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(54) Title **HETEROARYL COMPOUNDS AS BTK INHIBITORS AND USES THEREOF**

(56) References
Cited: EP-A1- 1 518 855
 WO-A1-2012/171337
 WO-A1-2010/144647
 WO-A1-2013/014448
 WO-A1-2013/030665
 WO-A1-2013/060098
 WO-A1-2013/108754
 WO-A1-2013/144180
 WO-A2-2009/131687
 WO-A2-2012/170976
 US-A1- 2007 049 578

US-A1- 2010 216 793

WO-A1-2009/051822

WO-A1-2012/135801

WO-A1-2009/158571

EP-A1- 1 184 376

EP-A1- 2 428 508

WO-A1-2008/009458

WO-A1-2009/145856

YONGJUN MAO ET AL: "Design, synthesis and biological evaluation of novel pyrimidine, 3-cyanopyridine and m-amino-N-phenylbenzamide based monocyclic EGFR tyrosine kinase inhibitors", BIOORGANIC & MEDICINAL CHEMISTRY, vol. 21, no. 11, 1 June 2013 (2013-06-01), pages 3090-3104, XP0055183165, ISSN: 0968-0896, DOI: 10.1016/j.bmc.2013.03.053

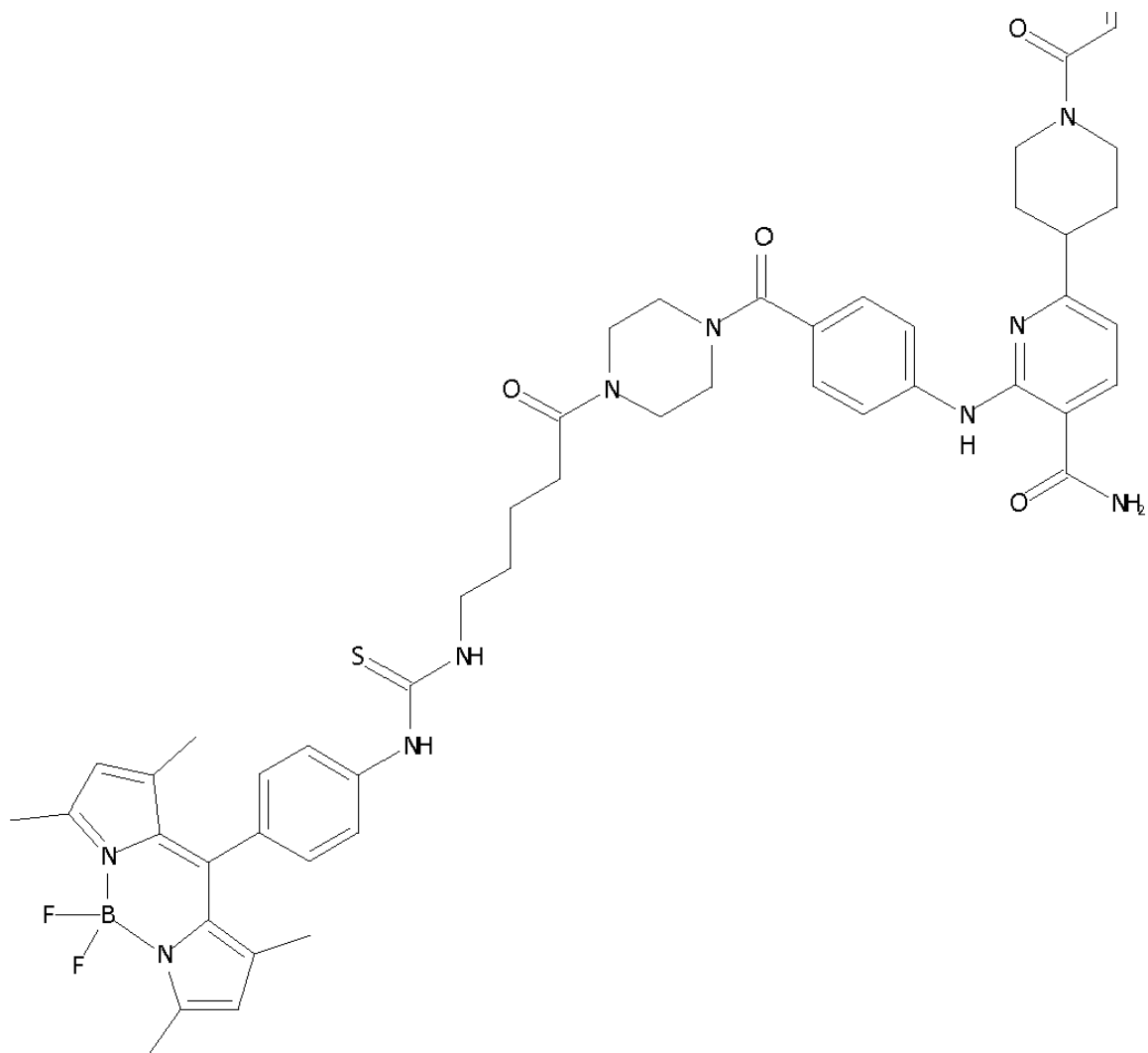
GARY PHILLIPS ET AL: "Design, Synthesis, and Activity of 2,6-Diphenoxypyridine-Derived Factor Xa Inhibitors", JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY, US, vol. 44, no. 10, 20 May 1999 (1999-05-20), pages 1349-1355, XP002595442, ISSN: 0022-2623, DOI: 10.1021/JM980667K [retrieved on 1999-04-24]

CHRISTIAN DELVARE ET AL: "Efficient Three-Step One-Pot Synthesis of a Novel 2,3,5-Substituted Pyrazine Library", ACS COMBINATORIAL SCIENCE, vol. 13, no. 5, 12 September 2011 (2011-09-12), pages 449-452, XP055157727, ISSN: 2156-8952, DOI: 10.1021/co200062n

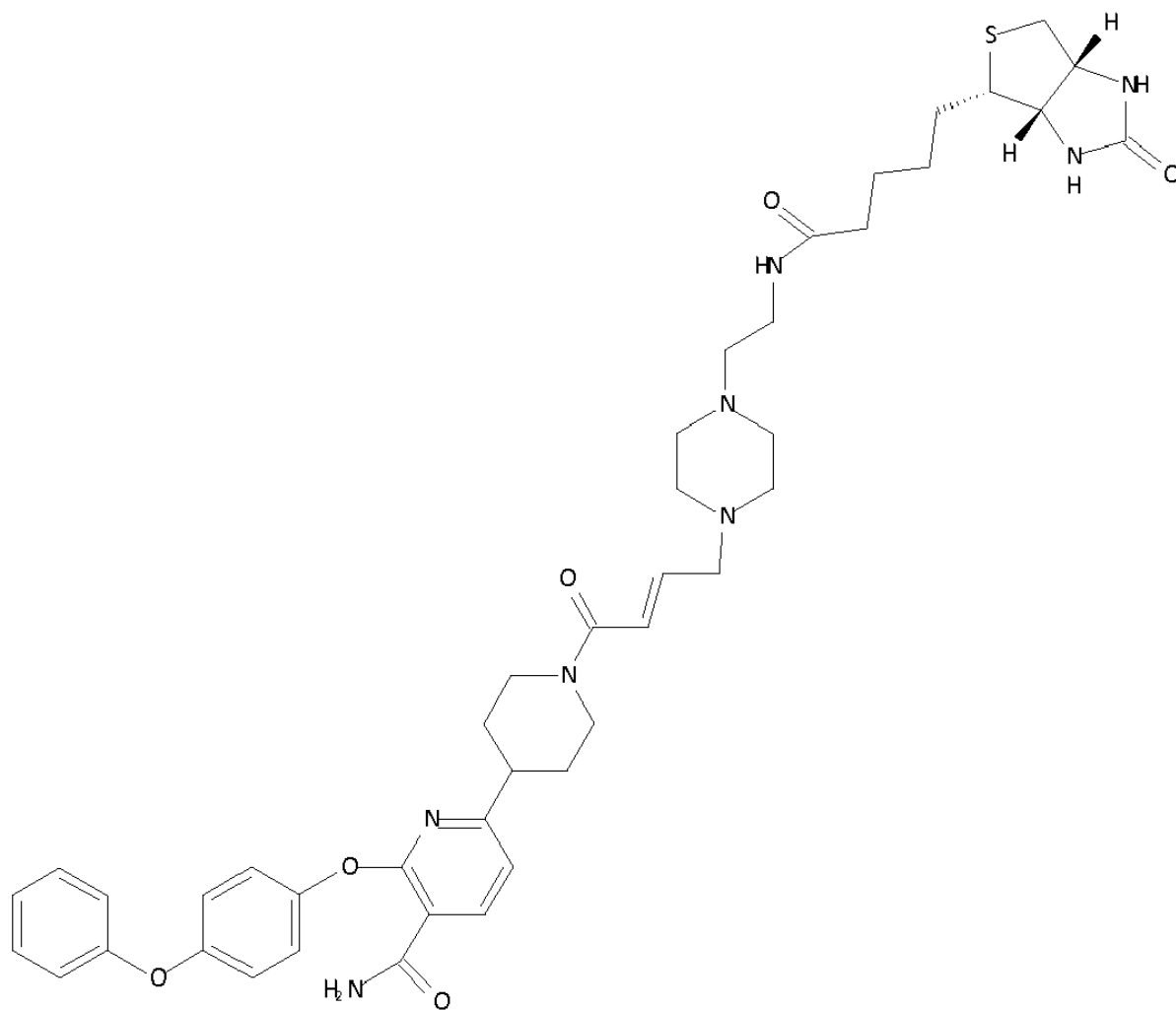
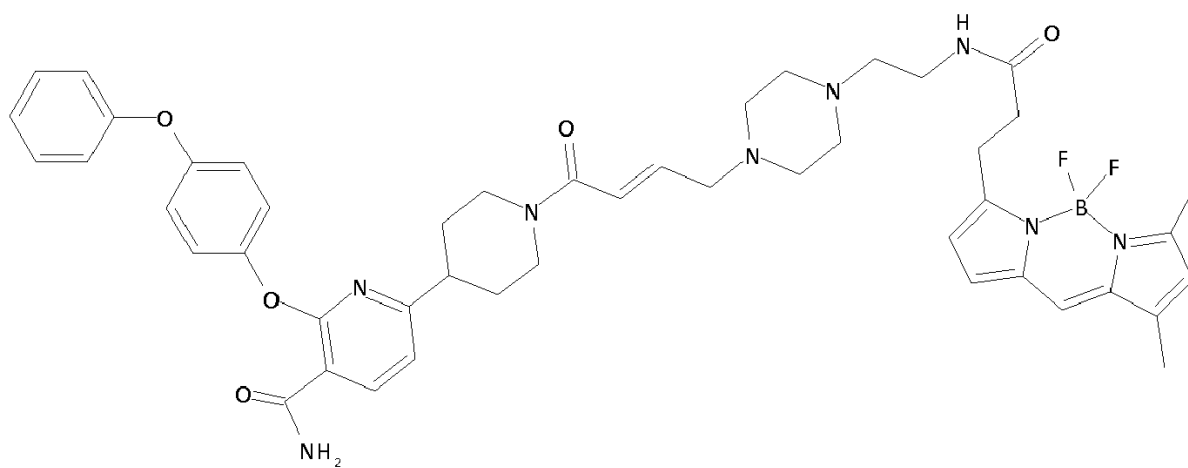
Enclosed is a translation of the patent claims in Norwegian. Please note that as per the Norwegian Patents Acts, section 66i the patent will receive protection in Norway only as far as there is agreement between the translation and the language of the application/patent granted at the EPO. In matters concerning the validity of the patent, language of the application/patent granted at the EPO will be used as the basis for the decision. The patent documents published by the EPO are available through Espacenet (<http://worldwide.espacenet.com>) or via the search engine on our website here: <https://search.patentstyret.no/>

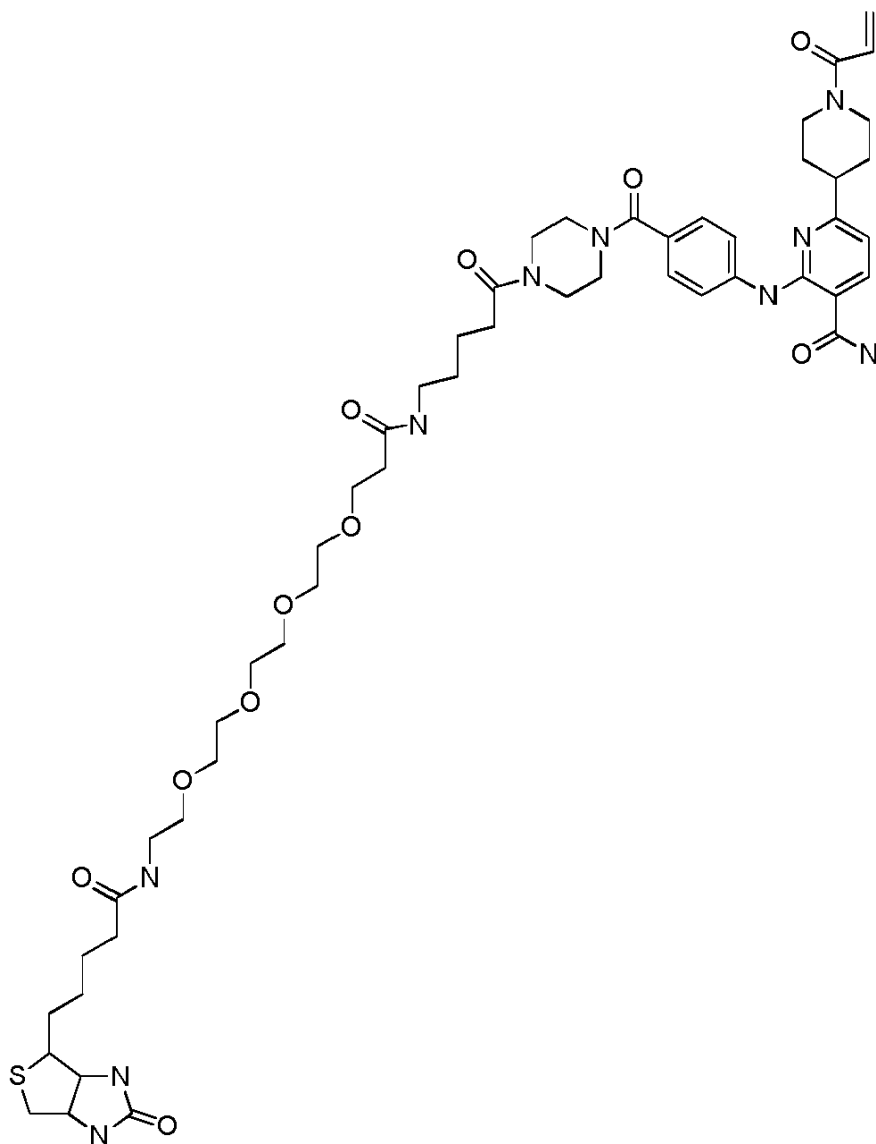
Patentkrav

1. En forbindelse valgt fra gruppen

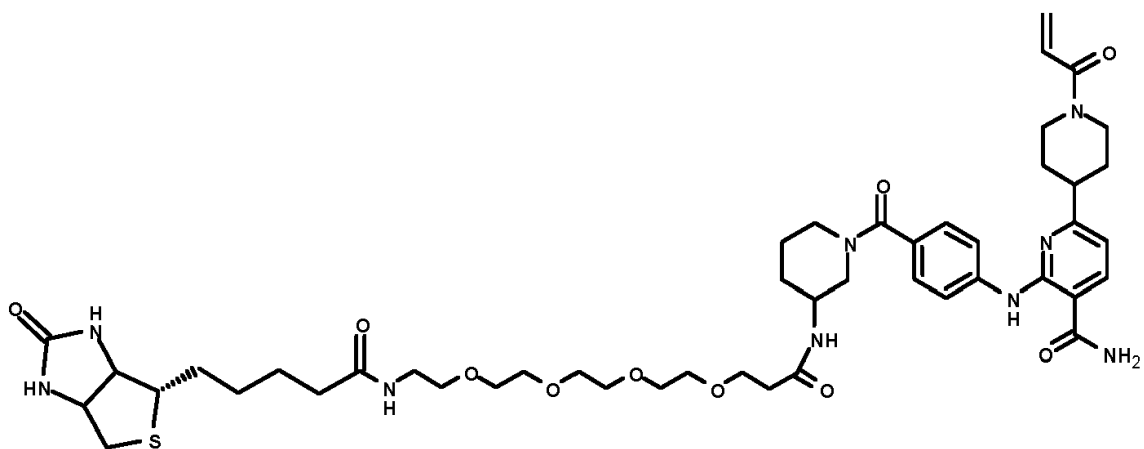


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eller et farmasøytisk akseptabelt salt derav.

2. Farmasøytisk sammensetning omfattende en forbindelse ifølge krav 1, og en farmasøytisk akseptabel adjuvans, bærer eller bindemiddel.

3. Forbindelse ifølge krav 1 eller fysiologisk akseptable salter derav, til anvendelse i en profylaktisk eller terapeutisk metode for behandling av en BTK-mediert lidelse valgt fra gruppen inflammatorisk tarmsykdom, artritt, systemisk lupus erythematosus (SLE eller lupus), lupus nefritis, vaskulitt, idiopatisk trombocytopenisk purpura (ITP), reumatoid artritt, psoriasisartritt, slitasjegikt, Still-sykdom, juvenil artritt, diabetes, myasteni gravis, Hashimoto's tyreoiditt, Ords tyreoiditt, Graves sykdom, autoimmun tyroiditt, Sjogrens syndrom, multippel sklerose, systemisk sklerose, Lyme neuroborreliosis , Guillain-Barre syndrom, akutt spredt encefalomyelitt, Addisons sykdom, opsoklonus-myoklonus syndrom, ankyloserende spondylose, antiphospholipid antistoffsyndrom, aplastisk anemi, autoimmun hepatitt, autoimmun gastritt, pernisk anemi, celiac sykdom, Goodpastures syndrom, idiopatisk trombocytopenisk purpura, optisk neuritt, sklerodermi, primær biliær cirrhosis, Reiter syndrom, Takayasus arteritt, temporal arteritt, varm autoimmun hemolytisk anemi, Wegeners granulomatose, psoriasis, alopesi universal, Behets sykdom, kronisk tretthet, dysautonomi, membranøs glomerulonephropathy, endometriose, interstitial cystitis, pemphigus vulgaris, bullous pemphigoid, neuromyotonia, scleroderma, eller vulvodynia.