Nashik Gramin Shikshan Prasarak Mandal's,
College of Pharmacy
Brahma valley educational campus,
Anjaneri, Nashik – 422 213
Phone No. : (02594) 220146, 220147

DVV Clarification

Key Indicator 3.3 Research Publications and Awards

Metric No. 3.3.1: Number of research papers published per teacher in the Journals notified on UGC care list during the last five years

DVV Findings

- Provide the link landing to research paper
- Provide the link to journal website
- Provide URL of content page in case of print journal

DVV Clarification

- Link landing to research paper
- Link landing to journal website
- URL of content page in case of print journal

  All above information is provided in attachment (page no 2)

NGSPM's College of Pharmacy BRAHMA VALLEY EDUCATIONAL CAMPUS Anjaneri, Tal. Trimbak, Dist. Nashik

PRINCIPAL
NSGPM's College of Pharmacy
Brahma Valley Educational Campus
Trimbak Road, Anjaneri, Nashik-422 213

Nashik Gramin Shikshan Prasarak Mandal's,
College of Pharmacy
Brahma valley educational campus,
Anjaneri, Nashik – 422 213
Phone No. : (02594) 220146, 220147

3.3.1 Number of research papers published per teacher in the Journals notified on UGC website during the last five years

Title of the research/review article	Name of authors	ISSN number	Link to research/review article	Link to journal website
Designing of benzothiazole derivatives as promising EGFR tyrosine kinase inhibitors: a pharmacoinformatics study	Hitesh V. Shahare & Gokul S. Talele	ISSN: 0739-1102 (Print) 1538-0254 (Online)	https://www.tandfonline.com/doi/abs/10.1080/07391102.2019.1604264?journalCode=tbsd20	https://www.tandfonline.com/journals/tbsd20
EGFR: An important perspective in cancer therapy	Shahare Hitesh V.[1]* and Talele Gokul. S.[2]	ISSN: 2682-5759	https://academiapublishing.org/journals/mms/abstract/2018/Oct/Hitesh%20and%20Gokul.htm	https://academiapublishing.org/index.htm
Glycation alter serum albumin binding of valsartan and nateglinide when studied contemporarily	PAWAN K. PORWAL AND GOKUL S TALELE	Print ISSN: 1082-6076 Online ISSN: 1520-572X	https://www.tandfonline.com/doi/abs/10.1080/10826076.2017.1280817	https://www.tandfonline.com/journals/ljlc20

Nashik Gramin Shikshan Prasarak Mandal's,
College of Pharmacy
Brahma valley educational campus,
Anjaneri, Nashik – 422 213
Phone No. : (02594) 220146, 220147

3.3.1 Number of research papers published per teacher in the Journals notified on UGC website during the last five years

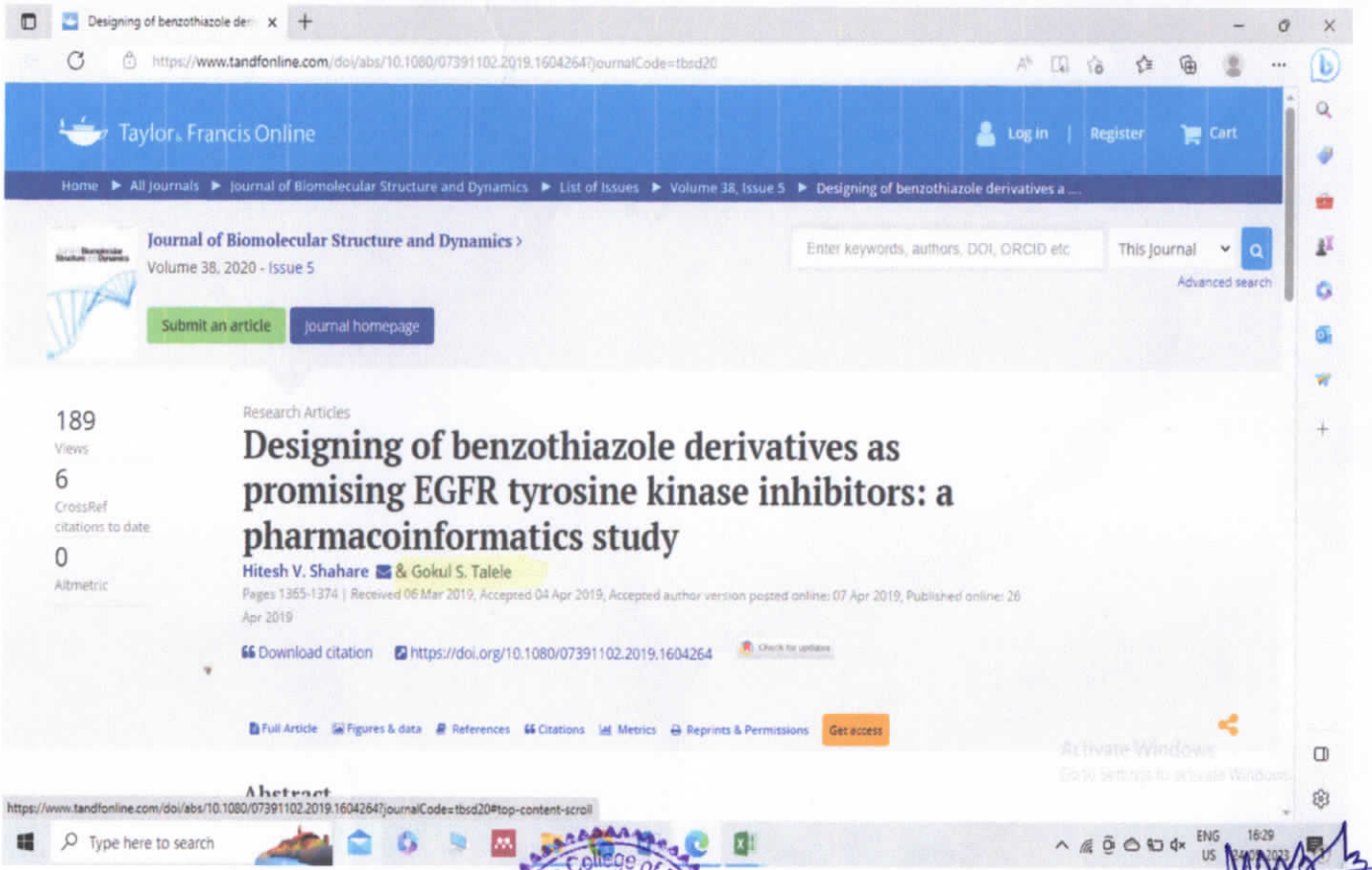
Title of the research/review article	Name of authors	ISSN number	Link to research/review article	Link to journal website
Designing of benzothiazole derivatives as promising EGFR tyrosine kinase inhibitors: a pharmacoinformatics study	Hitesh V. Shahare & Gokul S. Talele	ISSN: 0739-1102 (Print) 1538-0254 (Online)	https://www.tandfonline.com/doi/abs/10.1080/07391102.2019.1604264?journalCode=tbsd20	https://www.tandfonline.com/journals/tbsd20
EGFR: An important perspective in cancer therapy	Shahare Hitesh V.[1]* and Talele Gokul. S.[2]	ISSN: 2682-5759	https://academiapublishing.org/journals/mms/abstract/2018/Oct/Hitesh%20and%20Gokul.htm	https://academiapublishing.org/index.htm
Glycation alter serum albumin binding of valsartan and nateglinide when studied contemporarily	PAWAN K. PORWAL AND GOKUL S TALELE	Print ISSN: 1082-6076 Online ISSN: 1520-572X	https://www.tandfonline.com/doi/abs/10.1080/10826076.2017.1280817	https://www.tandfonline.com/journals/ljlc20

NGSPM's College of Pharmacy BRAHMA VALLEY EDUCATIONAL CAMPUS Anjaneri, Tal. Trimbak, Dist. Nashik

PRINCIPAL
NSGPM's College of Pharmacy
Brahma Valley Educational Campus
Trimbak Road, Anjaneri, Nashik-422 213

Nashik Gramin Shikshan Prasarak Mandal's,
College of Pharmacy
Brahma valley educational campus,
Anjaneri, Nashik – 422 213
Phone No. : (02594) 220146, 220147

Research/Review article home page snapshots



NGSPM's College of Pharmacy BRAHMA VALLEY EDUCATIONAL CAMPUS Anjaneri, Tal. Trimbak, Dist. Nashik

PRINCIPAL
NSGPM's College of Pharmacy
Brahma Valley Educational Campus
Trimbak Road, Anjaneri, Nashik-422 213

Journal of Biomolecular Structure and Dynamics

ISSN: 0739-1102 (Print) 1538-0254 (Online) Journal homepage: https://www.tandfonline.com/loi/tbsd20

Designing of Benzothiazole Derivatives as Promising EGFR Tyrosine Kinase Inhibitors: A Pharmacoinformatics Study

Hitesh V. Shahare & Gokul S. Talele

To cite this article: Hitesh V. Shahare & Gokul S. Talele (2019): Designing of Benzothiazole Derivatives as Promising EGFR Tyrosine Kinase Inhibitors: A Pharmacoinformatics Study, Journal of Biomolecular Structure and Dynamics, DOI: 10.1080/07391102.2019.1604264

To link to this article: https://doi.org/10.1080/07391102.2019.1604264

Accepted author version posted online: 07 Apr 2019.

Designing of Benzothiazole Derivatives as Promising EGFR Tyrosine Kinase Inhibitors: A Pharmacoinformatics Study

Hitesh V. Shahare[*1,2], Gokul S. Talele[2]

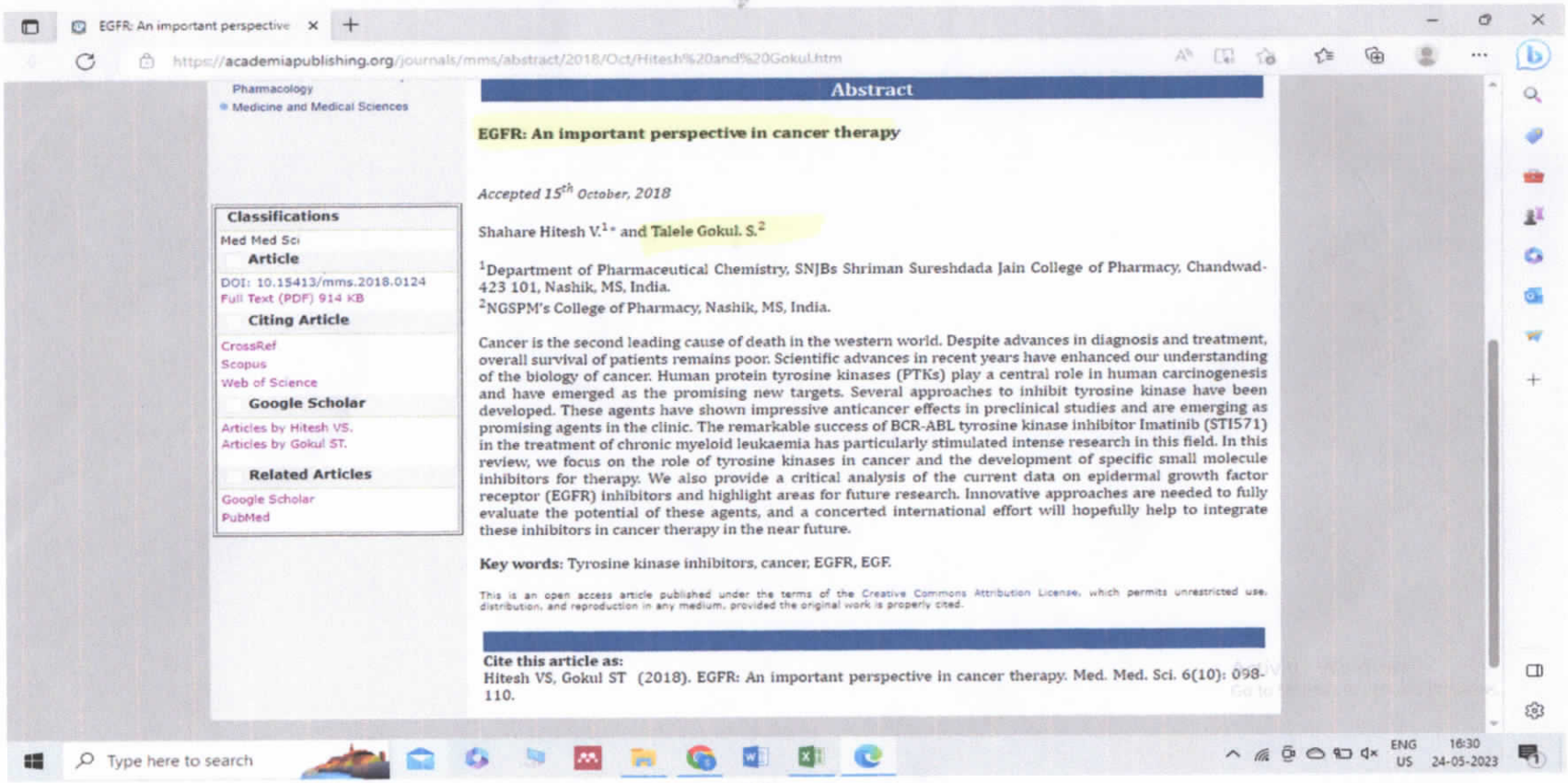
[1]Department of Chemistry, SNJBs Shriman Sureshdada Jain College of Pharmacy, Chandwad, Nasik, Maharashtra – 423101, India

[2]NGSPM College of Pharmacy, Brahmavalley Educational Campus, Anjaneri, Nashik, Maharashtra – 422213, India

Correspondence should be address to Hitesh V. Shahare, Department of Chemistry, SNJBs Shriman Sureshdada Jain College of Pharmacy, Chandwad, Nasik, MS-423101

Email id: hvshahare10@gmail.com

Phone: +91-8275584575



EGFR: An important perspective

https://academiapublishing.org/journals/mms/abstract/2018/Oct/Hitesh%20and%20Gokul.htm

Pharmacology
Medicine and Medical Sciences

Classifications
Med Med Sci
Article
DOI: 10.15413/mms.2018.0124
Full Text (PDF) 914 KB
Citing Article
CrossRef
Scopus
Web of Science
Google Scholar
Articles by Hitesh VS.
Articles by Gokul ST.
Related Articles
Google Scholar
PubMed

Abstract

EGFR: An important perspective in cancer therapy

Accepted 15th October, 2018

Shahare Hitesh V.[1]* and Talele Gokul. S.[2]

[1]Department of Pharmaceutical Chemistry, SNJBs Shriman Sureshdada Jain College of Pharmacy, Chandwad-423 101, Nashik, MS, India.
[2]NGSPM's College of Pharmacy, Nashik, MS, India.

Cancer is the second leading cause of death in the western world. Despite advances in diagnosis and treatment, overall survival of patients remains poor. Scientific advances in recent years have enhanced our understanding of the biology of cancer. Human protein tyrosine kinases (PTKs) play a central role in human carcinogenesis and have emerged as the promising new targets. Several approaches to inhibit tyrosine kinase have been developed. These agents have shown impressive anticancer effects in preclinical studies and are emerging as promising agents in the clinic. The remarkable success of BCR-ABL tyrosine kinase inhibitor Imatinib (STI571) in the treatment of chronic myeloid leukaemia has particularly stimulated intense research in this field. In this review, we focus on the role of tyrosine kinases in cancer and the development of specific small molecule inhibitors for therapy. We also provide a critical analysis of the current data on epidermal growth factor receptor (EGFR) inhibitors and highlight areas for future research. Innovative approaches are needed to fully evaluate the potential of these agents, and a concerted international effort will hopefully help to integrate these inhibitors in cancer therapy in the near future.

Key words: Tyrosine kinase inhibitors, cancer, EGFR, EGF.

Cite this article as:
Hitesh VS, Gokul ST (2018). EGFR: An important perspective in cancer therapy. Med. Med. Sci. 6(10): 098-110.

Type here to search

ENG US 16:30 24-05-2023

NSGPM's College of Pharmacy BRAHMA VALLEY EDUCATIONAL CAMPUS Anjaneri, Tal. Trimbak, Dist. Nashik

PRINCIPAL
NSGPM's College of Pharmacy
Brahma Valley Educational Campus
Trimbak Road, Anjaneri, Nashik-422 213

Medicine and Medical Sciences 6(10): 098-110, October 2018
DOI: 10.15413/mms.2018.0124

Academia Publishing

Research Paper

EGFR: An important perspective in cancer therapy

Shahare Hitesh V.[1]* and Talele Gokul. S.[2]

[1]Department of Pharmaceutical Chemistry, SNJBs Shriman Sureshdada Jain College of Pharmacy, Chandwad-423 101, Nashik, MS, India.
[2]NGSPM's College of Pharmacy, Nashik, MS, India.

*Corresponding author. E-mail: hiteshshahare1@rediffmail.com

Accepted 15th October, 2018

ABSTRACT

Cancer is the second leading cause of death in the western world. Despite advances in diagnosis and treatment, overall survival of patients remains poor. Scientific advances in recent years have enhanced our understanding of the biology of cancer. Human protein tyrosine kinases (PTKs) play a central role human carcinogenesis and have emerged as the promising new targets. Several approaches to inhibit tyrosine kinase have been developed. These agents have shown impressive anticancer effects in preclinical studies and are emerging as promising agents in the clinic. The remarkable success of BCR-ABL tyrosine kinase inhibitor Imatinib (STI571) in the treatment of chronic myeloid leukaemia has particularly stimulated intense research in this field. In this review, we focus on the role of tyrosine kinases in cancer and the development of specific small molecule inhibitors for therapy. We also provide a critical analysis of the current data on epidermal growth factor receptor (EGFR) inhibitors and highlight areas for future research. Innovative approaches are needed to fully evaluate the potential of these agents, and a concerted international effort will hopefully help to integrate these inhibitors in cancer therapy in the near future.

Key words: Tyrosine kinase inhibitors, cancer, EGFR, EGF.

INTRODUCTION

Cancer is the second leading cause of death in the western world. Despite advances in diagnosis and treatment, overall survival of patients still remains poor. Until recently, surgery, chemotherapy, radiotherapy, and endocrine therapy have been the standard treatment options available for patients. This has improved survival in several types of solid tumours, but treatment-related toxicity and emergence of drug resistance have been the major cause of morbidity and mortality. Hence, there is an urgent need to develop newer more effective therapies to improve patient outcomes. Rapid scientific advances in recent years have enhanced our understanding of the biology of cancer. Consequently, several novel targets have been identified. Tyrosine kinases have emerged as a new promising target for cancer therapy. This review will focus on the role of EGFR in cancer and the development of specific EGFR blockers for cancer therapy with emphasis on small molecule inhibitors (Manning et al., 2002).

Human protein tyrosine kinases (PTKs)

Human genome sequence analysis has identified about 518 human protein kinases (constituting about 1.7% of all the human genes). Within this large protein kinase complement, at least 90 tyrosine kinase genes have been identified (Krupa et al., 2002). Among these, 58 are receptor tyrosine kinases (RTKs) and 32 are non receptor tyrosine kinases (NRTKs). Based on their extracellular and non catalytic domain sequences, the RTKs and NRTKs have been further grouped into 20 and 10 subfamilies, respectively (Robinson et al., 2000), (Table 1 and 2).

RTKs contain an amino-terminal extracellular Ligand-binding domain, a hydrophobic transmembrane helix, and a cytoplasmic domain, which contains a conserved protein tyrosine kinase core and additional regulatory sequences. Ligand binding to the extracellular domain results in receptor imerisation/oligomerisation, leading to activation

Table 1: Receptor tyrosine kinases and cancer.

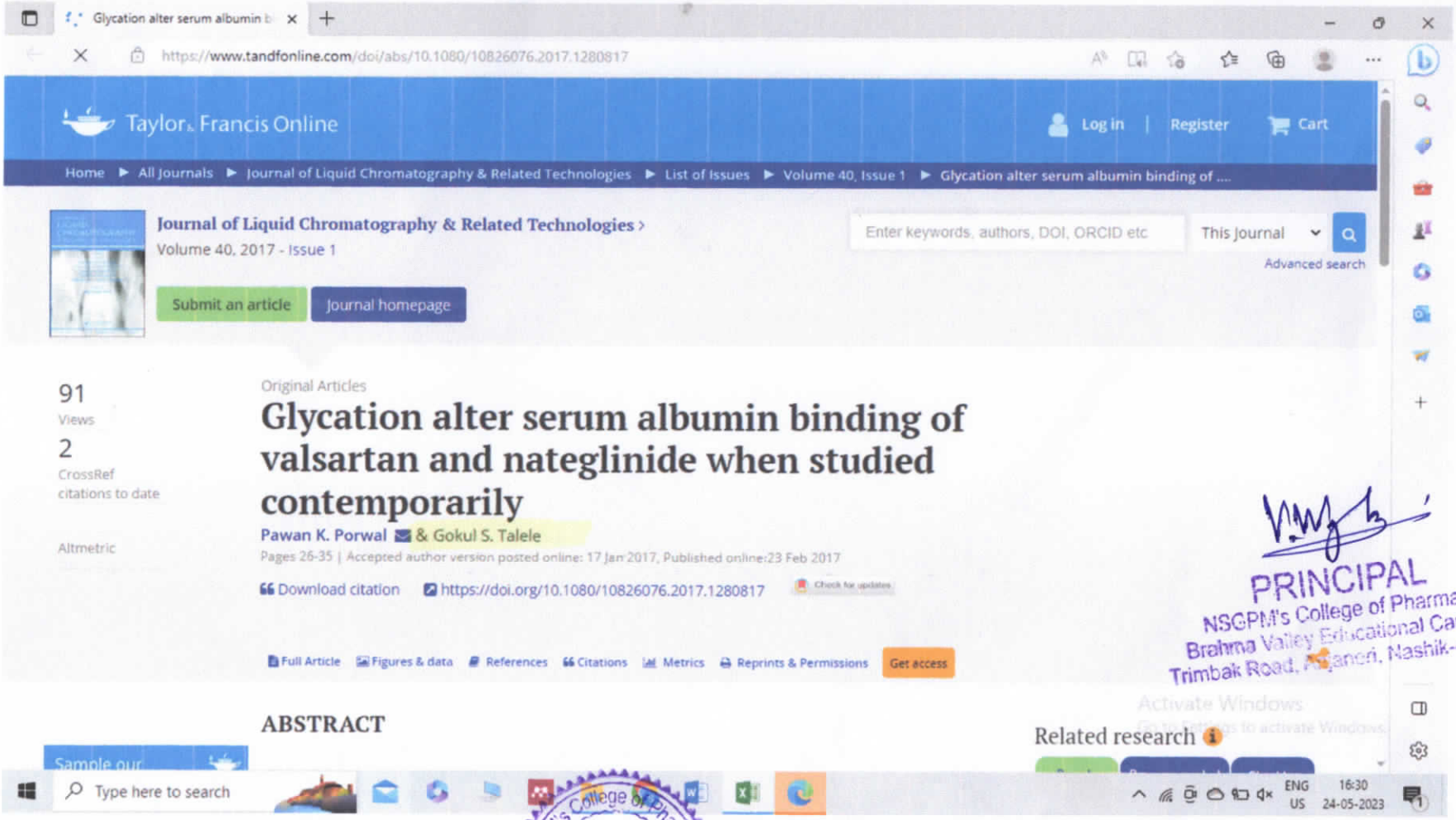
Receptor TK subfamilies	Cancer associated	Receptor TK subfamilies	Cancer associated
EGFR	Breast, ovary, lung, glioblastoma, multiforme, stomach, colon, granulosa cell tumours and others	RYK	Ovarian
VEGFR	Tumour angiogenesis, Kaposi sarcoma, haemangiosarcoma	DDR	Breast, ovarian cancer
PDGFR,	Glioma, glioblastoma, ovary , Chronic myelomonocytic leukaemia (CMML), , malignant histiocytosis, glioma, endometrium GIST, myelodysplasia, Acute myeloid leukaemia (AML)	RET	Thyroid (papillary and medullary), multiple endocrine neoplasia
FGFR	AML, lymphoma, solid tumours, stomach, breast, prostate, Multiple myeloma	ROS	Glioblastoma, astrocytoma
NGFR	Papillary thyroid cancer, Neuroblastoma, Congenital fibrosarcoma, acute myeloid leukaemia	LMR	-
HGFR	Papillary thyroid, rhabdomyosarcoma, liver, kidney, Colon, liver	LTK	non-Hodgkin lymphoma, LTK
EPHR	Melanoma, Stomach, oesophagus, colon, Breast	Insulin-R	Cervix, kidney (clear cell), sarcomas
AXL	AML	MUSK	-
KLG/CCK	--	ROR	-
TIE	Stomach, capillary haemagioblastoma TEK Tumour angiogenesis	RTK 106	-

Table 2: Non-receptor tyrosine kinases and cancer.

Non-receptor TK subfamilies	Cancer associated	Non- receptor TK subfamilies	Cancer associated
ABL	Chronic myeloid leukaemia (CML), AML, ALL, CMML	FAK	Adhesion, invasion and metastasis of tumours
FRK	Breast	ACK	-
JAK	AML, ALL, T-cell childhood ALL, atypical CML Leukaemia, B-cell malignancies	CSK	-
SRC-A, B	AML, CLL, EBV-associated lymphoma, colon, breast, pancreas, neuroblastoma, melanoma	FES	-
SYK	Breast	TEC	-

of cytoplasmic tyrosine kinase activity and phosphorylation of tyrosine residues. Autophosphorylated tyrosine residues serve as a platform for the recognition and recruitment of a specific set of signal transducing proteins that modulate diverse cell signalling responses. Non receptor tyrosine kinases have a common conserved catalytic domain (similar to RTKs) with a modular N-terminal, which has different adapter protein motifs (Weiner et al., 2000). Tyrosine kinases play a critical role in the regulation of fundamental cellular processes including cell development, differentiation, proliferation, survival, growth, apoptosis, cell shape, adhesion, migration, cell cycle control, T-cell and B-cell activation, angiogenesis, responses to extracellular stimuli, neurotransmitter signalling, platelet activation,

Nashik Gramin Shikshan Prasarak Mandal's,
College of Pharmacy
Brahma valley educational campus,
Anjaneri, Nashik – 422 213
Phone No. : (02594) 220146, 220147



PRINCIPAL
NSGPM's College of Pharmacy
Brahma Valley Educational Campus
Trimbak Road, Anjaneri, Nashik-422 213

NSGPM's College of Pharmacy BRAHMA VALLEY EDUCATIONAL CAMPUS Anjaneri, Tal. Trimbak, Dist.Nashik

Glycation Alter Serum Albumin Binding of Valsartan and Nateglinide when Studied Contemporarily

Pawan K. Porwal[1], Gokul S. Talele[2]

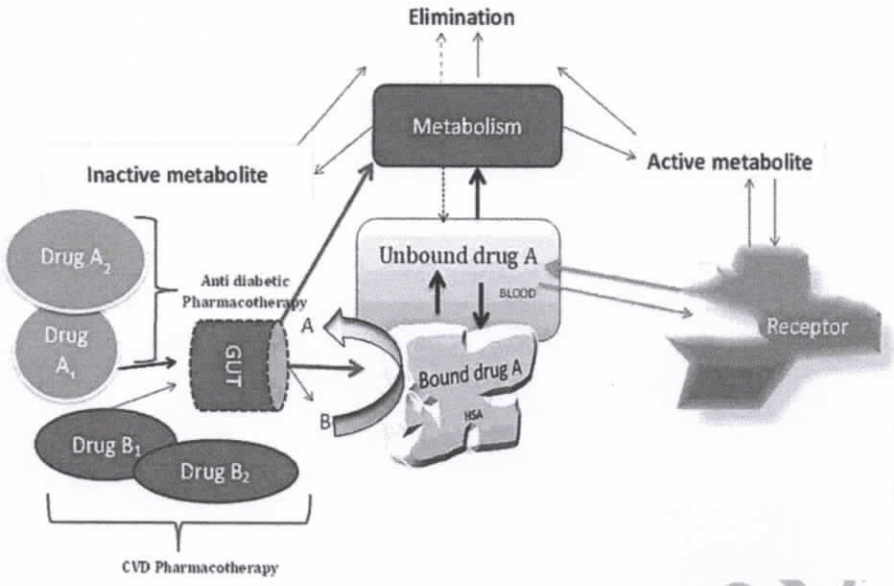
[1]Department of Pharmaceutical Chemistry, SNJB's SSDJ College of Pharmacy, University of Pune, Chandwad, India [2]NGSPM's College of Pharmacy, University of Pune, Nasik, India

Corresponding author Pawan Kumar Porwal Assistant Professor, Department of pharmaceutical chemistry, SNJB's SSDJ College of Pharmacy, Chandwad (Nasik), Maharashtra, India 423 101 E-mail: pawankporwal@gmail.com

Abstract

In this research work an attempt was made to study alteration in glycated serum albumin binding of Valsartan and Nateglinide using validated HPLC-UV method and ultrafiltration as *in vitro* protein binding study model. The chromatographic conditions were involving stationary phase Kromasil-100 C18 (100× 4.6 mm, 3.5µm) with mobile phase 10mM Phosphate buffer, acetonitrile, iso propyl alcohol in the ratio of 30: 65: 5 as isocratic mode at a flow rate of 0.8mL/min and the eluent was monitored at 218nm. Protein precipitation technique was employed to extract the drugs from human plasma. The calibration curve was found linear in the range from 50 to 5,000 ng/mL. Glycation of human serum albumin was achieved at different concentration level using D-(+) - Glucose and Glycated HSA (Gly-HSA) was prepared. Valsartan and Nateglinide were not affecting the plasma protein binding of each other when studied using HSA. The unbound fraction of Valsartan and Nateglinide was increased to 10-20 times when spiked with Gly-HSA. About 20% increase in unbound fraction of Valsartan was observed when spiked with 10µg/mL of Nateglinide. Furthermore, the unbound fraction of Nateglinide was increased nearly to 10% more when incubated with Gly-HSA as compare to rHSA.

Graphical Abstract



KEYWORDS: Valsartan; Nateglinide; HPLC Bio-analytical Validation; Protein binding; Ultrafiltration; NAVIGATOR

1. INTRODUCTION

Nateglinide (Fig. 1), a novel D-phenylalanine derivative that constrains ATP-sensitive K^+ channels in pancreatic β-cells [1], decreases fasting and mealtime blood glucose levels especially in patients with type 2 (non–insulin-dependent) diabetes mellitus. Valsartan (Fig. 1), a angiotensin receptor blockers (ARB), has been suggested as first line treatment in diabetes hypertension [2,3] or proven better as compare to other classical pharmacotherapies in diabetic hypertension [4–6].

A double-blind, placebo-controlled, multinational Nateglinide And Valsartan in Impaired Glucose Tolerance Outcomes Research (NAVIGATOR) 2^2 factorial study was performed