Kinase Screening Library (KSL)

Kinase inhibitors represent a very hot topic in drug discovery: 48 protein kinase inhibitors are approved drugs, with several hundred undergoing clinical trials. Moreover, over the past 10 years the number of kinase inhibitor chemotypes has dramatically expanded.

To provide good quality hits for drug discovery projects Life Chemicals, a leading developer and supplier of novel screening compounds and targeted libraries, has released its proprietary Kinase Screening Library (KSL) built by the front-end industry standards.

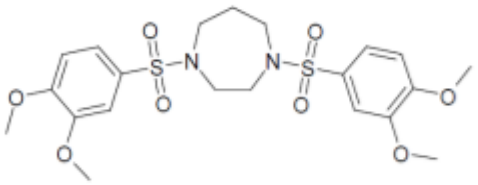
KSL is a high quality library of protein kinase inhibitors, created as a part of the Focused Subset Screening initiative at Life Chemicals, following the "screen less, identify more good quality hits" paradigm. KSL was designed based on about 1,000 Markush structures of kinase inhibitors derived from literature and consists of **2,090** inhibitors and activator targeted key kinases in cancer and inflammation.

KSL is recommended for screening to identify good quality hits for drug discovery projects related to kinases and other ATP-binding proteins.

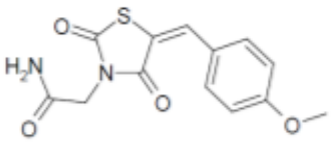
Coverage of Kinase & Inhibitor Types:

- Protein kinases heavily pursued by industry: ABL, AKT, ALK, AMPK, Aur, Bcr-ABL, Braf, BTK, CDK, cFMS, CHK, CK2, cKIT, cMET, EGFR, FLT3, Fyn, GSK3, HER, JAK, IGFR, IKK, ITK, KDR, LCK, LRRK, MEK, mTOR, p38α, PI3K, PIM, PKA, PKC, PKM2, ROCK, Src, Syk, Tie2, Trk, VEGFR
- ATP-competitive inhibitors
- DGF-out & αC-helix out non-ATP competitive inhibitors
- MEK non-ATP competitive inhibitors
- Covalent kinase inhibitors
- Allosteric kinase inhibitors
- Kinase activators: AMPK, ABL, DPK1, PKM2
- Generic hinge binders

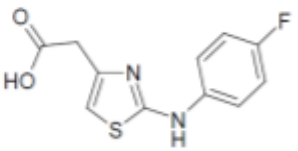
Examples of structures from thr Kinase-Targeted Screening Library:



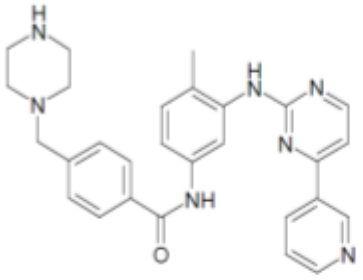
F1018-1711
Analog of DASA-58, allosteric PKM2 activator,
Nature Chem.Biol. 2012,8,839-845



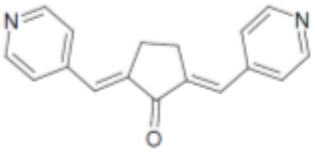
F1074-0296
Analog of allosteric inhibitor of ERK2



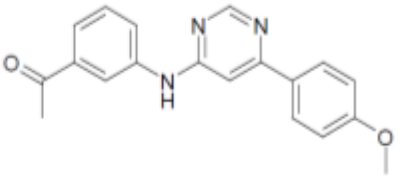
F2158-0418
Analog of allosteric AMPK activator,
WO2009019600 (A2)



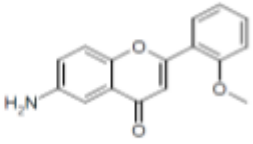
F9995-0193
Bcr-ABL inhibitor, analog of Imatinib (Novartis)
approved in 2001 for chronic myelogenous
leukaemia (CML)



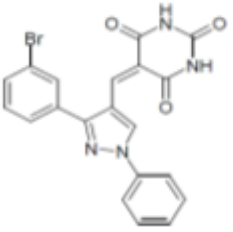
F0013-1066
Analog of SC66, allosteric
ABL inhibitor, myristoyl agonist, facilitates
autoinhibition state of ABL



F5759-0407
Analog of SC66, allosteric
ABL inhibitor, myristoyl agonist, facilitates
autoinhibition state of ABL



F2211-0008
Analog of PD98059, prevents inhibition
of MEK1 by RAF



F1218-0371
Analog of DPH, allosteric
ABL activator, prevents adoption of autoinhibited
conformation,
ACS Chem. Biol. 2013, 8, 58-70