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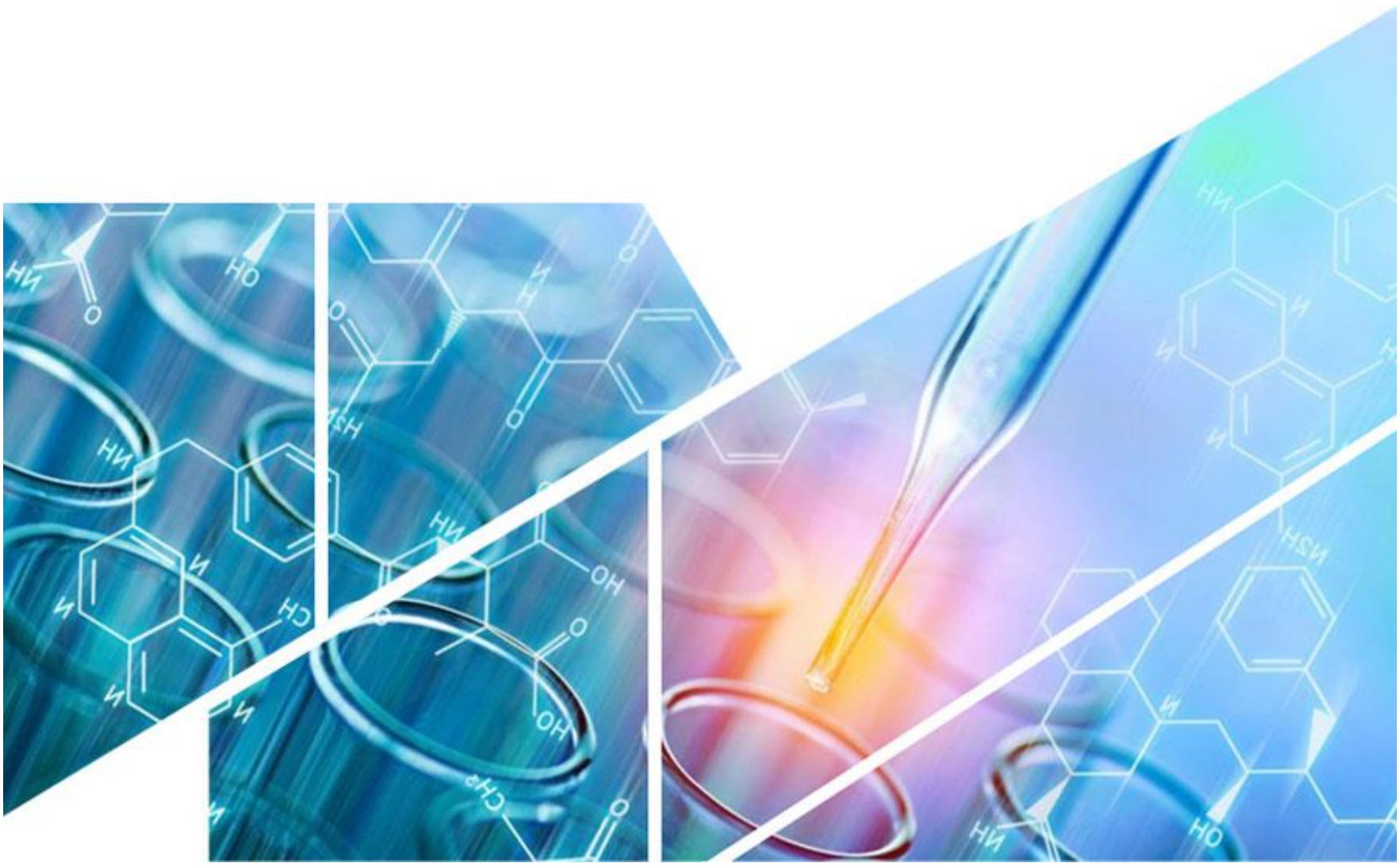
P2Y Receptor Inhibitors

(inhibitors, agonists and modulators)



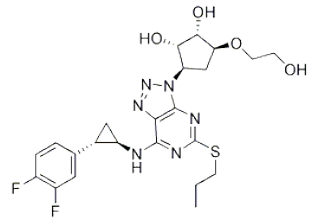
P2Y receptors are G protein-coupled receptors (GPCRs) that are activated by adenine and uridine nucleotides and nucleotide sugars. There are eight subtypes of P2Y receptors (P2Y1, P2Y2, P2Y4, P2Y6, P2Y11, P2Y12, P2Y13, and P2Y14), which activate intracellular signaling cascades to regulate a variety of cellular processes, including proliferation, differentiation, phagocytosis, secretion, nociception, cell adhesion, and cell migration. These signaling cascades operate mainly by the sequential activation or deactivation of heterotrimeric and monomeric G proteins, phospholipases, adenylyl and guanylyl cyclases, protein kinases, and phosphodiesterases.

Ticagrelor - CAS 274693-27-5

Catalog Number: B0084-089156 **Price:** $169/1 g

Molecular Weight: 522.572 **Molecular Formula:** C23H28F2N6O4S

Description: Ticagrelor, the first reversible oral P2Y12 receptor antagonist, provides faster, greater, and more consistent ADP-receptor inhibition than Clopidogrel. Used in the treatment of acute coronary syndromes (ACS).

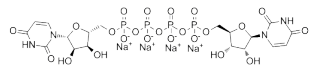


Diquafosol tetrasodium - CAS 211427-08-6

Catalog Number: B0084-437603 **Price:** $399/50 mg

Molecular Weight: 878.23 **Molecular Formula:** C18H22N4Na4O23P4

Description: Diquafosol tetrasodium, also referred to Diquas, is aP2Y2receptor agonist that is targeted to treat dry eye disease through rehydration of the ocular surface.

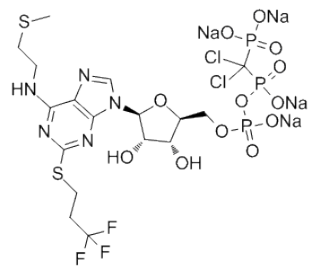


Cangrelor tetrasodium - CAS 163706-36-3

Catalog Number: B0084-468043 **Price:** $199/10 mg

Molecular Weight: 864.274 **Molecular Formula:** C17H21Cl2F3N5Na4O12P3S2

Description: Cangrelor tetrasodium is an ATP analogue and acts as a reversible antagonist of P2Y12 receptor. Pretreatment with cangrelor significantly reduces blood clotting induced by ADP in a mouse model of pulmonary thromboembolism.

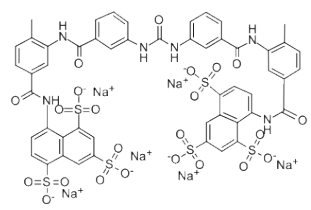


Suramin Sodium - CAS 129-46-4

Catalog Number: 129-46-4

Molecular Weight: 1429.17 **Molecular Formula:** C51H34N6Na6O23S6

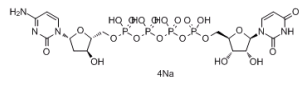
Description: The sodium salt of Suramin which is a P2 purinergic antagonist and has been also found to have the effect in blocking the G protein binds to GPCRs so that it was studied the activity against sorts of cancer cell lines. The result of Phase II trail against



INS37217 - CAS 318250-11-2

Catalog Number:

Molecular Weight: 865.28 **Molecular Formula:** C18H27N5Na4O21P4

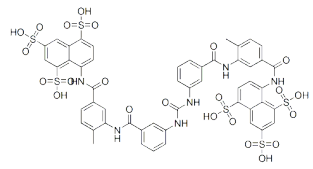


Description: INS-37217, also known as Denufosol, is a long- acting P2Y2 receptor agonist potentially for the treatment of cystic fibrosis. INS-37217 exhibits an EC50 of ~10 μM for P2Y2 receptor activation.

Suramin - CAS 145-63-1

Catalog Number: 145-63-1

Molecular Weight: 1297.29 **Molecular Formula:** C51H40N6O23S6

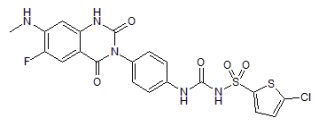


Description: Suramin, also called as Germanin, has been demonstrated to inhibit a large variety of enzymes including urease, hexokinase, succinic dehydrogenase, p-glucuronidase, acid phosphatase, lysozyme, thrombin, plasma kallikrein, (Na'-K')-activated ATPase, plasmi

Elinogrel - CAS 936500-94-6

Catalog Number:

Molecular Weight: 523.95 **Molecular Formula:** C20H15ClFN5O5S2

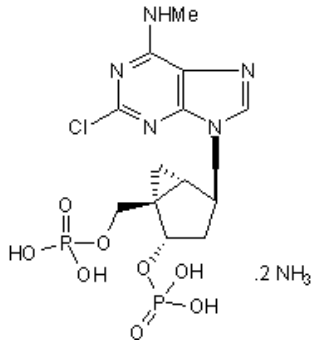


Description: Elinogrel is a P2Y12 antagonist displaying antiplatelet activity.

MRS 2279 - CAS 367909-40-8

Catalog Number:

Molecular Weight: 503.78 **Molecular Formula:** C13H18ClN5O8P2.2NH3



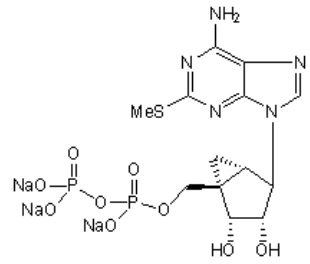
Description: MRS 2279 is the first broadly applicable, selective and high affinity competitive antagonist radioligand for a P2Y receptor (Ki = 2.5 nM; IC50 = 51.6 nM).

MRS 2365 - CAS 436847-09-5

Catalog Number:

Molecular Weight: 549.28 **Molecular Formula:** C13H16N5O9P2SNa3

Description: MRS 2365 is a highly potent, selective P2Y1 receptor agonist (EC50 = 0.4 nM), with no activity at P2Y12 receptors and only very low agonist activity at P2Y13 receptors (at concentrations up to 1 μM).

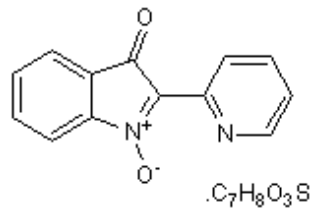


PIT - CAS 56583-49-4

Catalog Number:

Molecular Weight: 396.42 **Molecular Formula:** C13H8N2O2.C7H8O3S

Description: PIT selectively and non-competitively blocked P2Y1 receptor signaling without affecting nucleotide binding. PIT had no significant effect on agonist activation of other P2Y receptors, including P2Y2, P2Y4, P2Y6, P2Y11 and P2Y12 receptors.

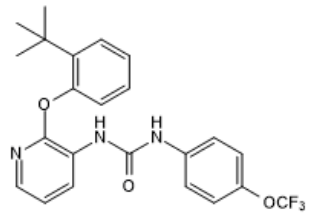


BPTU - CAS 870544-59-5

Catalog Number:

Molecular Weight: 445.43 **Molecular Formula:** C23H22F3N3O3

Description: BPTU is an allosteric antagonist of P2Y1 (EC50 = 0.06-0.3 μM) that binds receptors outside of the helical bundle. BPTU blocks inhibition of spontaneous contraction of rat and mouse colon, which is induced by electrical field activation, nicotine and P2Y agonists. It exhibits antithrombotic activity and reduces platelet aggregation.

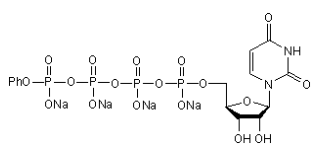


MRS 2768 tetrasodium salt - CAS 1047980-83-5

Catalog Number:

Molecular Weight: 728.14 **Molecular Formula:** C15H16N2O18P4Na4

Description: MRS 2768 tetrasodium salt is a selective P2Y2 agonist (EC50 = 1.89 μM), with no affinity for human P2Y4 or P2Y6 receptors.

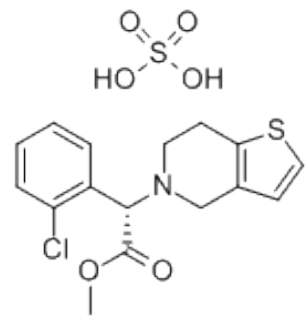


Clopidogrel - CAS 113665-84-2

Catalog Number: 113665-84-2

Molecular Weight: 321.82 **Molecular Formula:** C16H16ClNO2S

Description: Clopidogrel, a thienopyridine derivative, could be used in the prevention and treatment of sorts of arterial circulation disorders caused by platelet aggregation.

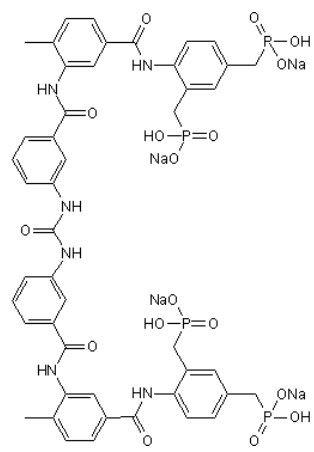


NF 546 - CAS 1006028-37-0

Catalog Number:

Molecular Weight: 1180.74 **Molecular Formula:** C47H44N6Na4O17P4

Description: NF 546 is a P2Y11 agonist with pEC50 value of 6.27. It can stimulate release of interleukin-8 from human monocyte-derived dendritic cells.

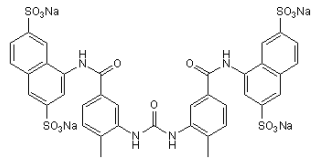


NF 340 - CAS 202982-98-7

Catalog Number:

Molecular Weight: 986.84 **Molecular Formula:** C37H26N4Na4O15S4

Description: NF 340 is a selective P2Y11 antagonist. It shows competitive antagonism against ATPγS with pIC50 values of 6.43 and 7.14 in Ca2+ and cAMP assays respectively.

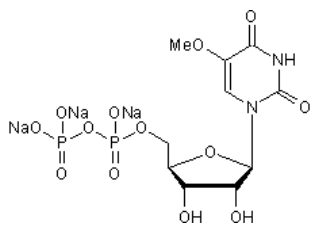


5-OMe-UDP trisodium salt - CAS 1207530-98-0

Catalog Number:

Molecular Weight: 500.13 **Molecular Formula:** C10H13N2Na3O13P2

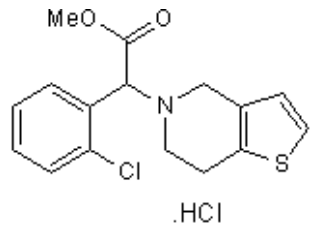
Description: 5-OMe-UDP trisodium salt is a P2Y6 agonist with EC50 value of 0.08 μM.



(DEMO VERSION)-Clopidogrel hydrochloride - CAS 130209-90-4

Catalog Number:

Molecular Weight: 358.28 **Molecular Formula:** C16H17NO2SCl2

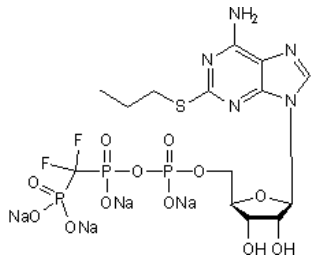


Description: (±)-Clopidogrel hydrochloride is the hydrochloride salt of clopidogrel, which is a high affinity, selective and irreversible antagonist of the platelet purinergic P2Y12 receptor with IC50 value of 100 nM. It is used as a potent antithrombotic agent and requires bioactivation. It inhibits ADP-induced platelet aggregation ex vivo and functions as a prodrug. It enables its anti-aggregating activity via biotransformation to its active metabolite via CYP2C19 in the liver.

AR-C 66096 tetrasodium salt - CAS 145782-74-7

Catalog Number:

Molecular Weight: 703.26 **Molecular Formula:** C14H18F2N5Na4O12P3S

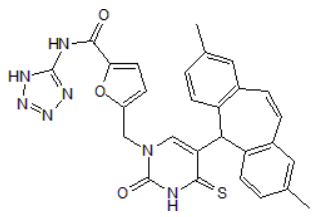


Description: The sodium salt form of AR-C 66096, which has been found to be a P2Y12 receptor antagonist and could be probably used as an antithrombotic agent.

AR-C 118925XX - CAS 216657-60-2

Catalog Number:

Molecular Weight: 537.59 **Molecular Formula:** C28H23N7O3S

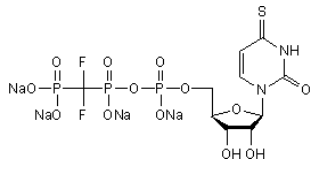


Description: AR-C126313, a thiouracil derivative, has been found to be a competitive P2Y2 receptor antagonist and could inhibit P2Y2 receptor-induced β-arrestin translocation in vitro.

PSB 1114 - CAS 1657025-60-9

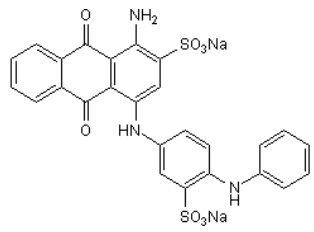
Catalog Number:

Molecular Weight: 622.14 **Molecular Formula:** C10H11F2N2Na4O13P3S



Description: PSB 1114, a β,γ-difluoromethylene derivative of 4 - thio - UTP, is a potent, selective P2Y2 agonist (EC50 = 0.134 μM),with >60-fold selectivity versus P2Y4 and P2Y6 receptors. PSB 1114 activated P2Y2, P2Y4 and P2Y6 with EC50 values of 0.134, 9.3 and 7.0 μM respectively

PSB 0739 - CAS 1052087-90-7

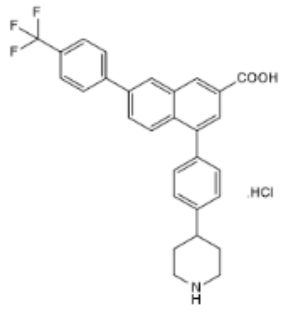


Catalog Number:

Molecular Weight: 609.54 **Molecular Formula:** C26H17N3Na2O8S2

Description: PSB 0739 is a highly potent, direct - acting and reversible P2Y12 receptor antagonist (Ki = 24.9 nM). Unlike clopidogrel, it does not require bioactivation. P2Y12 receptors regulate CFA - induced hyperalgesia and the local inflammatory response, and platelet P2Y12 receptors contribute to these effects in the chronic inflammation phase.

PPTN hydrochloride

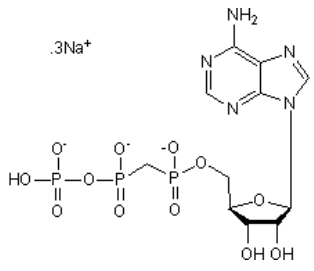


Catalog Number:

Molecular Weight: 511.96 **Molecular Formula:** C29H24F3NO2.HCl

Description: PPTN, a 4,7-disubstituted 2-naphthoic acid derivative, is a high affinity and selective P2Y14 antagonist (KB = 434 pM) with >10,000-fold selectivity for P2Y14 over other P2Y receptors. PPTN blocks UDP-glucose–promoted chemotaxis of human neutrophils and does so across a concentration range consistent with its KB determined in the P2Y14-R–expressing cell line.

αβ-methylene ATP - CAS 1343364-54-4

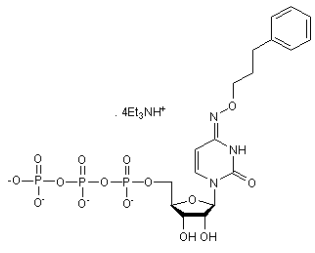


Catalog Number:

Molecular Weight: 571.15 **Molecular Formula:** C11H15N5Na3O12P3

Description: αβ-methylene ATP, a phosphonic analog of ATP, is an agonist of P2X purinoceptors P2X1 and P2X3 (EC50 = ~1 μM) and is ~1,000-fold less potent at P2X2, P2X receptors 4-7, and P2Y receptors. αβ-methylene ATP also appears to act as an antagonist against endogenously released adenine nucleosides and nucleotides.

MRS 4062 triethylammonium salt - CAS 1309871-50-8



Catalog Number:

Molecular Weight: 1022.09 **Molecular Formula:** C18H26N3O15P3.4(C2H5)3N

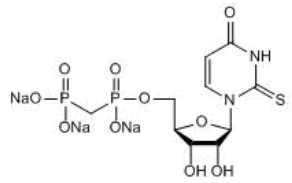
Description: MRS 4062 is a hP2Y4 agonist with EC50 value of 23 nM, EC50 value of 640 nM to P2Y2 receptor and EC50 value of 740 nM to P2Y6 receptor.

MRS 2905

Catalog Number:

Molecular Weight: 484.2 **Molecular Formula:** C10H13N2Na3O10P2S

Description: MRS 2905 is a potent and selective P2Y14 receptor agonist (EC50 = 0.92 nM), with >2000-fold selectivity over P2Y6.

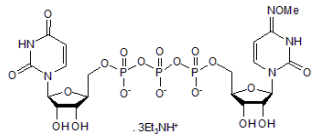


MRS 2957 triethylammonium salt - CAS 1228271-30-4

Catalog Number:

Molecular Weight: 1042.94 **Molecular Formula:** C19H28N5O20P3.3(C2H5)3N

Description: MRS 2957 triethylammonium salt 7 is a selective P2Y6 receptor agonists (EC50 = 12 nM) for the treatment of muscle wasting and neurodegeneration. It exhibits 14- and 66-fold selectivity against P2Y2 and P2Y4 receptors respectively.

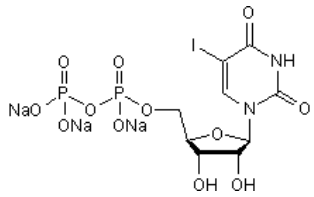


MRS 2693 trisodium salt - CAS 1448858-83-0

Catalog Number:

Molecular Weight: 596 **Molecular Formula:** C9H10IN2Na3O12P2

Description: MRS 2693 trisodium salt is a selective P2Y6 agonist (EC50 = 0.015 μM at the hP2Y6 receptor), with no activity at other P2Y subtypes.

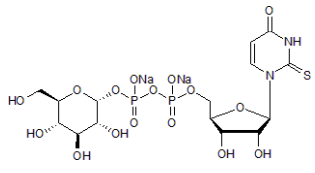


MRS 2690 - CAS 15039-58-4

Catalog Number:

Molecular Weight: 626.33 **Molecular Formula:** C15H22N2Na2O16P2S

Description: MRS 2690 is a potent P2Y14 receptor agonist (EC50 = 49 nM), with 7-fold higher potency than UDP-glucose.

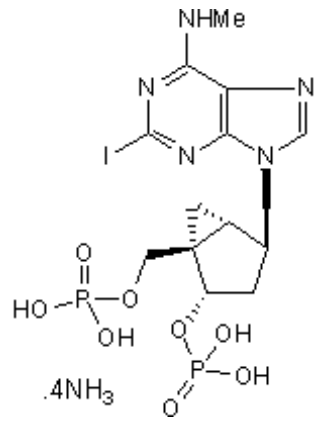


MRS 2500 tetraammonium salt - CAS 630103-23-0

Catalog Number:

Molecular Weight: 629.29 **Molecular Formula:** C13H18N5O8P2I.4NH3

Description: MRS 2500 tetraammonium salt is a highly potent and selective antagonist of the platelet P2Y1 receptor (Ki = 0.78 nM). It inhibits ADP-induced aggregation of human platelets.

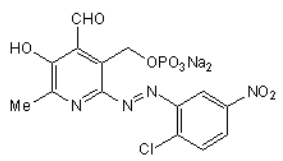


MRS 2211

Catalog Number:

Molecular Weight:474.66 **Molecular Formula:** C14H10N4O8Na2PCl

Description:MRS 2211 is a competitive P2Y13 receptor antagonist (pIC50 = 5.97), with > 20-fold selectivity over P2Y1 and P2Y12 receptors. It is known that MRS 2211 inhibits ADP-induced inositol trisphosphate (IP3) formation. Research suggests that MRS 2211 inhibits phospholipase C (PLC) response to 2-methylthio-ADP in 1321N1 astrocytoma cells.

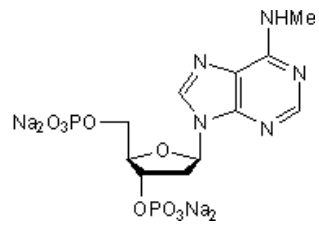


MRS 2179 tetrasodium salt - CAS 1454889-37-2

Catalog Number:

Molecular Weight: 513.16 **Molecular Formula:** C11H13N5O9P2Na4

Description: MRS 2179 tetrasodium salt is a competitive antagonist at P2Y1 receptors (KB = 100 nM), which is selective over P2X1 (IC50 = 1.15 μM), P2X3 (IC50 = 12.9 μM), P2X2, P2X4, P2Y2, P2Y4 and P2Y6 receptors. It inhibits ADP-induced platelet shape change and aggregation (pA2 = 6.55) in vitro and prolongs bleeding time in rats and mice compared to controls.

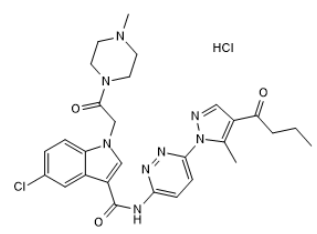


SAR 216471 hydrochloride - CAS 1279829-64-9

Catalog Number:

Molecular Weight: 599.51 **Molecular Formula:** C28H31ClN8O3.HCl

Description: SAR 216471 hydrochloride is a potent and reversible P2Y12 antagonist (IC50 = 17 nM), displaying antiplatelet and antithrombotic activity in vivo.

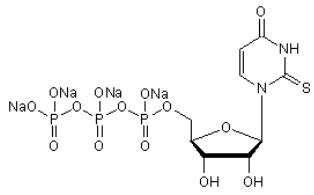


2-ThioUTP tetrasodium salt - CAS 1343364-70-4

Catalog Number:

Molecular Weight: 588.13 **Molecular Formula:** C9H11N2Na4O14P3S

Description: 2-ThioUTP tetrasodium salt is a potent and selective P2Y2 agonist (EC50 = 0.035, 0.35 and 1.5 μM for hP2Y2, hP2Y4 and hP2Y6 receptors, respectively).

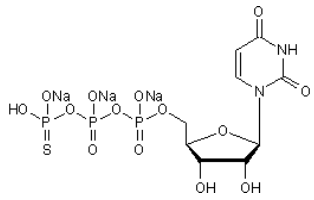


UTPγS trisodium salt - CAS 1266569-94-1

Catalog Number:

Molecular Weight: 566.15 **Molecular Formula:** C9H12N2Na3O14P3S

Description: UTPγS trisodium salt is a selective P2Y2 and P2Y4 receptor agonist.

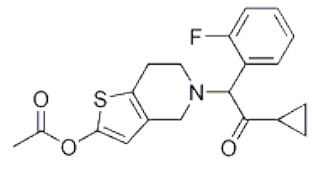


Prasugrel - CAS 150322-43-3

Catalog Number: 150322-43-3

Molecular Weight: 373.442 **Molecular Formula:** C20H20FNO3S

Description: Prasugrel is a thienopyridine prodrug that is converted by esterases to a receptor antagonist for purinergic P2Y12 receptors. The blockade of P2Y12 receptor activation prevents platelet aggregation. Prasugrel is metabolized by the liver- and intestinal-dominant carboxylesterases CE1 and CE2 to give a mixture of four enantiomers that inhibit platelet aggregation.

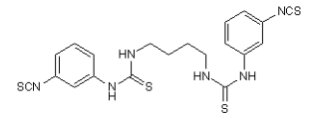


MRS 2578 - CAS 711019-86-2

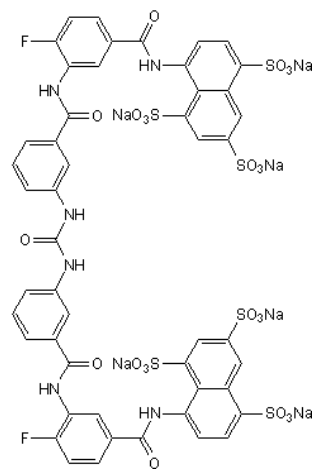
Catalog Number: 711019-86-2

Molecular Weight: 472.67 **Molecular Formula:** C20H20N6S4

Description: MRS2578 is a potent P2Y6 receptor antagonist with IC50 of 37 nM, exhibits insignificant activity at P2Y1, P2Y2, P2Y4,and P2Y11 receptors.



NF 157 - CAS 104869-26-3

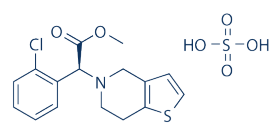


Catalog Number:

Molecular Weight: 1437.08 **Molecular Formula:** C49H28F2N6Na6O23S6

Description: NF 157 is a P2Y11 receptor antagonist with IC50 value of 463 nM. It inhibits NAD+-induced activation of human granulocytes.

Clopidogrel - CAS 120202-66-6

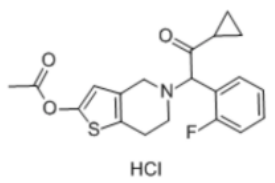


Catalog Number: 120202-66-6

Molecular Weight: 419.9 **Molecular Formula:** C16H16ClNO2S.H2SO4

Description: Clopidogrel is converted to its active metabolite by cytochrome P450 (CYP) enzymes.

Prasugrel Hydrochloride - CAS 389574-19-0

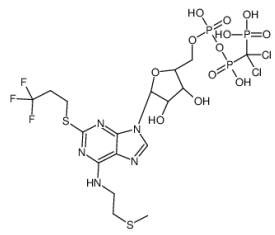


Catalog Number: 389574-19-0

Molecular Weight: 409.9 **Molecular Formula:** C20H21ClFNO3S

Description: Prasugrel is a platelet inhibitor (IC50=1.8 μM) , as a third-generation thienopyridine.

Cangrelor - CAS 163706-06-7



Catalog Number: 163706-06-7

Molecular Weight: 774.37 **Molecular Formula:** C17H25Cl2F3N5O12P3S2

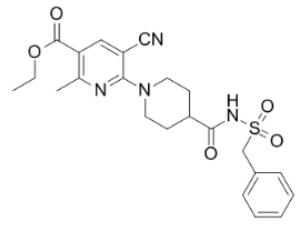
Description: Cangrelor, an adenylic acid derivative, has been found to be a P2Y12 inhibitor that could be effective in some coronary artery diseases. It is under Phase I trial for acute coronary syndromes.

AZD1283 - CAS 919351-41-0

Catalog Number: 919351-41-0

Molecular Weight: 470.544 **Molecular Formula:** C23H26N4O5S

Description: AZD1283 dose-dependently induced increases in blood flow and inhibition of ADP-induced platelet aggregation with antithrombotic ED50 values of 3.0 and 10 μg/kg/min, respectively. The doses that induced a larger than 3-fold increase in bleeding time were 33 and 100 μg/kg/min for 3 and 13, respectively. Thus, the therapeutic index (TI) was ≥10 for both compounds. On the basis of these data, compound 3 was progressed into human clinical trials as candidate drug AZD1283

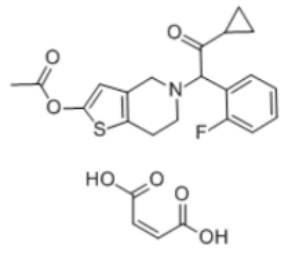


Prasugrel Maleic acid - CAS 389574-20-3

Catalog Number: 389574-20-3

Molecular Weight: 489.51 **Molecular Formula:** C24H24FNO7S

Description: Prasugrel is a platelet inhibitor (IC50=1.8 μM) , as a third-generation thienopyridine.

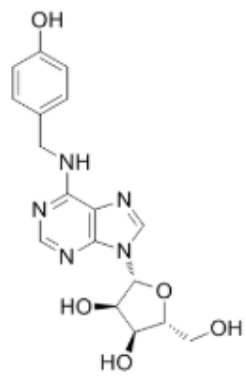


N6-(4-Hydroxybenzyl)adenosine - CAS 110505-75-4

Catalog Number: 110505-75-4

Molecular Weight: 373.36 **Molecular Formula:** C17H19N5O5

Description: N6-(4-Hydroxybenzyl)adenosine, an imidazopyrimidine derivative, could be effective as an inhibitor of platelet aggregation. IC50: 6.77-141 μM.

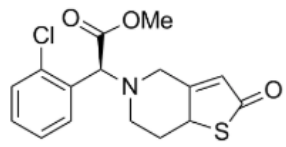


Clopidogrel thiolactone - CAS 1147350-75-1

Catalog Number: 1147350-75-1

Molecular Weight: 337.82 **Molecular Formula:** C16H16ClNO3S

Description: The thiolactone form of Clopidogrel that could be an effective antiplatelet agent for behaving as an inhibitor of P2Y12 receptor.



Regrelor - CAS 787548-03-2

Catalog Number: 787548-03-2

Molecular Weight: 532.45 **Molecular Formula:** C22H25N6O8P

Description: Regrelor is a P2Y12 antagonist. In Dec 2008, Phase-II for Thrombosis in USA was discontinued.