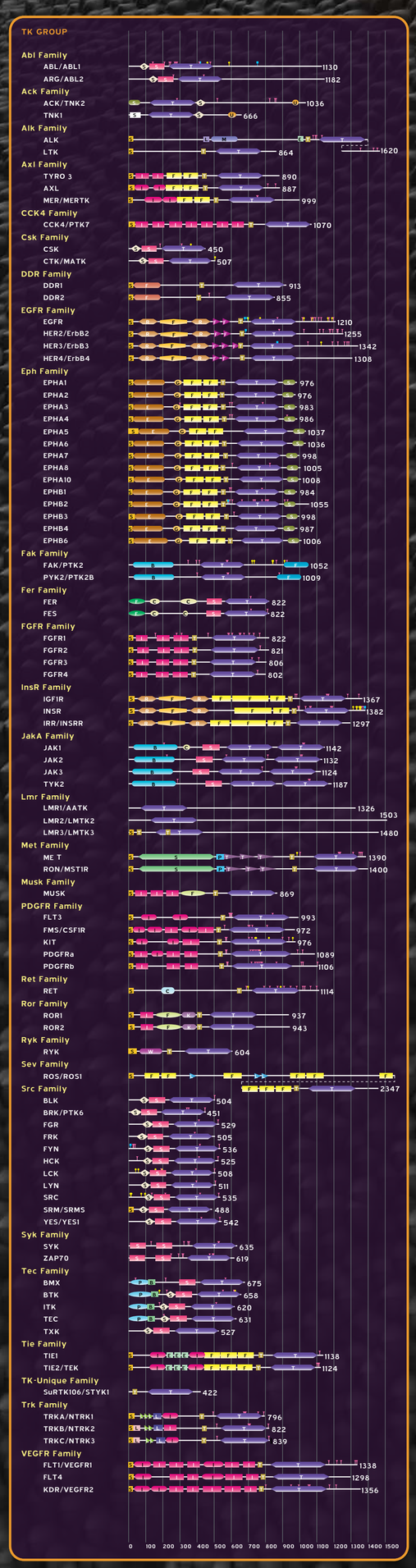
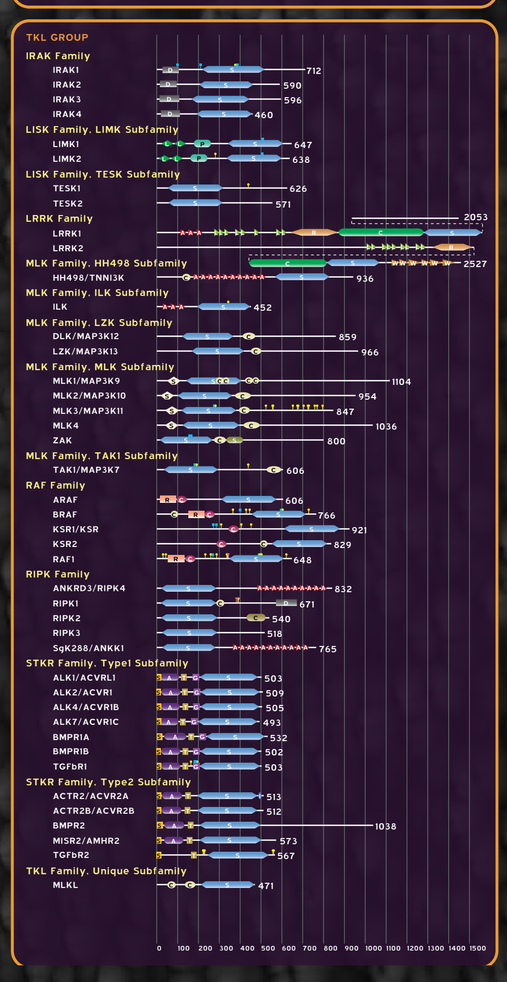
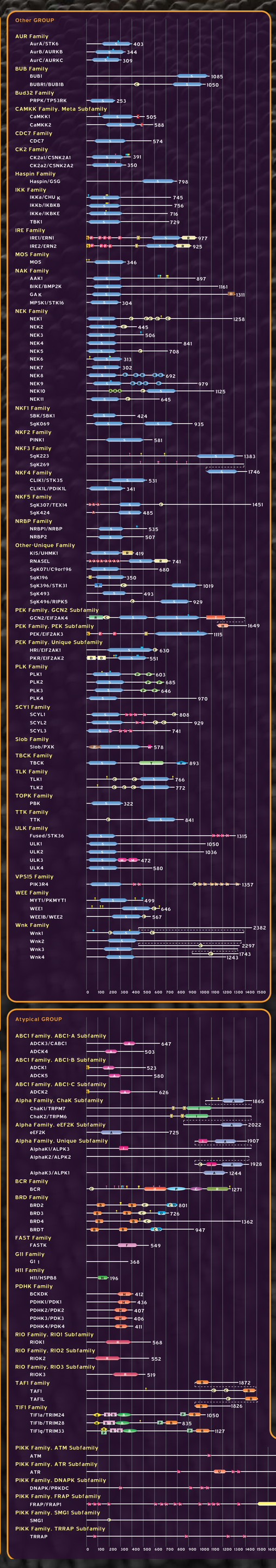
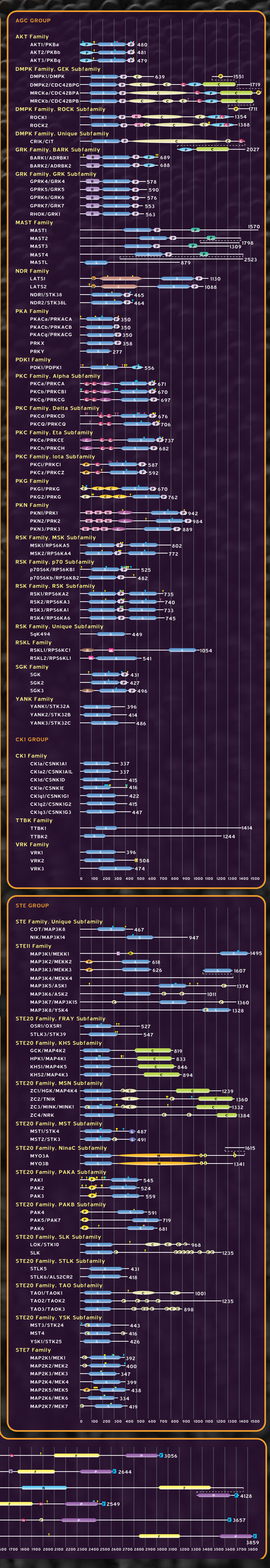
KINEXUS

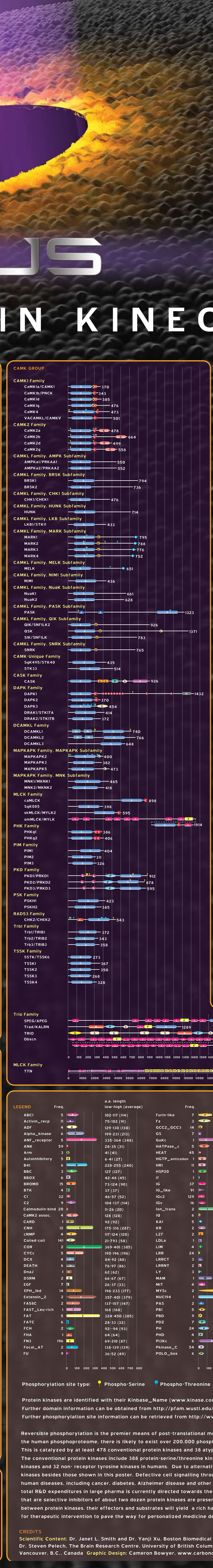
THE HUMAN PROTEIN KINEOME

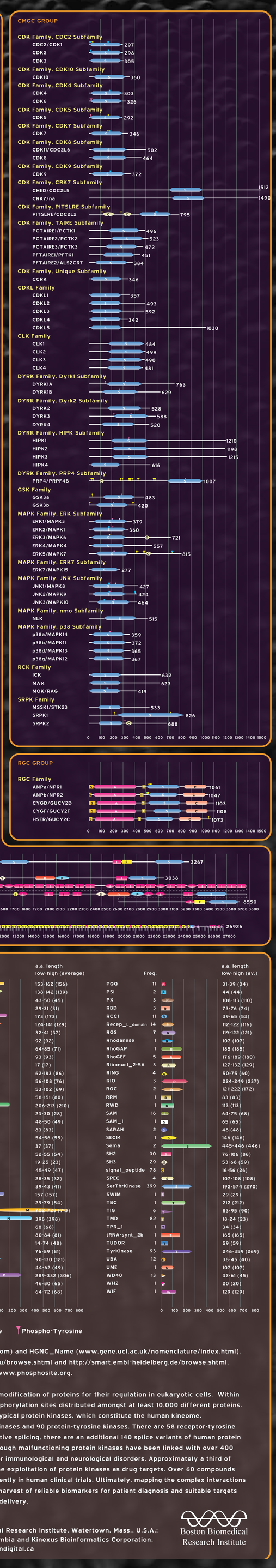


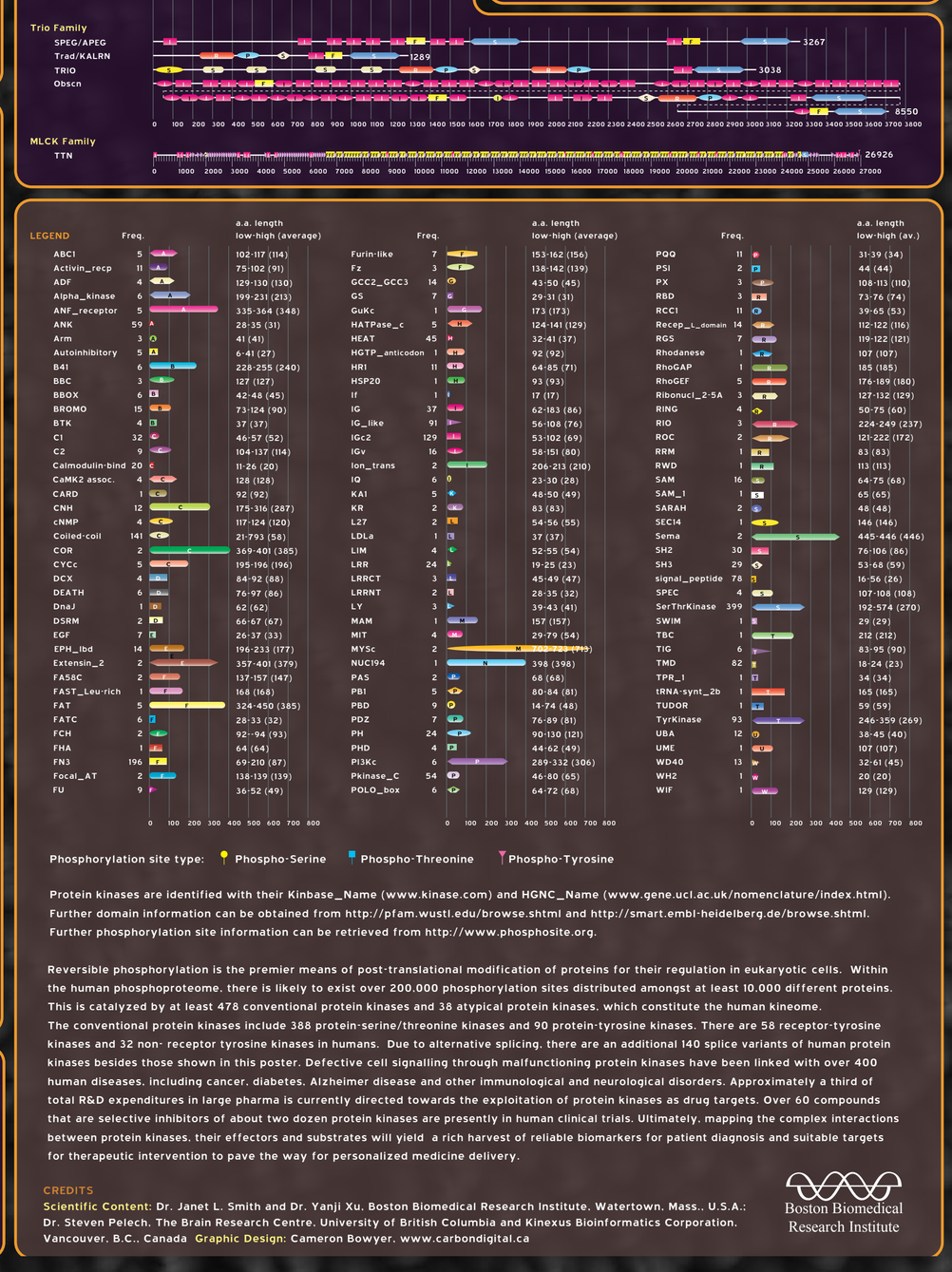












Phosphorylation site type: Phospho-Serine Phospho-Threonine Phospho-Tyrosine

Protein kinases are identified with their Kinbase_Name (www.kinase.com) and HGNC_Name (www.gene.ucl.ac.uk/nomenclature/index.html). Further domain information can be obtained from http://pfam.wustl.edu/browse.shtml and http://smart.embl-heidelberg.de/browse.shtml. Further phosphorylation site information can be retrieved from http://www.phosphosite.org.

Reversible phosphorylation is the premier means of post-translational modification of proteins for their regulation in eukaryotic cells. Within the human phosphoproteome, there is likely to exist over 200,000 phosphorylation sites distributed amongst at least 10,000 different proteins. This is catalyzed by at least 478 conventional protein kinases and 38 atypical protein kinases, which constitute the human kineome. The conventional protein kinases include 388 protein-serine/threonine kinases and 90 protein-tyrosine kinases. There are 58 receptor-tyrosine kinases and 32 non- receptor tyrosine kinases in humans. Due to alternative splicing, there are an additional 140 splice variants of human protein kinases besides those shown in this poster. Defective cell signalling through malfunctioning protein kinases have been linked with over 400 human diseases, including cancer, diabetes, Alzheimer disease and other immunological and neurological disorders. Approximately a third of total R&D expenditures in large pharma is currently directed towards the exploitation of protein kinases as drug targets. Over 60 compounds that are selective inhibitors of about two dozen protein kinases are presently in human clinical trials. Ultimately, mapping the complex interactions between protein kinases, their effectors and substrates will yield a rich harvest of reliable biomarkers for patient diagnosis and suitable targets for therapeutic intervention to pave the way for personalized medicine delivery.

CREDITS

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