This press release is an English translation of a Japanese-language press release. The official language of this press release is Japanese, and the Japanese version takes precedence over the English version in terms of content and interpretation.

<Press Release>
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Announcement of Publication of Research on the Discovery of CDK12 Inhibitor CTX-439

Chordia Therapeutics Inc (Head Office: Fujisawa City, Kanagawa Prefecture; CEO: Hiroshi Miyake, "Chordia") today announced the publication of research findings on the discovery of CTX-439, a CDK12 inhibitor currently in preclinical development. This work was led by Chordia Therapeutics in collaboration with research teams from Takeda Pharmaceutical Company Limited and Axcelead Drug Discovery Partners, Inc. The results were published online in *ACS Medicinal Chemistry Letters* on June 23, 2026.

Summary

CTX-439 is an orally available small-molecule kinase inhibitor with high selectivity for cyclin-dependent kinases 12 and 13 (CDK12/13), key members of the cyclin-dependent kinase (CDK) family of serine/threonine kinases that regulate mRNA transcription elongation and termination. By inhibiting mRNA transcription processes essential for cancer cell survival, CTX-439 suppresses the production of proteins required for cell proliferation, induces transcriptional stress, and ultimately induces cancer cell death through a novel mechanism of action.

The publication reports the medicinal chemistry efforts underlying the discovery of CTX-439. Starting from a lead compound with a unique chemical scaffold, the program was advanced through structure-based drug design leveraging X-ray crystal structure analysis, combined with strategic compound optimization.

CTX-439 demonstrated potent inhibitory activity and high selectivity against CDK12 and CDK13. In cancer cell models, inhibition of CDK12/13 resulted in suppression of transcription and significant antiproliferative effects. CTX-439 represents a promising therapeutic candidate targeting CDK12/13, and these findings underscore the Company's progress in developing next-generation cancer therapies focused on transcriptional regulation.

Publication Details

Discovery of CTX-439: A Potent and Selective CDK12 Inhibitor as a Prospective Anti-Cancer Drug
ACS Medicinal Chemistry Letters, Jun. 23, 2026
URL：https://doi.org/10.1021/acsmedchemlett.6c00138

About the Journal

ACS Medicinal Chemistry Letters is an international journal published by the American Chemical Society (ACS), dedicated to the rapid dissemination of innovative research in medicinal chemistry and drug discovery. The journal covers a wide range of topics including small-molecule design, target identification, mechanism of action studies, structure optimization, and pharmacokinetics.

Glossary of Terms

Term	Explanation
Kinase	A general term for enzymes (proteins) that transfer a phosphate group to substrate proteins.
CDK	A group of kinases involved in regulating cell proliferation and gene expression, which function by binding to proteins known as cyclins.
RNA	A molecule required to generate proteins based on genetic information in DNA; includes messenger RNA (mRNA) transcribed from genomic DNA and transfer RNA (tRNA) used during protein synthesis.
mRNA Transcription	The process by which genetic information encoded in DNA is read and transcribed into mRNA—an essential, initiating step that enables cells to synthesize proteins.
X-ray Crystal Structure Analysis	The process of examining the shape of molecules or proteins and their interactions.
Compound Optimization	The process of gradually modifying the chemical structure, which serves as the blueprint of a drug, to enhance efficacy, reduce side effects, and improve pharmacokinetics.

About Chordia Therapeutics

Chordia Therapeutics is a research and development-stage biopharmaceutical company specializing in oncology with clinical-stage assets, headquartered in Fujisawa City, Kanagawa Prefecture. Chordia's lead asset, rogocekib (CLK inhibitor CTX-712), is under Phase 1/2 clinical study in the US. Rogocekib potentially targets the vulnerability of cancer and is expected to deliver benefits to patients of various types of cancer. In addition to rogocekib, Chordia is engaged in the research and development of several assets, including ocipumaltib (MALT1 inhibitor CTX-177), CTX-439, a CDK12 inhibitor, and GCN2 inhibitors. For more information, please visit our website https://www.chordiatherapeutics.com/en/.