NEW CONTRIBUTIONS OF DISSERTATION

Dissertation title	**Synthesis and bioactive evaluation of some sulfonylurea derivatives**
Major	: Organic chemistry
Code	: 9 44 01 14
By	: Bui Thi Thoi
Course	: 2015- 2020
Supervisor	: Assoc. Prof. Ngo Dai Quang
Co- supervisor	: Assoc. Prof. Tran Van Loc
Training organizations	: Vietnam Institute of Industrial Chemistry

New contributions of the thesis:

1. Thirty sulfonylurea derivatives were synthesized, among them 22 new derivatives, such as **92(a-e), 92h, 92(m-n), 92(p-q), 94(a-i)** and **96(d, e, g).**

2. Evaluated for *in vitro* α-glucosidase inhibition activity of synthesized **sulfonylurea derivatives.** Seven compounds (**92d**, **92h, 92k, 92 m, 92n, 94e and 94g**) showed potent α- glucosidase inhibitory effect by *in vitro* method, either the same or higher than glipizide. Among them, four compounds **92h, 92n, 92k and 94e** with IC50 = 5.58 µM, 79.85 µM, 226.03 µM and 213,36 µM, respectively. These results demonstrated that they are much better activity than the drug acarbose (IC50 =268.29 µM. Especially, compound **92h** has the highest activity with an IC_{50} value of 5.58 µM, 48 times much better than the standard drug acarbose (IC_{50} =268.29 µM), and **92n** is 3.4 times better than the positive control of acarbose.

3. Evaluated *in vitro* inhibition activity of nitric oxide (NO) production *of* ten sulfonylureas (**92c, 92d, 92l, 92m, 92n, 92h, 92k, 94e, 96h and glipizide**). Compound **92c** exhibited the most potent NO production inhibitor activity with an IC_{50} value of 73.83 µM, 2.27 times higher than glipizide (IC_{50} =168,07 µM).

4. Concluded about the structural activity relationship (SAR) of synthesized sulfonylureas. Basically, sulfonylureas containing either hydroxy group at *para*-position of phenyl ring, or pyrazine moiety significantly increased their activity and played an important role in inhibitory activity of α-glucosidase. Besides, the introduction of the nitro group into the aromatic ring at *N*-ureyl unit of sulfonylurea led to increase the α-glucosidase inhibitory activity.

Hanoi, March 11th, 2021

Supervisor's signature | Candidate's signature

Assoc. Prof. Ngo Dai Quang Assoc. Prof. Tran Van Loc Bui Thi Thoi