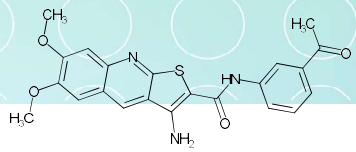
SL-74. Inhibitors of PKCε/RACK2 interaction

ASINEX
Signature Libraries

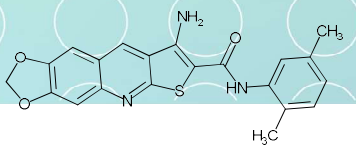
Protein kinase C epsilon (PKCε) participates in neoplastic transformation, cardiac hypertrophy, protection from ischemic insult, nociceptor function, macrophage activation, diabetes, and alcohol consumption. Therefore, inhibitors of PKCε are thought to have broad pharmaceutical potential in treating cancer, stroke, drug addiction, and pain.

ATP-competitive inhibitors of the PKC family show a lack of desired selectivity. In order to identify a selective inhibitor of PKCε signaling, research pointed to a molecule that can disrupt the PKCε/RACK2 interaction.

A series of thienoquinolines was shown to prevent the PKCε/RACK2 interaction at low uM concentrations. Initial hits originated from the Asinex Gold and Platinum collections; analogs of the reported hits were included in this library.



LAS 05545456



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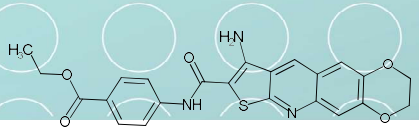

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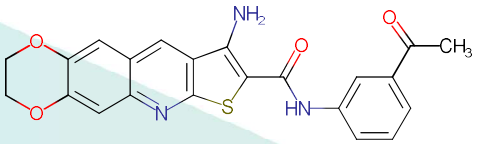

Compound 8 (PKCe141) [1]

Signature Library 74

Formats	Supplementary Information
80 compounds per plate 0.1 mg; 1 mg; 2 mg dry film/powder 0.1 µmol; 1 µmolDMSO solutions	SL#74_PKCε_RACK2.sdf

References:

1. J.Med.Chem., 57, 3235, doi:10.1021/jm401605c

Contact us:
USA: +1 336 721 1617 mparisi@asinex.com
Japan: +81-80-3401-9097 sota@asinex.com
Europe/Global: lsadovenko@asinex.com