Integrative Cancer Science and Therapeutics

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Progress of novel compounds with anticancer activity

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Cancer is one of the major causes of human death worldwide. The death caused by cancer mainly is lung cancer, breast cancer, liver cancer, carcinoma of colon and rectum. It is estimated that about 1,688,780 new cancer cases will be diagnosed in the United States in 2017 and 600,920 cancer cases are expected to die, which is about 1,650 people per day. For all sites combined, the cancer incidence rate is 20% higher in men than in women, while the cancer death rate is 40% higher [1]. It has been reported that 4,292,000 new cancer cases and 2,814,000 cancer deaths occurred in 2015 in China, with lung cancer being the most common incident cancer and the leading cause of cancer death. Stomach, esophageal, and liver cancers were also commonly diagnosed and were identified as leading causes of cancer death [2].

Some drugs have been available in the market for treatment cancer and several compounds are in different phases of clinical trials [3]. However, cancer chemotherapy is still highly inadequate. Thus it is urgent to develop novel anticancer agents.

In recent years, our research group focus on the design and synthesis of novel structures of anticancer compounds based on the quinazoline, thieno[2,3-d]pyrimidine and ferrocene cores, and we have achieved wonderful results [4]. We synthesized over 200 new compounds (Figure 1) and evaluated their in vitro anticancer activity. The results showed that most compounds exhibited the higher anticancer activity than that of the reference drug gefitinib. The excellent results showed in table 1. Some compounds can be regarded as the lead compounds or drug candidates for development of anticancer agents.

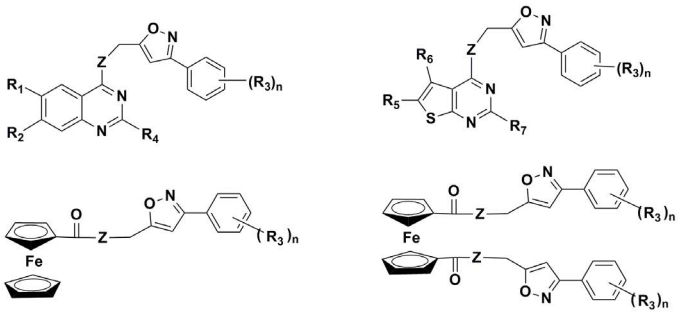
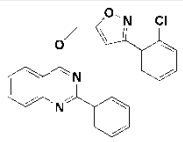
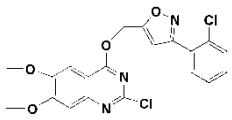
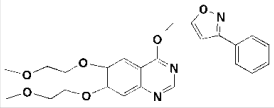
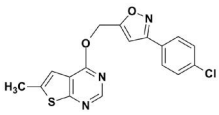
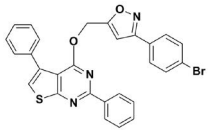
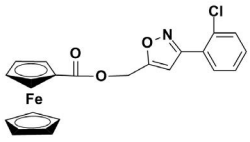


Figure 1. Structures of newly synthesized compounds by us.

Table 1. Anticancer activity of the most potent compounds.

Structures	*In vitro* anticancer activity (IC_{50}/μM)		
	A549	HCT116	MCF-7
Gefitinib	17.90	21.55	20.68
	13.29	77.05	42.82
	1.04	58.90	1.99×10^{-4}
	4.26	3.92	0.14
	2.79	6.69	4.21×10^{-3}
	6.35×10^{-2}	0.40	1.23×10^{-4}
	7.47×10^{-4}	3.65×10^{-3}	no activity

Acknowledgements

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