Ashraf Kareem El-Damasy

PERSONAL INFORMATION

Birth date: 20/9/1984

Address: Mansoura University, Mansoura 35516, Dakahlia Governorate, Egypt

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Current position Assistant Professor (Lecturer) of medicinal chemistry, Faculty of Pharmacy, University of Mansoura, Egypt

EDUCATION

Korea University of Science and Technology (UST) Daejeon, South Korea
PhD, Biological Chemistry 2012–2016
Dissertation: *Design, Synthesis and Biological Evaluation of Novel Quinoline and Benzothiazole Derivatives as Potential Anticancer Agents*

University of Mansoura Mansoura, Egypt
MS, Medicinal Chemistry 2007–2011
Dissertation: *Design and Synthesis of Certain Heterocyclic Nitrogenous Compounds as Potential Antiviral Agents*

University of Mansoura Mansoura, Egypt
BS, Pharmaceutical Sciences 2001–2006
Excellent with honor, 3rd achiever

RESEARCH EXPERIENCE

Korea Institute of Science and Technology (KIST) Seoul, South Korea
Visiting Scientist; Advisor: Prof. Gyochang Keum April 2018–July 2018
Structure guided design of novel indazoles as potent BCR-ABL kinase inhibitors for treatment of Chronic Myeloid Leukemia (CML)

- *Molecular docking study of the designed compounds.*
- *Development of the appropriate synthetic routes and synthesis of target compounds.*
- *In vitro biochemical assays at reaction biology corporation (RBC, USA).*
- *In vitro evaluation of anti-proliferative activity against NCI-60 cell line panel (DTP, USA).*

University of Mansoura Mansoura, Egypt
Co-supervisor of PhD and Master students 2017–Present

Design and synthesis of new heterocyclic compounds as HDACs inhibitors for treatment of cancer.

Novel anti-inflammatory 2,3,4-trisubstituted thiophenes as cyclooxygenase (COX) inhibitors: Design, Synthesis, Biological evaluation, and Molecular docking.

Korea Institute of Science and Technology (KIST) Seoul, South Korea
Postdoctoral Fellow; Advisor: Prof. Gyochang Keum 2016–2017

Discovery of **KK5101**: A novel tropomyosin-related kinase A (TrkA) inhibitor for treatment of pancreatic cancer.

- *Synthesis of KK5101 and other related congeners.*
- *In vitro biochemical and Cell based assays of the target compounds & SAR analysis.*
- *Scale-up of KK5101 for further biological evaluation (MIAPaCa-2 xenograft mouse models).*

Identification of new trimethoxyphenylthiazoles as potent antitumor chemotherapeutic agents with dual antimitotic and protein kinase inhibitory effects.

- *Design of the appropriate synthetic routes and synthesis of target compounds.*
- *Cell based assay of the target compounds over NCI 60-cell line panel (DTP, USA).*
- *In vitro kinase screening & various mechanistic studies of the most potent compounds.*

Discovery of **KK9214**: A new fused benzothiazole derivative with potent antiproliferative activity and highly selective FLT3 kinase inhibitory activity.

- *ADME-Tox prediction of the proposed fused benzothiazoles.*
- *Design of the appropriate synthetic routes and synthesis of target compounds.*
- *Cell based assay of the target compounds over NCI 60-cell line panel (DTP, USA).*
- *In vitro kinase screening & toxicity profile of KK9214.*

Korea Institute of Science and Technology (KIST) Seoul, South Korea
Graduate researcher (PhD candidate); Advisor: Prof. Gyochang Keum 2012–2016

Extensive SAR studies of various quinolone and benzothiazoles featuring pyridylamide moiety as potent inhibitors of certain oncogenic protein kinases.

- *Validation of the design hypothesis by molecular docking simulations.*
- *Design of the appropriate synthetic routes and preparation of target compounds.*
- *Extensive in vitro biochemical and Cell based assays of the target compounds.*
- *Examination of the toxicity profile of the best compounds (normal cell lines, hERG, CYP).*

University of Mansoura Mansoura, Egypt
Graduate researcher (Master student); Advisor: Prof. Magda El-Sherbeny 2007–2011

Design, synthesis and biological evaluation of Nevirapine analogues as antiviral agents.

- *Design of the proper synthetic routes and preparation of target compounds.*

RELATED RESEARCH SKILLS

- *Application of both ligand based and structure guided drug design.*
- *Using the recent trends of synthetic organic chemistry for synthesis of biologically active small molecules.*
- *Biological evaluation of bioactive molecules.*

- *Purification of products from reaction mixtures both by simple solvent crystallization and advanced chromatographic techniques.*
- *Structural elucidation using various spectroscopic techniques (MS, IR, ^{1}H NMR,^{13}C NMR, & 2D NMR such as COSY, NOESY, HMBC, and HMQC).*
- *Experience in operating and interpretation of results obtained from: NMR spectrometers, HPLC, IR instruments, Microwave reactors.*
- *In silico ADME-Tox studies.*
- *Molecular modeling simulations (Discovery Studio, MOE).*
- *Scifinder Scholar & Reaxys databases search.*
- *Writing of regular projects' reports and manuscripts for papers submission.*

TEACHING EXPERIENCE

University of Mansoura Mansoura,Egypt

Course instructor, Med. Chem. Various approaches of Lead optimization Feb.2018 ~ Mar. 2018

Course instructor, Med. Chem. Antibiotics & Antifungal agents Feb.2018 ~ Mar. 2018

Course instructor, Med. Chem. Drug regulations Nov. 2017 ~Jan.2018

Course instructor, Med. Chem. Drugs affecting cardiovascular system Nov.2017 ~ Dec.2017

Teaching Assistant, Practical courses Jan. 2007 ~ Jul. 2012

- *Sketching of 2D & visualization of 3D structures of compounds.*
- *Computer-aided drug design.*
- *Qualitative & Quantitative determination of pharmaceutical preparations/mixtures.*
- *Case studies (Applications of medicinal chemistry concepts).*

RELATED PROFESSIONAL EXPERIENCE

- *"References management (Endnote)" workshop (October 1-2, 2017).*
- *"Writing of scientific essay" workshop (April 9-10, 2017).*
- *"Statistics skills" workshop (April 2-3, 2017).*
- *"International and domestic competitive research projects" workshop (March 19-20, 2017).*
- *"Organizing the scientific conferences" workshop (July 24-25, 2017).*
- *"Preparation of the University professor" workshop (July 19-24, 2017).*
- *"The behaviors of the profession" workshop (July 8-10, 2017).*
- *"Time management and meetings" workshop (January 23-26, 2011).*
- *"The ethics of scientific research" workshop (December 19-21, 2010).*
- *"Quality standards in the teaching process" workshop (May 2-4, 2010).*
- *"Academic publication" workshop (February 28- March 2nd, 2010).*
- *"Communication skills in various modes of education" workshop (June 21-23, 2009).*
- *"Effective presentation" workshop (August 10-12, 2008).*
- *"Spectral Nuclear Magnetic Resonance (NMR)" workshop (August 30-31, 2010).*
- *"Computer aided drug design" workshop (February 7-8, 2010).*
- *"NMR for non-spectroscopists" Part II " FT NMR and 2D-Domain" workshop (February 10-11, 2008).*

CONFERENCE PRESENTATIONS

* *N*-Methylpicolinamide Tethered Benzothiazole*: A Privileged scaffold for design of selective and multi-targeted protein kinase inhibitors, 1st International Conference of Pharmaceutical Sciences (MU-PHARM 2017), Mansoura University, April 9–11, 2017* (Oral presentation**)**.

* Pyridylamide based ureidobenzothiazoles: Identification of new RAF kinase inhibitors with improved anticancer activity, *EFMC-ISMC 2016 (XXIV EFMC International Symposium on Medicinal Chemistry), Manchester, UK - August 28 - September 1, 2016* (Poster presentation**)**.

* Targeting of various oncogenic kinases by structural modifications of 6-oxypyridylamide benzothiazole scaffold, *117th meeting of Korean Chemical Society, KINTEX, Goyang*, April 20–22, 2016 (Oral presentation**)**.

* Discovery of a potent broad spectrum antiproliferative benzothiazole derivative with nanomolar multikinase inhibitory activity, *251st American Chemical Society (ACS) national meeting & expositions, San Diego, USA*, March 13–17, 2016 (Poster presentation**)**.

* Design, synthesis and in-vitro anticancer activity of new pyridylamide based 2-amido and ureido quinoline derivatives with selective C-RAF kinase inhibitory effect, *16th Tetrahedron Symposium Asia Edition (Challenges in Bioorganic & Organic Chemistry), Shanghai, China*, November 10–13, 2015 (Poster presentation**)**.

* Design, synthesis, in vitro antiproliferative activity and kinase profile of new picolinamide based 2-amido and ureido quinoline derivatives, *2015 UST Conference, Daejeon, Korea*, November 5–6, 2015 (Oral presentation).

* Design And Synthesis of new potent anticancer benzothiazoles with dual $BRAF^{V600E}$and C-RAF Kinase Inhibitory Activity, *AIMECS 2015(10th AFMC International Medical Chemistry Symposium, (Innovative Approaches for Drug Discovery & Development) Jeju, Korea*, October 18–21, 2015 (Poster presentation**)**.

* Structure modifications of Nexavar central ring: Towards improved cellular anticancer potency and selective kinase profile, *The 116th meeting of Korean Chemical Society, EXCO, Dongdaegu*, October 14–16, 2015 (Oral presentation).

* Rational Design, Synthesis And In-Vitro Anticancer Activities Of New Anilinoquinolines As Conformationally Restricted Sorafenib Congeners With Potential RAF Kinase Inhibitory Effects, *The 116th meeting of Korean Chemical Society, EXCO, Dongdaegu*, October 14–16, 2015 (Poster presentation).

* Design, synthesis, and biological evaluation of novel pyridylamide possessing benzothiazoles as potent ABL-Kinase inhibitors, *IUPAC 2015, Busan (45th IUPAC World Chemistry Congress) Bexco, Busan, Korea*, August 9–14, 2015 (Poster presentation**)**.

* Novel 2,5-disubstituted quinolines as potential anticancer agents : Design, synthesis, in-vitro antiproliferative activity and kinase profile, *The 115th meeting of Korean Chemical Society, KINTEX, Goyang*, April 15–17, 2015 (Oral presentation**)**.

* Novel anticancer quinolines with selective TrKA inhibitory activity, *The 114th meeting of*

Korean Chemical Society, Kimdaejung Convention Center, Gwangju, October 15–17, 2014 (Poster presentation**)**.

* Design, synthesis, in-vitro antitumor activity and kinase profile of new quinoline urea and amide derivatives, *2014 UST Conference, Daejeon, Korea*, September 25–26, 2014 (Oral presentation**)**.

* Design, synthesis, in-vitro antitumor activity and kinase profile of new quinoline urea and amide derivatives, *2014 UST Conference, Daejeon, Korea*, September 25–26, 2014 (Poster presentation**)**.

PEER-REVIEWED PUBLICATIONS

1. Zhenghuan Fang, Boreum Han, Ju-Hyeon Lee, **Ashraf K. El-Damasy,** Changdev G. Gadhe, Kyung Hee Jung, Soo J. Kim, Hong H. Yan, Jeong H. Park, Ae Nim Pae, Gyochang Keum, *A Novel Tropomyosin-Related Kinase A Inhibitor, KK5101 to Treat Pancreatic Cancer*, ***Cancer letters,*** 426 **(2018)** 25-36.

2. Ashraf K. El-Damasy, Seon H. Seo, Nam-C. Cho, Ae N. Pae, Eunice E. Kim, Gyochang Keum,*Design and synthesis of new 2-anilinoquinolines bearing N-methylpicolinamide moiety as potential antiproliferative agents*. ***Chem. Biol. Drug Des.***, 89 (**2017**), 98–113.

3. Ashraf K. El-Damasy, Nam-C.Cho, Ghilsoo Nam, Ae N. Pae, Gyochang Keum, *Discovery of a Nanomolar Multikinase Inhibitor (KST016366): A New Benzothiazole Derivative with Remarkable Broad-Spectrum Antiproliferative Activity*. ***ChemMedChem***, 11 (**2016**), 1587–1595.

4. Ashraf K. El-Damasy, Nam-C. Cho, Ae N. Pae, Eunice E. Kim, Gyochang Keum,*Novel 5-substituted-2-anilinoquinolines with 3-(morpholino or 4-methylpiperazin-1-yl)propoxy moiety as broad spectrum antiproliferative agents: Synthesis, cell based assays and kinase screening*, ***Bioorg. Med. Chem. Lett.***101 (**2016**) 754–768.

5. Ashraf K. El-Damasy, Ju-H. Lee, Seon H. Seo, Nam-C. Cho, Ae N. Pae, Gyochang Keum,*Design and synthesis of new potent anticancer benzothiazole amides and ureas featuring pyridylamide moiety and possessing dual B-Raf^{V600E} and C-Raf kinase inhibitory activities*, ***Eur. J. Med. Chem.***115 (**2016**) 201–216.

6. Ashraf K. El-Damasy, Seon H. Seo, Nam-C. Cho, Ae N. Pae, Key-S. Kim, Gyochang Keum,*Design, synthesis, in-vitro antiproliferative activity and kinase profile of new picolinamide based 2-amido and ureido quinoline derivatives*, ***Eur. J. Med. Chem.***101 (**2015**) 754–768.

7. Ashraf K. El-Damasy, Nam-C. Cho, Soon B. Kang, Ae N. Pae, Gyochang Keum, *ABL kinase inhibitory and antiproliferative activity of novel picolinamide based benzothiazoles*, ***Bioorg. Med. Chem. Lett.*** 25 (**2015**) 2162–2168.

8. Ashraf K. El-Damasy, Mohammed M. El-Kerdawy, Magda A. El-Sherbeny, *Synthesis and Biological Evaluation of Novel Fused 1,5-Benzodiazepine Derivatives as Antiviral Agents*", ***Mans. Journal. Pharm. Sci***., 27 (**2011**), 20-30.

Submitted manuscripts

Ju-Hyeon Lee*, **Ashraf K. El-Damasy* (Co-first author)**, Seon Hee Seo, Changdev G. Gadhe, Ae Nim Pae, Nakcheol Jeong, Soon-Sun Hong, Gyochang Keum, *Novel 5,6-disubstituted pyrrolo[2,3-d]pyrimidine derivatives as broad spectrum antiproliferative agents: Synthesis, cell based assays, kinase profile and molecular docking study*, Submitted to ***Bioorg. Med. Chem***, Under review.

PATENTS

1. G. Keum, **Ashraf Kareem**, J.-H. Lee, A.N. Pae, S.B. Kang, S.-J. Min, S.H. Seo, Ureidobenzothiazole Derivatives And Pharmaceutical Compositions For Preventing Or Treating Cancer Containing The Same, Application # 10-2015-0118017, application date 2015.08.21, Korean patent.

2. G. Keum, S.B. Kang, A.N. Pae, G. Nam, K.E. Kyung, S.H. Seo, **Ashraf Kareem**, J.-H. Lee, Novel quinolone derivatives and pharmaceutical compositions for preventing and treating cancer containing the same, Application # 10-2016-0075019, application date 2016.06.16, Korean patent.

3. G. Keum, J.-H. Lee, **Ashraf Kareem**, J.-H. Lee, Novel pyrrolo[2,3-*d*]pyrimidin-4-one derivatives and compositions for preventing or treating cancer containing the same, *Application is in process*.

AWARDS

1) The best oral presentation award (Medicinal chemistry), 1st International Conference of Pharmaceutical Sciences (MU-PHARM 2017), Mansoura University, April 9–11, 2017.

2) UST prize for 1st Overseas Exchange Program, 2016 (scholarship for participation in the 251st American Chemical Society (ACS) national meeting & expositions, San Diego, USA, March 13–17, 2016).

3) The best oral presentation award (Pharmaceutical session), University of Science and Technology (UST) conference, September 25, 2014.

Scientific collaboration

KIST School Partnership Project with Mansoura university for academic year 2017/2018.

References

Gyochang Keum, PhD

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Hyunah Choo, PhD

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Magda El-Sherbeny, PhD

Professor of Medicinal Chemistry Department, Faculty of pharmacy, Mansoura University, Egypt, Dean of Delta University of Science and Technology, Egypt. +201006172832 magda_m20@yahoo.com