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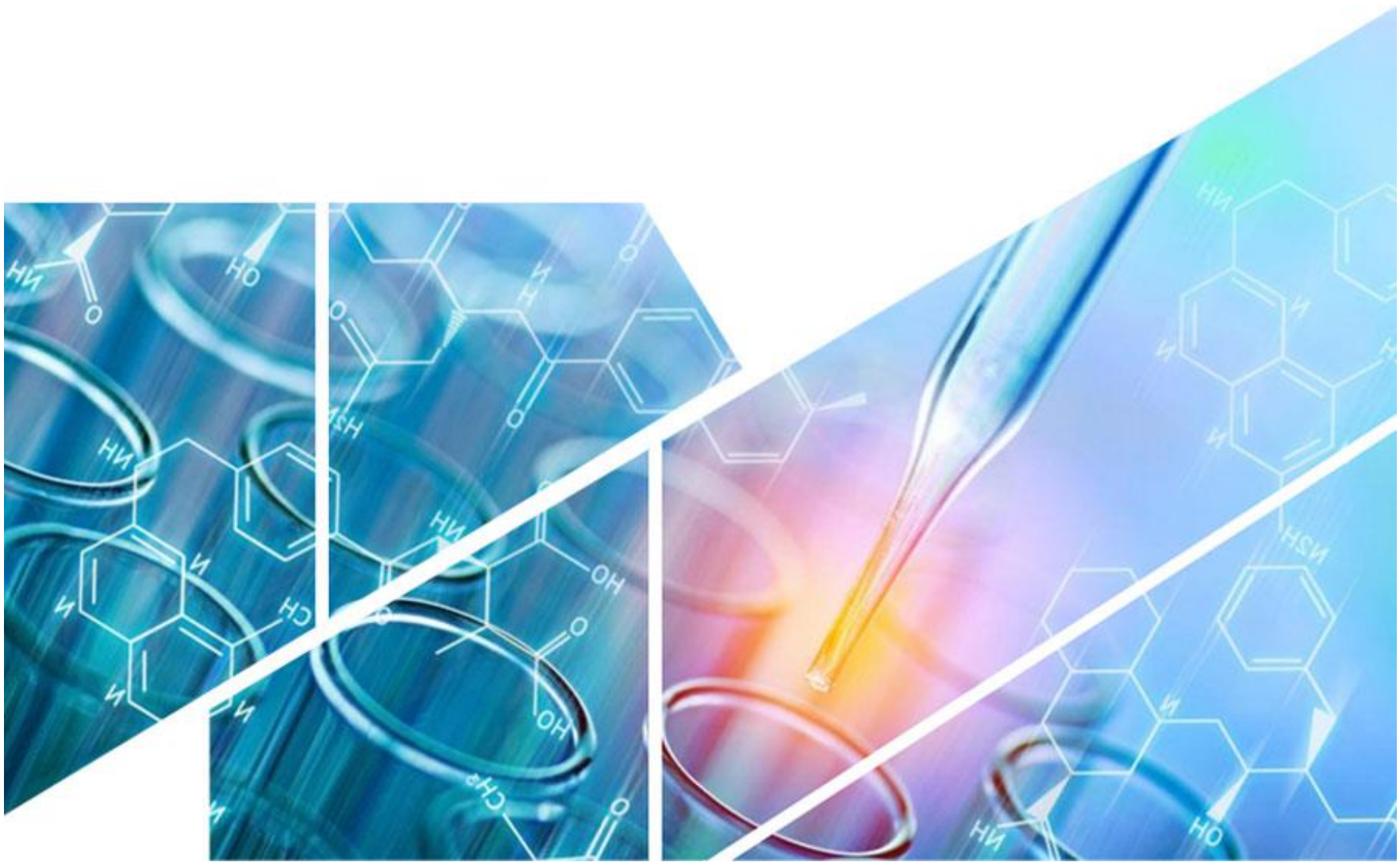
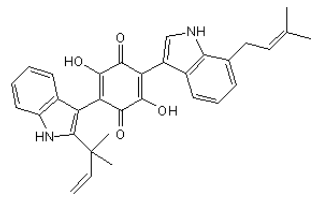
IGF-1R Inhibitors

(inhibitors, agonists and modulators)



The insulin-like growth factor 1 receptor (IGF1R) is a cell-surface tyrosine kinase receptor that is synthesized as a single polypeptide chain which is then processed to yield an around 180-kDa glycopeptide. The IGF1R is involved in growth, development, and differentiation processes. The IGF1R displays a very strong antiapoptotic activity and protects IGF1R-expressing cells from programmed cell death.

Demethylasterriquinone B1 - CAS 78860-34-1

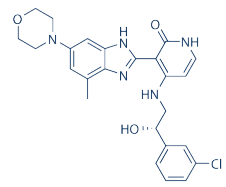


Catalog Number:

Molecular Weight: 506.59 **Molecular Formula:** C32H30N2O4

Description: Demethylasterriquinone B1 is a nonpeptidyl fungal metabolite and has been found to be a selective IR activator.

BMS-536924 - CAS 468740-43-4

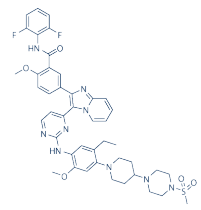


Catalog Number: 468740-43-4

Molecular Weight: 479.965 **Molecular Formula:** C25H26ClN5O3

Description: BMS-536924 is a potent small molecule inhibitor of IGF-IR, which shows antitumor activity in multiple tumor models, including sarcoma.

GSK-1904529a - CAS 1089283-49-7

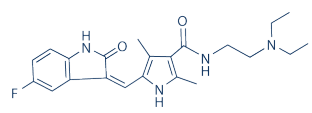


Catalog Number: 1089283-49-7

Molecular Weight: 851.975 **Molecular Formula:** C44H47F2N9O5S

Description: GSK-1904529A is an IGF-1R Inhibitor, is is a promising candidate for therapeutic use in IGF-IR–dependent tumors. GSK1904529A selectively inhibits IGF-IR and IR with IC50s of 27 and 25 nmol/L, respectively. GSK1904529A blocks receptor autophosphorylation and downstream signaling, leading to cell cycle arrest. It inhibits the proliferation of cell lines derived from solid and hematologic malignancies, with multiple myeloma and Ewing's sarcoma cell lines being most sensitive. Oral administration of GSK1904529A decreases the growth of human tumor xenografts in mice, consistent with a reduction of IGF-IR phosphorylation in tumors. Despite the potent inhibitory activity of GSK1904529A on IR in vitro and in vivo, minimal effects on blood glucose levels are observed in animals at doses that show significant antitumor activity.

Sunitinib - CAS 557795-19-4



Catalog Number: B0084-186154

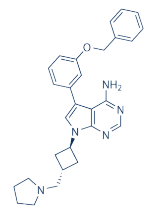
Molecular Weight: 398.47 **Molecular Formula:** C22H27FN4O2

Description: Sunitinib is a multi-targeted RTK inhibitor targeting VEGFR2 (Flk-1) and PDGFRβ with IC50 of 80 nM and 2 nM, and also inhibits c-Kit.

ADW742 - CAS 475488-23-4

Catalog Number: 475488-23-4

Molecular Weight: 453.59 **Molecular Formula:** C28H31N5O

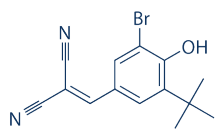


Description: NVP-ADW742 is a novel small weight molecular inhibitor of IGF-IR with potential anticancer activity. NVP-ADW742 inhibited IGF-IR-mediated proliferation with an IC50 of 11.12 μmol/l. NVP-ADW742 induced early suppression of Akt, P38 and GSK-3β phosphorylation. NVP-ADW742 was found to suppresse survival and resistance to chemotherapy in acute myeloid leukemia cells.

AG-1024 - CAS 65678-07-1

Catalog Number: 65678-07-1

Molecular Weight: 393.23 **Molecular Formula:** C21H27N7O

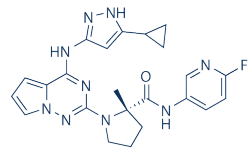


Description: AG-1024 is also called Tyrphostin, is a selective inhibitor of IGF-1R. AG-1024 was used to evaluate effects on proliferation, radiosensitivity, and radiation-induced cell apoptosis in a human breast cancer cell line MCF-7. Exposure to Tyrphostin AG 1024 inhibited proliferation and induced apoptosis in a time-dependent manner, and the degree of growth inhibition for IC20 plus irradiation (4 Gy) was up to 50% compared to the control.

BMS-754807 - CAS 1001350-96-4

Catalog Number: 1001350-96-4

Molecular Weight: 461.505 **Molecular Formula:** C23H24FN9O

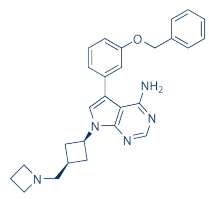


Description: BMS-754807 is an orally bioavailable antagonist of human insulin-like growth factor type I receptor (IGF-1R) with potential antineoplastic activity. BMS-7548077 binds to IGF-1R, preventing IGF-1 ligand binding and activation of IGF-1R-mediated signaling pathways.

AEW-541 - CAS 475489-16-8

Catalog Number: 475489-16-8

Molecular Weight: 439.563 **Molecular Formula:** C27H29N5O

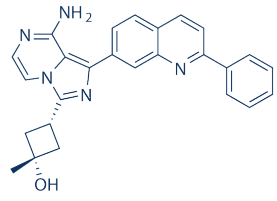


Description: AEW541, also known as NVP-AEW541, is a novel, potent IGF-IR kinase inhibitor. NVP-AEW541 is capable of distinguishing between the IGF-IR (IC50 = 0.086 microM) and the closely related InsR (IC50 = 2.3 microM) in cells. NVP-AEW541 abrogates IGF-I-mediated survival and colony formation in soft agar at concentrations that are consistent with inhibition of IGF-IR autophosphorylation. NVP-AEW541 represents a class of selective, small molecule IGF-IR kinase inhibitors with proven in vivo antitumor activity and potential therapeutic application.

Linsitinib - CAS 867160-71-2

Catalog Number: 867160-71-2

Molecular Weight: 421.504 **Molecular Formula:** C26H23N5O

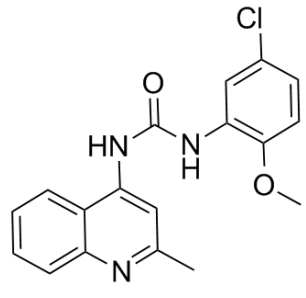


Description: Linsitinib, also known as OSI-906, is an orally bioavailable small molecule inhibitor of the insulin-like growth factor 1 receptor (IGF-1R) with potential antineoplastic activity. OSI-906 selectively inhibits IGF-1R, which may result in the inhibition of tumor cell proliferation and the induction of tumor cell apoptosis. Overexpressed in a variety of human cancers, IGFR-1 stimulates cell proliferation, enables oncogenic transformation, and suppresses apoptosis.

PQ 401 - CAS 196868-63-0

Catalog Number: 196868-63-0

Molecular Weight: 341.79 **Molecular Formula:** C18H16ClN3O2

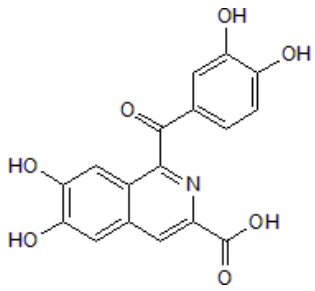


Description: PQ 401 is an IGF-1R inhibitor and inhibits autophosphorylation of the IGF-IR kinase domain at concentrations <100 nM, with an IC50 <1μM.

NBI 31772 - CAS 374620-70-9

Catalog Number:

Molecular Weight: 341.27 **Molecular Formula:** C17H11NO7

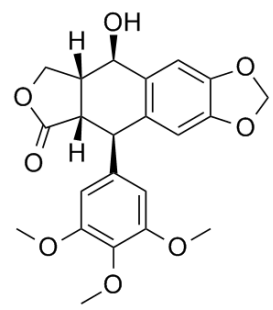


Description: NBI-31772 is an insulin-like growth factor-1 binding protein inhibitor. It increases cardiomyocyte proliferation in vivo.

Picropodophyllin - CAS 477-47-4

Catalog Number: 477-47-4

Molecular Weight: 414.41 **Molecular Formula:** C22H22O8

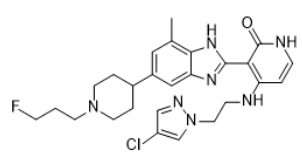


Description: Picropodophyllin, also known as Picropodophyllotoxin, AXL1717 or PPP, is a cyclolignan alkaloid found in the mayapple plant family (Podophyllum peltatum), and a small molecule inhibitor of the insulin-like growth factor 1 receptor (IGF1R) with potential antineoplastic activity. Picropodophyllin specifically inhibits the activity and downregulates the cellular expression of IGF1R without interfering with activities of other growth factor receptors, such as receptors for insulin, epidermal growth factor, platelet-derived growth factor, fibroblast growth factor and mast/stem cell growth factor (KIT). This agent shows potent activity in the suppression of tumor cell proliferation and the induction of tumor cell apoptosis. IGF1R, a receptor tyrosine kinase overexpressed in a variety of human cancers, plays a critical role in the growth and survival of many types of cancer cells.

BMS695735 - CAS 1054315-48-8

Catalog Number: 1054315-48-8

Molecular Weight: 512.02 **Molecular Formula:** C26H31ClFN7O



Description: BMS695735 is a benzimidazole inhibitor of the insulin-like growth factor-1 receptor. It was also found to have potent CYP3A4 inhibition. It has broad spectrum in vivo antitumor activity.