The ActiTarg-K Collection is a screening set of molecules that contain chemical lattices present in compounds reported in the technical or patent literature to possess protein kinase inhibiting properties. There are over 6,600 compounds, kinase inhibitors in this collection.

ActiTarg-K6600 represents a variety of different chemical series. Structural constraints and novel pendants within these molecules provide the structural variability to identify new chemical directions for hit optimization.

Top diversity selection of 960 compounds, ActiTarg-K960, comprises a pre-filtered diversity collection of 12 plates that should provide a high value screening library of drug-like molecules for identifying synthesis direction for new protein kinase inhibitors in a smaller screening application.

Compounds are available for cherry-picking and/or as a collection in 96, 384-well plates and in vials.

Contact us for structural info, formatting options and pricing.

Scroll down for featured screening results for ActiTarg-K

About Kinase Modulators

Among the many strategies to cancer therapeutics, protein kinase inhibition has emerged as particularly viable and promising approach. This interest has been stimulated by an understanding of the key role this broad family of phosphorylating enzymes plays in controlling proliferative processes, as well as the success of agents like GleevecTM, imatinib in the treatment chronic myeloid leukemia and certain solid tumors. Along with this tyrosine kinase inhibitor, many other agents that inhibit this, and other cell cycle regulating kinases CDK's, are currently being developed for the treatment of cancer and immune system disorders.

To meet the interest and needs of investigators who are trying to identify low molecular weight, drug-like molecules with the ability to inhibit protein kinases, TimTec has assembled a variety of agents with chemical lattices found in compounds with reported kinase activity.

Kinase

Lattice type

Contact us if you are interested in a chemical diversity selection of structures from the different l

Tyrosine / CDK

Various

CDK

Adenines

p38 MAP

4,5-Diarylimidazoles

Raf

Diarylureas

CDK

Flavones

CDK

Isoflavones

CDK

2-Aminothiazoles

Ser/Thr	
	NaphthSONH
CDK / PASS* >0.50	
	CDK isoxazolidinine subset
CDK aminothiazole subset	
Tyrosine / PASS >0.50	
	Various
p38MAP / PASS >0.50	
	Various
PKC / PASS >0.50	
	Various

***PASS: Software that predicts biological activity based on structural similarity to compounds reported to have the specified activity.**

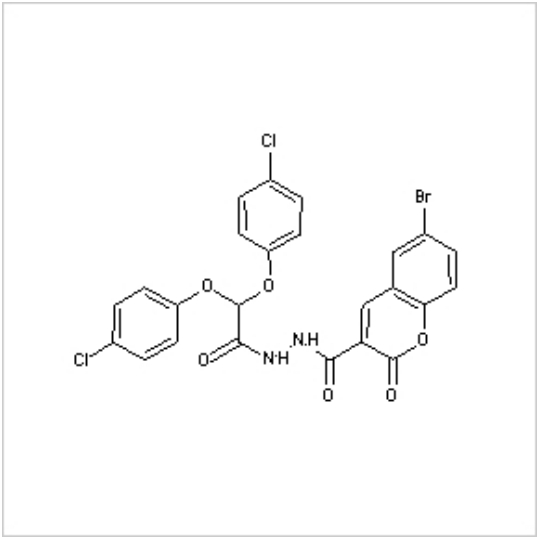
Reference: Target-Family-Oriented Focused Libraries for Kinases-Conceptual Design Aspects

Featured Screening Results for ActiTarg-K

Pilot screening of small sub-set, 300 compounds, from the Tim Tec ActiTarg-K library identified a compound, ST018584, selective ATPase inhibitor with anti-BKV activity:

Zeng G, et al. Validation of BKV large T-antigen ATP-binding site as a target for drug discovery. Antiviral Res. 2008 Dec 11. [E-pub ahead of print]

ST018584
MW 578.20
MF C24H15BrCl2N2O6
2,2-bis(4-chlorophenoxy)-N-[(6-bromo-2-oxochromen-3-yl)carbonylamino]acetamide



1,200 compounds from ActiTarg-K were screened using ELISA-based kinase assay to identify inhibitors of PIM1 kinase:

Holder S., et al., Characterization of a potent and selective small-molecule inhibitor of the PIM1 kinase. Mol Cancer Ther. Jan. 2007, 6(1), 163-172

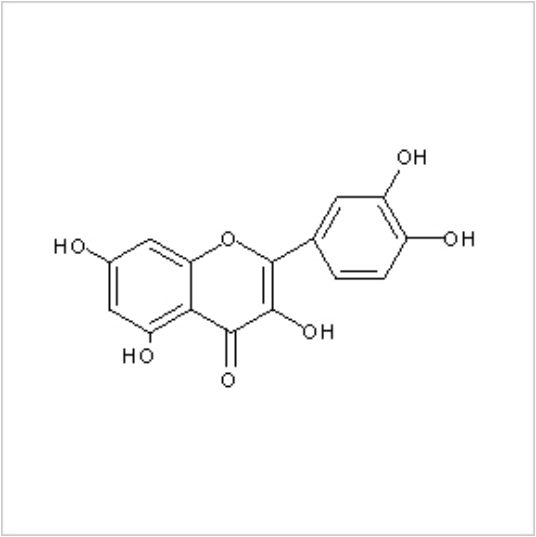
"The pim family of serine-threonine kinases is composed of three highly homologous genes, pim-1, pim-2, and pim-3. These enzymes are increasingly being recognized as important mediators of survival signals in cancers, stress responses, and neural development . In addition, these kinases are constitutively expressed in some tumors and function as true oncogenes. Thus, they are of significant interest as targets for therapeutic intervention."

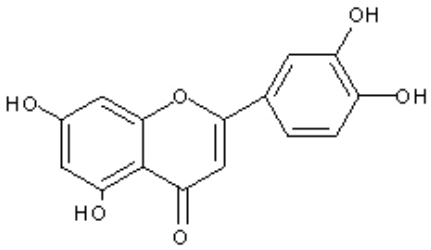
Positive hits are available for re-supply from TimTec:

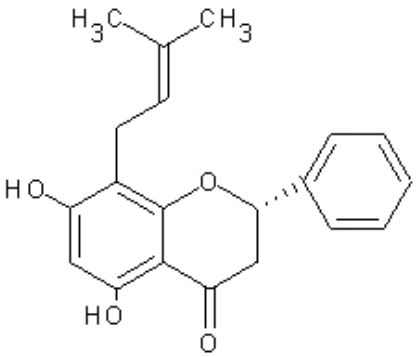
ST024706
Quercetin dihydrate
C15H10O7
302.24

ST024703
Luteolin
C15H10O6
286.24

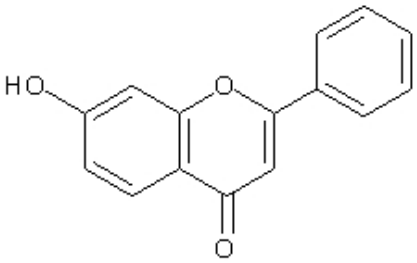
ST024709
Glabranine
C20H20O4
324.38

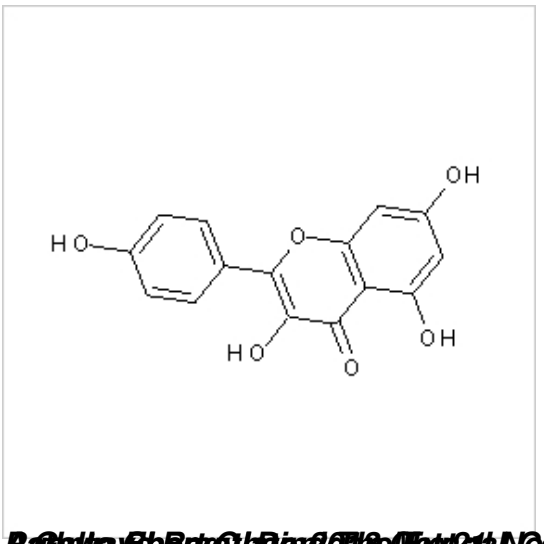






phenylchro e





Related Products:

ActiTarg-K is one of TimTec targeted libraries. Other targeted screening collections of interest are:

ActiTarg-G GPCR Ligands
ActiTarg-P Protease Inhibitors
ActiTarg-S Serpins Inhibitors
ActiTarg-I Potassium Channel Modulators
ActiTarg-N Nuclear Receptor Ligands
ActiTarg-H HDAC Inhibitor ActiTarg-CNS Central Nervous System Receptors Modulators Library