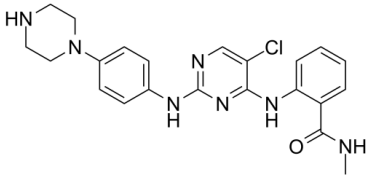
Data Sheet

Product Name:	CTX-0294885
Cat. No.:	CS-3608
CAS No.:	1439934-41-4
Molecular Formula:	C22H24ClN7O
Molecular Weight:	437.93
Target:	Others
Pathway:	Others
Solubility:	DMSO : ≥ 41 mg/mL (93.62 mM)



BIOLOGICAL ACTIVITY:

CTX-0294885 is a a novel bisanilino pyrimidine; exhibits inhibitory activity against a broad range of kinases in vitro, and further developed it into a Sepharose-supported kinase capture reagent. Target: Kinase capture reagent Use of a quantitative proteomics approach confirmed the selectivity of CTx-0294885-bound beads for kinase enrichment. Large-scale CTx-0294885-based affinity purification followed by LC-MS/MS led to the identification of 235 protein kinases from MDA-MB-231 cells, including all members of the AKT family that had not been previously detected by other broad-spectrum kinase inhibitors. Addition of CTx-0294885 to a mixture of three kinase inhibitors commonly used for kinase-enrichment increased the number of kinase identifications to 261, representing the largest kinome coverage from a single cell line reported to date. Coupling phosphopeptide enrichment with affinity purification using the four inhibitors enabled the identification of 799 high-confidence phosphosites on 183 kinases, ~10% of which were localized to the activation loop, and included previously unreported phosphosites on BMP2K, MELK, HIPK2, and PRKDC. Therefore, CTx-0294885 represents a powerful new reagent for analysis of kinome signaling networks that may facilitate development of targeted therapeutic strategies.

PROTOCOL (Extracted from published papers and Only for reference)

Cell assay[1]: Briefly, MDA-MB-231 cells were SILAC-labeled and lysed as described in the Cell Culture and Lysis section. Two milligrams of light lysate and 2 mg of heavy lysate were incubated with 25 μL of a 50% slurry of CTx-0294885-bound Sepharose beads and ethanolamine-capped control Sepharose beads, respectively, for 16 h at 4 °C and protected from light. A replicate experiment was performed in parallel with the labeled lysates switched for each bead type for inverse labeling. After incubation, the beads were washed in modified RIPA buffer supplemented with a cocktail of protease and phosphatase inhibitors, as described earlier. Following washing, proteinbound CTx-0294885 beads and control beads were combined in both replicate experiments.

References:

[1]. Zhang L, et al. Characterization of the novel broad-spectrum kinase inhibitor CTx-0294885 as an affinity reagent for mass spectrometry-based kinome profiling. J Proteome Res. 2013 Jul 5;12(7):3104-16.

CAIndexNames:

Benzamide, 2-[[5-chloro-2-[[4-(1-piperazinyl)phenyl]amino]-4-pyrimidinyl]amino]-N-methyl-

SMILES:

O=C(NC)C1=CC=CC=C1NC2=NC(NC3=CC=C(N4CCNCC4)C=C3)=NC=C2Cl

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 732-484-9848 Fax: 888-484-5008 E-mail: sales@ChemScene.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA