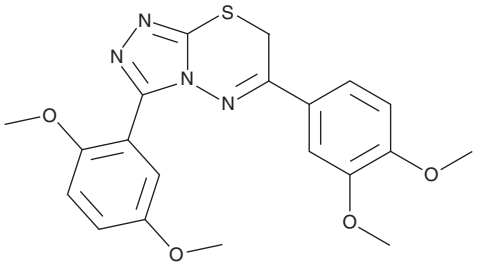
PRODUCT INFORMATION

ML-030

Item No. 15169

CAS Registry No.:	1013750-77-0
Formal Name:	3-(2,5-dimethoxyphenyl)-6-(3,4-dimethoxyphenyl)-7H-1,2,4-triazolo[3,4-b][1,3,4]thiadiazine
Synonym:	CID-11757146
MF:	$C_{20}H_{20}N_4O_4S$
FW:	412.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 324 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

ML-030 is supplied as a crystalline solid. A stock solution may be made by dissolving the ML-030 in the solvent of choice, which should be purged with an inert gas. ML-030 is soluble in DMSO at a concentration of approximately 5 mg/ml.

ML-030 is sparingly soluble in aqueous solutions. To enhance aqueous solubility, dilute the organic solvent solution into aqueous buffers or isotonic saline. If performing biological experiments, ensure the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution for more than one day.

Description

Type 4 cyclic nucleotide phosphodiesterases (PDE4s), which are highly expressed in neutrophils and monocytes, selectively inactivate the second messenger cAMP by hydrolyzing the phosphodiester bond, producing AMP. Inhibition of PDE4 activity has been examined in the context of treating asthma, chronic obstructive pulmonary disease, and as a general modulator of inflammation. ML-030 is a triazolothiadiazine that inhibits PDE4 in a cell-based cyclic nucleotide-gated cation channel biosensor assay with an EC_{50} value of 18.7 nM.[1,2] Among the PDE4 isoforms, ML-030 displays selectivity for inhibiting PDE4A (IC_{50} = 6.7 nM) over PDE4B1, PDE4B2, PDE4C1, and PDE4D2 (IC_{50} = 48.2, 37.2, 452, and 49.2 nM, respectively).[1,2]

References

1. Skoumbourdis, A.P., Huang, R., Southall, N., *et al.* Identification of a potent new chemotype for the selective inhibition of PDE4. *Bioorg. Med. Chem. Lett.* **18(4)**, 1297-1303 (2008).
2. Skoumbourdis, A.P., LeClair, C.A., Stefan, E., *et al.* Exploration and optimization of substituted triazolothiadiazines and triazolopyridazines as PDE4 inhibitors. *Bioorg. Med. Chem. Lett.* **19(13)**, 3686-3692 (2009).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM