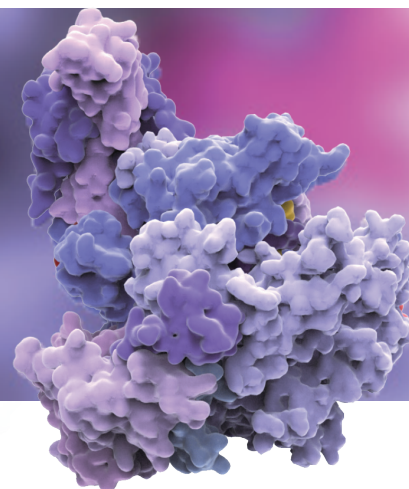


New Products

January 2022



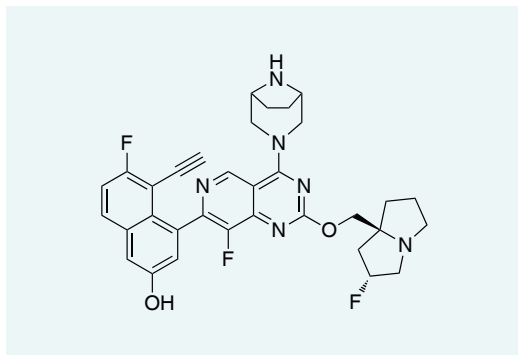
MedChemExpress (MCE) offers a wide range of high quality research chemicals and biochemicals including novel bioactive compounds, dye reagents, peptides and natural compounds for laboratory and scientific use.

- Over 30,000 bioactive molecules in stock
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CAS No.: 2621928-55-8

MRTX1133

Research Area: KRAS G12D Inhibitor/Diverse Cancers

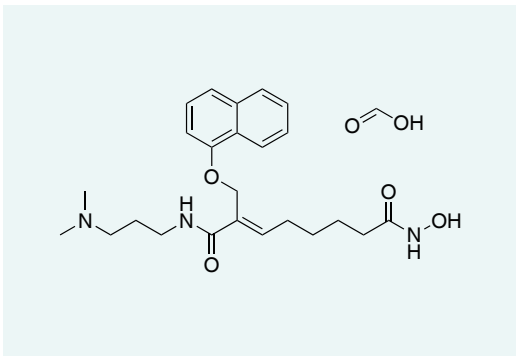


- Noncovalent, potent, and selective **KRAS G12D** inhibitor ($K_D=0.2$ pM).
- Inhibits mutant KRAS-dependent signal and selectively inhibits KRAS G12D mutant, but not KRAS wild-type, tumor cells.
- Efficacious in a KRAS G12D mutant xenograft mouse tumor model.

Solubility: DMSO : 50 mg/mL (83.25 mM; Need ultrasonic)

Ivaltinostat formic

Research Area: HDAC Inhibitor/Prostate Cancer/Cholangiocarcinoma



- An orally active, potent hydroxamate-based pan-**HDAC** inhibitor with antitumor effects.
- Enhances the sensitivity of Gemcitabine-resistant cells to Gemcitabine and 5-FU, thereby decreasing cell viability and inducing **apoptosis**.
- Inhibits deacetylation of histone H3 and tubulin in prostate cancer cells and induces clonogenic cell death by modulating acetylation of p53 in cancer cells.

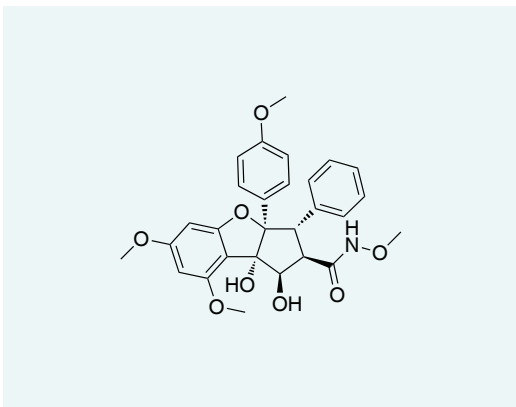
Solubility: DMSO : 50 mg/mL (105.58 mM; Need ultrasonic)

H₂O : 50 mg/mL (105.58 mM; Need ultrasonic)

CAS No.: 1352914-52-3

CR-1-31-B

Research Area: eIF4A Inhibitor/Neuroblastoma/Pancreatic Ductal Adenocarcinoma

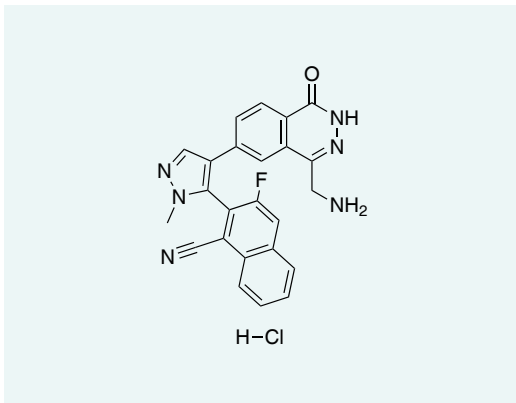


- A synthetic Rocaglate and potent **eIF4A** inhibitor with anticancer and antimalarial activities.
- Exhibits powerful inhibitory effects over eIF4A by perturbing the interaction between eIF4A and RNA, sequentially impeding initiation during protein synthesis.
- Induces **apoptosis** of neuroblastoma and gallbladder cancer cells.

Solubility: DMSO : 230 mg/mL (453.18 mM; Need ultrasonic)

MRTX9768 hydrochloride

Research Area: PRMT5•MTA Inhibitor/MTAP-del Cancer



- A synthetic lethal-based orally active **PRMT5•MTA** inhibitor.
- Selectively inhibits symmetric dimethylarginine (SDMA) marks and shows selective antitumor activity in MTAP-del tumor cells while sparing MTAP-WT cells.

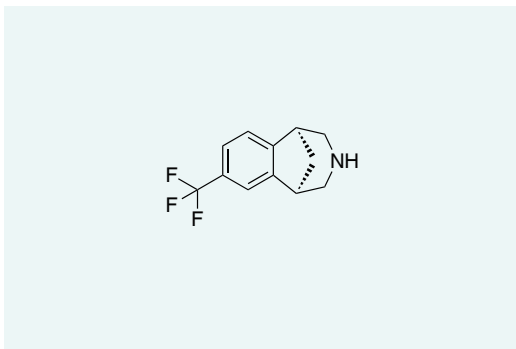
Solubility: DMSO : 90 mg/mL (195.27 mM; Need ultrasonic)

H₂O : 40 mg/mL (86.79 mM; Need ultrasonic)

CAS No.: 357425-68-4

CP-601932

Research Area: $\alpha 3\beta 4$ nAChR Agonist/Alcohol Dependence



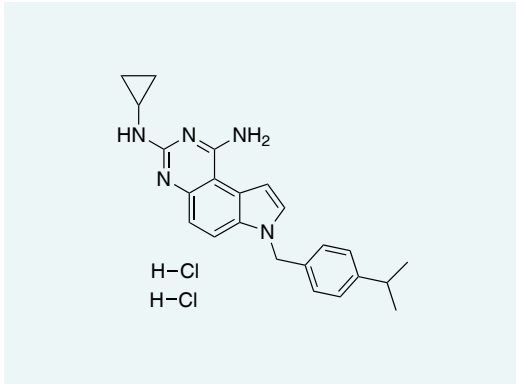
- High-affinity partial agonist at **$\alpha 3\beta 4$ nAChR** ($K_i=21$ nM; $EC_{50} \sim 3 \mu M$), has the same high-binding affinity at $\alpha 4 \beta 2$ nAChR ($K_i=21$ nM) and can penetrate the CNS.
- Selectively decreases ethanol but not sucrose consumption and operant self-administration following long-term exposure.

Solubility: DMSO : 200 mg/mL (880.17 mM; Need ultrasonic)

CAS No.: 245520-69-8

SCH79797

Research Area: PAR1 Antagonist/Myocardial Ischemia/Reperfusion Injury



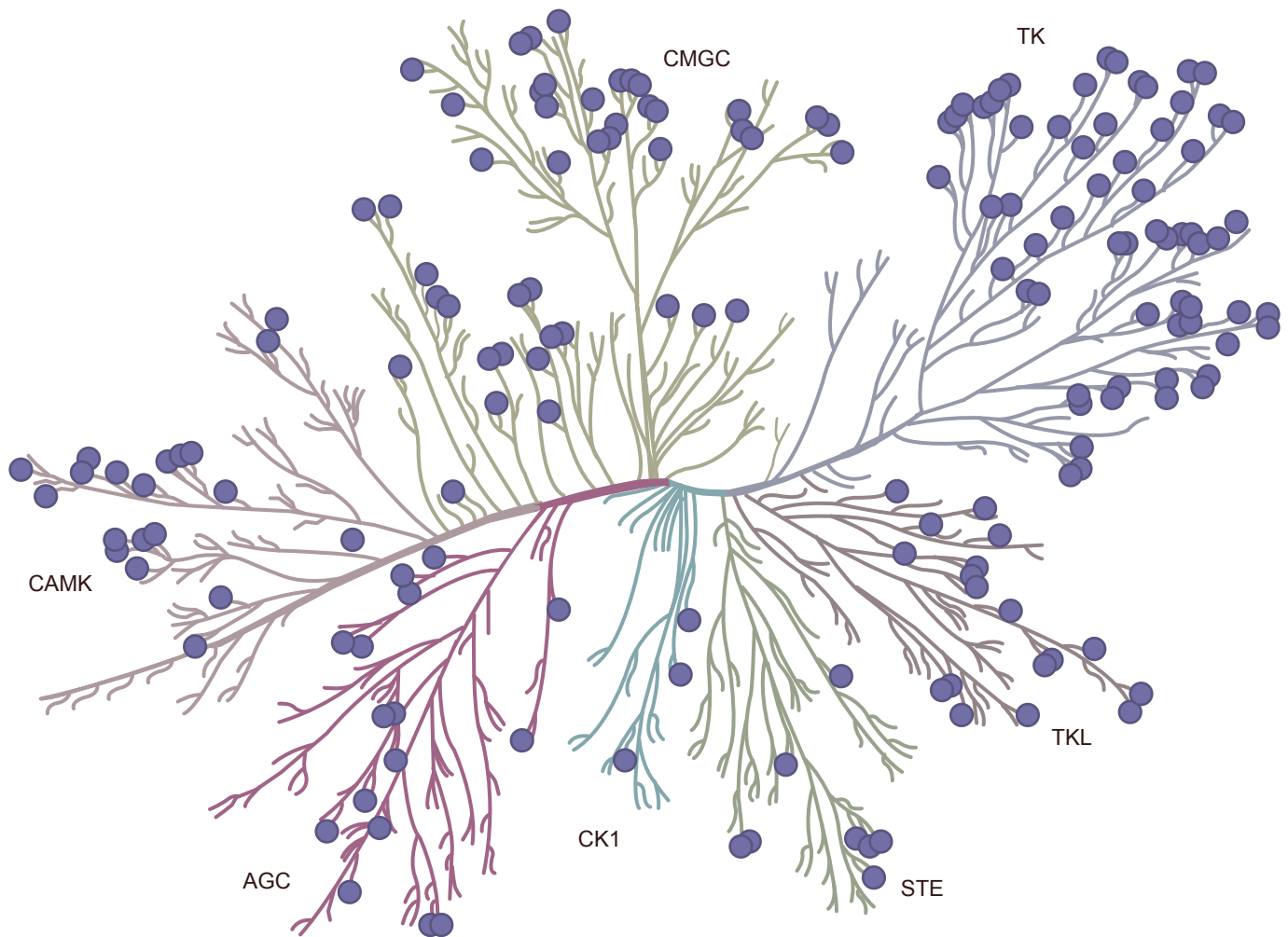
- Highly potent, selective nonpeptide **protease activated receptor 1 (PAR1)** antagonist.
- Inhibits binding of a high-affinity thrombin receptor-activating peptide to PAR1 ($IC_{50}=70$ nM; $K_i=35$ nM) and inhibits thrombin-induced platelet aggregation ($IC_{50}=3$ μ M).
- Limits myocardial ischemia/reperfusion injury in rat hearts.

Solubility: DMSO : 50 mg/mL (134.60 mM; Need ultrasonic)

Kinase Screening Service

Kinases are critical in metabolism, cell signalling, protein regulation, cellular transport, secretory processes and many other cellular pathways, which makes them key regulators of cell function. The malfunction of kinases causes a variety of human diseases. Therefore, kinases represent a class of attractive drug targets. However, the highly conserved structure of eukaryotic kinases (especially the catalytic domain) has brought great challenges to the development of highly selective kinase inhibitors. Broad profiling, for many, has become a requirement of new kinase inhibitor programs for better understanding structure/activity relationships.

MCE can provide high-quality and comprehensive kinase assay panel. High-quality data on the binding affinity/activity difference between compounds and kinases can evaluate the target selectivity of compounds.



Dendrogram-based illustration of the broad-kinase coverage

(Cell Chem Biol.2018 Feb 15.25(2)-206-214.e11.)

Key Features:

- A long list of kinases: 400+ kinases, including AGC, CAMK, CMGC, CK1, STE, TK, TKL and common mutants.
- Flexible and customizable kinase panel: Characteristic TK, CDK kinase profiles, 60/207/302 kinase panels and customized kinase panels.
- Various detection: TR-FRET (LANCE Ultra, LathaScreen, HTRF), fluorescence method (Kinase-Glo, ADP-Glo), Z'-LYTE, binding assay.
- Accurate detection, rapid data.

Targeted Diversity Library

If you want to conduct a comprehensive screening at low cost, MCE Targeted Diversity Library is a suitable choice.

- 2,400+ compounds included, covering more than 1,000 targets and isoforms.
- 1-3 compounds with high potency and selectivity selected for each target and isoform.
- Detailed bioactive information is available.
- A concise collection of small molecule compounds with comprehensive target coverage.
- A useful tool for phenotypic screening.

Recent Publications Citing Use of MCE Products



Nat Nanotechnol.

2021 Nov;16(11):1260-1270.

Cell Metab.

2021 Nov 2;33(11):2247-2259.e6.

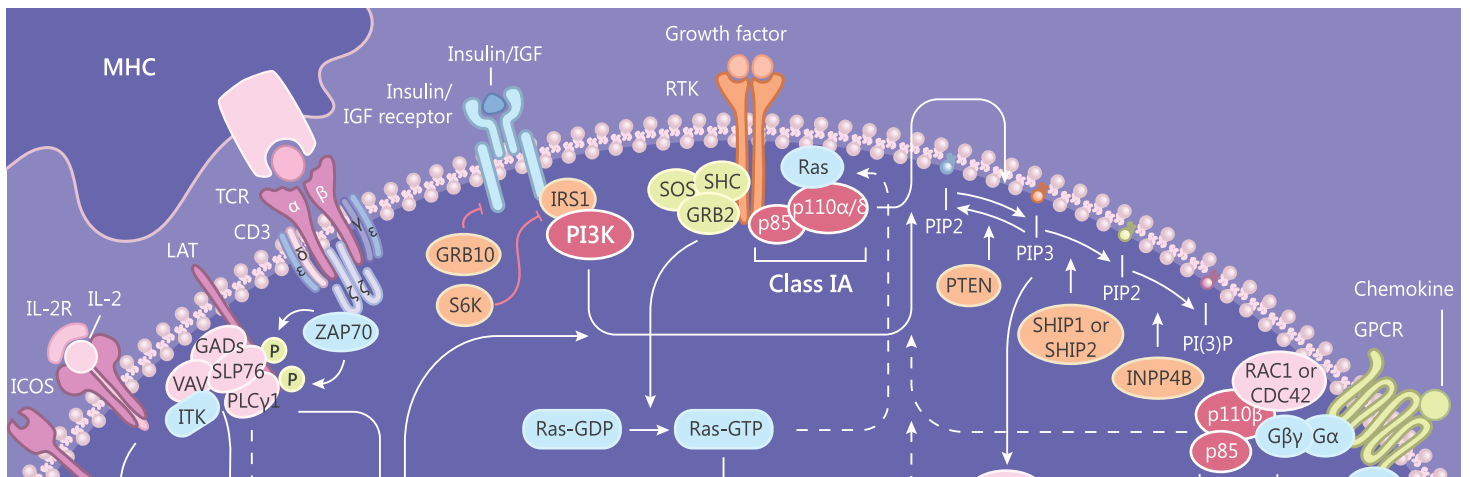
Cell Metab.

2021 Dec 7;33(12):2355-2366.e8.

Cancer Cell.

2021 Nov 8;39(11):1531-1547.e10.

Cell Signaling Pathways



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