Ian R Hardcastle

List of Publications by Year
in descending order

90 papers	3,546 citations	159525 30 h-index	143943 57 g-index
94 all docs	94 docs citations	94 times ranked	4574 citing authors

#	Article	IF	Citations
1	Identification of a highly potent and selective DNA-dependent protein kinase (DNA-PK) inhibitor (NU7441) by screening of chromenone libraries. Bioorganic and Medicinal Chemistry Letters, 2004, 14, 6083-6087.	1.0	352
2	Structure-based design of a potent purine-based cyclin-dependent kinase inhibitor. Nature Structural Biology, 2002, 9, 745-749.	9.7	198
3	Discovery of Potent Chromen-4-one Inhibitors of the DNA-Dependent Protein Kinase (DNA-PK) Using a Small-Molecule Library Approach. Journal of Medicinal Chemistry, 2005, 48, 7829-7846.	2.9	163
4	Selective Benzopyranone and Pyrimido[2,1-a]isoquinolin-4-one Inhibitors of DNA-Dependent Protein Kinase:Â Synthesis, Structureâ^'Activity Studies, and Radiosensitization of a Human Tumor Cell Line in Vitro. Journal of Medicinal Chemistry, 2005, 48, 569-585.	2.9	145
5	Small-Molecule Inhibitors of the MDM2-p53 Proteinâ^'Protein Interaction Based on an Isoindolinone Scaffold. Journal of Medicinal Chemistry, 2006, 49, 6209-6221.	2.9	136
6	Isoindolinone Inhibitors of the Murine Double Minute 2 (MDM2)-p53 Proteinâ^'Protein Interaction: Structureâ^'Activity Studies Leading to Improved Potency. Journal of Medicinal Chemistry, 2011, 54, 1233-1243.	2.9	130
7	Characterisation of a Tip60 Specific Inhibitor, NU9056, in Prostate Cancer. PLoS ONE, 2012, 7, e45539.	1.1	124
8	N2-SubstitutedO6-Cyclohexylmethylguanine Derivatives:Â Potent Inhibitors of Cyclin-Dependent Kinases 1 and 2. Journal of Medicinal Chemistry, 2004, 47, 3710-3722.	2.9	116
9	Analysis of Chemical Shift Changes Reveals the Binding Modes of Isoindolinone Inhibitors of the MDM2-p53 Interaction. Journal of the American Chemical Society, 2008, 130, 16038-16044.	6.6	102
10	2,6-Disubstituted pyran-4-one and thiopyran-4-one inhibitors of DNA-Dependent protein kinase (DNA-PK). Bioorganic and Medicinal Chemistry Letters, 2003, 13, 3083-3086.	1.0	96
11	DESIGNING INHIBITORS OF CYCLIN-DEPENDENT KINASES. Annual Review of Pharmacology and Toxicology, 2002, 42, 325-348.	4.2	95
12	Activation of tamoxifen and its metabolite Î±-hydroxytamoxifen to DNA-binding products: comparisons between human, rat and mouse hepatocytes. Carcinogenesis, 1996, 17, 89-94.	1.3	94
13	Isoindolinone-based inhibitors of the MDM2â€"p53 proteinâ€"protein interaction. Bioorganic and Medicinal Chemistry Letters, 2005, 15, 1515-1520.	1.0	89
14	Pyranone, Thiopyranone, and Pyridone Inhibitors of Phosphatidylinositol 3-Kinase Related Kinases. Structureâ^'Activity Relationships for DNA-Dependent Protein Kinase Inhibition, and Identification of the First Potent and Selective Inhibitor of the Ataxia Telangiectasia Mutated Kinase. Journal of Medicinal Chemistry, 2007, 50, 1958-1972.	2.9	79
15	Cyclin-Dependent Kinase (CDK) Inhibitors: Structureâ€"Activity Relationships and Insights into the CDK-2 Selectivity of 6-Substituted 2-Arylaminopurines. Journal of Medicinal Chemistry, 2017, 60, 1746-1767.	2.9	77
16	Potentiation of paclitaxel-induced apoptosis by the novel cyclin-dependent kinase inhibitor NU6140: a possible role for survivin down-regulation. Molecular Cancer Therapeutics, 2005, 4, 1328-1337.	1.9	73
17	Structure-Based design of 2-Arylamino-4-cyclohexylmethyl-5-nitroso-6-aminopyrimidine inhibitors of cyclin-Dependent kinases 1 and 2. Bioorganic and Medicinal Chemistry Letters, 2003, 13, 3079-3082.	1.0	69
18	Searching for Cyclin-Dependent Kinase Inhibitors Using a New Variant of the Cope Elimination. Journal of the American Chemical Society, 2006, 128, 6012-6013.	6.6	64

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19	FragLitesâ€"Minimal, Halogenated Fragments Displaying Pharmacophore Doublets. An Efficient Approach to Druggability Assessment and Hit Generation. Journal of Medicinal Chemistry, 2019, 62, 3741-3752.	2.9	62
20	An evaluation of the ability of pifithrin-Î± and -Î² to inhibit p53 function in two wild-type p53 human tumor cell lines. Molecular Cancer Therapeutics, 2005, 4, 1369-1377.	1.9	58
21	4-Alkoxy-2,6-diaminopyrimidine derivatives: inhibitors of cyclin dependent kinases 1 and 2. Bioorganic and Medicinal Chemistry Letters, 2003, 13, 217-222.	1.0	54
22	Small-molecule MDM2-p53 inhibitors: recent advances. Future Medicinal Chemistry, 2015, 7, 631-645.	1.1	54
23	1-Substituted (Dibenzo[b,d]thiophen-4-yl)-2-morpholino-4H-chromen-4-ones Endowed with Dual DNA-PK/PI3-K Inhibitory Activity. Journal of Medicinal Chemistry, 2013, 56, 6386-6401.	2.9	45
24	Tamoxifen induces selective membrane association of protein kinase C epsilon in MCF-7 human breast cancer cells. , 1998, 77, 928-932.		43
25	DNA-Dependent Protein Kinase (DNA-PK) Inhibitors. Synthesis and Biological Activity of Quinolin-4-one and Pyridopyrimidin-4-one Surrogates for the Chromen-4-one Chemotype. Journal of Medicinal Chemistry, 2010, 53, 8498-8507.	2.9	40
26	Combined PI3K and CDK2 inhibition induces cell death and enhances in vivo antitumour activity in colorectal cancer. British Journal of Cancer, 2016, 115, 682-690.	2.9	40
27	Rationally Designed Analogs of Tamoxifen with Improved Calmodulin Antagonism. Journal of Medicinal Chemistry, 1995, 38, 241-248.	2.9	38
28	MDM2-p53 proteinâ€"protein interaction inhibitors: A-ring substituted isoindolinones. Bioorganic and Medicinal Chemistry Letters, 2011, 21, 5916-9.	1.0	36
29	Comparison between inhibition of protein kinase C and antagonism of calmodulin by tamoxifen analogues. Biochemical Pharmacology, 1995, 50, 723-726.	2.0	35
30	Recent advances in CDK inhibitors for cancer therapy. Future Medicinal Chemistry, 2018, 10, 1369-1388.	1.1	35
31	A CONVENIENT, LARGE-SCALE SYNTHESIS OF ABIRATERONE ACETATE [3Î²-ACETOXY-17-(3-PYRIDYL)ANDROSTA-5,16-DIENE], A POTENTIAL NEW DRUG FOR THE TREATMENT OF PROSTATE CANCER. Organic Preparations and Procedures International, 1997, 29, 123-128.	0.6	32
32	Trifluoroacetic Acid in 2,2,2â€Trifluoroethanol Facilitates S_NAr Reactions of Heterocycles with Arylamines. Chemistry - A European Journal, 2014, 20, 2311-2317.	1.7	32
33	Structure-Based Design of Potent and Orally Active Isoindolinone Inhibitors of MDM2-p53 Proteinâ€"Protein Interaction. Journal of Medicinal Chemistry, 2021, 64, 4071-4088.	2.9	30
34	Facilitation of additionâ€"elimination reactions in pyrimidines and purines using trifluoroacetic acid in trifluoroethanol. Chemical Communications, 2003, , 2802-2803.	2.2	28
35	8-Biarylchromen-4-one inhibitors of the DNA-dependent protein kinase (DNA-PK). Bioorganic and Medicinal Chemistry Letters, 2008, 18, 4885-4890.	1.0	26
36	Synthesis and biological evaluation of 5-substituted O4-alkylpyrimidines as CDK2 inhibitors. Organic and Biomolecular Chemistry, 2010, 8, 2397.	1.5	26

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37	Synthesis of 6,8-substituted-5,7-difluoro-3,4-dihydro-1H-quinoxalin-2-ones via reductive cyclisation of 2,4,6-substituted-3,5-difluoronitrobenzenes. Tetrahedron Letters, 2002, 43, 6435-6437.	0.7	24
38	Diaryl- and triaryl-pyrrole derivatives: inhibitors of the MDM2â€“p53 and MDMXâ€“p53 proteinâ€“protein interactions. MedChemComm, 2013, 4, 1297.	3.5	24
39	Resistance acquisition to MDM2 inhibitors. Biochemical Society Transactions, 2014, 42, 752-757.	1.6	24
40	Solid-phase synthesis of novel inhibitors of Farnesyl Transferase. Bioorganic and Medicinal Chemistry Letters, 1999, 9, 623-626.	1.0	23
41	Quinolinone and pyridopyrimidinone inhibitors of DNA-dependent protein kinase. Organic and Biomolecular Chemistry, 2007, 5, 2670.	1.5	23
42	Human Toxicity Caused by Indole and Indazole Carboxylate Synthetic Cannabinoid Receptor Agonists: From Horizon Scanning to Notification. Clinical Chemistry, 2018, 64, 346-354.	1.5	23
43	Synthesis and DNA Reactivity of Î±-Hydroxylated Metabolites of Nonsteroidal Antiestrogens. Chemical Research in Toxicology, 1998, 11, 369-374.	1.7	22
44	TP53 mutant MDM2-amplified cell lines selected for resistance to MDM2-p53 binding antagonists retain sensitivity to ionizing radiation. Oncotarget, 2016, 7, 46203-46218.	0.8	22
45	Mapping the ATP-binding domain of DNA-dependent protein kinase (DNA-PK) with coumarin- and isocoumarin-derived inhibitors. Bioorganic and Medicinal Chemistry Letters, 2010, 20, 3649-3653.	1.0	21
46	DNA-dependent protein kinase (DNA-PK) inhibitors: Structureâ€“activity relationships for O-alkoxyphenylchromen-4-one probes of the ATP-binding domain. Bioorganic and Medicinal Chemistry Letters, 2011, 21, 966-970.	1.0	21
47	Potent enantioselective inhibition of DNA-dependent protein kinase (DNA-PK) by atropisomeric chromenone derivatives. Organic and Biomolecular Chemistry, 2012, 10, 6747.	1.5	21
48	4-Hydroxytamoxifen Gives DNA Adducts by Chemical Activation, but Not in Rat Liver Cells. Chemical Research in Toxicology, 1999, 12, 151-158.	1.7	20
49	Homologs of Idoxifene:Â Variation of Estrogen Receptor Binding and Calmodulin Antagonism with Chain Length. Journal of Medicinal Chemistry, 1996, 39, 999-1004.	2.9	19
50	Judicious Application of Allyl Protecting Groups for the Synthesis of 2-Morpholin-4-yl-4-oxo-4H-chromen-8-yl Triflate, a Key Precursor of DNA-Dependent Protein Kinase Inhibitors. Organic Letters, 2006, 8, 5927-5929.	2.4	19
51	Model system for irreversible inhibition of Nek2: thiol addition to ethynylpurines and related substituted heterocycles. Organic and Biomolecular Chemistry, 2014, 12, 141-148.	1.5	18
52	High-Throughput Screening and Hit Validation of Extracellular-Related Kinase 5 (ERK5) Inhibitors. ACS Combinatorial Science, 2016, 18, 444-455.	3.8	18
53	Synthesis of the farnesyl ether 2,3,5-trifluoro-6-hydroxy-4-[(E,Eâ€Š)-3,7,11-trimethyldodeca-2,6,10-trien-1-yloxy]nitrobenzene, and related compounds containing a substituted hydroxytrifluorophenyl residue: novel inhibitors of protein farnesyltransferase, geranylgeranyltransferase I and squalene synthase. Journal of the Chemical Society, Perkin Transactions 1, 2000, , 4265-4278.	1.3	17
54	A new strategy for the synthesis of taurine derivatives using the â€˜safety-catchâ€™ principle for the protection of sulfonic acids. Organic and Biomolecular Chemistry, 2007, 5, 132-138.	1.5	17

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55	Synthesis of sulfonamide-based kinase inhibitors from sulfonates by exploiting the abrogated SN2 reactivity of 2,2,2-trifluoroethoxysulfonates. Organic and Biomolecular Chemistry, 2010, 8, 2457.	1.5	17
56	Structure-based design of 2-arylamino-4-cyclohexylmethoxy-5-nitroso-6-aminopyrimidine inhibitors of cyclin-dependent kinase 2. Organic and Biomolecular Chemistry, 2007, 5, 1577.	1.5	16
57	Atropisomeric 8-arylchromen-4-ones exhibit enantioselective inhibition of the DNA-dependent protein kinase (DNA-PK). Organic and Biomolecular Chemistry, 2010, 8, 1922.	1.5	16
58	Understanding Smallâ€Molecule Binding to MDM2: Insights into Structural Effects of Isoindolinone Inhibitors from NMR Spectroscopy. Chemical Biology and Drug Design, 2011, 77, 301-308.	1.5	15
59	8-Substituted O⁶-Cyclohexylmethylguanine CDK2 Inhibitors: Using Structure-Based Inhibitor Design to Optimize an Alternative Binding Mode. Journal of Medicinal Chemistry, 2014, 57, 56-70.	2.9	15
60	Identification of a novel ligand for the ATAD2 bromodomain with selectivity over BRD4 through a fragment growing approach. Organic and Biomolecular Chemistry, 2018, 16, 1843-1850.	1.5	15
61	Identification of a novel orally bioavailable ERK5 inhibitor with selectivity over p38Î± and BRD4. European Journal of Medicinal Chemistry, 2019, 178, 530-543.	2.6	15
62	â€œMetallo-Friesâ€•rearrangements of 2-lithio-6-nitrophenol derivatives. Tetrahedron Letters, 1994, 35, 1749-1750.	0.7	14
63	Structure-guided design of purine-based probes for selective Nek2 inhibition. Oncotarget, 2017, 8, 19089-19124.	0.8	13
64	Preclinical in vitro and in vivo evaluation of the potent and specific cyclin-dependent kinase 2 inhibitor NU6102 and a water soluble prodrug NU6301. European Journal of Cancer, 2011, 47, 2052-2059.	1.3	12
65	Searching for Dual Inhibitors of the <scp>MDM</scp>2â€p53 and <scp>MDMX</scp>â€p53 Proteinâ€“Protein Interaction by a Scaffoldâ€Hopping Approach. Chemical Biology and Drug Design, 2015, 86, 180-189.	1.5	12
66	A novel approach to polycyclic indolic systems. Tetrahedron Letters, 1994, 35, 3805-3808.	0.7	11
67	Versatile synthesis of functionalised dibenzothiophenes via Suzuki coupling and microwave-assisted ring closure. Organic and Biomolecular Chemistry, 2011, 9, 6066.	1.5	11
68	Preparation and reactions of stable 2-lithio-6-nitrophenol derivatives. Tetrahedron Letters, 1994, 35, 1747-1748.	0.7	10
69	Trifluoroethanol solvent facilitates selective N-7 methylation of purines. Organic and Biomolecular Chemistry, 2013, 11, 1874.	1.5	10
70	Length increase of the side chain of idoxifene does not improve its antagonistic potency in breast-cancer cell lines. Cancer Chemotherapy and Pharmacology, 1998, 41, 339-342.	1.1	9
71	An Alkynylpyrimidine-Based Covalent Inhibitor That Targets a Unique Cysteine in NF-ÎºB-Inducing Kinase. Journal of Medicinal Chemistry, 2021, 64, 10001-10018.	2.9	9
72	Polymer-assisted solution-phase library synthesis of 4-alkoxy-2-hydroxy-3,5,6-trifluorobenzoic acids. Tetrahedron Letters, 2001, 42, 1363-1365.	0.7	8

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73	2-Arylamino-6-ethynylpurines are cysteine-targeting irreversible inhibitors of Nek2 kinase. RSC Medicinal Chemistry, 2020, 11, 707-731.	1.7	8
74	Pentafluoronitrobenzene a novel scaffold for the solid-phase synthesis of 2,4,6-substituted-3,5-difluoronitrobenzene libraries. Tetrahedron Letters, 2002, 43, 719-721.	0.7	7
75	4â€²-Substituted analogues of idoxifene: Antiestrogens and calmodulin antagonists. Bioorganic and Medicinal Chemistry Letters, 1995, 5, 805-808.	1.0	3
76	Targeting the MDM2â€“p53 Proteinâ€“Protein Interaction. , 2014, , 391-426.		3
77	Parallel Optimization of Potency and Pharmacokinetics Leading to the Discovery of a Pyrrole Carboxamide ERK5 Kinase Domain Inhibitor. Journal of Medicinal Chemistry, 2022, 65, 6513-6540.	2.9	3
78	Proteinâ€“Protein Interaction Inhibitors. Topics in Medicinal Chemistry, 2017, , 399-399.	0.4	1
79	Abstract 1652: Development of a potent class of small molecule inhibitors of the MDM2-p53 protein-protein interaction. , 2018, , .		1
80	4-Alkoxy-2,6-diaminopyrimidine Derivatives: Inhibitors of Cyclin Dependent Kinase 1 and 2.. ChemInform, 2003, 34, no.	0.1	0
81	2,6-Disubstituted Pyran-4-one and Thiopyran-4-one Inhibitors of DNA-Dependent Protein Kinase (DNA-PK).. ChemInform, 2003, 34, no.	0.1	0
82	Facilitation of Additionâ€”Elimination Reactions in Pyrimidines and Purines Using Trifluoroacetic Acid in Trifluoroethanol.. ChemInform, 2004, 35, no.	0.1	0
83	Identification of a Highly Potent and Selective DNA-Dependent Protein Kinase (DNA-PK) Inhibitor (NU7441) by Screening of Chromenone Libraries.. ChemInform, 2005, 36, no.	0.1	0
84	Abstract A140: Identification of substituted isoindolinones as potent inhibitors of the MDM2â€p53 proteinâ€protein interaction. , 2009, , .		0
85	Abstract A138: Development of potent inhibitors of the DNAâ€dependent protein kinase (DNAâ€PK). , 2009, , .		0
86	Abstract A154: Mechanisms of cellular resistance to the growth inhibitory and cytotoxic effects of MDM2â€p53 binding antagonists. , 2009, , .		0
87	Abstract 5780: Development of potent inhibitors of the DNA-dependent protein kinase (DNA-PK). , 2010, , .		0
88	Abstract 919: Design and preclinical pharmacological evaluation of a cleavable succinate ester solubilizing group for isoindolinone MDM2-p53 protein-protein interaction inhibitors. , 2012, , .		0
89	Abstract 5451: Profiling inhibitors of MDM2:p53 and MDMX:p53 in relation to MDMX protein levels. , 2014, , .		0
90	Abstract 1870: The anti-proliferative and pro-apoptotic effect of MDM2-p53 antagonists evaluated in human tumor cells lines and chronic lymphocytic leukemia patient samples. , 2018, , .		0