

Product Datasheet

ML-385 (orb1223874)

Catalog Number	orb1223874
Category	Small Molecules
Description	A first-in-class small molecule inhibitor of NRF2 that binds to Neh1 DNA binding domain of NRF2 (IC₅₀=1.9 μM) and inhibits the downstream target gene expression; blocks the binding of the NRF2-MAFG complex to the ARE sequence in the promoter and reduces transcriptional activity; inhibits NRF2 signaling in lung cancer cells with KEAP1 mutations, shows selective cytotoxicity in NSCLC cells with KEAP1 mutations and potentiates the toxicity chemotherapy drugs; shows anti-tumor activity in NSCLC both as a single agent and in combination with carboplatin in preclinical models of NSCLC. Lung Cancer Preclinical(In Vitro):ML385 interacts with NRF2 and affects the DNA binding activity of the NRF2-MAFG protein complex. The addition of ML385 decreases anisotropy in a dose-dependent manner, with an IC₅₀ of 1.9 μM. A dose-dependent reduction in the NRF2 transcriptional activity is observed and the maximum inhibitory concentration is 5 μM by ML385. Treatment with ML385 leads to a significant reduction in NRF2 and downstream target gene expression selectively in KEAP1 mutant H460 cells. ML385 selectively affects the colony forming ability or growth of lung cancer cells with gain of NRF2 function.(In Vivo):ML385 in combination with carboplatin leads to a significant reduction in tumor cell proliferation, demonstrated by fewer Ki-67 positive cells. Tumor samples treated with ML385 show a significant reduction in NRF2 protein level and its downstream target genes.ML385 (intraperitoneal injection; 30 mg/kg; 7 days) weakens the therapeutic effects of MSC-Exo on inflammation-induced astrocytic activation in mice, and reduces reactive astrogliosis, NF-κB deactivation.
Target	Keap1-Nrf2
Purity	>98% (HPLC)
MW	507.6459
Target Areas	Nrf2

Biorbyt Ltd.

7 Signet Court, Swann Road
Cambridge
CB5 8LA
United Kingdom

Email: info@biorbyt.com, support@biorbyt.com
Phone: +44 (0)1223 859353 | Fax: +1 (415) 651-8558

Biorbyt LLC

68 TW Alexander Drive
Research Triangle Park
Durham
NC 27713-2847
United States

Email: info@biorbyt.com, support@biorbyt.com
Phone: +1 (415) 906-5211 | Fax: +1 (415) 651-8558

Solubility (25°C)	DMSO: ≥ 30 mg/mL
CAS Number	846557-71-9
Formula	C ₃₁ H ₂₉ N ₃ O ₂ S
SMILES	<chem>O=C(NC1=NC(C2=CC3=C(N(C(C4=CC=CC=C4C)=O)CC3)C=C2)=C(C)S1)CC5=C</chem> <chem>C=C(OCO6)C6=C5</chem>
Chemical Name	1,3-Benzodioxole-5-acetamide, N-[4-[2,3-dihydro-1-(2-methylbenzoyl)-1H-indol-5-yl]-5-methyl-2-thiazolyl]-
Storage	Storage temperature: -20°C. Stability: ≥ 2 years
Note	For research use only
Expiration Date	12 months from date of receipt.

Biorbyt Ltd.

7 Signet Court, Swann Road
Cambridge
CB5 8LA
United Kingdom

Email: info@biorbyt.com, support@biorbyt.com
Phone: [+44 \(0\)1223 859353](tel:+44(0)1223859353) | Fax: [+1 \(415\) 651-8558](tel:+1(415)651-8558)

Biorbyt LLC

68 TW Alexander Drive
Research Triangle Park
Durham
NC 27713-2847
United States

Email: info@biorbyt.com, support@biorbyt.com
Phone: [+1 \(415\) 906-5211](tel:+1(415)906-5211) | Fax: [+1 \(415\) 651-8558](tel:+1(415)651-8558)