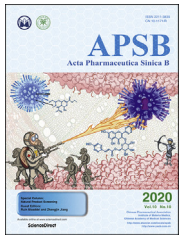
Acta Pharmaceutica Sinica B 2020;10(10):1798−1799

Chinese Pharmaceutical Association
Institute of Materia Medica, Chinese Academy of Medical Sciences

Acta Pharmaceutica Sinica B

www.elsevier.com/locate/apsb
www.sciencedirect.com



Editorial of Special Column "Natural Product Screening"

Nature has produced a rich diversity of structurally complex compounds or secondary metabolites with a wide variety of biological activities. Natural products have long been exploited for therapeutic purposes in traditional medicines around the world. Recently, it was reported almost half of the 1562 new approved drugs introduced between 1981 and 2014 are natural products or derived from natural products or biological[1]. Therefore, the identification of active components from natural products can provide potential therapeutics in drug discovery. A limitation, however, lies in the complexity of natural products including the dynamic range of the secondary metabolites. Traditional bioassay-guided fractionation approaches, the most commonly used approach, involve repeated fractionation and biological activity screening before obtaining single bioactive compounds. While successful, this technique is labor intensive and time consuming. As a result, in the last 20−30 years, several methods have been developed to increase the throughput or decrease the complexity for the isolation of active components from natural products. In this special column, prominent scientists were invited to publish their most recent advances in the development of natural products screening technologies.

Bioaffinity-based methods, including biological chromatography, affinity electrophoresis, affinity mass spectroscopy, magnetic beads, ultrafiltration and optical methods, etc., can fish out the active components from complex natural products because of the specific affinity between target and components, reducing the complexity of the natural product. The review article by Wang and coworkers[2] reviewed the recent technological advances (2015−2019) related to the separation and screening bioactive components from natural resources, especially the emerging screening methods based on bioaffinity techniques. Dr. Calleri and colleagues[3] review articles provides an in depth review of natural products as a source of potential therapeutic treatments in cancer targeting the Wnt/b-catening signaling pathway. Dr. Tian et al.[4] employed a magnetic beads-based, where neuraminidase coated magnetic beads (NA-MB) were successfully used to 'fish out' neuraminidase inhibitors from mockstrawberry (*Duchesnea indica* Andr.), with one compound displaying NA inhibitory activities in both the oseltamivir sensitive and resistant viral NA. Dr. Chen et al.[5], using a cell membrane chromatography technique, developed a 3-mercaptopropyltrimethoxysilane (MPTS)-modified bone marrow mononuclear cell membrane chromatography for screening anti-osteoporosis components from Scutellariae Radix. Through covalent binding with cell membrane fractions, the life span of the MPTS-modified CMC columns was significantly improved. The proposed two-dimensional MPTS-modified BMMC/CMC-TOFMS analytical system successfully isolated six active components with tectochrysin exhibiting anti-osteoporosis effects *in vitro* and *in vivo*. Dr. Kool[6], using nanofractionation, which integrates high-resolution bioassay with LC–MS, studied the neutralising effects of nanofractionated coagulopathic Crotalinae snake venoms and found that anticoagulant venom toxins were mostly identified as phospholipases A2 inhibitors, while procoagulant venom activities were mainly associated with snake venom metalloproteinases and snake venom serine proteinases. In summary, we hope that the special column will provide novel insight and new approaches that are emerging to tackle the challenges in natural product screening.

References

1. Newman DJ, Cragg GM. Natural products as sources of new drugs from 1981 to 2014. *J Nat Prod* 2016;**79**:629−61.
2. Hou X, Sun M, Bao T, Xie X, Wei F, Wang S. Recent advances in screening active components from natural products based on bioaffinity techniques. *Acta Pharm Sin B* 2020;**10**:1800−13.
3. Sferrazza G, Corti M, Brusotti G, Pierimarchi P, Temporini C, Serafino A, et al. Nature-derived compounds modulating Wnt/β-catenin pathway: a preventive and therapeutic opportunity in neoplastic diseases. *Acta Pharm Sin B* 2020;**10**:1814−34.
4. Luo S, Guo L, Sheng C, Zhao Y, Chen L, Li C, et al. Rapid identification and isolation of neuraminidase inhibitors from mockstrawberry (*Duchesnea indica* Andr.) based on ligand fishing combined with HR-ESI-Q-TOF-MS. *Acta Pharm Sin B* 2020;**10**:1846−55.
5. Gu Y, Chen X, Wang Y, Liu Y, Zheng L, Li X, et al. Development of 3-mercaptopropyltrimethoxysilane (MPTS)-modified bone marrow mononuclear cell membrane chromatography for screening anti-osteoporosis components from Scutellariae Radix. *Acta Pharm Sin B* 2020;**10**:1856−65.

Peer review under responsibility of Chinese Pharmaceutical Association and Institute of Materia Medica, Chinese Academy of Medical Sciences.

https://doi.org/10.1016/j.apsb.2020.10.003

6. Xie C, Slagboom J, Albulescu LO, Somsen GW, Vonk FJ, Casewell NR, et al. Neutralising effects of small molecule toxin inhibitors on nanofractionated coagulopathic Crotalinae snake venoms. *Acta Pharm Sin B* 2020;**10**:1835−45.

Ruin Moaddel
National Institute on Aging, National Institutes of Health, Baltimore, MD 21224, USA
E-mail address: moaddelru@mail.nih.gov

Zhengjin Jiang
College of Pharmacy, Jinan University, Guangzhou 510632, China
E-mail address: jiangzhengjin@jnu.edu.cn