READY–TO–USE

InSolution™ Inhibitors

Inhibitors for Alzheimer's Disease Research

Product	Cat. No.	Conc. Provided	Comments	Size	Price US $
InSolution™ OM99-2	496000	1 mM in DMSO	Inhibits human brain memapsin 2 (K_i = 1.6 nM, recombinant memapsin 2; K_i = 9.58 nM, recombinant pro-memapsin 2) and cathepsin D (K_i = 48 nM).	250 µg	329
InSolution™ γ-Secretase Inhibitor IX (DAPT)	565784	25 mM in DMSO	A cell-permeable dipeptide that suppresses Aβ production by blocking γ-secretase ($Aβ_{total}$ IC_{50} = 115 nM, $Aβ_{42}$ IC_{50} = 200 nM).	5 mg	93
InSolution™ γ-Secretase Inhibitor X (L-685,458)	565771	1 mM in DMSO	A potent inhibitor of γ-secretase ($Aβ_{total}$ IC_{50} = 17 nM, $Aβ_{40}$ IC_{50} = 48 nM, and $Aβ_{42}$ IC_{50} = 67 nM in SH-SY5Y cells overexpressing spβA4CTF).	250 µg	254
InSolution™ γ-Secretase Inhibitor XVII (WPE-III-31C)	565778	5 mM in DMSO	A transition-state analog inhibitor of γ-secretase (IC_{50} = 300 nM for Aβ production in intact cells).	500 µg	156
InSolution™ γ-Secretase Inhibitor XIX	565787	5 mM in DMSO	A highly potent γ-secretase inhibitor (IC_{50} = 60 pM towards $Aβ_{40}$ secretion in SH-SY5Y cells overexpressing spβA4CTF).	100 µg	173

Inhibitors for Apoptosis Research

Product	Cat. No.	Conc. Provided	Comments	Size	Price US $
InSolution ™ Caspase Inhibitor I (Z-VAD(OMe)-FMK)	627609	10 mM in DMSO	A cell-permeable, irreversible, pan-caspase inhibitor.	1 mg	182
InSolution™ Caspase-3 Inhibitor I, Cell-Permeable (DEVD-CHO, Cell-permeable)	235427	5 mM in DMSO	Highly specific, potent, and reversible inhibitor of caspase-3 (K_i <1 nM).	1 mg	156
InSolution™ Caspase-3 Inhibitor II (Z-D(OMe) E(OMe)VD(OMe)-FMK)	264156	5 mM in DMSO	A cell-permeable, irreversible inhibitor of caspase-3 and caspase-6, -7, -8, and -10.	250 µg	83
InSolution™ Caspase-8 Inhibitor II (Z-IE(OMe)TD(OMe)-FMK)	218840	5 mM in DMSO	A cell-permeable, irreversible inhibitor of caspase-8 and granzyme B.	250 µg	88
InSolution™ Caspase-9 Inhibitor I (Z-LE(OMe)HD(OMe)-FMK	218841	5 mM in DMSO	A cell-permeable, irreversible inhibitor of caspase-9.	250 µg	113
InSolution™ Q-VD-OPh, Non-O-methylated	551476	10 mM in DMSO	A cell-permeable, irreversible, broad-spectrum caspase inhibitor (IC_{50} = 50, 100, 430, and <25 nM for caspase-1,-8, -9, and -3, respectively).	1 mg	142

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Inhibitors for Cytoskeletal Research

Product	Cat. No.	Conc. Provided	Comments	Size	Price US $
InSolution™Blebbistatin, Racemic	203389	50 mM in DMSO	A potent, reversible inhibitor of nonmuscle myosin II, ATPase, and gliding motility of human platelets (≤ 100 µM).	5 mg	146
InSolution™ Jasplakinolide, *Jaspis johnstoni*	420127	1 mM in DMSO	A cell-permeable F-actin probe. Antifungal and antitumor agent. Induces actin polymerization and stabilization *in vitro*.	50 µg	140
InSolution™ Latrunculin A, *Latrunculia magnifica*	428026	1 mM in DMSO	A cell-permeable toxin that disrupts microfilament organization by forming a 1:1 complex with monomeric G-actin (K_d = 200 nM).	50 µg	100

Inhibitors of Histone Deacetylase

Product	Cat. No.	Conc. Provided	Comments	Size	Price US $
InSolution™ Sirtinol	566321	10 mM in DMSO	A cell-permeable, specific, and direct inhibitor of the sirtuin class of deacetylase activity with no effect on human HDAC1 (IC_{50} = 48 µM, 131 µM and 58 µM for ySir2, hSIRT1 and hSIRT2, respectively).	1 mg	58
InSolution™ Trichostatin A, *Streptomyces* sp.	647926	10 mM in DMSO	A cell-permeable, potent, reversible inhibitor of histone deacetylase.	500 µg	90

Inhibitors of NF-κB Activation

Product	Cat. No.	Conc. Provided	Comments	Size	Price US $
InSolution™ BAY 11-7082	196871	100 mM in DMSO	A selective and irreversible inhibitor of TNF-α-inducible phosphorylation of IκBα (IC_{50} = 10 µM).	10 mg	68
InSolution™ IKK-2 Inhibitor IV	401484	10 mM in DMSO	A cell-permeable, potent inhibitor of IKK-2 (IC_{50} = 18 nM).	500 µg	84
InSolution™ IKK-2 Inhibitor, SC-514	401485	25 mM in DMSO	A cell-permeable, potent, reversible, ATP-competitive, selective inhibitor of IKK-2 (IC_{50} ~3-12 µM for IKK-2 homodimer, IKK-1/IKK-2 heterodimer, and IKK-2).	1 mg	79
InSolution™ NF-κB Activation Inhibitor	481407	10 mM in DMSO	A cell-permeable, highly potent inhibitor of NF-κB transcriptional activation (IC_{50} = 11 nM in Jurkat cells) and LPS-induced TNF-α production (IC_{50} = 7 nM in murine splenocytes).	1 mg	79

Inhibitors of Proteases

Product	Cat. No.	Conc. Provided	Comments	Size	Price US $
InSolution™ ALLN	208750	10 mM in DMSO	A cell-permeable inhibitor of calpain I (K_i = 190 nM), calpain II (K_i = 220 nM), cathepsin B (K_i = 150 nM), and cathepsin L (K_i = 500 pM).	5 mg	63
InSolution™ Epoxomicin, Synthetic	324801	1 mM in DMSO	A potent, highly specific, and irreversible inhibitor of chymotrypsin-like, trypsin-like, and peptidyl-glutamyl peptide hydrolyzing activities of the proteasome.	50 µg	110
InSolution™ GM6001	364206	10 mM in DMSO	A potent, cell-permeable inhibitor of MMPs (K_i = 400 pM for MMP-1; K_i = 500 pM for MMP-2; K_i = 27 nM for MMP-3; K_i = 100 pM for MMP-8; and K_i = 200 pM for MMP-9).	1 mg	62
InSolution™ MG-132	474791	10 mM in DMSO	A cell-permeable, potent, and reversible inhibitor of proteasome (K_i = 4 nM).	1 mg	39
InSolution™ Proteasome Inhibitor I (Z-IE(OtBu)AL-CHO)	539161	50 mM in DMSO	A cell-permeable, reversible inhibitor of the chymotrypsin-like activity of 20S proteasome.	5 mg	202

Inhibitors of Protein Kinases

Product	Cat. No.	Conc. Provided	Comments	Size	Price US $
InSolution™ AG 1478	658548	10 mM in DMSO	A potent and selective inhibitor of EGF receptor tyrosine kinase (IC_{50} = 3 nM).	1 mg	53
InSolution™ Akt Inhibitor IV	124015	10 mM in DMSO	A cell-permeable inhibitor of Akt phosphorylation/activation. Targets the ATP binding site of a kinase upstream of Akt, but downstream of PI 3-K.	1 mg	95
InSolution™ Akt Inhibitor VIII, Isozyme-Selective, Akti-1/2	124017	10 mM in DMSO	A cell-permeable, potent, and selective inhibitor of Akt1/Akt2 (IC_{50} = 58 nM, 210 nM, and 2.12 µM for Akt1, Akt2, and Akt3, respectively, in *in vitro* kinase assays).	1 mg	134

Inhibitors of Protein Kinases *continued*

Product	Cat. No.	Conc. Provided	Comments	Size	Price US $
InSolution™ AMPK Inhibitor, Compound C	171261	10 mM in DMSO	A cell-permeable, potent, selective, reversible, and ATP-competitive inhibitor of AMPK (K_i = 109 nM in the presence of 5 µM ATP and the absence of AMP).	1 mg	72
InSolution™ Bisindolyl-maleimide I	203293	1 mg/ml in DMSO	A cell-permeable, selective, reversible PKC inhibitor (K_i = 10 nM).	1 ml	107
InSolution™ Casein Kinase I Inhibitor, D4476	218705	10 mM in DMSO	A cell-permeable, potent, ATP-competitive inhibitor of CK1 (IC_{50} = 200 nM from *S. pombe*; 300 nM for CK1δ) and ALK5 (IC_{50} = 500 nM).	1 mg	121
InSolution™ Casein Kinase II Inhibitor I (TBB)	218708	10 mM in DMSO	A cell-permeable, selective, ATP/GTP-competitive inhibitor of CK2 (IC_{50} = 900 nM and 1.6 µM, using rat liver and human recombinant CK2, respectively) and DYRK (IC_{50} < 1 µM for DYRK1a).	5 mg	53
InSolution™ Casein Kinase II Inhibitor, DMAT	218706	10 mM in DMSO	A cell-permeable, potent, high affinity, and ATP-competitive inhibitor of CK2 (IC_{50} = 140 nM rat liver; K_i = 40 nM).	5 mg	90
InSolution™ Gö 6976	365253	500 µg/ml in DMSO	A cell-permeable inhibitor of PKC (IC_{50} = 7.9 nM for rat brain). Selectively inhibits Ca^{2+}-dependent PKC α-isozyme (IC_{50} = 2.3 nM) and $PKC_{\beta I}$ (IC_{50} = 6.2 nM).	1 ml	136
InSolution™ GSK-3 Inhibitor IX (BIO)	361552	10 mM in DMSO	A cell-permeable, highly potent, selective, reversible, and ATP-competitive inhibitor of GSK-3α/β (IC_{50} = 5 nM).	500 µg	63
InSolution™ GSK-3β Inhibitor VIII (AR-AO14418)	361557	25 mM in DMSO	A cell-permeable, potent, ATP-competitive inhibitor of GSK-3β (IC_{50} = 104 nM).	5 mg	84
InSolution™ H-89, Dihydrochloride	371962	10 mM in DMSO	A cell-permeable, selective, and potent inhibitor of PKA (K_i = 48 nM).	1 mg	84
InSolution™ JNK Inhibitor II	420128	50 mM in DMSO	A cell-permeable, potent, selective, and reversible inhibitor of c-Jun N-terminal kinase (IC_{50} = 40 nM for JNK-1 and JNK-2 and 90 nM for JNK-3).	5 mg	64
InSolution™ K-252a, *Nocardiopsis* sp.	420297	1 mM in DMSO	A potent inhibitor of CaM kinase II (K_i = 1.8 nM), MLCK (K_i = 17 nM), PKA (K_i = 18 nM), (K_i = 25 nM), and PKG (K_i = 20 nM).	100 µg	128
InSolution™ KN-93	422712	5 mM in DMSO	A cell-permeable inhibitor of rat brain CaM kinase II (K_i = 370 nM).	1 mg	109
InSolution™ KT5720	420323	2 mM in DMSO	A cell-permeable, potent, specific inhibitor of PKA (K_i = 56 nM).	50 µg	76
InSolution™ LY 294002	440204	10 mM in DMSO	A cell-permeable, potent, and specific PI 3-Kinase inhibitor (IC_{50} = 1.4 µM) that acts on the ATP-binding site of the enzyme.	1 mg	43
InSolution™ ML 3163	475800	10 mM in DMSO	A cell-permeable ATP-competitive inhibitor of p38 MAP kinase (IC_{50} = 40 µM). Also effectively inhibits the release of TNF-α and IL-1β	1 mg	101
InSolution™ Olomoucine	495624	50 mM in DMSO	A potent, selective, ATP-competitive inhibitor of $p34^{cdk}$1/cyclin B (IC_{50} = 7 µM) and related kinases including $p33^{cdk}$2/cyclin A (IC_{50} = 7 µM), $p33^{cdk}$2/cyclin E (IC_{50} = 7 µM), $p33^{cdk}$5/p35 (IC_{50} = 3 µM), and p44 MAP kinase (IC_{50} = 25 µM).	5 mg	139
InSolution™ p38 MAP Kinase Inhibitor III	506148	10 mM in DMSO	A cell-permeable, potent, selective, and ATP competitive p38 MAP kinase inhibitor (IC_{50} = 380 nM for p38α).	1 mg	121
InSolution™ PD 98059	513001	5 mg/ml in DMSO	A cell-permeable, selective inhibitor of MAP kinase kinase (MEK) that acts by inhibiting the activation of MAP kinase and subsequent phosphorylation of MAP kinase substrates.	1 ml	92
InSolution™ PD 153035	234491	10 mM in DMSO	A potent and specific inhibitor of the EGF receptor tyrosine kinase (IC_{50} = 25 pM; K_i = 6 pM).	500 µg	85
InSolution™ PD 158780	513036	10 mM in DMSO	A potent inhibitor of the EGFR tyrosine kinase activity (IC_{50} = 8 pM).	500 µg	107
InSolution™ PP2	529576	10 mM in DMSO	A potent and selective inhibitor of the Src family of protein tyrosine kinases. Inhibits $p56^{lck}$ (IC_{50} = 4 nM), $p59^{fyn}$T (IC_{50} = 5 nM), and Hck (IC_{50} = 5 nM).	1 mg	97
InSolution™ Raf1 Kinase Inhibitor I	553003	10 mM in DMSO	A potent cRaf1 kinase inhibitor (IC_{50} = 9 nM).	500 µg	63
InSolution™ Rapamycin	553211	5 mM in DMSO	A selective inhibitor of p70 S6 kinase activation (IC_{50} = 50 pM).	50 µg	95
InSolution™ Ro-31-8220	557521	5 mM in H_2O	A cell-permeable, competitive, and selective inhibitor of PKC (IC_{50} = 10 nM) over CaM kinase II (IC_{50} = 17 µM) and PKA (IC_{50} = 900 nM). Also inhibits GSK-3 in primary adipocytes (IC_{50} = 6.8 nM).	500 µg	83
InSolution™ Roscovitine	557364	50 mM in DMSO	A potent, selective, ATP-competitive inhibitor of $p34^{cdk}$1/cyclin B (IC_{50} = 650 nM), $p33^{cdk}$2/cyclin A (IC_{50} = 700 nM), $p33^{cdk}$2/cyclin E (IC_{50} = 700 nM), and $p33^{cdk}$5/p35 (IC_{50} = 200 nM).	5 mg	139

Inhibitors of Protein Kinases *continued*

Product	Cat. No.	Conc. Provided	Comments	Size	Price US $
InSolution™ SB 202190	559397	1 mg/ml in DMSO	A cell-permeable, potent inhibitor of p38 MAP kinase. Also inhibits the kinase activity of p38β (IC_{50} = 16 nM *in vitro* and 350 nM in cells) and p38 phosphorylation of activating transcription factor 2 (ATF-2; IC_{50} = 280 nM).	1 ml	104
InSolution™ SB 203580	559398	1 mg/ml in DMSO	A cell-permeable, highly specific inhibitor of p38 MAP kinase (IC_{50} = 34 nM *in vitro*, 600 nM in cells).	1 ml	116
InSolution™ Staurosporine, *Streptomyces* sp.	569396	1 mM in DMSO	A cell-permeable, potent inhibitor of PKA (IC_{50} = 7 nM), CaM kinase (IC_{50} = 20 nM), MLCK (IC_{50} = 1.3 nM), PKC (IC_{50} = 700 pM), and PKG (IC_{50} = 8.5 nM).	100 µg	143
InSolution™ SU6656	572636	10 mM in DMSO	A potent Src family kinase inhibitor. Inhibits Src (IC_{50} = 280 nM), Fyn (IC_{50} = 170 nM), Yes (IC_{50} = 20 nM) and Lyn (IC_{50} = 130 nM).	500 µg	84
InSolution™ VEGF Receptor 2 Kinase Inhibitor III	676498	10 mM in DMSO	A cell-permeable, selective, ATP-competitive inhibitor of VEGF-R and PDGF-R tyrosine kinases (IC_{50} = 1.04 µM and 20 µM, respectively, in NIH 3T3 cells overexpressing VEGFR).	500 µg	73
InSolution™ Rho Kinase Inhibitor	555552	10 mM in DMSO	A cell-permeable, specific, potent, and ATP-competitive inhibitor of G-protein Rho-associated kinase (ROCK; K_i = 1.6 nM).	500 µg	90
InSolution™ Y-27632	688001	5 mM in H_2O	A cell-permeable, potent, and selective inhibitor of Rho-associated protein kinases (K_i = 140 nM for $p160^{ROCK}$).	500 µg	75

Inhibitors of Protein Phosphatases

Product	Cat. No.	Conc. Provided	Comments	Size	Price US $
InSolution™ Microcystin-LR, *Microcystis aeruginosa*	475821	2.5 mM in DMSO	A potent inhibitor of protein phosphatase 2A and 1 (IC_{50} = 40 pM and 1.7 nM).	250 µg	110
InSolution™ Okadaic Acid, *Prorocentrum concavum*	495609	250 µM in DMSO	A potent inhibitor of protein phosphatase 2A and 1 (IC_{50} = 100 pM and 10 - 15 nM).	25 µg	65
InSolution™ Tautomycetin, *S. griseochromogenes*	580550	1 mM in DMSO	A specific inhibitor of PP1 activity with ~38-fold greater selectivity compared to PP2A (IC_{50} = 1.6 nM for PP1 and 62 nM for PP2A).	50 µg	180

Other Inhibitors of Biological Interest

Product	Cat. No.	Conc. Provided	Comments	Size	Price US $
InSolution™ AZT, Triphosphate, Tetralithium Salt	194950	100 mM in H_2O	A reverse transcriptase inhibitor that inhibits telomerase activity *in vitro* (IC_{50} = 30 µM).	1 µmol	160
InSolution™ Cycloheximide	239765	100 mg/ml in DMSO	Antifungal antibiotic, inhibitor of eukaryotic protein synthesis. Competitively inhibits hFKBP12 (K_i = 3.4 µM).	1 ml	59
InSolution™ Rac1 Inhibitor	553508	50 mM in H_2O	A cell-permeable, reversible inhibitor of Rac1 GDP/GTP exchange.	5 mg	237
InSolution™ Sinefungin	567051	10 mM in H_2O	An anti-leishmanial nucleoside antibiotic, and S-adenosyl-L-methionine (SAM, AdoMet) methyltransferase-specific inhibitor.	2 mg	139
InSolution™ TAPI-1	579053	10 mM in DMSO	A structural analog of TAPI-0 (Cat. No. 579050) that also blocks the shedding of several cell surface proteins such as IL-6 receptor, p60 TNF receptor, and p80 TNF receptor.	500 µg	139