

## BBB Kit™ References (April 10, 2020)

### MBT-24H (12 publications)

#### Permeability Assay (9 publications)

Yamaguchi S et al., Novel cyclic peptides facilitating transcellular blood-brain barrier transport of macromolecules in vitro and in vivo. *Journal of Controlled Release*. 2020 doi:10.1016/j.jconrel.2020.03.001

Shimizu Yu et al. Neuroprotective Effects of Endogenous Secretory Receptor for Advanced Glycation End-products in Brain Ischemia. *Aging Dis* 2019 <http://www.aginganddisease.org/EN/10.14336/AD.2019.0715>

Amano M et al. Novel Central Nervous System (CNS)-Targeting Protease Inhibitors for Drug-Resistant HIV Infection and HIV-Associated CNS Complications. *Antimicrob Agents Chemother*, 2019 <https://doi.org/10.1128/AAC.00466-19>

Yamamoto Y et al. Vascular RAGE transports oxytocin into the brain to elicit its maternal bonding behaviour in mice. *Communications Biology* volume 2, Article number: 76 (2019) <https://doi.org/10.1038/s42003-019-0325-6>

Lazzarini C et al. Acylhydrazones as antifungal agents targeting the synthesis of fungal sphingolipids. *Antimicrob Agents Chemother*. 2018 Mar 5. doi: 10.1128/AAC.00156-18.

Yamashita S et al. Mechanisms of carnosine-induced activation of neuronal cells. *Biosci Biotechnol Biochem*. 2017 Dec 11:1-6.

Amano M et al. A modified P1 moiety enhances in vitro antiviral activity against various multidrug-resistant HIV-1 variants and in vitro central nervous system penetration properties of a novel nonpeptidic protease inhibitor, GRL-10413. *Antimicrob Agents Chemother*. 2016;60(12):7046–59.

Amano M et al. A novel tricyclic ligand-containing nonpeptidic HIV-1 protease inhibitor, GRL-0739, effectively inhibits the replication of multidrug-resistant HIV-1 variants and has a desirable central nervous system penetration property in vitro. *Antimicrob Agents Chemother*. 2015;59(5):2625–35.

Gómez PMS et al. GRL-04810 and GRL-05010, difluoride-containing nonpeptidic HIV-1 protease inhibitors (PIs) that inhibit the replication of multi-PI-resistant HIV-1 in vitro and possess favorable lipophilicity that may allow blood-brain barrier penetration. *Antimicrob Agents Chemother*. 2013;57(12):6110–21.

#### BBB Analysis (3 publications)

Hashimoto Y et al. Claudin-5-binders enhance permeation of solutes across the blood-brain barrier in a mammalian model. *J Pharmacol Exp Ther*. 2017;363(2):275-283.

Yuka Torii et al. Metabolome analysis reveals the association between the kynurenine pathway and human

herpesvirus 6 encephalopathy in immunocompetent children. *Metabolomics* (2017) 13: 126.

Tominaga N et al. Brain metastatic cancer cells release microRNA-181c-containing extracellular vesicles capable of destructing blood–brain barrier. *Nat Commun.* 2015;6:6716

**RBT-24H (22 publications)**

**Permeability Assay (16 publications)**

Yamaguchi S et al., Novel cyclic peptides facilitating transcellular blood–brain barrier transport of macromolecules in vitro and in vivo. *Journal of Controlled Release.* 2020 doi:10.1016/j.jconrel.2020.03.001

Accelerative effects of carbazole-type alkaloids from *Murraya koenigii* on neurite outgrowth and their derivative's in vivo study for spatial memory. Yano, M., Nakashima, S., Kasa, S. et al. *J Nat Med* (2020). <https://doi.org/10.1007/s11418-020-01388-8>

Yano, M. et al. BBB-permeable aporphine-type alkaloids in *Nelumbo nucifera* flowers with accelerative effects on neurite outgrowth in PC-12 cells. *J Nat Med* (2019). <https://doi.org/10.1007/s11418-019-01368-7>

Kimiyuki S et., al. Brain Targeting of Acyl-CoA:Cholesterol O-Acyltransferase-1 Inhibitor K-604 via the Intranasal Route Using a Hydroxycarboxylic Acid Solution. *ACS Omega* 2019,4,16,16943-16955 DOI: 10.1021/acsomega.9b02307

Hase T et al. Rosmarinic acid suppresses Alzheimer's disease development by reducing amyloid  $\beta$  aggregation by increasing monoamine secretion. *Sci Rep.* 2019 Jun 18;9(1):8711. doi: 10.1038/s41598-019-45168-1.

Daisuke I et al. Type I interferon protects neurons from prions in in vivo models. *Brain*, awz016, <https://doi.org/10.1093/brain/awz016>

Unno K et al. Blood-Brain Barrier Permeability of Green Tea Catechin Metabolites and their Neuritogenic Activity in Human Neuroblastoma SH-SY5Y Cells. *Mol Nutr Food Res.* 2017;61(12).doi: 10.1002/mnfr.201700294

Jung Seok Kim, Dae Hwan Shin, Jin-Seok Kim. Dual-targeting immunoliposomes using angiopep-2 and CD133 antibody for glioblastoma stem cells. *J Control Release.* 2017;269:245-257.

Yoshimaru T et al. Stapled BIG3 helical peptide ERAP potentiates anti-tumour activity for breast cancer therapeutics. *Scientific Reports* 2017;7(1):1821.

Kurokawa Y et al. Aggregation is a critical cause of poor transfer into the brain tissue of intravenously administered cationic PAMAM dendrimer nanoparticles. *Int J Nanomedicine.* 2017 May 24;12:3967-3975.

Watanabe Y et al. Design and synthesis of novel  $\delta$  opioid receptor agonists with an azatricyclodecane skeleton for improving blood-brain barrier penetration. *Bioorg Med Chem Lett*. 2017 May 26. pii: S0960-894X(17)30571-1.

Pervin M et al. Blood brain barrier permeability of (-)-epigallocatechin gallate, its proliferation-enhancing activity of human neuroblastoma SH-SY5Y cells, and its preventive effect on age-related cognitive dysfunction in mice. *Biochem Biophys Reports* [Internet]. 2017;9(January):180–6.

Pelisch N et al. Plasminogen activator inhibitor-1 antagonist TM5484 attenuates demyelination and axonal degeneration in a mice model of multiple sclerosis. *PLoS One*. 2015;10(4):1–17.

Bohara M et al. C-type natriuretic peptide modulates permeability of the blood-brain barrier. *J Cereb Blood Flow Metab*. 2014;34(4):589–96.

Hanada S et al. Cell-based in vitro blood-brain barrier model can rapidly evaluate nanoparticles' brain permeability in association with particle size and surface modification. *Int J Mol Sci*. 2014;15(2):1812–25.

Spencer B et al. A neuroprotective brain-penetrating endopeptidase fusion protein ameliorates Alzheimer disease pathology and restores neurogenesis. *J Biol Chem*. 2014;289(25):17917–31.

#### **BBB Analysis (6 publications)**

Wang Z et al., FTY720 Protects Against Ischemia–Reperfusion Injury by Preventing the Redistribution of Tight Junction Proteins and Decreases Inflammation in the Subacute Phase in an Experimental Stroke Model. *Transl Stroke Res*. 2020 <https://doi.org/10.1007/s12975-020-00789-x>

Shigemoto-Mogami Y, Hoshikawa K and Sato K. Activated Microglia Disrupt the Blood-Brain Barrier and Induce Chemokines and Cytokines in a Rat in vitro Model. *Front. Cell. Neurosci.*, 13 December 2018 <https://doi.org/10.3389/fncel.2018.00494>

Zeniya S et al. Angubindin-1 opens the blood–brain barrier in vivo for delivery of antisense oligonucleotide to the central nervous system. *J Control Release*. 2018 May 10. doi: 10.1016/j.jconrel.2018.05.010.

Miyazaki W, Fujiwara Y, Katoh T. The effects of 2,3,7,8-tetrachlorodibenzo-p-dioxin on the development and function of the blood-brain barrier. *Neurotoxicology*. 2016 Jan;52:64-71. doi: 10.1016/j.neuro.2015.11.003.

Takagi T et al. Cilostazol ameliorates collagenase-induced cerebral hemorrhage by protecting the blood–brain barrier. *J Cereb Blood Flow Metab*. 2015;0271678X15621499.

Muramatsu R et al. Prostacyclin prevents pericyte loss and demyelination induced by lysophosphatidylcholine in the central nervous system. *J Biol Chem.* 2015;290(18):11515–25.

#### RBC-12 (3 publications)

##### BBB Analysis

Xu L et al. Silver nanoparticles induce tight junction disruption and astrocyte neurotoxicity in a rat blood-brain barrier primary triple coculture model. *Int J Nanomedicine.* 2015;10:6105–19.

##### Permeability Assay (2 publications)

Tabuchi M et al. The blood-brain barrier permeability of 18b-glycyrrhetic acid, a major metabolite of glycyrrhizin in glycyrrhiza root, a constituent of the traditional Japanese medicine yokukansan. *Cell Mol Neurobiol.* 2012;32(7):1139–46.

Imamura S et al. The blood-brain barrier permeability of geissoschizine methyl ether in *Uncaria hook*, a galenical constituent of the traditional Japanese medicine yokukansan. *Cell Mol Neurobiol.* 2011;31(5):787–93.

#### RBE-12 (5 publications)

##### Permeability Assay

Ohshima M. et al. Prediction of Drug Permeability Using In Vitro Blood–Brain Barrier Models with Human Induced Pluripotent Stem Cell-Derived Brain Microvascular Endothelial Cells. *BioResearch.* Nov. 2019. <http://doi.org/10.1089/biores.2019.0026>

Nishigaki R et al. Monosodium glutamate ingestion during the development period reduces aggression mediated by the vagus nerve in a rat model of attention deficit-hyperactivity disorder. *Brain Res.* 2018 Apr 9. pii: S0006-8993(18)30186-0. doi: 10.1016/j.brainres.2018.04.006.

##### BBB Analysis (3 publications)

Masago K et al. Lysophosphatidic acid receptor, LPA6, regulates endothelial blood-brain barrier function: Implication for hepatic encephalopathy. *Biochem Biophys Res Commun.* 2018 Jul 2;501(4):1048-1054. doi: 10.1016/j.bbrc.2018.05.106.

Zhang J et al. Anti-high mobility group box-1 monoclonal antibody protects the blood-brain barrier from ischemia-induced disruption in rats. *Stroke.* 2011;42(5):1420–8.

Lukic-Panin V et al. Free radical scavenger edaravone administration protects against tissue plasminogen activator induced oxidative stress and blood brain barrier damage. *Curr Neurovasc Res [Internet].* 2010;7(4):319–29.

**BMEC coating solution (4 publications)**

Aoki H et al., Laminin 221 fragment is suitable for the differentiation of human induced pluripotent stem cells into brain microvascular endothelial-like cells with robust barrier integrity. *Fluids Barriers CNS* 17, 25 (2020). <https://doi.org/10.1186/s12987-020-00186-4>

Yamaguchi T et al., Effect of heat stress on blood-brain barrier integrity in iPS cell-derived microvascular endothelial cell models. *PLoS One*. 2019; 14(9): e0222113. doi: 10.1371/journal.pone.0222113

Kurosawa T. et al., Expression and Functional Characterization of Drug Transporters in Brain Microvascular Endothelial Cells Derived from Human Induced Pluripotent Stem Cells. *Mol Pharm*. 2018 Dec 3;15(12):5546-5555. doi: 10.1021/acs.molpharmaceut.8b00697

Kokubu Y, Yamaguchi T, Kawabata K. In vitro model of cerebral ischemia by using brain microvascular endothelial cells derived from human induced pluripotent stem cells. *Biochem Biophys Res Commun*. 2017 Apr 29;486(2):577-583. doi: 10.1016/j.bbrc.2017.03.092.